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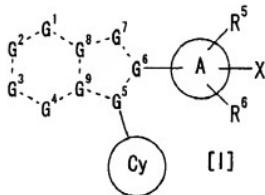
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(54) Title: FUSED CYCLIC COMPOUNDS AND MEDICINAL USE THEREOF



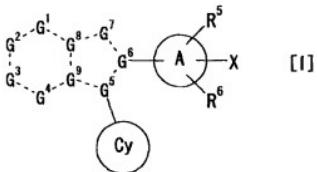
(57) Abrégé/Abstract:

Fused cyclic compounds represented by the following general formula [I] or pharmaceutically acceptable salts thereof and remedies for hepatitis C containing these compounds: [I] wherein each symbol is as defined in the description. Because of having an effect against hepatitis C virus (HCV) based on an HCV polymerase inhibitory effect, these compounds are useful as remedies or preventives for hepatitis C.



ABSTRACT OF THE DISCLOSURE

The present invention provides a fused ring compound of the following formula [I]



5 wherein each symbol is as defined in the specification, a pharmaceutically acceptable salt thereof, and a therapeutic agent for hepatitis C, which contains this compound. The compound of the present invention shows an anti-hepatitis C virus (HCV) action based on the HCV polymerase inhibitory activity, and is
10 useful as a therapeutic agent or prophylactic agent for hepatitis C.

DEMANDE OU BREVET VOLUMINEUX

LA PRÉSENTE PARTIE DE CETTE DEMANDE OU CE BREVET COMPREND
PLUS D'UN TOME.

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**SPECIFICATION****FUSED CYCLIC COMPOUNDS AND MEDICINAL USE THEREOF****Technical Field**

The present invention relates to a novel fused ring compound and a pharmaceutically acceptable salt thereof useful as a therapeutic agent for hepatitis C, and to an intermediate compound for the synthesis thereof. The present invention also relates to a novel use of a certain fused ring compound or a pharmaceutically acceptable salt thereof as a therapeutic agent for hepatitis C. More particularly, the present invention relates to a therapeutic agent for hepatitis C, which contains a novel fused ring compound or a pharmaceutically acceptable salt thereof, which is effective for the prophylaxis or treatment of hepatitis C and which shows anti-hepatitis C virus (HCV) activity, particularly anti-HCV activity based on an RNA-dependent RNA polymerase inhibitory activity.

**Background Art**

In 1989, a main causative virus of non-A non-B posttransfusion hepatitis was found and named hepatitis C virus (HCV). Since then, several types of hepatitis viruses have been found besides type A, type B and type C, wherein hepatitis caused by HCV is called hepatitis C.

The patients infected with HCV are considered to involve several percent of the world population, and the infection with HCV characteristically becomes chronic.

HCV is an envelope RNA virus, wherein the genome is a single strand plus-strand RNA, and belongs to the genus Hepacivirus of Flavivirus (from The International Committee on Taxonomy of Viruses, International Union of Microbiological Societies). Of the same hepatitis viruses, for example, hepatitis B virus (HBV), which is a DNA virus, is eliminated by the immune system and the infection with this virus ends in an acute infection except for neonates and infants having yet immature immunological competence. In contrast, HCV somehow avoids the immune system of the host due to an unknown mechanism. Once infected with this virus, even an adult having a mature immune system frequently develops persistent infection.

When chronic hepatitis is associated with the persistent infection with HCV, it advances to cirrhosis or hepatic cancer in a high rate. Enucleation of tumor by operation does not help much, because the patient often develops recurrent hepatic cancer due to the sequela inflammation in non-cancerous parts. In addition, there is a report on the involvement of HCV infection in dermatosis such as chronic urticaria, lichen planus, cryoglobulinemic purpura and the like (The Japanese Journal of Dermatology, 111(7), 1075-81, 2001).

Thus, an effective therapeutic method of hepatitis C is desired. Apart from the symptomatic therapy to suppress inflammation with an anti-inflammatory agent, the development of a therapeutic agent that reduces HCV to a low level free from inflammation and that eradicates HCV has been strongly demanded.

At present, a treatment with interferon is the only effective method known for the eradication of HCV. However, interferon can eradicate the virus only in about one-third of the patient population. For the rest of the patients, it has no effect or provides only a temporary effect. Therefore, an anti-HCV drug to be used in the place of or concurrently with interferon is awaited in great expectation.

In recent years, Ribavirin (1- $\beta$ -D-ribofuranosyl-1H-1,2,4-triazole-3-carboxamide) has become commercially available as a therapeutic agent for hepatitis C, which is to be used concurrently with interferon. It enhances the efficacy of interferon but only to a low efficacy rate, and a different novel therapeutic agent for hepatitis C is desired.

Also, an attempt has been made to potentiate the immunocompetence of the patient with an interferon agonist, an interleukin-12 agonist and the like, thereby to eradicate the virus, but an effective pharmaceutical agent has not been found yet.

In addition, the inhibition of HCV growth, wherein HCV-specific protein is targeted, has been drawing attention these days.

The gene of HCV encodes a protein such as serine protease, RNA helicase, RNA-dependent RNA polymerase and the like. These

proteins function as a specific protein essential for the growth of HCV.

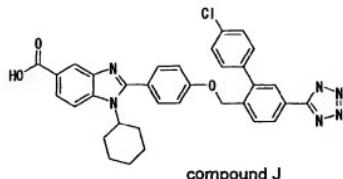
One of the specific proteins, RNA-dependent RNA polymerase (hereinafter to be also briefly referred to as an HCV polymerase),  
 5 is an enzyme essential for the growth of the virus. The gene replication of HCV having a plus-strand RNA gene is considered to involve synthesis of a complementary minus-strand RNA by the use of the plus-strand RNA as a template, and, using the obtained minus-strand RNA as a template, amplifying the plus-strand RNA.  
 10 The portion called NS5B of a protein precursor, that HCV codes for, has been found to show an RNA-dependent RNA polymerase activity (EMBO J., 15, 12-22, 1996), and is considered to play a central role in the HCV gene replication.

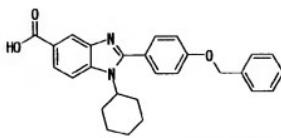
Therefore, an HCV polymerase inhibitor can be a target in  
 15 the development of an anti-HCV drug, and the development thereof is eagerly awaited. However, an effective HCV polymerase inhibitor has not been developed yet, like in other attempts to develop an anti-HCV drug based on other action mechanisms. As the situation stands, no pharmaceutical agent can treat hepatitis C  
 20 satisfactorily.

The following discloses known compounds relatively similar to the compound of the present invention.

The therapeutic agents for hepatitis C, which have a benzimidazole skeleton, are known from JP-A-2001-247550  
 25 (WO01/47883, EP1162196A1) and WO02/04425.

These publications disclose the following  $\beta$ -ketoamide compounds J etc. and K etc., respectively, as anti-HIV agents having an integrase inhibitory activity:





### **compound K**

Note that the earliest publication dates of these publications are July 5, 2001 (WO01/47883) and January 17, 2002 (WO02/04425), and the priority date of the present application is 5 June 26, 2001, antedating these publication dates.

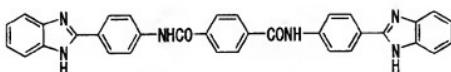
In addition, a known therapeutic agent for hepatitis C having a benzimidazole skeleton is also disclosed in WO97/36866, Japanese Patent Application under PCT laid-open under kohyo No. 2000-511899 (EP906097) and WO99/51619.

10 WO97/36866 discloses the following compound D and the like, and HCV helicase inhibitory activity of the compounds.

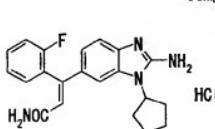
Japanese Patent Application under PCT laid-open under kohyo No. 2000-511899 (EP906097) discloses the following compound E and the like, and WO99/51619 discloses the following compound F 15 and the like, in both of which a possibility of these compounds being effective as an HCV inhibitor is mentioned.

However, these publications do not include the compound disclosed in the present specification, or a disclosure suggestive thereof.

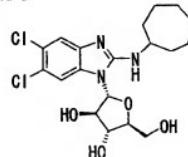
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#### **Compound D**



#### Compound E



compound F

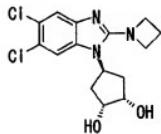
A known anti-hepatitis virus agent having a benzimidazole skeleton is disclosed in Japanese Patent Application under PCT

laid-open under kohyo No. 2000-503017 (WO97/25316) and Japanese Patent Application under PCT laid-open under kohyo No. 10-505092 (WO96/7646).

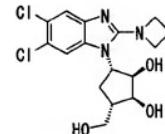
WO97/25316 discloses the following compound A and the like, wherein the use thereof is for a treatment of viral infection.

The target virus is a DNA virus such as hepatitis B virus and the like. However, this publication does not include the compound disclosed in the present specification or a description regarding or suggestive of HCV.

Japanese Patent Application under PCT laid-open under kohyo No. 10-505092 discloses the following compound B and the like, wherein the use thereof is for a treatment of viral infection. The target virus is a DNA virus such as herpesvirus and hepatitis B virus. However, this publication does not include the compound disclosed in the present specification or a description regarding or suggestive of HCV.



compound A



compound B

The benzimidazole derivatives having an antiviral activity have been disclosed in JP-A-3-31264, US3644382 and US3778504. In addition, WO98/37072 discloses, as a production inhibitor of tumor necrosis factor (TNF) and cyclic AMP, a benzimidazole derivative for the use as an anti-human immunodeficiency virus (HIV) agent and an anti-inflammation agent. WO98/05327 discloses, as a reverse transcriptase inhibitor, a benzimidazole derivative for the use as an anti-HIV agent. J. Med. Chem. (13(4), 697-704, 1970) discloses, as a neuraminidase inhibitor, a benzimidazole derivative for the use as an anti-influenza virus agent.

However, none of these publications includes the compound of the present invention or a description regarding or suggestive of an anti-HCV effect.

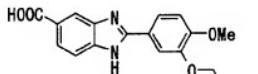
Known benzimidazole derivatives having a pharmaceutical use other than as an antiviral agent are disclosed in JP-A-8-501318 (US5814651) and JP-A-8-134073 (US5563143). These

publications disclose the following compound C and the like as a catechol diether compound, and the use thereof as an anti-inflammation agent. However, neither of the publications includes the compound of the present invention, and as the action mechanism, the former discloses phosphodiesterase IV and the latter discloses TNF. These publications do not include a description regarding or suggestive of an anti-HCV effect.

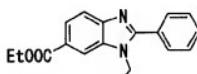
Japanese Patent Application under PCT laid-open under kohyo No. 2000-159749 (EP882718) discloses the following compound G and the like, and the use thereof for the treatment of bronchitis, glomerulonephritis and the like. However, this publication does not include the compound of the present invention, but discloses only a phosphodiesterase IV inhibitory and hypoglycemic action. This publication does not include a description regarding or suggestive of an anti-HCV effect.

US6211177 discloses the following compound H and the like with their use as antitumor agents. However, this publication does not encompass the compound of the present invention, and does not disclose or suggest an anti-HCV effect.

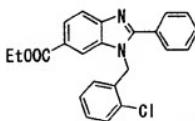
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compound C



compound G

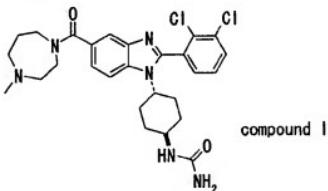


compound H

WO98/50029, WO98/50030 and WO98/50031 disclose benzimidazole derivatives as an antitumor agent having a protein isoprenyl transferase action. While this publication discloses a wide scope of the claims, at least it does not include a compound analogous to the compound of the present invention or a description regarding or suggestive of an anti-HCV effect.

JP-A-8-109169 (EP694535) discloses the application of a tachykinin receptor antagonist to treat an inflammatory disease, and WO96/35713 discloses the application thereof as a growth hormone release promoter to treat a growth hormone-related 5 disease such as osteoporosis and the like. However, none of these publications includes a description regarding or suggestive of an anti-HCV effect.

WO2001/21634 discloses the following compound I in a chemical library. However, this publication does not encompass 10 the compound of the present invention. While it discloses an antimicrobial activity of certain compounds, this publication does not teach or suggest an anti-HCV effect.



JP-A-53-14735 discloses a benzimidazole derivative as a 15 brightener besides its pharmaceutical use, but this publication does not include the compound of the present invention.

#### Summary of the Invention

Based on the findings from the preceding studies, it has been elucidated that a pharmaceutical agent having an anti-HCV 20 activity is effective for the prophylaxis and treatment of hepatitis C, and particularly an anti-HCV agent having an inhibitory activity on RNA-dependent RNA polymerase of HCV can be a prophylactic and therapeutic agent effective against hepatitis C and a prophylactic and therapeutic agent for the disease caused 25 by hepatitis C.

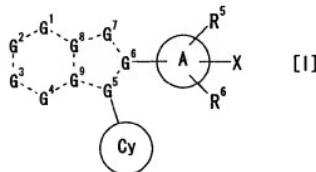
Accordingly, the present invention provides a pharmaceutical agent having an anti-HCV activity, particularly a pharmaceutical agent having an RNA-dependent RNA polymerase inhibitory activity.

30 The present inventors have made an in-depth study of compounds having an anti-HCV activity, particularly RNA-dependent

RNA polymerase inhibitory activity, and completed the present invention.

Thus, the present invention provides the following (1) to (87).

(1) A therapeutic agent for hepatitis C, which comprises a fused ring compound of the following formula [I] or a pharmaceutically acceptable salt thereof as an active ingredient:



wherein

- 10 a broken line is a single bond or a double bond,
- $G^1$  is  $C(-R^1)$  or a nitrogen atom,
- $G^2$  is  $C(-R^2)$  or a nitrogen atom,
- $G^3$  is  $C(-R^3)$  or a nitrogen atom,
- $G^4$  is  $C(-R^4)$  or a nitrogen atom,
- 15  $G^5$ ,  $G^6$ ,  $G^8$  and  $G^9$  are each independently a carbon atom or a nitrogen atom,
- $G^7$  is  $C(-R^7)$ , an oxygen atom, a sulfur atom, or a nitrogen atom optionally substituted by  $R^8$ ,
- wherein  $R^1$ ,  $R^2$ ,  $R^3$  and  $R^4$  are each independently,
  - 20 (1) hydrogen atom,
  - (2)  $C_{1-6}$  alkanoyl,
  - (3) carboxyl,
  - (4) cyano,
  - (5) nitro,
- 25 (6)  $C_{1-6}$  alkyl optionally substituted by 1 to 3 substituent(s) selected from the following group A,  
group A; halogen atom, hydroxyl group, carboxyl, amino,  
 $C_{1-6}$  alkoxy,  $C_{1-6}$  alkoxy  $C_{1-6}$  alkoxy,  $C_{1-6}$  alkoxy carbonyl and  $C_{1-6}$  alkylamino,
- 30 (7)  $-COOR^{a1}$   
wherein  $R^{a1}$  is optionally substituted  $C_{1-6}$  alkyl (as defined above),  $C_{6-14}$  aryl  $C_{1-6}$  alkyl optionally

substituted by 1 to 5 substituent(s) selected from the following group B or glucuronic acid residue,  
 group B; halogen atom, cyano, nitro, C<sub>1-6</sub> alkyl,  
 halogenated C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkanoyl,  
 5 - (CH<sub>2</sub>)<sub>r</sub>-COOR<sup>b1</sup>, - (CH<sub>2</sub>)<sub>r</sub>-CONR<sup>b1</sup>R<sup>b2</sup>, - (CH<sub>2</sub>)<sub>r</sub>-NR<sup>b1</sup>R<sup>b2</sup>,  
 - (CH<sub>2</sub>)<sub>r</sub>-NR<sup>b1</sup>-COR<sup>b2</sup>, - (CH<sub>2</sub>)<sub>r</sub>-NHSO<sub>2</sub>R<sup>b1</sup>, - (CH<sub>2</sub>)<sub>r</sub>-OR<sup>b1</sup>,  
 - (CH<sub>2</sub>)<sub>r</sub>-SR<sup>b1</sup>, - (CH<sub>2</sub>)<sub>r</sub>-SO<sub>2</sub>R<sup>b1</sup> and - (CH<sub>2</sub>)<sub>r</sub>-SO<sub>2</sub>NR<sup>b1</sup>R<sup>b2</sup>  
 wherein R<sup>b1</sup> and R<sup>b2</sup> are each independently  
 10 hydrogen atom or C<sub>1-6</sub> alkyl and r is 0 or an  
 integer of 1 to 6,

10 (8) -CONR<sup>a2</sup>R<sup>a3</sup>  
 wherein R<sup>a2</sup> and R<sup>a3</sup> are each independently hydrogen atom,  
 C<sub>1-6</sub> alkoxy or optionally substituted C<sub>1-6</sub> alkyl (as  
 defined above),

15 (9) -C(=NR<sup>a4</sup>)NH<sub>2</sub>  
 wherein R<sup>a4</sup> is hydrogen atom or hydroxyl group,

(10) -NHR<sup>a5</sup>  
 wherein R<sup>a5</sup> is hydrogen atom, C<sub>1-6</sub> alkanoyl or C<sub>1-6</sub>  
 alkylsulfonyl,

20 (11) -OR<sup>a6</sup>  
 wherein R<sup>a6</sup> is hydrogen atom or optionally substituted  
 C<sub>1-6</sub> alkyl (as defined above),

(12) -SO<sub>2</sub>R<sup>a7</sup>  
 wherein R<sup>a7</sup> is hydroxyl group, amino, C<sub>1-6</sub> alkyl or C<sub>1-6</sub>  
 alkylamino,

25 (13) -P(=O)(OR<sup>a31</sup>)<sub>2</sub>  
 wherein R<sup>a31</sup> is hydrogen atom, optionally substituted C<sub>1-6</sub>  
 alkyl (as defined above) or C<sub>6-14</sub> aryl C<sub>1-6</sub> alkyl  
 optionally substituted by 1 to 5 substituent(s)  
 selected from the above group B

or

(14) heterocyclic group having 1 to 4 heteroatom(s)  
 selected from an oxygen atom, a nitrogen atom and a  
 sulfur atom, and

35 R<sup>7</sup> and R<sup>8</sup> are each hydrogen atom or optionally substituted  
 C<sub>1-6</sub> alkyl (as defined above),

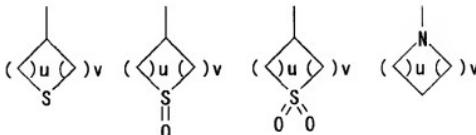
ring Cy is

(1) C<sub>3-8</sub> cycloalkyl optionally substituted by 1 to 5

substituent(s) selected from the following group C,  
group C; hydroxyl group, halogen atom, C<sub>1-6</sub> alkyl and  
C<sub>1-6</sub> alkoxy,

(2) C<sub>3-8</sub> cycloalkenyl optionally substituted by 1 to 5  
substituent(s) selected from the above group C, or

(3)



wherein u and v are each independently an integer  
of 1 to 3,

10 ring A is

- (1) C<sub>6-14</sub> aryl,
- (2) C<sub>3-8</sub> cycloalkyl,
- (3) C<sub>3-8</sub> cycloalkenyl or
- (4) heterocyclic group having 1 to 4 heteroatom(s)

15 selected from an oxygen atom, a nitrogen atom and a  
sulfur atom,

R<sup>5</sup> and R<sup>6</sup> are each independently

- (1) hydrogen atom,
- (2) halogen atom,
- (3) optionally substituted C<sub>1-6</sub> alkyl (as defined above) or
- (4) -OR<sup>a8</sup>

wherein R<sup>a8</sup> is hydrogen atom, C<sub>1-6</sub> alkyl or C<sub>6-14</sub> aryl C<sub>1-6</sub>  
alkyl, and

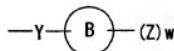
X is

- (1) hydrogen atom,
- (2) halogen atom,
- (3) cyano,
- (4) nitro,
- (5) amino, C<sub>1-6</sub> alkanoylamino,
- (6) C<sub>1-6</sub> alkylsulfonyl,
- (7) optionally substituted C<sub>1-6</sub> alkyl (as defined above),
- (8) C<sub>2-6</sub> alkenyl optionally substituted by 1 to 3  
substituent(s) selected from the above group A,

(9) -COOR<sup>a9</sup>wherein R<sup>a9</sup> is hydrogen atom or C<sub>1-6</sub> alkyl,(10) -CONH-(CH<sub>2</sub>)<sub>1</sub>-R<sup>a10</sup>wherein R<sup>a10</sup> is optionally substituted C<sub>1-6</sub> alkyl (as defined above), C<sub>1-6</sub> alkoxy carbonyl or C<sub>1-6</sub> alkanoylamino and l is 0 or an integer of 1 to 6,(11) -OR<sup>a11</sup>wherein R<sup>a11</sup> is hydrogen atom or optionally substituted C<sub>1-6</sub> alkyl (as defined above)

10 or

(12)



wherein

ring B is

15 (1') C<sub>6-14</sub> aryl,(2') C<sub>3-8</sub> cycloalkyl or

(3') heterocyclic group (as defined above),

each Z is independently

(1') a group selected from the following group D,

20 (2') C<sub>6-14</sub> aryl optionally substituted by 1 to 5 substituent(s) selected from the following group D,(3') C<sub>3-8</sub> cycloalkyl optionally substituted by 1 to 5 substituent(s) selected from the following group D,(4') C<sub>6-14</sub> aryl C<sub>1-6</sub> alkyl optionally substituted by 1 to 5 substituent(s) selected from the following group D,

(5') heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the following group D,

30 wherein the heterocyclic group has 1 to 4 hetero-atom(s) selected from an oxygen atom, a nitrogen atom and a sulfur atom, or

(6') heterocycle C<sub>1-6</sub> alkyl optionally substituted by 1 to 5 substituent(s) selected from the following group D,35 wherein the heterocycle C<sub>1-6</sub> alkyl is C<sub>1-6</sub> alkyl substituted by heterocyclic group optionally

substituted by 1 to 5 substituent(s) selected from the group D, as defined above,

group D:

- (a) hydrogen atom,
- (b) halogen atom,
- (c) cyano,
- (d) nitro,
- (e) optionally substituted C<sub>1-6</sub> alkyl (as defined above),

(f) -(CH<sub>2</sub>)<sub>t</sub>-COR<sup>a18</sup>,

(hereinafter each t means independently 0 or an integer of 1 to 6),

wherein R<sup>a18</sup> is

(1'') optionally substituted C<sub>1-6</sub> alkyl (as defined above),

(2'') C<sub>6-14</sub> aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B or

(3'') heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the above group B wherein the heterocyclic group has 1 to 4 heteroatom(s) selected from an oxygen atom, a nitrogen atom and a sulfur atom,

(g) -(CH<sub>2</sub>)<sub>t</sub>-COOR<sup>a19</sup>

wherein R<sup>a19</sup> is hydrogen atom, optionally substituted C<sub>1-6</sub> alkyl (as defined above) or C<sub>6-14</sub> aryl C<sub>1-6</sub> alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group

B,

(h) -(CH<sub>2</sub>)<sub>t</sub>-CONR<sup>a27</sup>R<sup>a28</sup>

wherein R<sup>a27</sup> and R<sup>a28</sup> are each independently, (1'') hydrogen atom,

(2'') optionally substituted C<sub>1-6</sub> alkyl (as defined above),

(3'') C<sub>6-14</sub> aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B,

- 5
- (4'')  $C_{6-14}$  aryl  $C_{1-6}$  alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
- (5'') heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the above group B,
- 10
- (6'') heterocycle  $C_{1-6}$  alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
- wherein the heterocycle  $C_{1-6}$  alkyl is  $C_{1-6}$  alkyl substituted by heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the above group B, as defined above,
- 15
- (7'')  $C_{3-8}$  cycloalkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
- (8'')  $C_{3-8}$  cycloalkyl  $C_{1-6}$  alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
- 20
- (9'') hydroxyl group or
- (10'')  $C_{1-6}$  alkoxy,
- 25
- (i)  $-(CH_2)_t-C(=NR^{a33})NH_2$   
wherein  $R^{a33}$  is hydrogen atom,  $C_{1-6}$  alkyl, hydroxyl group or  $C_{1-6}$  alkoxy,
- (j)  $-(CH_2)_t-OR^{a20}$   
wherein  $R^{a20}$  is
- 30
- (1'') hydrogen atom,  
(2'') optionally substituted  $C_{1-6}$  alkyl (as defined above),  
(3'') optionally substituted  $C_{2-6}$  alkenyl (as defined above),  
(4'')  $C_{2-6}$  alkynyl optionally substituted by 1 to 3 substituent(s) selected from the above group A,
- 35
- (5'')  $C_{6-14}$  aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
- (6'')  $C_{6-14}$  aryl  $C_{1-6}$  alkyl optionally

- substituted by 1 to 5 substituent(s)  
selected from the above group B,  
 (7") heterocyclic group optionally substituted  
by 1 to 5 substituent(s) selected from  
the above group B,  
 5  
 (8") heterocycle C<sub>1-6</sub> alkyl optionally  
substituted by 1 to 5 substituent(s)  
selected from the above group B,  
 (9") C<sub>3-8</sub> cycloalkyl optionally substituted by  
 10 1 to 5 substituent(s) selected from the  
above group B, or  
 (10") C<sub>3-8</sub> cycloalkyl C<sub>1-6</sub> alkyl optionally  
substituted by 1 to 5 substituent(s)  
selected from the above group B,  
 15 (k) -(CH<sub>2</sub>)<sub>t</sub>-O-(CH<sub>2</sub>)<sub>p</sub>-COR<sup>a21</sup>  
wherein R<sup>a21</sup> is amino, C<sub>1-6</sub> alkylamino or  
heterocyclic group optionally substituted by  
1 to 5 substituent(s) selected from the above  
group B, and p is 0 or an integer of 1 to 6,  
 20 (l) -(CH<sub>2</sub>)<sub>t</sub>-NR<sup>a22</sup>R<sup>a23</sup>  
wherein R<sup>a22</sup> and R<sup>a23</sup> are each independently  
 (1") hydrogen atom,  
 (2") optionally substituted C<sub>1-6</sub> alkyl (as  
defined above),  
 25 (3") C<sub>6-14</sub> aryl optionally substituted by 1 to  
5 substituent(s) selected from the above  
group B,  
 (4") C<sub>6-14</sub> aryl C<sub>1-6</sub> alkyl optionally  
substituted by 1 to 5 substituent(s)  
selected from the above group B,  
 30 (5") heterocycle C<sub>1-6</sub> alkyl optionally  
substituted by 1 to 5 substituent(s)  
selected from the above group B or  
 (6") heterocyclic group optionally  
substituted by 1 to 5 substituent(s)  
selected from the above group B,  
 35 (m) -(CH<sub>2</sub>)<sub>t</sub>-NR<sup>a29</sup>CO-R<sup>a24</sup>  
wherein R<sup>a29</sup> is hydrogen atom, C<sub>1-6</sub> alkyl or C<sub>1-6</sub>

- 5
- alkanoyl, and R<sup>a24</sup> is  
 (1") amino,  
 (2") C<sub>1-6</sub> alkylamino,  
 (3") optionally substituted C<sub>1-6</sub> alkyl (as  
 defined above),  
 (4") C<sub>6-14</sub> aryl optionally substituted by 1 to  
 5 substituent(s) selected from the above  
 group B,  
 (5") heterocyclic group optionally substituted  
 by 1 to 5 substituent(s) selected from  
 the above group B or  
 (6") heterocycle C<sub>1-6</sub> alkyl optionally  
 substituted by 1 to 5 substituent(s)  
 selected from the above group B,
- 10
- (n) -(CH<sub>2</sub>)<sub>t</sub>-NR<sup>a29</sup>SO<sub>2</sub>-R<sup>a25</sup>  
 wherein R<sup>a29</sup> is as defined above, and  
 R<sup>a25</sup> is hydrogen atom, optionally  
 substituted C<sub>1-6</sub> alkyl (as defined above),  
 C<sub>6-14</sub> aryl optionally substituted by 1 to 5  
 substituent(s) selected from the above group  
 B or heterocyclic group optionally  
 substituted by 1 to 5 substituent(s) selected  
 from the above group B,  
 (o) -(CH<sub>2</sub>)<sub>t</sub>-S(O)<sub>q</sub>-R<sup>a25</sup>  
 wherein R<sup>a25</sup> is as defined above, and q is 0,  
 1 or 2,  
 (p) -(CH<sub>2</sub>)<sub>t</sub>-SO<sub>2</sub>-NHR<sup>a26</sup>  
 wherein R<sup>a26</sup> is hydrogen atom, optionally  
 substituted C<sub>1-6</sub> alkyl (as defined above),  
 C<sub>6-14</sub> aryl optionally substituted by 1 to 5  
 substituent(s) selected from the above group  
 B or heterocyclic group optionally  
 substituted by 1 to 5 substituent(s) selected  
 from the above group B,
- 15
- and  
 (q) heterocyclic group having 1 to 4 heteroatom(s)  
 selected from an oxygen atom, a nitrogen atom  
 and a sulfur atom, and
- 20
- 25
- 30
- 35

w is an integer of 1 to 3, and

Y is

- (1') a single bond,
- (2') C<sub>1-6</sub> alkylene,
- (3') C<sub>2-6</sub> alkenylene,
- (4') -(CH<sub>2</sub>)<sub>m</sub>-O-(CH<sub>2</sub>)<sub>n</sub>-,  
(hereinafter m and n are each independently 0  
or an integer of 1 to 6),
- (5') -CO-,
- (6') -CO<sub>2</sub>-(CH<sub>2</sub>)<sub>n</sub>-,
- (7') -CONH-(CH<sub>2</sub>)<sub>n</sub>-NH-,
- (8') -NHCO<sub>2</sub>-,
- (9') -NHC(=O)-,
- (10') -O-(CH<sub>2</sub>)<sub>n</sub>-CO-,
- (11') -O-(CH<sub>2</sub>)<sub>n</sub>-O-,
- (12') -SO<sub>2</sub>-,
- (13') -(CH<sub>2</sub>)<sub>m</sub>-NR<sup>a12</sup>-(CH<sub>2</sub>)<sub>n</sub>-  
wherein R<sup>a12</sup> is
  - (1'') hydrogen atom,
  - (2'') optionally substituted C<sub>1-6</sub> alkyl (as  
defined above),
  - (3'') C<sub>6-14</sub> aryl C<sub>1-6</sub> alkyl optionally  
substituted by 1 to 5 substituent(s)  
selected from the above group B,
  - (4'') C<sub>6-14</sub> aryl optionally substituted by 1 to  
5 substituent(s) selected from the above  
group B,
  - (5'') -COR<sup>b5</sup>  
wherein R<sup>b5</sup> is hydrogen atom, optionally  
substituted C<sub>1-6</sub> alkyl (as defined above),  
C<sub>6-14</sub> aryl optionally substituted by 1 to  
5 substituent(s) selected from the above  
group B or C<sub>6-14</sub> aryl C<sub>1-6</sub> alkyl optionally  
substituted by 1 to 5 substituent(s)  
selected from the above group B,
  - (6'') -COOR<sup>b5</sup> (R<sup>b5</sup> is as defined above) or
  - (7'') -SO<sub>2</sub>R<sup>b5</sup> (R<sup>b5</sup> is as defined above),
  - (14') -NR<sup>a12</sup>CO- (R<sup>a12</sup> is as defined above),

(15')  $-\text{CONR}^{\text{a}13}-\text{(CH}_2\text{)}_n-$ 

wherein  $\text{R}^{\text{a}13}$  is hydrogen atom, optionally substituted C<sub>1-6</sub> alkyl (as defined above) or C<sub>6-14</sub> aryl C<sub>1-6</sub> alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,

(16')  $-\text{CONH-CHR}^{\text{a}14}-$ 

wherein  $\text{R}^{\text{a}14}$  is C<sub>6-14</sub> aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B,

(17')  $-\text{O-}(\text{CH}_2)_m\text{-CR}^{\text{a}15}\text{R}^{\text{a}16}-\text{(CH}_2\text{)}_n-$ 

wherein  $\text{R}^{\text{a}15}$  and  $\text{R}^{\text{a}16}$  are each independently

(1'') hydrogen atom,

(2'') carboxyl,

(3'') C<sub>1-6</sub> alkyl,(4'')  $-\text{OR}^{\text{b}6}$ 

wherein  $\text{R}^{\text{b}6}$  is C<sub>1-6</sub> alkyl or C<sub>6-14</sub> aryl C<sub>1-6</sub> alkyl, or

(5'')  $-\text{NHR}^{\text{b}7}$ .

wherein  $\text{R}^{\text{b}7}$  is hydrogen atom, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkanoyl or C<sub>6-14</sub> aryl C<sub>1-6</sub> alkyloxycarbonyl, or  $\text{R}^{\text{a}15}$  is optionally

(6'')



wherein n', ring B', Z' and w' are the same as the above-mentioned n, ring B, Z and w, respectively, and may be the same as or different from the respective counterparts,

(18')  $-(\text{CH}_2)_n\text{-NR}^{\text{a}12}\text{-CHR}^{\text{a}15}-$  ( $\text{R}^{\text{a}12}$  and  $\text{R}^{\text{a}15}$  are each as defined above),

(19')  $-\text{NR}^{\text{a}17}\text{SO}_2-$

wherein  $\text{R}^{\text{a}17}$  is hydrogen atom or C<sub>1-6</sub> alkyl,

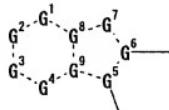
(20')  $-\text{S(O)}_e\text{-}(\text{CH}_2)_m\text{-CR}^{\text{a}15}\text{R}^{\text{a}16}\text{-}(\text{CH}_2)_n-$  (e is 0, 1 or 2,  $\text{R}^{\text{a}15}$  and  $\text{R}^{\text{a}16}$  are each as defined above),

or

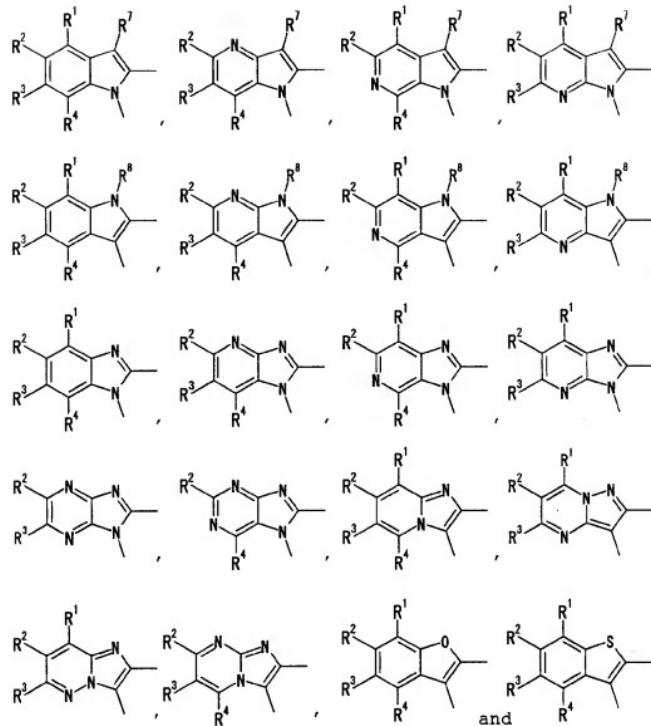
(21')  $-(\text{CH}_2)_m\text{-CR}^{\text{a}15}\text{R}^{\text{a}16}\text{-}(\text{CH}_2)_n-$  ( $\text{R}^{\text{a}15}$  and  $\text{R}^{\text{a}16}$  are each as defined above).

17

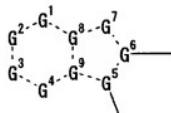
- (2) The therapeutic agent of (1) above, wherein 1 to 4 of the G<sup>1</sup>, G<sup>2</sup>, G<sup>3</sup>, G<sup>4</sup>, G<sup>5</sup>, G<sup>6</sup>, G<sup>7</sup>, G<sup>8</sup> and G<sup>9</sup> is(are) a nitrogen atom.
- (3) The therapeutic agent of (2) above, wherein G<sup>2</sup> is C(-R<sup>2</sup>) and G<sup>6</sup> is a carbon atom.
- 5 (4) The therapeutic agent of (2) or (3) above, wherein G<sup>5</sup> is a nitrogen atom.
- (5) The therapeutic agent of (1) above, wherein, in formula [I], the moiety



10 is a fused ring selected from

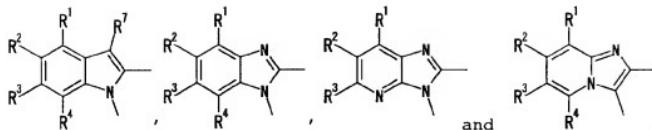


(6) The therapeutic agent of (5) above, wherein, in formula [I],  
the moiety

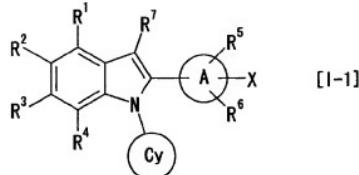


5

is a fused ring selected from

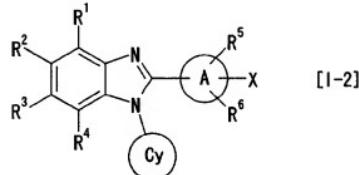


(7) The therapeutic agent of (6) above, which comprises a fused ring compound of the following formula [I-1]



5 wherein each symbol is as defined in (1),  
or a pharmaceutically acceptable salt thereof as an active  
ingredient.

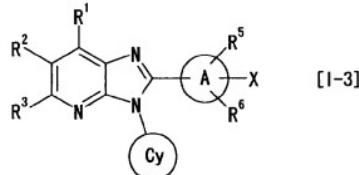
(8) The therapeutic agent of (6) above, which comprises a fused ring compound of the following formula [I-2]



10

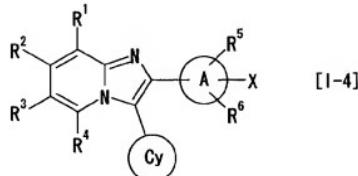
wherein each symbol is as defined in (1),  
or a pharmaceutically acceptable salt thereof as an active  
ingredient.

(9) The therapeutic agent of (6) above, which comprises a fused ring compound of the following formula [I-3]



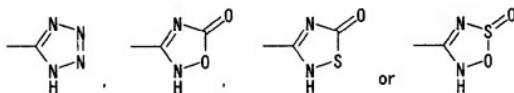
wherein each symbol is as defined in (1),  
or a pharmaceutically acceptable salt thereof as an active  
ingredient.

(10) The therapeutic agent of (6) above, which comprises a fused  
5 ring compound of the following formula [I-4]



wherein each symbol is as defined in (1),  
or a pharmaceutically acceptable salt thereof as an active  
ingredient.

10 (11) The therapeutic agent of any of (1) to (10) above, wherein  
at least one of R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup> is carboxyl, -COOR<sup>a1</sup>, -CONR<sup>a2</sup>R<sup>a3</sup>,  
-SO<sub>2</sub>R<sup>a7</sup> (wherein R<sup>a1</sup>, R<sup>a2</sup>, R<sup>a3</sup> and R<sup>a7</sup> are as defined in (1)),



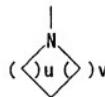
15 (12) The therapeutic agent of (11) above, wherein at least one of  
R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup> is carboxyl, -COOR<sup>a1</sup>, -CONR<sup>a2</sup>R<sup>a3</sup> or -SO<sub>2</sub>R<sup>a7</sup> wherein  
R<sup>a1</sup>, R<sup>a2</sup>, R<sup>a3</sup> and R<sup>a7</sup> are as defined in (1).

(13) The therapeutic agent of any of (1) to (10) above, wherein  
at least one of R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup> is -COOR<sup>a1</sup> wherein R<sup>a1</sup> is  
glucuronic acid residue.

20 (14) The therapeutic agent of any of (1) to (10) above, wherein  
at least one of R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup> is heterocyclic group having 1 to  
4 heteroatom(s) selected from an oxygen atom, a nitrogen atom and  
a sulfur atom.

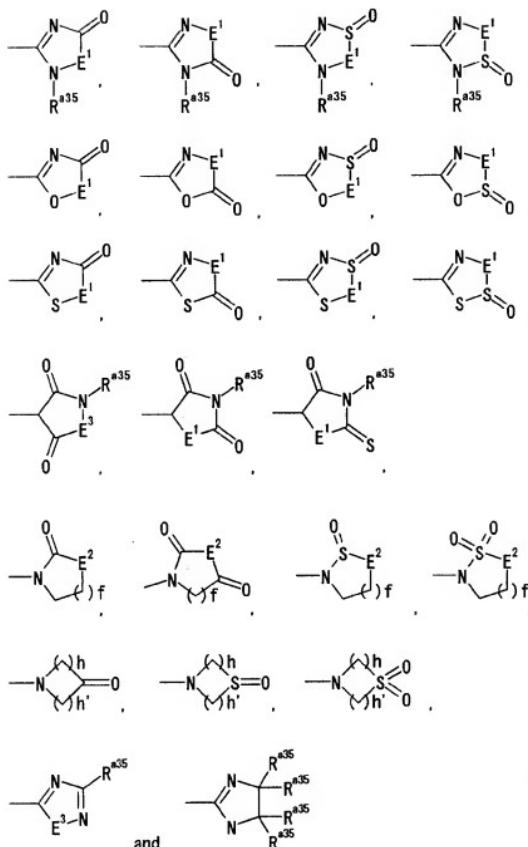
(15) The therapeutic agent of any of (1) to (14) above, wherein  
25 the ring Cy is cyclopentyl, cyclohexyl, cycloheptyl,  
tetrahydrothiopyranyl or piperidino.

(16) The therapeutic agent of any of (1) to (14) above, wherein  
the ring Cy is



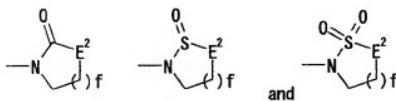
wherein each symbol is as defined in (1).

- (17) The therapeutic agent of any of (1) to (16) above, wherein the ring A is C<sub>6-14</sub> aryl.
- 5 (18) The therapeutic agent of any of (1) to (17) above, wherein at least one substituent optionally substituted by group A is a substituent substituted by C<sub>1-6</sub> alkoxy C<sub>1-6</sub> alkoxy.
- (19) The therapeutic agent of any of (1) to (17) above, wherein the Y is -(CH<sub>2</sub>)<sub>m</sub>-CR<sup>a15</sup>R<sup>a16</sup>-(CH<sub>2</sub>)<sub>n</sub>- wherein each symbol is as defined  
10 in (1).
- (20) The therapeutic agent of any of (1) to (19) above, wherein at least one group represented by Z is heterocycle C<sub>1-6</sub> alkyl optionally substituted by 1 to 5 substituent(s) selected from the group D.
- 15 (21) The therapeutic agent of any of (1) to (19) above, wherein at least one group represented by Z is a heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the group D, wherein said heterocyclic group is selected from the following groups:



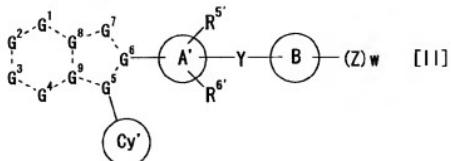
- 5 wherein E<sup>1</sup> is an oxygen atom, a sulfur atom or N(-R<sup>35</sup>), E<sup>2</sup> is an oxygen atom, CH<sub>2</sub> or N(-R<sup>35</sup>), E<sup>3</sup> is an oxygen atom or a sulfur atom, wherein each R<sup>35</sup> is independently hydrogen atom or C<sub>1-6</sub> alkyl, f is an integer of 1 to 3, and h and h' are the same or different and each is an integer of 1 to 3.
- 10 (22) The therapeutic agent of (21) above, wherein at least one group represented by Z is heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the group D

wherein said heterocyclic group is selected from the following groups:



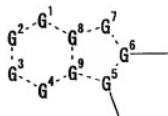
wherein each symbol is as defined in (21).

- 5 (23) The therapeutic agent of any of (1) to (19) above, wherein at least one group represented by group D is  $-(\text{CH}_2)_t-\text{CONR}^{a27}\text{R}^{a28}$  wherein each symbol is as defined in (1), and at least one of  $\text{R}^{a27}$  and  $\text{R}^{a28}$  is  $\text{C}_{1-6}$  alkoxy.
- (24) The therapeutic agent of any of (1) to (19) above, wherein 10 at least one group represented by group D is  $-(\text{CH}_2)_t-\text{C}(=\text{NR}^{a33})\text{NH}_2$  wherein each symbol is as defined in (1), and  $\text{R}^{a33}$  is hydroxyl group or  $\text{C}_{1-6}$  alkoxy.
- (25) The therapeutic agent of any of (1) to (19) above, wherein 15 at least one group represented by group D is  $-(\text{CH}_2)_t-\text{O}-(\text{CH}_2)_p-\text{COR}^{a21}$ , wherein each symbol is as defined in (1), and  $\text{R}^{a21}$  is amino.
- (26) The therapeutic agent of any of (1) to (19) above, wherein at least one group represented by group D is  $-(\text{CH}_2)_t-\text{NR}^{a29}\text{CO}-\text{R}^{a24}$  wherein each symbol is as defined in (1), and  $\text{R}^{a24}$  is amino or  $\text{C}_{1-6}$  alkylamino.
- 20 (27) The therapeutic agent of any of (1) to (19) above, wherein at least one group represented by group D is  $-(\text{CH}_2)_t-\text{NR}^{a22}\text{R}^{a23}$  wherein each symbol is as defined in claim 1, and at least one of  $\text{R}^{a22}$  and  $\text{R}^{a23}$  is amino or  $\text{C}_{1-6}$  alkylamino.
- (28) The therapeutic agent of any of (1) to (19) above, wherein 25 at least one group represented by group D is heterocyclic group having 1 to 4 heteroatom(s) selected from an oxygen atom, a nitrogen atom and a sulfur atom.
- (29) A fused ring compound of the following formula [II]

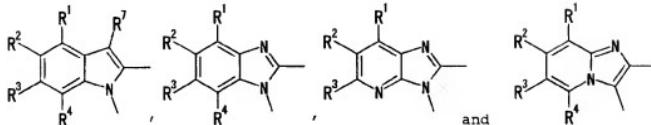


- 30 wherein

the moiety



is a fused ring selected from



5 wherein R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup> are each independently,

- (1) hydrogen atom,
- (2) C<sub>1-6</sub> alkanoyl,
- (3) carboxyl,
- (4) cyano,
- 10 (5) nitro,
- (6) C<sub>1-6</sub> alkyl optionally substituted by 1 to 3 substituent(s) selected from the following group A, group A; halogen atom, hydroxyl group, carboxyl, amino, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> alkoxy C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> alkoxy carbonyl and C<sub>1-6</sub> alkylamino,
- 15 (7) -COOR<sup>a1</sup> wherein R<sup>a1</sup> is optionally substituted C<sub>1-6</sub> alkyl (as defined above), C<sub>6-14</sub> aryl C<sub>1-6</sub> alkyl optionally substituted by 1 to 5 substituent(s) selected from the following group B or glucuronic acid residue, group B; halogen atom, cyano, nitro, C<sub>1-6</sub> alkyl, halogenated C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkanoyl, -(CH<sub>2</sub>)<sub>r</sub>-COOR<sup>b1</sup>, -(CH<sub>2</sub>)<sub>r</sub>-CONR<sup>b1</sup>R<sup>b2</sup>, -(CH<sub>2</sub>)<sub>r</sub>-NR<sup>b1</sup>R<sup>b2</sup>, -(CH<sub>2</sub>)<sub>r</sub>-NR<sup>b1</sup>-COR<sup>b2</sup>, -(CH<sub>2</sub>)<sub>r</sub>-NHSO<sub>2</sub>R<sup>b1</sup>, -(CH<sub>2</sub>)<sub>r</sub>-OR<sup>b1</sup>, -(CH<sub>2</sub>)<sub>r</sub>-SR<sup>b1</sup>, -(CH<sub>2</sub>)<sub>r</sub>-SO<sub>2</sub>R<sup>b1</sup> and -(CH<sub>2</sub>)<sub>r</sub>-SO<sub>2</sub>NR<sup>b1</sup>R<sup>b2</sup> where R<sup>b1</sup> and R<sup>b2</sup> are each independently hydrogen atom or C<sub>1-6</sub> alkyl and r is 0 or an integer of 1 to 6,
- 20 (8) -CONR<sup>a2</sup>R<sup>a3</sup> wherein R<sup>a2</sup> and R<sup>a3</sup> are each independently hydrogen atom,

$C_{1-6}$  alkoxy or optionally substituted  $C_{1-6}$  alkyl (as defined above),

(9)  $-C(=NR^{a4})NH_2$

wherein  $R^{a4}$  is hydrogen atom or hydroxyl group,

(10)  $-NHR^{a5}$

wherein  $R^{a5}$  is hydrogen atom,  $C_{1-6}$  alkanoyl or  $C_{1-6}$  alkylsulfonyl,

(11)  $-OR^{a6}$

wherein  $R^{a6}$  is hydrogen atom or optionally substituted  $C_{1-6}$  alkyl (as defined above),

(12)  $-SO_2R^{a7}$

wherein  $R^{a7}$  is hydroxyl group, amino,  $C_{1-6}$  alkyl or  $C_{1-6}$  alkylamino,

(13)  $-P(=O)(OR^{a31})_2$

wherein  $R^{a31}$  is hydrogen atom, optionally substituted  $C_{1-6}$  alkyl (as defined above) or  $C_{6-14}$  aryl  $C_{1-6}$  alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,

or

(14) heterocyclic group having 1 to 4 heteroatom(s)

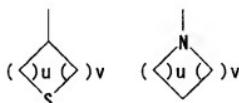
selected from an oxygen atom, a nitrogen atom and a sulfur atom, and

$R^7$  is hydrogen atom or optionally substitute  $C_{1-6}$  alkyl (as defined above),

25 ring Cy' is

(1)  $C_{3-8}$  cycloalkyl optionally substituted by 1 to 5 substituent(s) selected from the following group C, group C; hydroxyl group, halogen atom,  $C_{1-6}$  alkyl and  $C_{1-6}$  alkoxy, or

30 (2)



wherein u and v are each independently an integer of 1 to 3,

ring A' is a group selected from a group consisting of

35 phenyl, pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl,

R<sup>5</sup> and R<sup>6</sup> are each independently  
 (1) hydrogen atom,  
 (2) halogen atom,  
 (3) optionally substituted C<sub>1-6</sub> alkyl (as defined above) or  
 (4) hydroxyl group  
 ring B is  
 (1) C<sub>6-14</sub> aryl,  
 (2) C<sub>3-8</sub> cycloalkyl or  
 (3) heterocyclic group having 1 to 4 heteroatom(s)  
     selected from an oxygen atom, a nitrogen atom and a  
     sulfur atom,  
 each Z is independently  
 (1) a group selected from the following group D,  
 (2) C<sub>6-14</sub> aryl optionally substituted by 1 to 5  
     substituent(s) selected from the following group D,  
 (3) C<sub>3-8</sub> cycloalkyl optionally substituted by 1 to 5  
     substituent(s) selected from the following group D,  
 (4) C<sub>6-14</sub> aryl C<sub>1-6</sub> alkyl optionally substituted by 1 to 5  
     substituent(s) selected from the following group D,  
 (5) heterocyclic group optionally substituted by 1 to 5  
     substituent(s) selected from the following group D  
     wherein the heterocyclic group has 1 to 4 heteroatom(s)  
     selected from an oxygen atom, a nitrogen atom and a  
     sulfur atom, or  
 (6) heterocycle C<sub>1-6</sub> alkyl optionally substituted by 1 to 5  
     substituent(s) selected from the following group D  
     wherein the heterocycle C<sub>1-6</sub> alkyl is C<sub>1-6</sub> alkyl  
     substituted by heterocyclic group optionally  
     substituted by 1 to 5 substituent(s) selected from the  
     group D, as defined above,  
     group D:  
         (a) hydrogen atom,  
         (b) halogen atom,  
         (c) cyano,  
         (d) nitro,  
         (e) optionally substituted C<sub>1-6</sub> alkyl (as defined  
             above),

(f)  $-(\text{CH}_2)_t-\text{COR}^{a18}$ ,

(hereinafter each t means independently 0 or an integer of 1 to 6),

wherein R<sup>a18</sup> is(1') optionally substituted C<sub>1-6</sub> alkyl (as defined above),(2') C<sub>6-14</sub> aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B or

(3') heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the above group B wherein the heterocyclic group has 1 to 4 heteroatom(s) selected from an oxygen atom, a nitrogen atom and a sulfur atom,

(g)  $-(\text{CH}_2)_t-\text{COOR}^{a19}$ wherein R<sup>a19</sup> is hydrogen atom, optionally substituted C<sub>1-6</sub> alkyl (as defined above) or C<sub>6-14</sub> aryl C<sub>1-6</sub> alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,(h)  $-(\text{CH}_2)_t-\text{CONR}^{a27}\text{R}^{a28}$ wherein R<sup>a27</sup> and R<sup>a28</sup> are each independently,

(1') hydrogen atom,

(2') optionally substituted C<sub>1-6</sub> alkyl (as defined above),(3') C<sub>6-14</sub> aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B,(4') C<sub>6-14</sub> aryl C<sub>1-6</sub> alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,

(5') heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the above group B,

(6') heterocycle C<sub>1-6</sub> alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,

wherein the heterocycle C<sub>1-6</sub> alkyl is C<sub>1-6</sub> alkyl substituted by heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the above group B, as defined above,

5 (7') C<sub>3-8</sub> cycloalkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,

10 (8') C<sub>3-8</sub> cycloalkyl C<sub>1-6</sub> alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,

(9') hydroxyl group or

(10') C<sub>1-6</sub> alkoxy,

15 (i) -(CH<sub>2</sub>)<sub>a</sub>-C(=NR<sup>a33</sup>)NH<sub>2</sub>  
wherein R<sup>a33</sup> is hydrogen atom, C<sub>1-6</sub> alkyl, hydroxyl group or C<sub>1-6</sub> alkoxy,

(j) -(CH<sub>2</sub>)<sub>a</sub>-OR<sup>a20</sup>

wherein R<sup>a20</sup> is

(1') hydrogen atom,

(2') optionally substituted C<sub>1-6</sub> alkyl (as defined above),

(3') optionally substituted C<sub>2-6</sub> alkenyl (as defined above),

(4') C<sub>2-6</sub> alkynyl optionally substituted by 1 to 3 substituent(s) selected from the above group A,

25 (5') C<sub>6-14</sub> aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B,

(6') C<sub>6-14</sub> aryl C<sub>1-6</sub> alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,

(7') heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the above group B,

30 (8') heterocycle C<sub>1-6</sub> alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,

(9') C<sub>3-8</sub> cycloalkyl optionally substituted by

1 to 5 substituent(s) selected from the above group B, or

(10') C<sub>3-8</sub> cycloalkyl C<sub>1-6</sub> alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,

(k) -(CH<sub>2</sub>)<sub>t</sub>-O-(CH<sub>2</sub>)<sub>p</sub>-COR<sup>a21</sup>

wherein R<sup>a21</sup> is amino, C<sub>1-6</sub> alkylamino or heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the above group B,

and p is 0 or an integer of 1 to 6,

(l) -(CH<sub>2</sub>)<sub>t</sub>-NR<sup>a22</sup>R<sup>a23</sup>

wherein R<sup>a22</sup> and R<sup>a23</sup> are each independently (1') hydrogen atom,

(2') optionally substituted C<sub>1-6</sub> alkyl (as defined above),

(3') C<sub>6-14</sub> aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B,

(4') C<sub>6-14</sub> aryl C<sub>1-6</sub> alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,

(5') heterocycle C<sub>1-6</sub> alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B or

(6') heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the above group B,

(m) -(CH<sub>2</sub>)<sub>t</sub>-NR<sup>a29</sup>CO-R<sup>a24</sup>

wherein R<sup>a29</sup> is hydrogen atom, C<sub>1-6</sub> alkyl or C<sub>1-6</sub> alkanoyl, and

R<sup>a24</sup> is

(1') amino,

(2') C<sub>1-6</sub> alkylamino,

(3') optionally substituted C<sub>1-6</sub> alkyl (as defined above),

(4') C<sub>6-14</sub> aryl optionally substituted by 1 to 5 substituent(s) selected from the above

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group B,

(5') heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the above group B, or

(6') heterocycle C<sub>1-6</sub> alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,

(n) -(CH<sub>2</sub>)<sub>t</sub>-NR<sup>a29</sup>SO<sub>2</sub>-R<sup>a25</sup>

wherein R<sup>a29</sup> is as defined above, and R<sup>a25</sup> is hydrogen atom, optionally substituted C<sub>1-6</sub> alkyl (as defined above), C<sub>6-14</sub> aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B or heterocyclic group optionally substituted by 1 to 5 substituent(s) selected

from the above group B,

(o) -(CH<sub>2</sub>)<sub>t</sub>-S(O)<sub>q</sub>-R<sup>a25</sup>

wherein R<sup>a25</sup> is as defined above, and q is 0, 1 or 2,

(p) -(CH<sub>2</sub>)<sub>t</sub>-SO<sub>2</sub>-NHR<sup>a26</sup>

wherein R<sup>a26</sup> is hydrogen atom, optionally substituted C<sub>1-6</sub> alkyl (as defined above), C<sub>6-14</sub> aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B or heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the above group B,

and

(q) heterocyclic group having 1 to 4 heteroatom(s) selected from an oxygen atom, a nitrogen atom and a sulfur atom,

W is an integer of 1 to 3, and

Y is

(1) a single bond,

(2) C<sub>1-6</sub> alkylene,

(3) C<sub>2-6</sub> alkenylene,

(4) -(CH<sub>2</sub>)<sub>m</sub>-O-(CH<sub>2</sub>)<sub>n</sub>-,

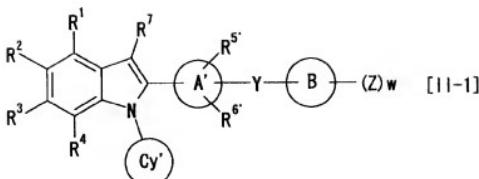
(hereinafter m and n are each independently 0

or an integer of 1 to 6),

- (5) -CO-,
- (6) -CO<sub>2</sub>- (CH<sub>2</sub>)<sub>n</sub>-,
- (7) -CONH- (CH<sub>2</sub>)<sub>n</sub>-NH-,
- 5 (8) -NHC<sub>2</sub>O-,
- (9) -NHCONH-,
- (10) -O-(CH<sub>2</sub>)<sub>n</sub>-CO-,
- (11) -O-(CH<sub>2</sub>)<sub>n</sub>-O-,
- (12) -SO<sub>2</sub>-,
- 10 (13) -(CH<sub>2</sub>)<sub>m</sub>-NR<sup>a12</sup>-(CH<sub>2</sub>)<sub>n</sub>-  
wherein R<sup>a12</sup> is
  - (1') hydrogen atom,
  - (2') optionally substituted C<sub>1-6</sub> alkyl (as defined above),
  - 15 (3') C<sub>6-14</sub> aryl C<sub>1-6</sub> alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
  - (4') C<sub>6-14</sub> aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
  - 20 (5') -COR<sup>b5</sup>  
wherein R<sup>b5</sup> is hydrogen atom, optionally substituted C<sub>1-6</sub> alkyl (as defined above), C<sub>6-14</sub> aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B or C<sub>6-14</sub> aryl C<sub>1-6</sub> alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
  - 25 (6') -COOR<sup>b5</sup> (R<sup>b5</sup> is as defined above) or  
(7') -SO<sub>2</sub>R<sup>b5</sup> (R<sup>b5</sup> is as defined above),
  - (14) -NR<sup>a12</sup>CO- (R<sup>a12</sup> is as defined above),
  - (15) -CONR<sup>a13</sup>-(CH<sub>2</sub>)<sub>n</sub>-  
wherein R<sup>a13</sup> is hydrogen atom, optionally substituted C<sub>1-6</sub> alkyl (as defined above) or C<sub>6-14</sub> aryl C<sub>1-6</sub> alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,

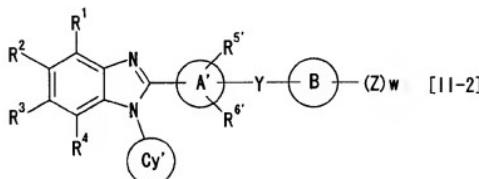
- (16)  $-\text{CONH}-\text{CHR}^{\text{a}14}-$   
 wherein  $\text{R}^{\text{a}14}$  is  $\text{C}_{6-14}$  aryl optionally  
 substituted by 1 to 5 substituent(s) selected  
 from the above group B,  
 5 (17)  $-\text{O}-\text{(CH}_2\text{)}_m-\text{CR}^{\text{a}15}\text{R}^{\text{a}16}-\text{(CH}_2\text{)}_n-$   
 wherein  $\text{R}^{\text{a}15}$  and  $\text{R}^{\text{a}16}$  are each independently  
 (1') hydrogen atom,  
 (2') carboxyl,  
 (3')  $\text{C}_{1-6}$  alkyl,  
 (4')  $-\text{OR}^{\text{b}6}$   
 10 wherein  $\text{R}^{\text{b}6}$  is  $\text{C}_{1-6}$  alkyl or  $\text{C}_{6-14}$  aryl  $\text{C}_{1-6}$  alkyl,  
 or  
 (5')  $-\text{NHR}^{\text{b}7}$   
 wherein  $\text{R}^{\text{b}7}$  is hydrogen atom,  $\text{C}_{1-6}$  alkyl,  $\text{C}_{1-6}$   
 15 alkanoyl or  $\text{C}_{6-14}$  aryl  $\text{C}_{1-6}$  alkyloxycarbonyl, or  
 $\text{R}^{\text{a}15}$  is optionally  
 (6')  

$$\text{---}(\text{CH}_2\text{)}_{n'}\text{---}\text{B}'\text{---}(\text{Z}')\text{w'}$$
  
 wherein  $n'$ , ring  $\text{B}'$ ,  $\text{Z}'$  and  $w'$  are the same  
 20 as the above-mentioned  $n$ , ring  $\text{B}$ ,  $\text{Z}$  and  $w$ ,  
 respectively, and may be the same as or  
 different from the respective counterparts,  
 (18)  $-(\text{CH}_2\text{)}_n-\text{NR}^{\text{a}12}-\text{CHR}^{\text{a}15}-$  ( $\text{R}^{\text{a}12}$  and  $\text{R}^{\text{a}15}$  are each as  
 defined above),  
 25 (19)  $-\text{NR}^{\text{a}17}\text{SO}_2-$   
 wherein  $\text{R}^{\text{a}17}$  is hydrogen atom or  $\text{C}_{1-6}$  alkyl,  
 (20)  $-\text{S(O)}_e-\text{(CH}_2\text{)}_m-\text{CR}^{\text{a}15}\text{R}^{\text{a}16}-\text{(CH}_2\text{)}_n-$  ( $e$  is 0, 1 or 2,  
 $\text{R}^{\text{a}15}$  and  $\text{R}^{\text{a}16}$  are each as defined above),  
 or  
 30 (21)  $-(\text{CH}_2\text{)}_m-\text{CR}^{\text{a}15}\text{R}^{\text{a}16}-\text{(CH}_2\text{)}_n-$  ( $\text{R}^{\text{a}15}$  and  $\text{R}^{\text{a}16}$  are each  
 as defined above),  
 or a pharmaceutically acceptable salt thereof.  
 (30) The fused ring compound of (29) above, which is represented  
 by the following formula [II-1]



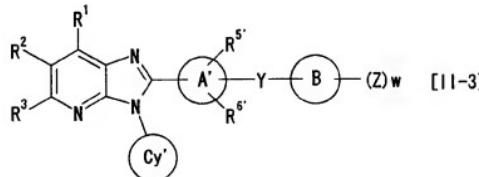
wherein each symbol is as defined in (29),  
or a pharmaceutically acceptable salt thereof.

(31) The fused ring compound of (29) above, which is represented  
5 by the following formula [II-2]



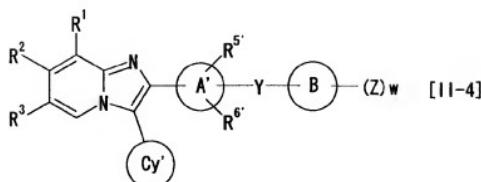
wherein each symbol is as defined in (29),  
or a pharmaceutically acceptable salt thereof.

(32) The fused ring compound of (29) above, which is represented  
10 by the following formula [II-3]



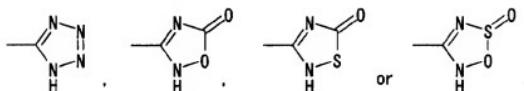
wherein each symbol is as defined in (29),  
or a pharmaceutically acceptable salt thereof.

(33) The fused ring compound of (29) above, which is represented  
15 by the following formula [II-4]



wherein each symbol is as defined in (29), or a pharmaceutically acceptable salt thereof.

- (34) The fused ring compound of any of (29) to (33) above, wherein at least one of R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup> is carboxyl, -COOR<sup>a1</sup>, -CONR<sup>a2</sup>R<sup>a3</sup>, -SO<sub>2</sub>R<sup>a7</sup> (wherein R<sup>a1</sup>, R<sup>a2</sup>, R<sup>a3</sup> and R<sup>a7</sup> are as defined in (29)),



or a pharmaceutically acceptable salt thereof.

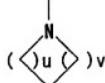
- 10 (35) The fused ring compound of (34) above, wherein at least one of R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup> is carboxyl, -COOR<sup>a1</sup> or -SO<sub>2</sub>R<sup>a7</sup> wherein R<sup>a1</sup> and R<sup>a7</sup> are as defined in (29), or a pharmaceutically acceptable salt thereof.

- 15 (36) The fused ring compound of (35) above, wherein at least one of R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup> is carboxyl or -COOR<sup>a1</sup> wherein R<sup>a1</sup> is as defined in (29), or a pharmaceutically acceptable salt thereof.

- (37) The fused ring compound of (36) above, wherein R<sup>2</sup> is carboxyl and R<sup>1</sup>, R<sup>3</sup> and R<sup>4</sup> are hydrogen atoms, or a pharmaceutically acceptable salt thereof.

- 20 (38) The fused ring compound of any of (29) to (33) above, wherein at least one of R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup> is -COOR<sup>a1</sup> wherein R<sup>a1</sup> is glucuronic acid residue, or a pharmaceutically acceptable salt thereof.

- (39) The fused ring compound of any of (29) to (33) above, 25 wherein at least one of R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup> is heterocyclic group having 1 to 4 heteroatom(s) selected from an oxygen atom, a nitrogen atom and a sulfur atom, or a pharmaceutically acceptable salt thereof.

- (40) The fused ring compound of any of (29) to (39) above, wherein the ring Cy' is cyclopentyl, cyclohexyl, cycloheptyl or tetrahydrothiopyranyl, or a pharmaceutically acceptable salt thereof.
- 5 (41) The fused ring compound of (40) above, wherein the ring Cy' is cyclopentyl, cyclohexyl or cycloheptyl, or a pharmaceutically acceptable salt thereof.
- (42) The fused ring compound of any of (29) to (39) above, wherein the ring Cy' is
- 10 
- wherein each symbol is as defined in (29), or a pharmaceutically acceptable salt thereof.
- (43) The fused ring compound of any of (29) to (42) above, wherein the ring A' is phenyl, pyridyl, pyrazinyl, pyrimidinyl or pyridazinyl, or a pharmaceutically acceptable salt thereof.
- 15 (44) The fused ring compound of (43) above, wherein the ring A' is phenyl or pyridyl, or a pharmaceutically acceptable salt thereof.
- (45) The fused ring compound of (44) above, wherein the ring A' is phenyl, or a pharmaceutically acceptable salt thereof.
- 20 (46) The fused ring compound of any of (29) to (45) above, wherein at least one substituent optionally substituted by group A is a substituent substituted by C<sub>1-6</sub> alkoxy C<sub>1-6</sub> alkoxy, or a pharmaceutically acceptable salt thereof.
- 25 (47) The fused ring compound of any of (29) to (46) above, wherein the Y is -(CH<sub>2</sub>)<sub>n</sub>-O-(CH<sub>2</sub>)<sub>m</sub>-, -NHCO<sub>2</sub>-, -CONH-CHR<sup>a14</sup>-, -(CH<sub>2</sub>)<sub>m</sub>-NR<sup>a12</sup>-(CH<sub>2</sub>)<sub>n</sub>-, -CONR<sup>a13</sup>-(CH<sub>2</sub>)<sub>n</sub>-, -O-(CH<sub>2</sub>)<sub>m</sub>-CR<sup>a15</sup>R<sup>a16</sup>-(CH<sub>2</sub>)<sub>n</sub>- or -(CH<sub>2</sub>)<sub>n</sub>-NR<sup>a12</sup>-CHR<sup>a15</sup>- (wherein each symbol is as defined in (29)), or a pharmaceutically acceptable salt thereof.
- 30 (48) The fused ring compound of (47) above, wherein the Y is -(CH<sub>2</sub>)<sub>m</sub>-O-(CH<sub>2</sub>)<sub>n</sub>- or -O-(CH<sub>2</sub>)<sub>m</sub>-CR<sup>a15</sup>R<sup>a16</sup>-(CH<sub>2</sub>)<sub>n</sub>- (wherein each symbol is as defined in (29)), or a pharmaceutically acceptable salt thereof.
- (49) The fused ring compound of (48) above, wherein the Y is

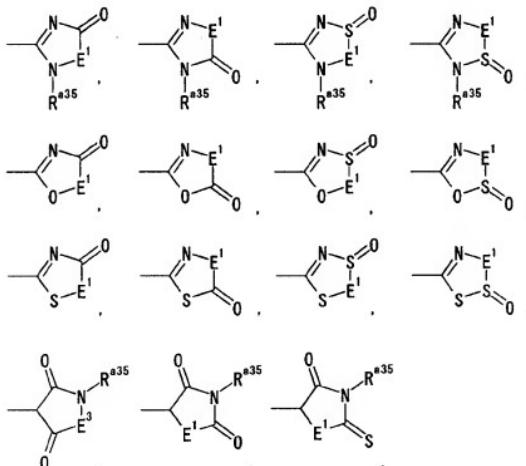
$-(\text{CH}_2)_m-\text{O}-(\text{CH}_2)_n-$  wherein each symbol is as defined in (29), or a pharmaceutically acceptable salt thereof.

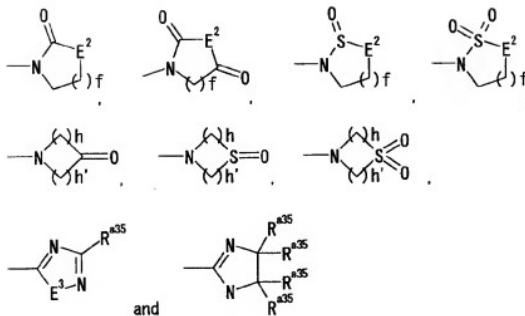
(50) The fused ring compound of any of (29) to (46) above, wherein the Y is  $-(\text{CH}_2)_n-\text{CR}^{a15}\text{R}^{a16}-(\text{CH}_2)_n-$  (wherein each symbol is as defined in (29)), or a pharmaceutically acceptable salt thereof.

(51) The fused ring compound of any of (29) to (50) above, wherein the R<sup>2</sup> is carboxyl, R<sup>1</sup>, R<sup>3</sup> and R<sup>4</sup> are hydrogen atoms, the ring Cy' is cyclopentyl, cyclohexyl or cycloheptyl, and the ring A' is phenyl, or a pharmaceutically acceptable salt thereof.

10 (52) The fused ring compound of any of (29) to (51) above, wherein at least one group represented by Z is heterocycle C<sub>1-6</sub> alkyl optionally substituted by 1 to 5 substituent(s) selected from the group D, or a pharmaceutically acceptable salt thereof.

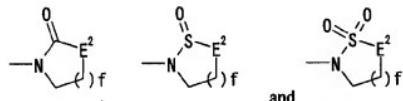
15 (53) The fused ring compound of any of (29) to (51) above, wherein at least one group represented by Z is heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the group D, wherein said heterocyclic group is selected from the following groups:





wherein E<sup>1</sup> is an oxygen atom, a sulfur atom or N(-R<sup>a35</sup>), E<sup>2</sup> is an oxygen atom, CH<sub>2</sub> or N(-R<sup>a35</sup>), E<sup>3</sup> is an oxygen atom or a sulfur atom, wherein each R<sup>a35</sup> is independently hydrogen atom or C<sub>1-6</sub> alkyl, f is an integer of 1 to 3, and h and h' are the same or different and each is an integer of 1 to 3, or a pharmaceutically acceptable salt thereof.

10 (54) The fused ring compound of (53) above, wherein at least one group represented by Z is heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the group D, wherein said heterocyclic group is selected from the following groups:



15 wherein each symbol is as defined in (53), or a pharmaceutically acceptable salt thereof.

(55) The fused ring compound of any of (29) to (51) above, wherein at least one group represented by group D is -(CH<sub>2</sub>)<sub>t</sub>-CONRa<sup>a27</sup>R<sup>a28</sup> wherein each symbol is as defined in (29), and at least one of R<sup>a27</sup> and R<sup>a28</sup> is C<sub>1-6</sub> alkoxy, or a pharmaceutically acceptable salt thereof.

(56) The fused ring compound of any of (29) to (51) above, wherein at least one group represented by group D is -(CH<sub>2</sub>)<sub>t</sub>-C(=NR<sup>a33</sup>)NH<sub>2</sub> wherein each symbol is as defined in (29), and R<sup>a33</sup> is

hydroxyl group or C<sub>1-6</sub> alkoxy, or a pharmaceutically acceptable salt thereof.

(57) The fused ring compound of any of (29) to (51) above, wherein at least one group represented by group D is -(CH<sub>2</sub>)<sub>t</sub>-O-<sup>5</sup>(CH<sub>2</sub>)<sub>p</sub>COR<sup>a21</sup> wherein each symbol is as defined in (29), and R<sup>a21</sup> is amino, or a pharmaceutically acceptable salt thereof.

(58) The fused ring compound of any of (29) to (51) above, wherein at least one group represented by group D is -(CH<sub>2</sub>)<sub>t</sub>-NR<sup>a29</sup>CO-R<sup>a24</sup> wherein each symbol is as defined in (29), and R<sup>a24</sup> is amino or C<sub>1-6</sub> alkylamino, or a pharmaceutically acceptable salt thereof.<sup>10</sup>

(59) The fused ring compound of any of (29) to (51) above, wherein at least one group represented by group D is -(CH<sub>2</sub>)<sub>t</sub>-NR<sup>a22</sup>R<sup>a23</sup> wherein each symbol is as defined in (29), and at least one of R<sup>a22</sup> and R<sup>a23</sup> is amino or C<sub>1-6</sub> alkylamino, or a pharmaceutically acceptable salt thereof.<sup>15</sup>

(60) The fused ring compound of any of (29) to (51) above, wherein at least one group represented by group D is heterocyclic group having 1 to 4 heteroatom(s) selected from an oxygen atom, a nitrogen atom and a sulfur atom, or a pharmaceutically acceptable salt thereof.<sup>20</sup>

(61) The fused ring compound of the formula [I] or a pharmaceutically acceptable salt thereof, which is selected from the group consisting of

<sup>25</sup> ethyl 2-[4-(3-bromophenoxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylate (Example 1),

2-[4-(3-bromophenoxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 2),

<sup>30</sup> ethyl 1-cyclohexyl-2-(4-hydroxyphenyl)benzimidazole-5-carboxylate (Example 3),

ethyl 2-[4-(2-bromo-5-chlorobenzyl)phenyl]-1-cyclohexylbenzimidazole-5-carboxylate (Example 4),

ethyl 2-[4-[2-(4-chlorophenyl)-5-chlorobenzyl]phenyl]-1-cyclohexylbenzimidazole-5-carboxylate (Example 5),

<sup>35</sup> 2-[4-(2-(4-chlorophenyl)-5-chlorobenzyl)phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 6),

ethyl 2-[4-(2-bromo-5-methoxybenzyl)phenyl]-1-cyclohexylbenzimidazole-5-carboxylate (Example 7),

- ethyl 2-{4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylate (Example 8),  
 2-{4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 9),  
 5 ethyl 1-cyclohexyl-2-{4-[(E)-2-phenylvinyl]phenyl}benzimidazole-5-carboxylate (Example 10),  
 1-cyclohexyl-2-{4-[(E)-2-phenylvinyl]phenyl}benzimidazole-5-carboxylic acid (Example 11),  
 2-(4-benzoyloxyphenyl)-1-cyclopentylbenzimidazole-5-carboxylic  
 10 acid (Example 12),  
 2-(4-benzoyloxyphenyl)-1-cyclopentylbenzimidazole-5-carboxamide  
 (Example 13),  
 2-(4-benzoyloxyphenyl)-5-cyano-1-cyclopentylbenzimidazole  
 (Example 14),  
 15 2-(4-benzoyloxyphenyl)-1-cyclopentylbenzimidazole-5-carboxamide  
 oxime (Example 15),  
 ethyl 1-cyclohexyl-2-{4-[4-(4-fluorophenyl)-2-methyl-5-thiazolyl]methoxyphenyl}benzimidazole-5-carboxylate (Example 16),  
 1-cyclohexyl-2-{4-[4-(4-fluorophenyl)-2-methyl-5-thiazolyl]-  
 20 methoxyphenyl}benzimidazole-5-carboxylic acid (Example 17),  
 ethyl 1-cyclohexyl-2-(2-fluoro-4-hydroxyphenyl)benzimidazole-5-carboxylate (Example 18),  
 ethyl 2-{4-[bis(3-fluorophenyl)methoxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylate (Example 19),  
 25 2-{4-[bis(3-fluorophenyl)methoxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 20),  
 ethyl 1-cyclopentyl-2-(4-nitrophenyl)benzimidazole-5-carboxylate (Example 21),  
 ethyl 2-(4-aminophenyl)-1-cyclopentylbenzimidazole-5-carboxylate  
 30 (Example 22),  
 ethyl 2-(4-benzoylaminophenyl)-1-cyclopentylbenzimidazole-5-carboxylate (Example 23),  
 2-(4-benzoylaminophenyl)-1-cyclopentylbenzimidazole-5-carboxylic acid (Example 24),  
 35 ethyl 2-{4-[3-(3-chlorophenyl)phenoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylate (Example 25),  
 2-{4-[3-(3-chlorophenyl)phenoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 26),

- ethyl 2-[4-(3-acetoxyphenoxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylate (Example 27),  
 ethyl 1-cyclohexyl-2-[4-(3-hydroxyphenoxy)phenyl]-benzimidazole-5-carboxylate (Example 28),  
 5 ethyl 1-cyclohexyl-2-{4-[3-(4-pyridylmethoxy)phenoxy]phenyl}-benzimidazole-5-carboxylate (Example 29),  
 1-cyclohexyl-2-{4-[3-(4-pyridylmethoxy)phenoxy]phenyl}-benzimidazole-5-carboxylic acid (Example 30),  
 2-(4-benzyloxyphenyl)-1-cyclopentylbenzimidazole (Example 31),  
 10 ethyl 2-(4-benzyloxyphenyl)-1-cyclopentylbenzimidazole-5-carboxylate (Example 32),  
 2-(4-benzyloxyphenyl)-1-cyclopentyl-N,N-dimethylbenzimidazole-5-carboxamide (Example 33),  
 2-(4-benzyloxyphenyl)-1-cyclopentyl-N-methoxy-N-  
 15 methylbenzimidazole-5-carboxamide (Example 34),  
 2-(4-benzyloxyphenyl)-1-cyclopentyl-5-(1-hydroxy-1-methylethyl)benzimidazole (Example 35),  
 5-acetyl-2-(4-benzyloxyphenyl)-1-cyclopentylbenzimidazole (Example 36),  
 20 2-(4-benzyloxyphenyl)-1-cyclopentyl-N-(2-dimethylaminoethyl)-benzimidazole-5-carboxamide dihydrochloride (Example 37),  
 2-(4-benzyloxyphenyl)-1-cyclopentyl-5-nitrobenzimidazole (Example 38),  
 5-amino-2-(4-benzyloxyphenyl)-1-cyclopentylbenzimidazole  
 25 hydrochloride (Example 39),  
 5-acetylamino-2-(4-benzyloxyphenyl)-1-cyclopentylbenzimidazole (Example 40),  
 2-(4-benzyloxyphenyl)-1-cyclopentyl-5-methanesulfonyl-aminobenzimidazole (Example 41),  
 30 5-sulfamoyl-2-(4-benzyloxyphenyl)-1-cyclopentylbenzimidazole (Example 42),  
 2-[4-(4-tert-butylbenzyloxy)phenyl]-1-cyclopentylbenzimidazole-5-carboxylic acid (Example 43),  
 2-[4-(4-carboxybenzyloxy)phenyl]-1-cyclopentylbenzimidazole-5-  
 35 carboxylic acid (Example 44),  
 2-[4-(4-chlorobenzyloxy)phenyl]-1-cyclopentylbenzimidazole-5-carboxylic acid (Example 45),

- 2-[4-[(2-chloro-5-thienyl)methoxy]phenyl]-1-cyclopentylbenzimidazole-5-carboxylic acid (Example 46),  
 1-cyclopentyl-2-[4-(4-trifluoromethylbenzyloxy)phenyl]-benzimidazole-5-carboxylic acid (Example 47),  
 5 1-cyclopentyl-2-[4-(4-methoxybenzyloxy)phenyl]benzimidazole-5-carboxylic acid (Example 48),  
 1-cyclopentyl-2-[4-(4-pyridylmethoxy)phenyl]benzimidazole-5-carboxylic acid hydrochloride (Example 49),  
 1-cyclopentyl-2-[4-(4-methylbenzyloxy)phenyl]benzimidazole-5-carboxylic acid (Example 50),  
 10 1-cyclopentyl-2-[4-[(3,5-dimethyl-4-isoxazolyl)methoxy]phenyl]-benzimidazole-5-carboxylic acid (Example 51),  
 1-cyclopentyl-2-(4-hydroxyphenyl)benzimidazole-5-carboxylic acid (Example 52),  
 15 [2-(4-benzyloxyphenyl)-1-cyclopentylbenzimidazol-5-yl]-carbonylaminoacetic acid (Example 53),  
 2-[4-(2-chlorobenzyloxy)phenyl]-1-cyclopentylbenzimidazole-5-carboxylic acid (Example 54),  
 2-[4-(3-chlorobenzyloxy)phenyl]-1-cyclopentylbenzimidazole-5-carboxylic acid (Example 55),  
 20 2-(4-benzyloxyphenyl)-3-cyclopentylbenzimidazole-5-carboxylic acid (Example 56),  
 2-[4-(benzenesulfonylamino)phenyl]-1-cyclopentylbenzimidazole-5-carboxylic acid (Example 57),  
 25 1-cyclopentyl-2-[4-(3,5-dichlorophenylcarbonylamino)phenyl]-benzimidazole-5-carboxylic acid (Example 58),  
 2-[4-[(4-chlorophenyl)carbonylamino]phenyl]-1-cyclopentylbenzimidazole-5-carboxylic acid (Example 59),  
 2-[4-[(4-tert-butylphenyl)carbonylamino]phenyl]-1-  
 30 cyclopentylbenzimidazole-5-carboxylic acid (Example 60),  
 2-[4-[(4-benzyloxyphenyl)carbonylamino]phenyl]-1-cyclopentylbenzimidazole-5-carboxylic acid (Example 61),  
 trans-4-[2-(4-benzyloxyphenyl)-5-carboxybenzimidazol-1-yl]cyclohexan-1-ol (Example 62),  
 35 trans-1-[2-(4-benzyloxyphenyl)-5-carboxybenzimidazol-1-yl]-4-methoxycyclohexane (Example 63),  
 2-(4-benzyloxyphenyl)-5-carboxymethyl-1-cyclopentylbenzimidazole (Example 64),

- 2-[1-benzyloxycarbonyl-4-piperidyl]-1-cyclopentylbenzimidazole-5-carboxylic acid (Example 65),  
 2-[(4-cyclohexylphenyl)carbonylamino]-1-cyclopentylbenzimidazole-5-carboxylic acid (Example 66),  
 5 1-cyclopentyl-2-[4-(3,5-dichlorobenzyloxy)phenyl]benzimidazole-5-carboxylic acid (Example 67),  
 1-cyclopentyl-2-[4-(3,4-dichlorobenzyloxy)phenyl]benzimidazole-5-carboxylic acid (Example 68),  
 1-cyclopentyl-2-[4-(phenylcarbamoylamino)phenyl]benzimidazole-5-carboxylic acid (Example 69),  
 10 1-cyclopentyl-2-[4-(diphenylmethoxy)phenyl]benzimidazole-5-carboxylic acid (Example 70),  
 1-cyclopentyl-2-(4-phenethyloxyphenyl)benzimidazole-5-carboxylic acid (Example 71),  
 15 trans-1-[2-(4-benzyloxyphenyl)-5-carboxybenzimidazol-1-yl]-4-tert-butylcyclohexane (Example 72),  
 2-(4-benzyloxyphenyl)-5-carboxymethoxy-1-cyclopentylbenzimidazole (Example 73),  
 2-(4-benzylaminophenyl)-1-cyclopentylbenzimidazole-5-carboxylic  
 20 acid (Example 74),  
 2-[4-(N-benzenesulfonyl-N-methylamino)phenyl]-1-cyclopentylbenzimidazole-5-carboxylic acid (Example 75),  
 2-[4-(N-benzyl-N-methylamino)phenyl]-1-cyclopentylbenzimidazole-5-carboxylic acid (Example 76),  
 25 1-cyclohexyl-2-(4-phenethylphenyl)benzimidazole-5-carboxylic acid (Example 77),  
 2-(1-benzyl-4-piperidyl)-1-cyclopentylbenzimidazole-5-carboxylic acid (Example 78),  
 2-(1-benzoyl-4-piperidyl)-1-cyclopentylbenzimidazole-5-  
 30 carboxylic acid (Example 79),  
 1-cyclopentyl-2-[1-(p-toluenesulfonyl)-4-piperidyl]-benzimidazole-5-carboxylic acid (Example 80),  
 1-cyclohexyl-2-[4-(3,5-dichlorobenzyloxy)phenyl]benzimidazole-5-carboxylic acid (Example 81),  
 35 1-cyclohexyl-2-[4-(diphenylmethoxy)phenyl]benzimidazole-5-carboxylic acid (Example 82),  
 1-cyclohexyl-2-[4-(3,5-di-tert-butylbenzyloxy)phenyl]-benzimidazole-5-carboxylic acid (Example 83),

- 2-(4-benzyloxyphenyl)-1-(4-methylcyclohexyl)benzimidazole-5-carboxylic acid (Example 84),  
 1-cyclohexyl-2-[4-[2-(2-naphthyl)ethoxy]phenyl]benzimidazole-5-carboxylic acid (Example 85),  
 5 1-cyclohexyl-2-[4-(1-naphthyl)methoxyphenyl]benzimidazole-5-carboxylic acid (Example 86),  
 1-cyclohexyl-2-[4-(dibenzylamino)phenyl]benzimidazole-5-carboxylic acid (Example 87),  
 10 2-[4-(2-biphenylmethoxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 88),  
 2-(4-benzyloxyphenyl)-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 89),  
 1-cyclohexyl-2-[4-(dibenzylmethoxy)phenyl]benzimidazole-5-carboxylic acid (Example 90),  
 15 2-(4-benzoylmethoxyphenyl)-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 91),  
 2-(4-benzyl-1-piperazinyl)-1-cyclohexylbenzimidazole-5-carboxylic acid dihydrochloride (Example 92),  
 1-cyclohexyl-2-[4-(3,3-diphenylpropyloxy)phenyl]benzimidazole-5-carboxylic acid (Example 93),  
 20 2-[4-(3-chloro-6-phenylbenzyloxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 94),  
 2-(4-benzyloxypiperidino)-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 95),  
 25 1-cyclohexyl-2-[4-[2-(phenoxy)ethoxy]phenyl]benzimidazole-5-carboxylic acid (Example 96),  
 1-cyclohexyl-2-[4-(3-phenylpropyloxy)phenyl]benzimidazole-5-carboxylic acid (Example 97),  
 1-cyclohexyl-2-[4-(5-phenylpentyloxy)phenyl]benzimidazole-5-carboxylic acid (Example 98),  
 30 2-(3-benzyloxy-5-isoxazolyl)-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 99),  
 2-(2-benzyloxy-5-pyridyl)-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 100),  
 35 1-cyclohexyl-2-[4-[2-(3,4,5-trimethoxyphenyl)ethoxy]phenyl]-benzimidazole-5-carboxylic acid (Example 101),  
 2-(4-benzyloxyphenyl)-1-(4,4-dimethylcyclohexyl)benzimidazole-5-carboxylic acid (Example 102),

- 1-cyclohexyl-2-{4-[2-(1-naphthyl)ethoxy]phenyl}benzimidazole-5-carboxylic acid (Example 103),  
 2-[4-(2-benzyloxyphenoxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 104),  
 5 2-[4-(3-benzyloxyphenoxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 105),  
 1-cyclohexyl-2-[4-(2-hydroxyphenoxy)phenyl]benzimidazole-5-carboxylic acid (Example 106),  
 1-cyclohexyl-2-[4-(3-hydroxyphenoxy)phenyl]benzimidazole-5-carboxylic acid (Example 107),  
 10 1-cyclohexyl-2-[4-(2-methoxyphenoxy)phenyl]benzimidazole-5-carboxylic acid (Example 108),  
 1-cyclohexyl-2-[4-(3-methoxyphenoxy)phenyl]benzimidazole-5-carboxylic acid (Example 109),  
 15 1-cyclohexyl-2-[4-(2-propoxypyphenoxy)phenyl]benzimidazole-5-carboxylic acid (Example 110),  
 1-cyclohexyl-2-[4-(3-propoxypyphenoxy)phenyl]benzimidazole-5-carboxylic acid (Example 111),  
 1-cyclohexyl-2-{4-[2-(3-methyl-2-butenyloxy)phenoxy]phenyl}-  
 20 benzimidazole-5-carboxylic acid (Example 112),  
 1-cyclohexyl-2-{4-[3-(3-methyl-2-butenyloxy)phenoxy]phenyl}-benzimidazole-5-carboxylic acid (Example 113),  
 1-cyclohexyl-2-[4-(2-isopentyloxyphenoxy)phenyl]benzimidazole-5-carboxylic acid (Example 114),  
 25 1-cyclohexyl-2-[4-(3-isopentyloxyphenoxy)phenyl]benzimidazole-5-carboxylic acid (Example 115),  
 1-cyclohexyl-2-{4-[2-(10,11-dihydro-5H-dibenzo[b,f]azepin-5-yl)ethoxy]phenyl}benzimidazole-5-carboxylic acid (Example 116),  
 1-cyclohexyl-2-{4-[2-(4-trifluoromethylphenyl)benzyloxy]-  
 30 phenyl}benzimidazole-5-carboxylic acid (Example 117),  
 2-[4-[bis(4-chlorophenyl)methoxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 118),  
 1-cyclohexyl-2-{4-[2-(4-methoxyphenyl)ethoxy]phenyl}-benzimidazole-5-carboxylic acid (Example 119),  
 35 1-cyclohexyl-2-{4-[2-(2-methoxyphenyl)ethoxy]phenyl}-benzimidazole-5-carboxylic acid (Example 120),  
 1-cyclohexyl-2-{4-[2-(3-methoxyphenyl)ethoxy]phenyl}-benzimidazole-5-carboxylic acid (Example 121),

- 2-(4-benzyloxyphenyl)-1-cycloheptylbenzimidazole-5-carboxylic acid (Example 122),  
 1-cyclohexyl-2-[4-(2-phenethoxyphenoxy)phenyl]benzimidazole-5-carboxylic acid (Example 123),  
 5 1-cyclohexyl-2-[4-(3-phenethoxyphenoxy)phenyl]benzimidazole-5-carboxylic acid (Example 124),  
 1-cyclohexyl-2-[4-(2,2-diphenylethoxy)phenyl]benzimidazole-5-carboxylic acid (Example 125),  
 2-(4-benzyloxyphenyl)-1-(3-cyclohexenyl)benzimidazole-5-  
 10 carboxylic acid (Example 126),  
 cis-1-[2-(4-benzyloxyphenyl)-5-carboxybenzimidazol-1-yl]-4-fluorocyclohexane (Example 127),  
 1-cyclohexyl-2-[4-(2-phenoxyphenoxy)phenyl]benzimidazole-5-carboxylic acid (Example 128),  
 15 1-cyclohexyl-2-[4-(3-phenoxyphenoxy)phenyl]benzimidazole-5-carboxylic acid (Example 129),  
 2-[4-{(2R)-2-benzyloxy carbonyl amino-2-phenylethoxy}phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 130),  
 1-cyclohexyl-2-[2-fluoro-4-{2-(4-trifluoromethylphenyl)-  
 20 benzyl oxy}phenyl]benzimidazole-5-carboxylic acid (Example 131),  
 2-[4-(4-benzyloxyphenoxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 132),  
 2-[4-[bis(4-methylphenyl)methoxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 133),  
 25 2-[4-[bis(4-fluorophenyl)methoxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 134),  
 1-cyclohexyl-6-methoxy-2-[4-(3-phenylpropoxy)phenyl]benzimidazole-5-carboxylic acid (Example 135),  
 1-cyclohexyl-6-hydroxy-2-[4-(3-phenylpropoxy)phenyl]-  
 30 benzimidazole-5-carboxylic acid (Example 136),  
 1-cyclohexyl-6-methyl-2-[4-(3-phenylpropoxy)phenyl]benzimidazole-5-carboxylic acid (Example 137),  
 2-[4-[2-(2-benzyloxyphenyl)ethoxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 138),  
 35 2-[4-[2-(3-benzyloxyphenyl)ethoxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 139),  
 2-[4-(2-carboxymethoxyphenoxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 140),

- 2-[4-(3-carboxymethoxyphenoxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 141),  
 2-[4-[3-chloro-6-(4-methylphenyl)benzyloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 142),  
 5 2-[4-[3-chloro-6-(4-methoxyphenyl)benzyloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 143),  
 1-cyclohexyl-2-[2-methyl-4-[2-(4-trifluoromethylphenyl)-benzyloxy]phenyl]benzimidazole-5-carboxylic acid (Example 144),  
 2-[4-[2-(4-tert-butylphenyl)-5-chlorobenzyloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 145),  
 10 2-[4-(3-chloro-6-phenylbenzyloxy)-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 146),  
 2-[4-[3-chloro-6-(3,5-dichlorophenyl)benzyloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 147),  
 15 2-[4-[bis(4-fluorophenyl)methoxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 148),  
 2-[4-(4-benzyloxyphenoxy)-2-chlorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 149),  
 2-[4-(4-benzyloxyphenoxy)-2-trifluoromethylphenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 150),  
 20 2-[4-[3-chloro-6-(2-trifluoromethylphenyl)benzyloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 151),  
 2-[4-(2R)-2-amino-2-phenylethoxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 152),  
 25 2-[4-(2-biphenylyloxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 153),  
 2-[4-(3-biphenylyloxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 154),  
 2-[4-[2-(1-tert-butoxycarbonyl-4-piperidyl)methoxy]phenoxy]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 155),  
 30 2-[4-[3-(1-tert-butoxycarbonyl-4-piperidyl)methoxy]phenoxy]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 156),  
 2-[4-[3-chloro-6-(3,4,5-trimethoxyphenyl)benzyloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 157),  
 35 2-[4-[2-(2-biphenylyl)ethoxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 158),  
 2-[4-(2-biphenylylmethoxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 159),

- 1-cyclohexyl-2-{4-[2-(4-piperidylmethoxy)phenoxy]phenyl}-benzimidazole-5-carboxylic acid hydrochloride (Example 160),  
 1-cyclohexyl-2-{4-[3-(4-piperidylmethoxy)phenoxy]phenyl}-benzimidazole-5-carboxylic acid hydrochloride (Example 161),  
 5 2-{4-[2(R)-2-acetylaminoo-2-phenylethoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 162),  
 1-cyclohexyl-2-{4-[3-(4-methyl-3-pentenyl)phenoxy]phenyl}-benzimidazole-5-carboxylic acid (Example 163),  
 1-cyclohexyl-2-{4-[3-(3-methyl-3-butenoxy)phenoxy]phenyl}-benzimidazole-5-carboxylic acid (Example 164),  
 10 2-{4-[{2S)-1-benzyl-2-pyrrolidinyl}methoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 165),  
 2-{4-[3-chloro-6-(4-methylthiophenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 166),  
 15 2-{4-[3-chloro-6-(4-methanesulfonylphenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 167),  
 2-{4-[3-chloro-6-(2-thienyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 168),  
 2-{4-[3-chloro-6-(3-chlorophenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 169),  
 20 2-{4-[3-chloro-6-(3-pyridyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 170),  
 2-{4-[3-chloro-6-(4-fluorophenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 171),  
 25 2-[4-(4-benzyloxyphenoxy)-3-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 172),  
 2-[4-(2-bromo-5-chlorobenzyloxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 173),  
 2-{4-[3-chloro-6-(4-chlorophenyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 174),  
 30 2-{4-[2-{(1-acetyl-4-piperidyl)methoxy]phenoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 175),  
 2-{4-[3-{(1-acetyl-4-piperidyl)methoxy]phenoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 176),  
 35 1-cyclohexyl-2-{4-[3-(2-propynyl)phenoxy]phenyl}-benzimidazole-5-carboxylic acid (Example 177),  
 1-cyclohexyl-2-{4-[3-(3-pyridylmethoxy)phenoxy]phenyl}-benzimidazole-5-carboxylic acid (Example 178),

- 2-(4-benzyloxy-2-methoxyphenyl)-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 179),  
 2-[4-(2-bromo-5-methoxybenzyloxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 180),  
 5 2-[4-(carboxydiphenylmethoxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 181),  
 2-[4-[2-(4-chlorophenyl)-5-nitrobenzyloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 182),  
 2-[4-[3-acetylamo-6-(4-chlorophenyl)benzyloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 183),  
 10 2-[4-[2-(4-carboxyphenyl)-5-chlorobenzyloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 184),  
 2-[4-[|(2S)-1-benzyloxycarbonyl-2-pyrrolidinyl]methoxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 185),  
 15 2-[2-chloro-4-[2-(4-trifluoromethylphenyl)benzyloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 186),  
 1-cyclohexyl-2-[4-[3-(2-pyridylmethoxy)phenoxy]phenyl]-benzimidazole-5-carboxylic acid (Example 187),  
 2-[4-[2-(4-chlorophenyl)-5-fluorobenzyloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 188),  
 20 2-[4-[3-carboxy-6-(4-chlorophenyl)benzyloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 189),  
 2-[4-[3-carbamoyl-6-(4-chlorophenyl)benzyloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 190),  
 25 1-cyclohexyl-2-[4-[2-(dimethylcarbamoylmethoxy)phenoxy]-phenyl]benzimidazole-5-carboxylic acid (Example 191),  
 1-cyclohexyl-2-[4-[2-(piperidinocarbonylmethoxy)phenoxy]-phenyl]benzimidazole-5-carboxylic acid (Example 192),  
 2-[4-[|(2S)-1-benzenesulfonyl-2-pyrrolidinyl]methoxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 193),  
 30 2-[4-[|(2S)-1-benzoyl-2-pyrrolidinyl]methoxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 194),  
 2-[4-[2-(4-carbamoylphenyl)-5-chlorobenzyloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 195),  
 35 1-cyclohexyl-2-[4-[3-(dimethylcarbamoylmethoxy)phenoxy]-phenyl]benzimidazole-5-carboxylic acid (Example 196),  
 1-cyclohexyl-2-[4-[3-(piperidinocarbonylmethoxy)phenoxy]-phenyl]benzimidazole-5-carboxylic acid (Example 197),

- 1-cyclohexyl-2-{4-[3-(1-methanesulfonyl-4-piperidyl)methoxy]-phenoxy}phenyl]benzimidazole-5-carboxylic acid (Example 198),  
 1-cyclohexyl-2-{4-[2-methyl-5-(4-chlorophenyl)-4-oxazolyl]-methoxy}phenyl]benzimidazole-5-carboxylic acid (Example 199),  
 5 2-{4-[3-(3-chlorobenzyl)oxy]phenoxy}phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 200),  
 2-{4-[3-(4-chlorobenzyl)oxy]phenoxy}phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 201),  
 1-cyclohexyl-2-{4-[3-(4-fluorobenzyl)oxy]phenoxy}phenyl]-10 benzimidazole-5-carboxylic acid (Example 202),  
 1-cyclohexyl-2-{4-[{(2S)-1-(4-nitrophenyl)-2-pyrrolidinyl}-methoxy}phenyl]benzimidazole-5-carboxylic acid (Example 203),  
 1-cyclohexyl-2-{4-[{(2S)-1-phenyl-2-pyrrolidinyl}-methoxy]-phenyl]benzimidazole-5-carboxylic acid hydrochloride (Example  
 15 204),  
 2-{4-[{(2S)-1-(4-acetylaminophenyl)-2-pyrrolidinyl}-methoxy]-phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 205),  
 2-{4-[{5-(4-chlorophenyl)-2-methyl-4-thiazolyl}-methoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 206),  
 20 2-{4-[bis(3-fluorophenyl)methoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 207),  
 1-cyclohexyl-2-{4-[2-(4-chlorophenyl)-3-nitrobenzyl]oxy}phenyl]-benzimidazole-5-carboxylic acid (Example 208),  
 1-cyclohexyl-2-{4-[3-(4-tetrahydropyran-4-yloxy)phenoxy}phenyl]-25 benzimidazole-5-carboxylic acid (Example 209),  
 1-cyclohexyl-2-{4-[3-(4-trifluoromethylbenzyl)oxy]phenoxy}phenyl]-benzimidazole-5-carboxylic acid (Example 210),  
 1-cyclohexyl-2-{4-[3-(1-methyl-4-piperidyl)methoxy]phenoxy}-phenyl]benzimidazole-5-carboxylic acid (Example 211),  
 30 2-{4-[3-(4-tert-butylbenzyl)oxy]phenoxy}phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 212),  
 2-{4-[3-(2-chlorobenzyl)oxy]phenoxy}phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 213),  
 1-cyclohexyl-2-{4-[3-(3-pyridyl)phenoxy]phenyl]benzimidazole-5-35 carboxylic acid (Example 214),  
 2-{4-[3-(4-chlorophenyl)phenoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 215),

1-cyclohexyl-2-{4-[3-(4-methoxyphenyl)phenoxy]phenyl}-benzimidazole-5-carboxylic acid (Example 216),  
 1-cyclohexyl-2-{4-[{4-(4-methanesulfonylphenyl)-2-methyl-5-thiazolyl}methoxy]phenyl}benzimidazole-5-carboxylic acid (Example 217),  
 2-{4-[{4-(4-chlorophenyl)-2-methyl-5-thiazolyl}methoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 218),  
 2-{4-[1-(4-chlorobenzyl)-3-piperidyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 219),  
 10 1-cyclohexyl-2-{4-[3-{(2-methyl-4-thiazolyl)methoxy}phenoxy]-phenyl}benzimidazole-5-carboxylic acid (Example 220),  
 1-cyclohexyl-2-{4-[3-{(2,4-dimethyl-5-thiazolyl)methoxy}phenoxy]-phenyl}benzimidazole-5-carboxylic acid (Example 221),  
 1-cyclohexyl-2-{4-[3-(3,5-dichlorophenyl)phenoxy]phenyl}-benzimidazole-5-carboxylic acid (Example 222),  
 15 2-{4-[1-(4-chlorobenzyl)-4-piperidyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 223),  
 2-{4-[3-(4-chlorobenzyl)oxy]piperidino}phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 224),  
 20 2-{4-[4-carbamoyl-2-(4-chlorophenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 225),  
 2-{4-[4-(4-chlorobenzyl)oxy]piperidino}phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 226),  
 2-{4-[3-{(2-chloro-4-pyridyl)methoxy}phenoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 227),  
 25 2-{4-[{(2S)-1-(4-dimethylcarbamoylphenyl)-2-pyrrolidinyl}-methoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 228),  
 2-{4-[2-(4-chlorophenyl)-5-ethoxycarbonylbenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 229),  
 30 1-cyclohexyl-2-{4-[3-trifluoromethylphenoxy]phenyl}-benzimidazole-5-carboxylic acid (Example 230),  
 1-cyclohexyl-2-{4-[{4-(4-dimethylcarbamoylphenyl)-2-methyl-5-thiazolyl}methoxy]phenyl}benzimidazole-5-carboxylic acid (Example 231),  
 35 2-{4-[2-(4-chlorophenyl)-5-dimethylcarbamoylbenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 232),

- 2-{4-[{4-(4-chlorophenyl)-2-methyl-5-pyrimidinyl}methoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride  
 (Example 233),  
 2-{4-[{2-(4-chlorophenyl)-3-pyridyl}methoxy]phenyl}-1-  
 5 cyclohexylbenzimidazole-5-carboxylic acid dihydrochloride  
 (Example 234),  
 2-{4-[{3-(4-chlorophenyl)-2-pyridyl}methoxy]phenyl}-1-  
 cyclohexylbenzimidazole-5-carboxylic acid (Example 235),  
 2-{4-[2-(3-chlorophenyl)-4-methylamino-1,3,5-triazin-6-  
 10 yloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid  
 trifluoroacetate (Example 236),  
 2-{4-[2-(4-chlorophenyl)-4-(5-tetrazolyl)benzyloxy]phenyl}-1-  
 cyclohexylbenzimidazole-5-carboxylic acid (Example 237),  
 2-[4-(4-benzyloxy-6-pyrimidinyloxy)phenyl]-1-  
 15 cyclohexylbenzimidazole-5-carboxylic acid (Example 238),  
 1-cyclohexyl-2-{4-[4-(4-pyridylmethoxy)-6-pyrimidinyloxy]phenyl}-  
 benzimidazole-5-carboxylic acid (Example 239),  
 2-{4-[4-(3-chlorophenyl)-6-pyrimidinyloxy]phenyl}-1-  
 cyclohexylbenzimidazole-5-carboxylic acid (Example 240),  
 20 methyl 2-{4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl}-1-  
 cyclohexylbenzimidazole-5-carboxylate (Example 241),  
 2-{4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl}-1-cyclohexyl-  
 benzimidazole-5-carboxylic acid hydrochloride (Example 242),  
 ethyl 2-{4-[3-(4-chlorophenyl)pyridin-2-ylmethoxy]phenyl}-1-  
 25 cyclohexylbenzimidazole-5-carboxylate (Example 243),  
 methyl 2-[4-(2-bromo-5-tert-butoxycarbonylbenzyloxy)phenyl]-1-  
 cyclohexylbenzimidazole-5-carboxylate (Example 244),  
 methyl 2-{4-[5-tert-butoxycarbonyl-2-(4-chlorophenyl)benzyloxy]-  
 phenyl}-1-cyclohexylbenzimidazole-5-carboxylate (Example 245),  
 30 methyl 2-{4-[5-carboxy-2-(4-chlorophenyl)benzyloxy]phenyl}-1-  
 cyclohexylbenzimidazole-5-carboxylate hydrochloride (Example 246),  
 methyl 2-{4-[2-(4-chlorophenyl)-5-methylcarbamoylbenzyloxy]-  
 phenyl}-1-cyclohexylbenzimidazole-5-carboxylate (Example 247),  
 2-{4-[2-(4-chlorophenyl)-5-methylcarbamoylbenzyloxy]phenyl}-1-  
 35 cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example  
 248),  
 2-{4-[3-(tert-butylsulfamoyl)-6-(4-chlorophenyl)benzyloxy]-  
 phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 249),

- 2-[4-[2-(4-chlorophenyl)-5-sulfamoylbenzyloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid trifluoroacetate  
 (Example 250),  
 2-(4-benzyloxycyclohexyl)-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 251),  
 2-[2-(2-biphenylloxy)methyl]-5-thienyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 252),  
 2-[2-(2-biphenylloxy)methyl]-5-furyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 253),  
 10 1-cyclohexyl-2-[4-[4-(4-fluorophenyl)-2-hydroxymethyl-5-thiazolyl]methoxy]phenyl]benzimidazole-5-carboxylic acid (Example 254),  
 1-cyclohexyl-2-[4-[4-(4-carboxyphenyl)-2-methyl-5-thiazolyl]methoxy]phenyl]benzimidazole-5-carboxylic acid hydrochloride  
 15 (Example 255),  
 1-cyclohexyl-2-[2-fluoro-4-[4-fluoro-2-(3-fluorobenzoyl)benzyloxy]phenyl]benzimidazole-5-carboxylic acid (Example 256),  
 2-[4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl]-1-cyclohexylbenzimidazole-5-sulfonic acid (Example 257),  
 20 2-[4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl]-3-cyclohexylbenzimidazole-4-carboxylic acid (Example 258),  
 1-cyclohexyl-2-[4-[3-dimethylcarbamoyl-5-(4-pyridylmethoxy)phenoxy]phenyl]benzimidazole-5-carboxylic acid dihydrochloride  
 (Example 259),  
 25 1-cyclohexyl-2-[4-[3-carboxy-5-(4-pyridylmethoxy)phenoxy]phenyl]benzimidazole-5-carboxylic acid dihydrochloride (Example 260),  
 2-[4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl]-1-cyclohexylbenzimidazole-4-carboxylic acid (Example 261),  
 30 2-[4-[3-carbamoyl-6-(4-chlorophenyl)benzyloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 262),  
 2-[4-[2-(4-carboxyphenyl)-3-pyridyl]methoxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 263),  
 35 2-[4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl]-1-(4-tetrahydrothiopyranyl)benzimidazole-5-carboxylic acid (Example 264),

- 2-{4-[2-(4-chlorophenyl)-5-dimethylcarbamoylbenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 265),  
 1-cyclohexyl-2-{4-[3-dimethylcarbamoyl-6-(4-trifluoromethylphenyl)benzyloxy]phenyl}benzimidazole-5-carboxylic acid hydrochloride (Example 266),  
 1-cyclohexyl-2-{4-[3-dimethylcarbamoyl-6-(4-methylthiophenyl)benzyloxy]phenyl}benzimidazole-5-carboxylic acid hydrochloride (Example 267),  
 10 2-{4-[2-(4-chlorophenyl)-5-methylcarbamoylbenzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 268),  
 2-{4-[2-(4-chlorophenyl)-5-dimethylcarbamoylbenzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 269),  
 15 2-{4-[3-carbamoyl-6-(4-chlorophenyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 270),  
 2-{4-[3-dimethylcarbamoyl-6-(4-methanesulfonylphenyl)benzyloxy]-20 phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 271),  
 2-{4-[3-dimethylcarbamoyl-6-(3-pyridyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid dihydrochloride (Example 272),  
 25 2-{4-[3-dimethylcarbamoyl-6-(4-dimethylcarbamoylphenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 273),  
 2-{4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl}-1-(1-oxo-4-tetrahydrothiopyranyl)benzimidazole-5-carboxylic acid (Example 30 274),  
 2-{4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl}-1-(1,1-dioxo-4-tetrahydrothiopyranyl)benzimidazole-5-carboxylic acid (Example 275),  
 2-{4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]-2-fluorophenyl}-1-35 (4-tetrahydrothiopyranyl)benzimidazole-5-carboxylic acid (Example 276),

- 2-{4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]-2-fluorophenyl}-1-(1-oxo-4-tetrahydrothiopyranyl)benzimidazole-5-carboxylic acid (Example 277),  
 2-{4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]-2-fluorophenyl}-1-(1,1-dioxo-4-tetrahydrothiopyranyl)benzimidazole-5-carboxylic acid (Example 278),  
 2-{4-[2-(4-chlorophenyl)-5-dimethylsulfamoylbenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 279),  
 2-{4-[2-(4-chlorophenyl)-5-methanesulfonylbenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 280),  
 2-{4-[2-(4-chlorophenyl)-5-methylsulfamoylbenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 281),  
 2-{4-[2-(4-chlorophenyl)-5-dimethylaminobenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 282),  
 2-{4-[2-(4-chlorophenyl)-5-methanesulfonylaminobenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 283),  
 2-{4-[2-(4-chlorophenyl)-5-diethylcarbamoylbenzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 284),  
 2-{4-[2-(4-chlorophenyl)-5-isopropylcarbamoylbenzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 285),  
 2-{4-[2-(4-chlorophenyl)-5-piperidinocarbonylbenzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 286),  
 2-{4-[2-(4-chlorophenyl)-5-(1-pyrrolidinyl)carbonylbenzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 287),  
 2-{4-[2-(4-chlorophenyl)-5-(2-hydroxyethyl)carbamoylbenzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 288),  
 2-{4-[2-(4-chlorophenyl)-5-(4-hydroxypiperidino)-carbonylbenzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 289),  
 2-{4-[2-(4-chlorophenyl)-5-morpholinocarbonylbenzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 290),

- 2-{4-[2-(4-chlorophenyl)-5-thiomorpholinocarbonylbenzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid  
(Example 291),  
2-{4-[3-(carboxymethylcarbamoyl)-6-(4-chlorophenyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid  
(Example 292),  
2-{4-[2-{4-(2-carboxyethyl)phenyl}-5-chlorobenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 293),  
2-{4-[3-chloro-6-(4-hydroxymethylphenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 294),  
2-{4-[3-chloro-6-(4-methoxymethylphenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 295),  
2-{4-[2-(3-carboxyphenyl)-5-chlorobenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 296),  
2-{4-[2-(4-chlorophenyl)-5-methylthiobenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 297),  
2-{4-[2-(4-chlorophenyl)-5-methylsulfinylbenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 298),  
2-{4-[2-(4-chlorophenyl)-5-cyanobenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 299),  
2-{4-[bis(2-pyridyl)methoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 300),  
2-{4-[bis(4-dimethylcarbamoylphenyl)methoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 301),  
2-{4-[bis(2-thienyl)methoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 302),  
methyl 2-{4-[2-(4-chlorophenyl)-5-(dimethylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylate (Example 303),  
sodium 2-{4-[2-(4-chlorophenyl)-5-(dimethylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylate (Example 304),  
2-{4-[5-carboxy-2-(4-chlorophenyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 305),  
2-{4-[2-(4-carboxyphenyl)-5-methoxybenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 306),  
2-{4-[2-(4-carbamoylphenyl)-5-(dimethylcarbamoyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 307),

2-{4-[5-amino-2-(4-chlorophenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 308),  
 2-{4-[5-(4-chlorophenyl)-2-methoxybenzylsulfinyl]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example  
 5 309),  
 2-{4-[5-(4-chlorophenyl)-2-methoxybenzylsulfonyl]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example  
 10 310),  
 2-{4-[2-(4-chlorophenyl)-5-methoxybenzylthio]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example  
 15 311),  
 2-{4-[bis(4-carboxyphenyl)methoxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 312),  
 2-[4-(phenyl-3-pyridylmethoxy)-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example  
 20 313),  
 methyl 2-{4-[2-(4-chlorophenyl)-5-(methylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylate (Example  
 314),  
 2-{4-[5-chloro-2-(4-pyridyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example  
 25 315),  
 2-{4-[2-(4-chlorophenyl)-5-(benzylcarbamoyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example  
 316),  
 2-{4-[2-(4-chlorophenyl)-5-(cyclohexylmethylcarbamoyl)-benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid  
 30 hydrochloride (Example 317),  
 2-{4-[2-(4-chlorophenyl)-5-(4-pyridylmethylcarbamoyl)-benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid  
 35 dihydrochloride (Example 318),  
 2-{4-[2-(4-chlorophenyl)-5-(N-benzyl-N-methylcarbamoyl)-benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid  
 hydrochloride (Example 319),  
 2-{4-[5-dimethylaminocarbonyl-2-(4-pyridyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example  
 320),

- 2-{4-[2-(4-chlorophenyl)-5-(4-methylpiperazin-1-ylcarbonyl)benzoyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid dihydrochloride (Example 321),  
 2-{4-[2-(4-chlorophenyl)-5-{N-(3-pyridylmethyl)carbamoyl}-benzoyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid dihydrochloride (Example 322),  
 2-{4-[2-(4-chlorophenyl)-5-{N-(2-pyridylmethyl)carbamoyl}-benzoyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid dihydrochloride (Example 323),  
 10 2-{4-[2-(4-chlorophenyl)-5-(cyclohexylcarbamoyl)benzoyloxy]-phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 324),  
 2-{4-[2-(4-chlorophenyl)-5-(2-pyridin-4-ylethylcarbamoyl)-benzoyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid dihydrochloride (Example 325),  
 15 2-{4-[(4-fluorophenyl){4-(dimethylaminocarbonyl)phenyl}methoxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 326),  
 2-{4-[(4-fluorophenyl)(4-carboxyphenyl)methoxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 327),  
 20 2-{4-[2-(4-chlorophenyl)-5-(4-oxopiperidinocarbonyl)-benzoyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 328),  
 2-{4-[2-(4-chlorophenyl)-5-hydroxybenzoyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 329),  
 25 2-{4-[2-(4-chlorophenyl)-5-(isopropylcarbamoyl)benzoyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 330),  
 30 2-{4-[2-(4-chlorophenyl)-5-(N-isopropyl-N-methylcarbamoyl)-benzoyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 331),  
 2-{4-[2-(4-chlorophenyl)-5-(phenylcarbamoyl)benzoyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 332),  
 35 2-{4-[2-(4-chlorophenyl)-5-(4-methoxypiperidinocarbonyl)-benzoyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 333),

2-[4-[2-(4-chlorophenyl)-5-(3-hydroxypropyloxy)benzyloxy]phenyl]-  
 1-cyclohexylbenzimidazole-5-carboxylic acid (Example 334),  
 2-[4-[2-(4-chlorophenyl)-5-(2-hydroxyethoxy)benzyloxy]phenyl]-1-  
 cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example  
 335),  
 methyl 2-[4-(2-bromo-5-nitrobenzyloxy)-2-fluorophenyl]-1-  
 cyclohexylbenzimidazole-5-carboxylate (Example 336),  
 methyl 2-[4-[2-(4-chlorophenyl)-5-nitrobenzyloxy]-2-  
 fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylate (Example  
 337),  
 methyl 2-[4-[5-amino-2-(4-chlorophenyl)benzyloxy]-2-  
 fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylate (Example  
 338),  
 methyl 2-[4-[2-(4-chlorophenyl)-5-(2-oxopyrrolidin-1-  
 yl)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-  
 carboxylate (Example 339),  
 2-[4-[2-(4-chlorophenyl)-5-(2-oxopyrrolidin-1-yl)benzyloxy]-2-  
 fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid  
 hydrochloride (Example 340),  
 2-[4-[2-(4-chlorophenyl)-5-(4-methylpiperidin-1-  
 ylcarbonyl)benzyloxy]phenyl]-1-cyclohexylbenzimidazole-5-  
 carboxylic acid hydrochloride (Example 341),  
 2-[4-[5-acetyl-2-(4-chlorophenyl)benzyloxy]phenyl]-1-  
 cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example  
 342),  
 2-[4-[2-(4-chlorophenyl)-5-[4-hydroxypiperidin-1-ylcarbonyl]-  
 methoxy]benzyloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic  
 acid (Example 343),  
 2-[4-[2-(4-chlorophenyl)-5-(2-methoxyethoxy)benzyloxy]phenyl]-1-  
 cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example  
 344),  
 2-[4-[2-(4-chlorophenyl)-5-[2-(2-methoxyethoxy)ethoxy]-  
 benzyloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid  
 hydrochloride (Example 345),  
 2-[4-[2-(4-chlorophenyl)-5-(isobutylcarbonyl)benzyloxy]phenyl]-1-  
 cyclohexylbenzimidazole-5-carboxylic acid (Example 346),  
 2-[4-[2-(4-chlorophenyl)-5-(2-methylthiazol-4-yl)benzyloxy]-  
 phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 347),

- 2-{4-[2-(4-chlorophenyl)-5-(3,4-dihydroxypiperidin-1-ylcarbonyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 348),  
 5 2-{4-[2-(4-chlorophenyl)-5-(3-methyl-1,2,4-oxadiazol-5-yl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 349),  
 10 2-{4-[2-(4-chlorophenyl)-4-(isopropylcarbamoyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 350),  
 15 2-{4-[2-(4-chlorophenyl)-4-(piperidinocarbonyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 351),  
 20 2-{4-[2-(4-chlorophenyl)-5-(1-hydroxy-2-methylpropan-2-yl)carbamoyl]benzyloxy}phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 352),  
 25 2-{4-[2-(4-chlorophenyl)-5-(4,4-dimethyl-2-oxazolin-2-yl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid dihydrochloride (Example 353),  
 30 2-{4-[2-(4-chlorophenyl)-4-(4-hydroxypiperidin-1-ylcarbonyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 354),  
 35 2-{4-[2-(4-chlorophenyl)-4-(2-hydroxyethyl)carbamoyl]benzyloxy}phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 355),  
 40 2-{4-[2-(4-chlorophenyl)-4-(4-pyridylmethyl)carbamoyl]benzyloxy}phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 356),  
 45 2-{4-[2-(4-chlorophenyl)-4-(dimethylcarbamoyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 357),  
 50 2-{4-[5-(2-aminothiazol-4-yl)-2-(4-chlorophenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid dihydrochloride (Example 358),  
 55 2-{4-[2-(4-chlorophenyl)-5-(4-hydroxypiperidin-1-ylsulfonyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 359),

- 2-{4-[5-(dimethylcarbamoyl)-2-(4-fluorophenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 360),  
 2-{4-[5-(dimethylcarbamoyl)-2-(3-fluorophenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 361),  
 2-{4-[2-(5-chlorothiophen-2-yl)-5-(dimethylcarbamoyl)benzyloxy]-phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 362),  
 10 2-{4-[2-bromo-5-(5-methyloxazol-2-yl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 363),  
 2-{4-[2-bromo-5-(5-methylthiazol-2-yl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 15 364),  
 2-{4-[2-(4-chlorophenyl)-5-(5-methyloxazol-2-yl)benzyloxy]-phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 365),  
 2-{4-[2-(4-chlorophenyl)-5-(5-methylthiazol-2-yl)benzyloxy]-phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 20 366),  
 2-{4-[2-(4-chlorophenyl)-5-tetrazol-5-ylbenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 367),  
 23 2-{4-[5-chloro-2-(4-cyanophenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 368),  
 2-{4-[5-chloro-2-(4-tetrazol-5-ylphenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 30 369),  
 2-{4-[2-(4-chlorophenyl)-5-{2-(4-hydroxypiperidin-1-yl)ethoxy}benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 370),  
 2-{4-[2-(4-chlorophenyl)-5-(2-oxopiperidin-1-yl)benzyloxy]-2-35 fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 371),

- 2-{4-[3-(4-chlorophenyl)-5-(dimethylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 372),  
 5 2-{4-[2-(4-chlorophenyl)-5-(N-hydroxyamidino)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid dihydrochloride (Example 373),  
 10 2-{4-[2-(4-chlorophenyl)-5-(2,5-dihydro-5-oxo-4H-1,2,4-oxadiazol-3-yl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 374),  
 15 2-{4-[2-(4-chlorophenyl)-5-(2-oxo-3H-1,2,3,5-oxathiadiazol-4-yl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 375),  
 20 2-{4-[2-(4-chlorophenyl)-5-(2,5-dihydro-5-oxo-4H-1,2,4-thiadiazol-3-yl)benzyloxy]-2-fluorophenyl}-1-  
 25 cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 376),  
 2-{4-[2-(4-chlorophenyl)-5-(cyclopropylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 377),  
 30 2-{4-[2-(4-chlorophenyl)-5-(cyclobutylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 378),  
 35 2-{4-[2-(4-chlorophenyl)-5-(tert-butylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 379),  
 40 2-{4-[2-(4-chlorophenyl)-5-(isobutylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 380),  
 45 2-{4-[2-(4-chlorophenyl)-5-{(1-hydroxypropan-2-yl)carbamoyl}-benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 381),  
 50 2-{4-[2-(4-chlorophenyl)-5-(methoxycarbamoyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 382),  
 55 2-{4-[2-(4-chlorophenyl)-5-{(2,3-dihydroxypropyl)carbamoyl}-benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 383),

- 2-[4-[2-(4-chlorophenyl)-5-(N-ethyl-N-methylcarbamoyl)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 384),
- 5 2-[4-[2-(4-chlorophenyl)-5-(N-methyl-N-propylcarbamoyl)-benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 385),
- 10 2-[4-[2-(4-chlorophenyl)-5-(N-isopropyl-N-methylcarbamoyl)-benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 386),
- 15 2-[4-[2-(4-chlorophenyl)-5-(2,6-dimethylpiperidin-1-ylcarbonyl)-benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 387),
- 20 2-[4-[5-(butylcarbamoyl)-2-(4-chlorophenyl)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 388),
- 25 2-[4-[2-(4-chlorophenyl)-5-(propylcarbamoyl)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 389),
- 30 2-[4-[2-(4-chlorophenyl)-5-(ethylcarbamoyl)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 390),
- 35 2-[4-[2-(4-chlorophenyl)-5-(dimethylcarbamoyl)amino]benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 391),
- 40 2-[4-[2-(4-chlorophenyl)-5-(morpholinocarbonyl)amino]benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 392),
- 45 2-[4-[2-(4-chlorophenyl)-5-ureidobenzyl]oxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 393),
- 50 2-[4-[2-(4-chlorophenyl)-5-(ethylcarbamoyl)amino]benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 394),
- 55 2-[4-[2-(4-chlorophenyl)-5-(isopropylcarbamoyl)amino]benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 395),

- 2-{4-[2-(3,4-difluorophenyl)-5-(isopropylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 396),  
 2-{4-[2-(2,4-difluorophenyl)-5-(isopropylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 397),  
 2-{4-[2-(3,5-dichlorophenyl)-5-(isopropylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 398),  
 2-{4-[2-(3-chloro-4-fluorophenyl)-5-(isopropylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 399),  
 2-{4-[2-(3,4-dichlorophenyl)-5-(isopropylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 400),  
 2-{4-[2-(4-chloro-2-fluorophenyl)-5-(isopropylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 401),  
 2-{4-[2-(4-chloro-2-fluorophenyl)-5-(pyrrolidin-1-ylcarbonyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 402),  
 2-{4-[2-(4-chloro-3-fluorophenyl)-5-(pyrrolidin-1-ylcarbonyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 403),  
 2-{4-[2-(4-chloro-3-fluorophenyl)-5-(isopropylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 404),  
 2-{4-[2-(4-methylthio)phenyl]-5-(2-oxopyrrolidin-1-yl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 405),  
 2-{4-[2-(4-methylthio)phenyl]-5-(isopropylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 406),  
 2-{4-[4-chloro-2-(4-chlorophenyl)-5-(1,1-dioxoisothiazolidin-2-yl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 407),

- 2-{4-[4-chloro-2-(4-chlorophenyl)-5-(2-oxopyrrolidin-1-yl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 408),  
 2-{4-[2-(4-chlorophenyl)-5-(isopropylaminosulfonyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 409),  
 2-{4-[2-(4-chlorophenyl)-5-(dimethylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-cyclopentylbenzimidazole-5-carboxylic acid hydrochloride (Example 410),  
 10 2-{4-[2-(4-chlorophenyl)-5-(4-hydroxypiperidin-1-ylcarbonyl)-benzyloxy]-2-fluorophenyl}-1-cyclopentylbenzimidazole-5-carboxylic acid hydrochloride (Example 411),  
 2-{4-[2-(4-chlorophenyl)-5-(isopropylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-cyclopentylbenzimidazole-5-carboxylic acid hydrochloride (Example 412),  
 15 2-{4-[2-(4-chlorophenyl)-5-(isopropylcarbamoyl)benzyloxy]phenyl}-1-cyclopentylbenzimidazole-5-carboxylic acid hydrochloride (Example 413),  
 2-{4-[2-(4-chlorophenyl)-5-(dimethylcarbamoyl)benzyloxy]phenyl}-20 1-cyclopentylbenzimidazole-5-carboxylic acid hydrochloride (Example 414),  
 2-{4-[2-(4-chlorophenyl)-5-(4-hydroxypiperidin-1-ylcarbonyl)benzyloxy]phenyl}-1-cyclopentylbenzimidazole-5-carboxylic acid hydrochloride (Example 415),  
 25 2-{4-[2-(4-chlorophenyl)-5-(isopropylcarbamoyl)benzyloxy]phenyl}-1-(tetrahydrothiopyran-4-yl)benzimidazole-5-carboxylic acid hydrochloride (Example 416),  
 2-{4-[2-(4-chlorophenyl)-5-(pyrrolidin-1-ylcarbonyl)benzyloxy]-phenyl}-1-(tetrahydrothiopyran-4-yl)benzimidazole-5-carboxylic  
 30 acid hydrochloride (Example 417),  
 2-{4-[2-(4-chlorophenyl)-5-(isopropylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-(tetrahydrothiopyran-4-yl)benzimidazole-5-carboxylic acid hydrochloride (Example 418),  
 2-{4-[2-(4-chlorophenyl)-5-(2-oxopyrrolidin-1-yl)benzyloxy]-2-fluorophenyl}-1-(tetrahydrothiopyran-4-yl)benzimidazole-5-  
 35 carboxylic acid hydrochloride (Example 419),

- 2-[4-[2-(4-chlorophenyl)-5-(isopropylcarbamoyl)benzyloxy]-2-fluorophenyl]-1-piperidinobenzimidazole-5-carboxylic acid hydrochloride (Example 420),
- 5 2-[4-[2-(4-chlorophenyl)-5-(pyrrolidin-1-ylcarbonyl)benzyloxy]-2-fluorophenyl]-1-piperidinobenzimidazole-5-carboxylic acid (Example 421),
- 10 2-[4-[2-(4-chlorophenyl)-5-(2-imidazolin-2-yl)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid dihydrochloride (Example 422),
- 15 2-[4-[2-(4-chlorophenyl)-5-(2-oxooxazolidin-3-yl)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 423),
- 20 2-[4-[2-(4-chlorophenyl)-5-(2-oxoimidazolidin-1-yl)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 424),
- 25 2-[4-[2-(4-chlorophenyl)-5-(2-oxazolin-2-ylamino)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid dihydrochloride (Example 425),
- 30 2-[4-[2-[{dimethylcarbamoyl)methoxy]methyl]-4-(4-fluorophenyl)thiazol-5-yl]methoxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 426),
- 35 2-[4-[4-(4-fluorophenyl)-2-(4-hydroxypiperidin-1-ylmethyl)thiazol-5-yl]methoxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid dihydrochloride (Example 427),
- 40 2-[4-[4-(4-fluorophenyl)-2-[(carbamoylmethoxy)methyl]thiazol-5-yl]methoxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 428),
- 45 2-[4-[4-(4-fluorophenyl)-2-(methylcarbamoyl)thiazol-5-yl]methoxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 429),
- 50 2-[4-[4-(4-fluorophenyl)-2-{(2-hydroxyethyl)carbamoyl}thiazol-5-yl]methoxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 430),
- 55 2-[4-[2-(4-fluorophenyl)-5-(dimethylcarbamoyl)thiophen-3-yl]methoxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 431),

2-{4-[{2-(4-fluorophenyl)-5-(isopropylcarbamoyl)thiophen-3-yl}methoxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 432),  
 2-{4-[{2-(4-fluorophenyl)-5-(4-hydroxypiperidin-1-ylcarbonyl)thiophen-3-yl}methoxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 433),  
 2-{4-[2-(4-chlorophenyl)-5-(dimethylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-cyclohexyl-5-tetrazol-5-ylbenzimidazole (Example 434),  
 2-{4-[2-(4-carboxyphenyl)-5-chlorobenzyloxy]-2-fluorophenyl}-1-cyclohexyl-5-tetrazol-5-ylbenzimidazole hydrochloride (Example 435),  
 2-{4-[2-(4-chlorophenyl)-5-(isopropylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-cyclohexyl-5-(2,5-dihydro-5-oxo-4H-1,2,4-oxadiazol-3-yl)benzimidazole hydrochloride (Example 436),  
 2-{4-[5-carboxy-2-(4-chlorophenyl)benzyloxy]-2-fluorophenyl}-5-cyano-1-cyclohexylbenzimidazole (Example 437),  
 2-{4-[2-(4-chlorophenyl)-5-(dimethylcarbamoyl)benzyloxy]-2-fluorophenyl}-5-cyano-1-cyclohexylbenzimidazole (Example 438),  
 2-{4-[{N-(4-dimethylcarbamoyl)-N-(4-fluorophenyl)amino}-methyl]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 439),  
 2-{5-[bis(3-fluorophenyl)methyl]-2-fluoro-4-hydroxyphenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 440),  
 2-{3-[bis(3-fluorophenyl)methyl]-2-fluoro-4-hydroxyphenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 441),  
 2-{4-[(3-dimethylcarbamoylphenyl)(4-fluorophenyl)methoxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 442),  
 2-{4-[{3-(4-hydroxypiperidyl-1-ylcarbonyl)phenyl}(4-fluorophenyl)methoxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 443),  
 1-{[2-{4-[(4-(4-fluorophenyl)-2-methylthiazol-5-yl)methoxy]phenyl}-1-cyclohexylbenzimidazol-5-yl]carbonyl}- $\beta$ -D-glucuronic acid (Example 444),

- {2-[4-[bis(3-fluorophenyl)methoxy]-2-fluorophenyl}-1-cyclohexylbenzimidazol-5-yl]carbonyl}- $\beta$ -D-glucuronic acid (Example 445),
- 2-[4-[2-(4-chlorophenyl)-5-(1,1-dioxoisothiazolidin-2-yl)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 446),
- 3-([4-(5-amidosulfonyl-1-cyclohexylbenzimidazol-2-yl)-3-fluorophenoxy]methyl)-4-(4-chlorophenyl)-N-isopropylbenzamide (Example 447),
- 2-[4-(2-(4-chlorophenyl)-6-(isopropylaminocarbonyl)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 448),
- 2-[4-(2-(4-chlorophenyl)-4-fluoro-5-(1,1-dioxoisothiazolidin-2-yl)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 449),
- 2-[4-(2-(4-chlorophenyl)-5-(isopropylaminocarbonyl)benzyloxy]-2-fluorophenyl]-1-cyclohexyl-4-methoxybenzimidazole-5-carboxylic acid hydrochloride (Example 450),
- 2-[4-(2-(4-chlorophenyl)-5-(N-isopropylcarbonyl-N-methylamino)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 451),
- 2-[4-(2-(4-chlorophenyl)-5-(isopropylcarbonylamino)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 452),
- 2-[3-([4-(4-fluorophenyl)-2-methylthiazol-5-yl]methyl)-4-hydroxyphenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 453),
- 2-[4-(2-(4-chlorophenyl)-4-fluoro-5-(2-oxopyrrolidin-1-yl)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 454),
- 2-[4-(2-(4-chlorophenyl)-5-(methylsulfonylamino)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 455),
- 2-[4-(2-(4-chlorophenyl)-5-[N-methyl-N-(methylsulfonyl)amino]benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 456),

2-[4-({3-(4-chlorophenyl)-6-(2-oxopyrrolidin-1-yl)pyridin-2-yl)methoxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 457),  
 2-[4-{2-(4-chlorophenyl)-5-(acetylamino)benzyloxy}-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 458),  
 2-[4-{2-(4-chlorophenyl)-5-(N-acetyl-N-ethylamino)benzyloxy}-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 459),  
 10 2-[4-{2-(4-chlorophenyl)-5-(N-acetyl-N-propylamino)benzyloxy}-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 460),  
 2-[4-{2-(4-chlorophenyl)-5-[N-ethyl-N-(methylsulfonyl)amino]-benzyloxy}-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 461),  
 15 2-[4-{2-(4-chlorophenyl)-5-[N-(methylsulfonyl)-N-propylamino]benzyloxy}-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 462),  
 2-[4-{2-(4-chlorophenyl)-5-(N-acetyl-N-methylamino)benzyloxy}-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 463),  
 20 2-[4-{2-(4-chlorophenyl)-5-[N-(ethylsulfonyl)-N-methylamino]-benzyloxy}-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 464),  
 25 2-[4-{2-(4-chlorophenyl)-5-[N-ethyl-N-(ethylsulfonyl)amino]-benzyloxy}-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 465),  
 2-[4-{2-(4-chlorophenyl)-5-[N-(ethylcarbonyl)-N-methylamino]-benzyloxy}-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 466),  
 30 2-[4-{2-(4-chlorophenyl)-5-[N-ethyl-N-(ethylcarbonyl)amino]-benzyloxy}-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 467),  
 2-[4-{2-(4-chlorophenyl)-5-methoxybenzyloxy}-2-fluorophenyl]-1-  
 35 cyclohexylbenzimidazole-5-carboxylic acid (Example 468),  
 2-[4-{2-(4-chlorophenyl)-5-(N-acetyl-N-isopropylamino)-benzyloxy}-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 469),

- ([2-(4-[2-(4-chlorophenyl)-5-(2-oxopyrrolidin-1-yl)benzyloxy]-2-fluorophenyl)-1-cyclohexylbenzimidazol-5-yl]carbonyl)- $\beta$ -D-glucuronic acid (Example 470),  
 5 methyl 2-{4-(2-(4-chlorophenyl)-5-methoxybenzyloxy)phenyl}-1-cyclohexylindole-5-carboxylate (Example 501),  
 2-{4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl}-1-cyclohexyl-1H-indole-5-carboxylic acid (Example 502),  
 2-(4-benzyloxyphenyl)-1-cyclopentyl-1H-indole-5-carboxylic acid (Example 503),  
 10 ethyl 2-(4-benzyloxyphenyl)-3-cyclohexylimidazo[1,2-a]pyridine-7-carboxylate (Example 601),  
 2-(4-benzyloxyphenyl)-3-cyclohexylimidazo[1,2-a]pyridine-7-carboxylic acid (Example 602),  
 2-{4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl}-3-cyclohexyl-15 3H-imidazo[4,5-b]pyridine-6-carboxylic acid (Example 701),  
 2-{4-[2-(4-chlorophenyl)-5-(isopropylcarbamoyl)benzyloxy]phenyl}-3-cyclohexyl-3H-imidazo[4,5-b]pyridine-6-carboxylic acid hydrochloride (Example 702), and  
 2-{4-[2-(4-chlorophenyl)-5-(pyrrolidin-1-ylcarbonyl)benzyloxy]-20 phenyl}-3-cyclohexyl-3H-imidazo[4,5-b]pyridine-6-carboxylic acid hydrochloride (Example 703).  
 (62) The fused ring compound of the formula [I] or a pharmaceutically acceptable salt thereof, which is selected from the group consisting of  
 25 2-{4-[2-(4-chlorophenyl)-5-(4-oxopiperidinocarbonyl)-benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 328),  
 2-{4-[2-(4-chlorophenyl)-5-hydroxybenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 329),  
 30 2-{4-[2-(4-chlorophenyl)-5-(isopropylcarbamoyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 330),  
 2-{4-[2-(4-chlorophenyl)-5-(N-isopropyl-N-methylcarbamoyl)-35 benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 331),

- 2-{4-[2-(4-chlorophenyl)-5-(phenylcarbamoyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 332),  
 2-{4-[2-(4-chlorophenyl)-5-(4-methoxypiperidinocarbonyl)-5-benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 333),  
 2-{4-[2-(4-chlorophenyl)-5-(3-hydroxypropyloxy)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 334),  
 2-{4-[2-(4-chlorophenyl)-5-(2-hydroxyethoxy)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 335),  
 methyl 2-[4-(2-bromo-5-nitrobenzyloxy)-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylate (Example 336),  
 methyl 2-[4-{2-(4-chlorophenyl)-5-nitrobenzyloxy}-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylate (Example 337),  
 methyl 2-[4-{5-amino-2-(4-chlorophenyl)benzyloxy}-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylate (Example 338),  
 20 methyl 2-[4-{2-(4-chlorophenyl)-5-(2-oxopyrrolidin-1-yl)benzyloxy}-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylate (Example 339),  
 2-[4-{2-(4-chlorophenyl)-5-(2-oxopyrrolidin-1-yl)benzyloxy}-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 340),  
 2-{4-[2-(4-chlorophenyl)-5-(4-methylpiperidin-1-ylcarbonyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 341),  
 2-{4-[5-acetyl-2-(4-chlorophenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 342),  
 2-{4-[2-(4-chlorophenyl)-5-{(4-hydroxypiperidin-1-ylcarbonyl)-methoxy}benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 343),  
 35 2-{4-[2-(4-chlorophenyl)-5-(2-methoxyethoxy)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 344),

- 2-{4-[2-(4-chlorophenyl)-5-{2-(2-methoxyethoxy)ethoxy}-benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 345),
- 5 2-{4-[2-(4-chlorophenyl)-5-(isobutylcarbonyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 346),
- 10 2-{4-[2-(4-chlorophenyl)-5-(2-methylthiazol-4-yl)benzyloxy]-phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 347),
- 15 2-{4-[2-(4-chlorophenyl)-5-(3,4-dihydroxypiperidin-1-ylcarbonyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 348),
- 20 2-{4-[2-(4-chlorophenyl)-5-(3-methyl-1,2,4-oxadiazol-5-yl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 349),
- 25 1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 350),
- 30 2-{4-[2-(4-chlorophenyl)-4-(piperidinocarbonyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 351),
- 35 2-{4-[2-(4-chlorophenyl)-5-(1-hydroxy-2-methylpropan-2-ylcarbamoyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 352),
- 40 2-{4-[2-(4-chlorophenyl)-5-(4,4-dimethyl-2-oxazolin-2-yl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid dihydrochloride (Example 353),
- 45 2-{4-[2-(4-chlorophenyl)-4-(4-hydroxypiperidin-1-ylcarbonyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 354),
- 50 2-{4-[2-(4-chlorophenyl)-4-(2-hydroxyethyl)carbamoyl}-benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 355),
- 55 2-{4-[2-(4-chlorophenyl)-4-(4-pyridylmethyl)carbamoyl}-benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 356),
- 60 2-{4-[2-(4-chlorophenyl)-4-(dimethylcarbamoyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 357),

- 2-{4-[5-(2-aminothiazol-4-yl)-2-(4-chlorophenyl)benzyloxy]-phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid dihydrochloride (Example 358),  
 2-{4-[2-(4-chlorophenyl)-5-(4-hydroxypiperidin-1-ylsulfonyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 359),  
 2-{4-[5-(dimethylcarbamoyl)-2-(4-fluorophenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 360),  
 10 2-{4-[5-(dimethylcarbamoyl)-2-(3-fluorophenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 361),  
 2-{4-[2-(5-chlorothiophen-2-yl)-5-(dimethylcarbamoyl)benzyloxy]-phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 362),  
 15 2-{4-[2-bromo-5-(5-methyloxazol-2-yl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 363),  
 2-{4-[2-bromo-5-(5-methylthiazol-2-yl)benzyloxy]phenyl}-1-  
 20 cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 364),  
 2-{4-[2-(4-chlorophenyl)-5-(5-methyloxazol-2-yl)benzyloxy]-phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 365),  
 25 2-{4-[2-(4-chlorophenyl)-5-(5-methylthiazol-2-yl)benzyloxy]-phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 366),  
 2-{4-[2-(4-chlorophenyl)-5-tetrazol-5-ylbenzyloxy]phenyl}-1-  
 30 cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 367),  
 2-{4-[5-chloro-2-(4-cyanophenyl)benzyloxy]phenyl}-1-  
 cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 368),  
 2-{4-[5-chloro-2-(4-tetrazol-5-ylphenyl)benzyloxy]phenyl}-1-  
 35 cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 369),

- 2-[4-[2-(4-chlorophenyl)-5-{2-(4-hydroxypiperidin-1-yl)ethoxy]benzoyl}phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 370),  
 2-[4-[2-(4-chlorophenyl)-5-(2-oxopiperidin-1-yl)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 371),  
 2-[4-[3-(4-chlorophenyl)-5-(dimethylcarbamoyl)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 372),  
 2-[4-[2-(4-chlorophenyl)-5-(N-hydroxyamidino)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid dihydrochloride (Example 373),  
 2-[4-[2-(4-chlorophenyl)-5-(2,5-dihydro-5-oxo-4H-1,2,4-oxadiazol-3-yl)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 374),  
 2-[4-[2-(4-chlorophenyl)-5-(2-oxo-3H-1,2,3,5-oxathiadiazol-4-yl)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 375),  
 2-[4-[2-(4-chlorophenyl)-5-(2,5-dihydro-5-oxo-4H-1,2,4-thiadiazol-3-yl)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 376),  
 2-[4-[2-(4-chlorophenyl)-5-(cyclopropylcarbamoyl)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 377),  
 2-[4-[2-(4-chlorophenyl)-5-(cyclobutylcarbamoyl)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 378),  
 2-[4-[2-(4-chlorophenyl)-5-(tert-butylcarbamoyl)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 379),  
 2-[4-[2-(4-chlorophenyl)-5-(isobutylcarbamoyl)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 380),  
 2-[4-[2-(4-chlorophenyl)-5-{(1-hydroxypropan-2-yl)carbamoyl}-benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 381),

- 2-[4-[2-(4-chlorophenyl)-5-(methoxycarbamoyl)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 382),  
 5 2-[4-[2-(4-chlorophenyl)-5-(2,3-dihydroxypropyl)carbamoyl]-benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 383),  
 10 2-[4-[2-(4-chlorophenyl)-5-(N-ethyl-N-methylcarbamoyl)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 384),  
 15 2-[4-[2-(4-chlorophenyl)-5-(N-methyl-N-propylcarbamoyl)-benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 385),  
 20 2-[4-[2-(4-chlorophenyl)-5-(N-isopropyl-N-methylcarbamoyl)-benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 386),  
 25 2-[4-[2-(4-chlorophenyl)-5-(2,6-dimethylpiperidin-1-ylcarbonyl)-benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 387),  
 30 2-[4-[5-(butylcarbamoyl)-2-(4-chlorophenyl)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 388),  
 35 2-[4-[2-(4-chlorophenyl)-5-(propylcarbamoyl)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 389),  
 40 2-[4-[2-(4-chlorophenyl)-5-(ethylcarbamoyl)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 390),  
 45 2-[4-[2-(4-chlorophenyl)-5-(dimethylcarbamoyl)amino]benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 391),  
 50 2-[4-[2-(4-chlorophenyl)-5-(morpholinocarbonyl)amino]benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 392),  
 55 2-[4-[2-(4-chlorophenyl)-5-ureidobenzyl]oxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 393),

- 2-[4-[2-(4-chlorophenyl)-5-{(ethylcarbamoyl)amino}benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 394),  
 2-[4-[2-(4-chlorophenyl)-5-{(isopropylcarbamoyl)amino}benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 395),  
 2-[4-[2-(3,4-difluorophenyl)-5-(isopropylcarbamoyl)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 396),  
 10 2-[4-[2-(2,4-difluorophenyl)-5-(isopropylcarbamoyl)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 397),  
 2-[4-[2-(3,5-dichlorophenyl)-5-(isopropylcarbamoyl)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 398),  
 15 2-[4-[2-(3-chloro-4-fluorophenyl)-5-(isopropylcarbamoyl)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 399),  
 2-[4-[2-(3,4-dichlorophenyl)-5-(isopropylcarbamoyl)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 400),  
 20 2-[4-[2-(4-chloro-2-fluorophenyl)-5-(isopropylcarbamoyl)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 401),  
 25 2-[4-[2-(4-chloro-2-fluorophenyl)-5-(pyrrolidin-1-ylcarbonyl)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 402),  
 30 2-[4-[2-(4-chloro-3-fluorophenyl)-5-(pyrrolidin-1-ylcarbonyl)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 403),  
 35 2-[4-[2-(4-chloro-3-fluorophenyl)-5-(isopropylcarbamoyl)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 404),  
 2-[4-[2-[4-(methylthio)phenyl]-5-(2-oxopyrrolidin-1-yl)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 405),

- 2-{4-[2-{4-(methylthio)phenyl}-5-(isopropylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 406),  
 2-{4-[4-chloro-2-(4-chlorophenyl)-5-(1,1-dioxoisothiazolidin-2-yl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 407),  
 2-{4-[4-chloro-2-(4-chlorophenyl)-5-(2-oxopyrrolidin-1-yl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 408),  
 10 2-{4-[2-(4-chlorophenyl)-5-(isopropylaminosulfonyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 409),  
 2-{4-[2-(4-chlorophenyl)-5-(dimethylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-cyclopentylbenzimidazole-5-carboxylic acid hydrochloride (Example 410),  
 15 2-{4-[2-(4-chlorophenyl)-5-(4-hydroxypiperidin-1-ylcarbonyl)-benzyloxy]-2-fluorophenyl}-1-cyclopentylbenzimidazole-5-carboxylic acid hydrochloride (Example 411),  
 2-{4-[2-(4-chlorophenyl)-5-(isopropylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-cyclopentylbenzimidazole-5-carboxylic acid hydrochloride (Example 412),  
 20 2-{4-[2-(4-chlorophenyl)-5-(isopropylcarbamoyl)benzyloxy]phenyl}-1-cyclopentylbenzimidazole-5-carboxylic acid hydrochloride (Example 413),  
 25 2-{4-[2-(4-chlorophenyl)-5-(dimethylcarbamoyl)benzyloxy]phenyl}-1-cyclopentylbenzimidazole-5-carboxylic acid hydrochloride (Example 414),  
 2-{4-[2-(4-chlorophenyl)-5-(4-hydroxypiperidin-1-ylcarbonyl)benzyloxy]phenyl}-1-cyclopentylbenzimidazole-5-carboxylic acid hydrochloride (Example 415),  
 30 2-{4-[2-(4-chlorophenyl)-5-(isopropylcarbamoyl)benzyloxy]phenyl}-1-(tetrahydrothiopyran-4-yl)benzimidazole-5-carboxylic acid hydrochloride (Example 416),  
 2-{4-[2-(4-chlorophenyl)-5-(pyrrolidin-1-ylcarbonyl)benzyloxy]-35 phenyl}-1-(tetrahydrothiopyran-4-yl)benzimidazole-5-carboxylic acid hydrochloride (Example 417),

- 2-{4-[2-(4-chlorophenyl)-5-(isopropylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-(tetrahydrothiopyran-4-yl)benzimidazole-5-carboxylic acid hydrochloride (Example 418),
- 2-{4-[2-(4-chlorophenyl)-5-(2-oxopyrrolidin-1-yl)benzyloxy]-2-fluorophenyl}-1-(tetrahydrothiopyran-4-yl)benzimidazole-5-carboxylic acid hydrochloride (Example 419),
- 2-{4-[2-(4-chlorophenyl)-5-(isopropylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-piperidinobenzimidazole-5-carboxylic acid hydrochloride (Example 420),
- 2-{4-[2-(4-chlorophenyl)-5-(pyrrolidin-1-ylcarbonyl)benzyloxy]-2-fluorophenyl}-1-piperidinobenzimidazole-5-carboxylic acid (Example 421),
- 2-{4-[2-(4-chlorophenyl)-5-(2-imidazolin-2-yl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid dihydrochloride (Example 422),
- 2-{4-[2-(4-chlorophenyl)-5-(2-oxoazolidin-3-yl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 423),
- 2-{4-[2-(4-chlorophenyl)-5-(2-oxoimidazolidin-1-yl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 424),
- 2-{4-[2-(4-chlorophenyl)-5-(2-oxazolin-2-ylamino)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid dihydrochloride (Example 425),
- 2-{4-[2-[{(dimethylcarbamoyl)methoxy]methyl}-4-(4-fluorophenyl)thiazol-5-yl]methoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 426),
- 2-{4-[{4-(4-fluorophenyl)-2-(4-hydroxypiperidin-1-yl)methyl}thiazol-5-yl]methoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid dihydrochloride (Example 427),
- 2-{4-[{4-(4-fluorophenyl)-2-[(carbamoylmethoxy)methyl]thiazol-5-yl]methoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 428),
- 2-{4-[{4-(4-fluorophenyl)-2-(methylcarbamoyl)thiazol-5-yl]methoxy}-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 429),

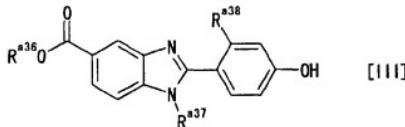
- 2-{4-[{4-(4-fluorophenyl)-2-(2-hydroxyethyl)carbamoyl}thiazol-5-yl]methoxy}-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 430),  
 2-{4-[{2-(4-fluorophenyl)-5-(dimethylcarbamoyl)thiophen-3-yl]methoxy}-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 431),  
 2-{4-[{2-(4-fluorophenyl)-5-(isopropylcarbamoyl)thiophen-3-yl]methoxy}-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 432),  
 10 2-{4-[2-(4-fluorophenyl)-5-(4-hydroxypiperidin-1-ylcarbonyl)thiophen-3-yl]methoxy}-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 433),  
 2-{4-[2-(4-chlorophenyl)-5-(dimethylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-cyclohexyl-5-tetrazol-5-ylbenzimidazole (Example 434),  
 15 2-{4-[2-(4-carboxyphenyl)-5-chlorobenzyloxy]-2-fluorophenyl}-1-cyclohexyl-5-tetrazol-5-ylbenzimidazole hydrochloride (Example 435),  
 20 2-{4-[2-(4-chlorophenyl)-5-(isopropylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-cyclohexyl-5-(2,5-dihydro-5-oxo-4H-1,2,4-oxadiazol-3-yl)benzimidazole hydrochloride (Example 436),  
 2-{4-[5-carboxy-2-(4-chlorophenyl)benzyloxy]-2-fluorophenyl}-5-cyano-1-cyclohexylbenzimidazole (Example 437),  
 25 2-{4-[2-(4-chlorophenyl)-5-(dimethylcarbamoyl)benzyloxy]-2-fluorophenyl}-5-cyano-1-cyclohexylbenzimidazole (Example 438),  
 2-{4-[{N-(4-dimethylcarbamoyl)-N-(4-fluorophenyl)amino}-methyl]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 439),  
 30 2-{5-[bis(3-fluorophenyl)methyl]-2-fluoro-4-hydroxyphenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 440),  
 2-{3-[bis(3-fluorophenyl)methyl]-2-fluoro-4-hydroxyphenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 441),  
 35 2-{4-[{3-dimethylcarbamoylphenyl}(4-fluorophenyl)methoxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 442),

- 2-[4-[{3-(4-hydroxypiperidyl-1-ylcarbonyl)phenyl}(4-fluorophenyl)methoxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 443),  
 1-[2-[4-(4-fluorophenyl)-2-methylthiazol-5-yl]methoxyphenyl]-1-cyclohexylbenzimidazol-5-yl]carbonyl- $\beta$ -D-glucuronic acid (Example 444),  
 {[2-[4-[bis(3-fluorophenyl)methoxy]-2-fluorophenyl]-1-cyclohexylbenzimidazol-5-yl]carbonyl}- $\beta$ -D-glucuronic acid (Example 445),  
 10 2-[4-[2-(4-chlorophenyl)-5-(1,1-dioxoisothiazolidin-2-yl)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 446),  
 3-[(4-(5-aminosulfonyl-1-cyclohexylbenzimidazol-2-yl)-3-fluorophenoxy)methyl]-4-(4-chlorophenyl)-N-isopropylbenzamide  
 15 (Example 447),  
 2-[4-(2-(4-chlorophenyl)-6-(isopropylaminocarbonyl)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 448),  
 2-[4-(2-(4-chlorophenyl)-4-fluoro-5-(1,1-dioxoisothiazolidin-2-yl)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 449),  
 20 2-[4-(2-(4-chlorophenyl)-5-(isopropylaminocarbonyl)benzyloxy]-2-fluorophenyl]-1-cyclohexyl-4-methoxybenzimidazole-5-carboxylic acid hydrochloride (Example 450),  
 25 2-[4-(2-(4-chlorophenyl)-5-(N-isopropylcarbonyl-N-methylamino)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 451),  
 2-[4-(2-(4-chlorophenyl)-5-(isopropylcarbonylamino)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid  
 30 hydrochloride (Example 452),  
 2-[3-[(4-(4-fluorophenyl)-2-methylthiazol-5-yl)methyl]-4-hydroxyphenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 453),  
 2-[4-(2-(4-chlorophenyl)-4-fluoro-5-(2-oxopyrrolidin-1-yl)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 454),

- 2-[4-(2-(4-chlorophenyl)-5-(methylsulfonylamino)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 455),  
 2-[4-(2-(4-chlorophenyl)-5-[N-methyl-N-  
 5 (methylsulfonyl)amino]benzyloxy]-2-fluorophenyl]-1-  
 cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example  
 456),  
 2-[4-{3-(4-chlorophenyl)-6-(2-oxopyrrolidin-1-yl)pyridin-2-  
 yl]methoxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-  
 10 carboxylic acid hydrochloride (Example 457),  
 2-[4-(2-(4-chlorophenyl)-5-(acetylamino)benzyloxy]-2-  
 fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid  
 hydrochloride (Example 458),  
 2-[4-(2-(4-chlorophenyl)-5-(N-acetyl-N-ethylamino)benzyloxy]-2-  
 15 fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid  
 hydrochloride (Example 459),  
 2-[4-(2-(4-chlorophenyl)-5-(N-acetyl-N-propylamino)benzyloxy]-2-  
 fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid  
 hydrochloride (Example 460),  
 20 2-[4-(2-(4-chlorophenyl)-5-[N-ethyl-N-(methylsulfonyl)amino]-  
 benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic  
 acid hydrochloride (Example 461),  
 2-[4-(2-(4-chlorophenyl)-5-[N-(methylsulfonyl)-N-  
 propylamino]benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-  
 25 5-carboxylic acid hydrochloride (Example 462),  
 2-[4-(2-(4-chlorophenyl)-5-(N-acetyl-N-methylamino)benzyloxy]-2-  
 fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid  
 hydrochloride (Example 463),  
 2-[4-(2-(4-chlorophenyl)-5-[N-(ethylsulfonyl)-N-methylamino]-  
 30 benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic  
 acid hydrochloride (Example 464),  
 2-[4-(2-(4-chlorophenyl)-5-[N-ethyl-N-(ethylsulfonyl)amino]-  
 benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic  
 acid hydrochloride (Example 465),  
 35 2-[4-(2-(4-chlorophenyl)-5-[N-(ethylcarbonyl)-N-methylamino]-  
 benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic  
 acid hydrochloride (Example 466),

- 2-[4-(2-(4-chlorophenyl)-5-[N-ethyl-N-(ethylcarbonyl)amino]-benzyloxy)-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 467),  
 5 2-[4-(2-(4-chlorophenyl)-5-methoxybenzyloxy)-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 468),  
 2-[4-(2-(4-chlorophenyl)-5-(N-acetyl-N-isopropylamino)-benzyloxy)-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 469),  
 10 {[2-[4-(2-(4-chlorophenyl)-5-(2-oxopyrrolidin-1-yl)benzyloxy]-2-fluorophenyl)-1-cyclohexylbenzimidazol-5-yl]carbonyl}- $\beta$ -D-glucuronic acid (Example 470),  
 2-[4-[2-(4-chlorophenyl)-5-(isopropylcarbamoyl)benzyloxy]phenyl]-3-cyclohexyl-3H-imidazo[4,5-b]pyridine-6-carboxylic acid hydrochloride (Example 702), and  
 15 2-[4-[2-(4-chlorophenyl)-5-(pyrrolidin-1-ylcarbonyl)benzyloxy]-phenyl]-3-cyclohexyl-3H-imidazo[4,5-b]pyridine-6-carboxylic acid hydrochloride (Example 703).
- (63) A pharmaceutical composition comprising a fused ring compound of any of (29) to (62) above, or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.
- (64) A hepatitis C virus polymerase inhibitor comprising a fused ring compound of any of (1) to (28) and (29) to (62) above, or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.
- (65) An anti-hepatitis C virus agent comprising a fused ring compound of any of (1) to (28) and (29) to (62) above, or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.
- 30 (66) A therapeutic agent for hepatitis C comprising a fused ring compound of any of (29) to (62) above, or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.
- (67) An anti-hepatitis C virus agent comprising (a) the anti-hepatitis C virus agent of (65) above and (b) at least one agent selected from the group consisting of a different antiviral agent, an antiinflammatory agent and an immunostimulant.

- (68) An anti-hepatitis C virus agent comprising (a) the anti-hepatitis C virus agent of (65) above and (b) interferon.
- (69) A therapeutic agent for hepatitis C comprising (a) the hepatitis C virus polymerase inhibitor of (64) above and (b) at least one agent selected from the group consisting of a different antiviral agent, an antiinflammatory agent and an immunostimulant.
- (70) A therapeutic agent for hepatitis C comprising (a) the hepatitis C virus polymerase inhibitor of (64) above and (b) interferon.
- 10 (71) A benzimidazole compound of the following formula [III]



- wherein R<sup>a36</sup> is hydrogen atom or carboxyl-protecting group, R<sup>a37</sup> is cyclopentyl or cyclohexyl, and R<sup>a38</sup> is hydrogen atom or fluorine atom, or a salt thereof.
- 15 (72) A thiazole compound selected from the group consisting of 4-(4-fluorophenyl)-5-hydroxymethyl-2-methylthiazole and 4-(4-fluorophenyl)-5-chloromethyl-2-methylthiazole, or a pharmaceutically acceptable salt thereof.
- (73) A biphenyl compound selected from the group consisting of 1-(4'-chloro-2-hydroxymethyl-biphenyl-4-yl)-2-pyrrolidinone and 1-(4'-chloro-2-chloromethyl-biphenyl-4-yl)-2-pyrrolidinone, or a pharmaceutically acceptable salt thereof.
- 20 (74) A pharmaceutical composition comprising (a) a fused ring compound of the formula [I] of (1) above or a pharmaceutically acceptable salt thereof and (b) at least one agent selected from the group consisting of an antiviral agent other than the compound of (1) above, an antiinflammatory agent and an immunostimulant.
- (75) A pharmaceutical composition comprising (a) a fused ring compound of the formula [I] of (1) above or a pharmaceutically acceptable salt thereof and (b) interferon.
- 25 (76) A method for treating hepatitis C, which comprises administering an effective amount of a fused ring compound of the

formula [I] of (1) above or a pharmaceutically acceptable salt thereof.

(77) The method of (76) above, further comprising administering an effective amount of at least one agent selected from the group  
5 consisting of an antiviral agent other than the compound of (1) above, an antiinflammatory agent and an immunostimulant.

(78) The method of (76) above, further comprising administering an effective amount of interferon.

(79) A method for inhibiting hepatitis C virus polymerase, which  
10 comprises administering an effective amount of a fused ring compound of the formula [I] of (1) above or a pharmaceutically acceptable salt thereof.

(80) The method of (79) above, further comprising administering an effective amount of at least one agent selected from the group  
15 consisting of an antiviral agent other than the compound of (1) above, an antiinflammatory agent and an immunostimulant.

(81) The method of (79) above, further comprising administering an effective amount of interferon.

(82) Use of a fused ring compound of the formula [I] of (1) above  
20 or a pharmaceutically acceptable salt thereof for the production of a pharmaceutical agent for treating hepatitis C.

(83) Use of a fused ring compound of the formula [I] of (1) above or a pharmaceutically acceptable salt thereof for the production of a hepatitis C virus polymerase inhibitor.

25 (84) A pharmaceutical composition for the treatment of hepatitis C, which comprises a fused ring compound of the formula [I] of (1) above or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.

(85) A pharmaceutical composition for inhibiting hepatitis C  
30 virus polymerase, which comprises a fused ring compound of the formula [I] of (1) above or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.

(86) A commercial package comprising a pharmaceutical composition of (84) above and a written matter associated therewith, the  
35 written matter stating that the pharmaceutical composition can or should be used for treating hepatitis C.

(87) A commercial package comprising a pharmaceutical composition of (85) above and a written matter associated therewith, the

written matter stating that the pharmaceutical composition can or should be used for inhibiting hepatitis C virus polymerase.

The definitions of respective substituents and moieties used in the present specification are as follows.

5 The halogen atom is a fluorine atom, chlorine atom, bromine atom or iodine atom, preferably fluorine atom, chlorine atom or bromine atom.

Particularly preferably, the halogen atom is fluorine atom at R<sup>5</sup>, R<sup>5'</sup>, R<sup>6</sup>, R<sup>6'</sup>, group A and group C, and fluorine atom or 10 chlorine atom at X, Z, Z', group B and group D.

The C<sub>1-6</sub> alkyl is straight chain or branched chain alkyl having 1 to 6 carbon atoms, and is exemplified by methyl, ethyl, propyl, isopropyl, butyl, isobutyl, sec-butyl, tert-butyl, pentyl, isopentyl, tert-pentyl, hexyl and the like.

15 Preferably, it is straight chain or branched chain alkyl having 1 to 4 carbon atoms, and is particularly preferably methyl at R<sup>a7</sup>, R<sup>a8</sup>, R<sup>a9</sup>, R<sup>a15</sup>, R<sup>a16</sup>, R<sup>a17</sup>, R<sup>a33</sup>, R<sup>a35</sup>, R<sup>b6</sup> and R<sup>b7</sup> and methyl or tert-butyl at R<sup>b1</sup>, R<sup>b2</sup>, group B and group C, and methyl, ethyl, propyl or isopropyl at R<sup>a23</sup>.

20 The halogenated C<sub>1-6</sub> alkyl is the above-defined C<sub>1-6</sub> alkyl except that it is substituted by the above-defined halogen atom. Preferably, it is halogenated alkyl wherein the alkyl moiety thereof is straight chain or branched chain alkyl having 1 to 4 carbon atoms. Examples thereof include fluoromethyl,

25 difluoromethyl, trifluoromethyl, bromomethyl, chloromethyl, 1,2-dichloromethyl, 2,2-dichloromethyl, 2,2,2-trifluoroethyl and the like.

The halogenated C<sub>1-6</sub> alkyl is particularly preferably trifluoromethyl at group B.

30 The C<sub>1-6</sub> alkylene is straight chain alkylene having 1 to 6 carbon atoms, and is exemplified by methylene, ethylene, trimethylene, tetramethylene, pentamethylene or hexamethylene.

The C<sub>1-6</sub> alkylene is preferably methylene or ethylene at Y.

35 The C<sub>2-6</sub> alkenylene is straight chain alkenylene having 2 to 6 carbon atoms, and is exemplified by vinylene, propenylene, 1-but enylene, 1,3-butadienylene and the like.

The C<sub>2-6</sub> alkenylene is preferably vinylene at Y.

The C<sub>1-6</sub> alkoxy is alkyloxy wherein the alkyl moiety thereof is the above-defined C<sub>1-6</sub> alkyl. Preferably, it is alkoxy wherein the alkyl moiety thereof is straight chain or branched chain alkyl having 1 to 4 carbon atoms. Examples thereof include 5 methoxy, ethoxy, propoxy, isopropoxy, butoxy, isobutyloxy, tert-butyloxy, pentyloxy, hexyloxy and the like.

The C<sub>1-6</sub> alkoxy is particularly preferably methoxy at R<sup>a2</sup>, R<sup>a3</sup>, R<sup>a27</sup>, R<sup>a28</sup>, R<sup>a33</sup>, group A and group C.

The C<sub>1-6</sub> alkoxy C<sub>1-6</sub> alkoxy is that wherein C<sub>1-6</sub> alkoxy in the 10 above definition is substituted by C<sub>1-6</sub> alkoxy defined above and is preferably that wherein the alkyl moiety thereof is straight chain or branched chain alkyl having 1 to 4 carbon atoms. Specific examples include methoxymethyl, ethoxymethyl, methoxyethoxy, methoxypropoxy, isopropoxyethoxy and the like.

15 The group A is particularly preferably methoxyethoxy.

The C<sub>1-6</sub> alkanoyl is alkylcarbonyl wherein the alkyl moiety thereof is the above-defined C<sub>1-6</sub> alkyl. Preferably, it is alkanoyl wherein the alkyl moiety thereof is straight chain or branched chain alkyl having 1 to 4 carbon atoms. Examples thereof 20 include acetyl, propionyl, butyryl, isobutyryl, pivaloyl and the like.

The C<sub>1-6</sub> alkanoyl is particularly preferably acetyl at R<sup>i</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>a5</sup>, R<sup>a29</sup>, R<sup>b7</sup> and group B.

The C<sub>1-6</sub> alkoxy carbonyl is alkyloxycarbonyl wherein the 25 alkoxy moiety thereof is the above-defined C<sub>1-6</sub> alkoxy. Preferably, it is alkoxy carbonyl wherein the alkyl moiety thereof is straight chain or branched chain alkyl having 1 to 4 carbon atoms. Examples thereof include methoxycarbonyl, ethoxycarbonyl, propoxycarbonyl, isopropoxyloxycarbonyl, butoxycarbonyl, 30 isobutyloxycarbonyl, tert-butyloxycarbonyl, pentyloxycarbonyl, hexyloxycarbonyl and the like.

The C<sub>1-6</sub> alkoxy carbonyl is particularly preferably methoxycarbonyl or ethoxycarbonyl at R<sup>a10</sup> and group A.

The C<sub>1-6</sub> alkylamino is alkylamino or dialkylamino wherein 35 the alkyl moiety thereof is the above-defined C<sub>1-6</sub> alkyl.

Preferably, it is alkylamino or dialkylamino wherein the alkyl moiety thereof is straight chain or branched chain alkyl having 1 to 4 carbon atoms. Examples thereof include methylamino,

ethylamino, propylamino, isopropylamino, butylamino, isobutylamino, tert-butylamino, pentylamino, hexylamino, dimethylamino, diethylamino, methylethylamino, N-isopropyl-N-isobutylamino and the like.

5 The C<sub>1-6</sub> alkylamino is particularly preferably methylamino at R<sup>a7</sup>, and particularly preferably dimethylamino at R<sup>a21</sup> and group A, and particularly preferably dimethylamino, ethylamino or isopropylamino at R<sup>a24</sup>.

The C<sub>1-6</sub> alkanoylamino is alkylcarbonylamino wherein the 10 alkanoyl moiety thereof is the above-defined C<sub>1-6</sub> alkanoyl. Preferably, it is alkylcarbonylamino wherein the alkyl moiety thereof is straight chain or branched chain alkyl having 1 to 4 carbon atoms. Examples thereof include acetylamino, 15 propionylamino, butyrylamino, isobutyrylamino, pivaloylamino and the like.

The C<sub>1-6</sub> alkanoylamino is particularly preferably acetylamino at X and R<sup>a10</sup>.

The C<sub>1-6</sub> alkylsulfonyl is alkylsulfonyl wherein the alkyl moiety thereof is the above-defined C<sub>1-6</sub> alkyl. Preferably, it is 20 alkylsulfonyl wherein the alkyl moiety thereof is straight chain or branched chain alkyl having 1 to 4 carbon atoms. Examples thereof include methylsulfonyl, ethylsulfonyl, propylsulfonyl, isopropylsulfonyl, butylsulfonyl, isobutylsulfonyl, tert-butylsulfonyl, pentylsulfonyl, hexylsulfonyl and the like.

25 The C<sub>1-6</sub> alkylsulfonyl is particularly preferably methylsulfonyl at X and R<sup>a5</sup>.

The C<sub>6-14</sub> aryl is aromatic hydrocarbon having 6 to 14 carbon atoms. Examples thereof include phenyl, naphthyl, anthryl, indenyl, azulenyl, fluorenyl, phenanthryl and the like.

30 The C<sub>6-14</sub> aryl is preferably phenyl or naphthyl, particularly preferably phenyl at the ring A, ring A', ring B and ring B'.

The C<sub>3-8</sub> cycloalkyl is saturated cycloalkyl having 3 to 8, 35 preferably 5 to 7, carbon atoms. Examples thereof include cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl and cyclooctyl.

The C<sub>3-8</sub> cycloalkyl is particularly preferably cyclohexyl at the ring A, ring A', ring B and ring B'.

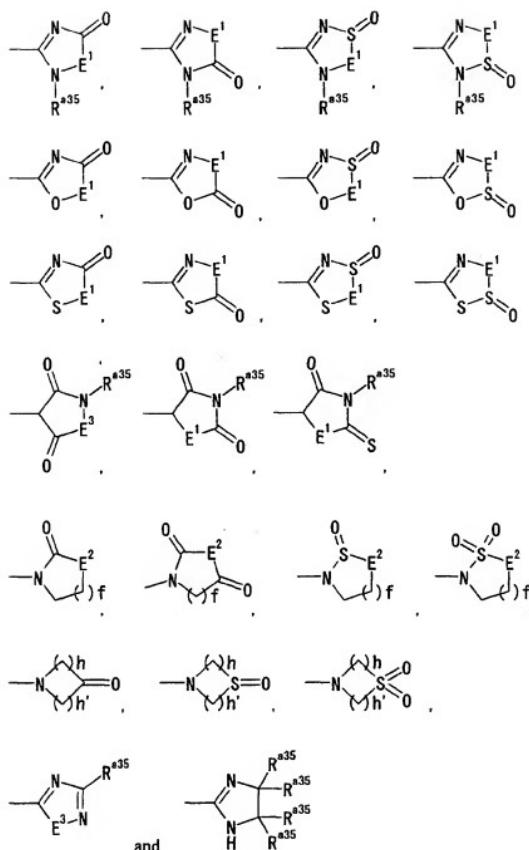
The C<sub>3-8</sub> cycloalkenyl is cycloalkenyl having 3 to 8, preferably 5 to 7, carbon atoms and has at least 1, preferably 1 or 2, double bond(s). Examples thereof include cyclopropenyl, cyclobutenyl, cyclopentenyl, cyclopentadienyl, cyclohexenyl, 2,4-5 cyclohexadien-1-yl, 2,5-cyclohexadien-1-yl, cycloheptenyl and cyclooctenyl and the like, but do not include aryl (e.g., phenyl) or completely saturated cycloalkyl.

The C<sub>3-8</sub> cycloalkenyl is preferably cyclohexenyl at the ring A and ring A'.

10 The heterocyclic group has, as an atom constituting the ring, 1 to 4 heteroatom(s) selected from an oxygen atom, a nitrogen atom and a sulfur atom, besides a carbon atom, and includes saturated ring and unsaturated ring, monocyclic ring and fused ring having the number of ring atom constituting the ring 15 of 3 to 14.

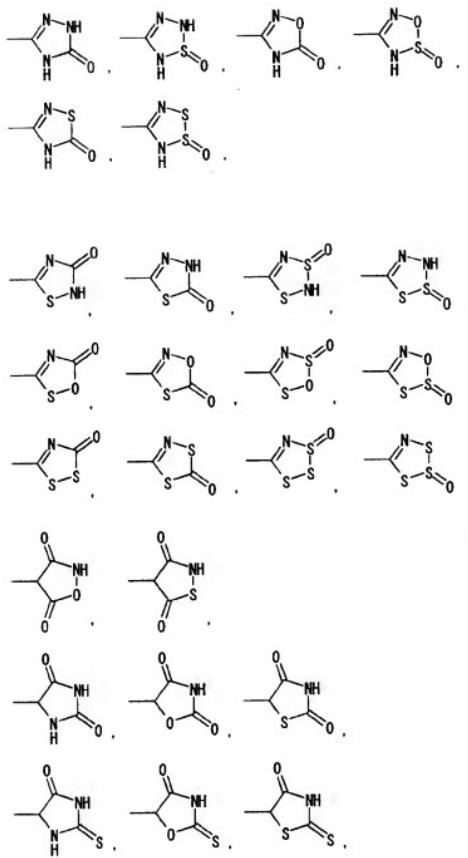
The heterocyclic group as a monocyclic ring includes, for example, pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, 1,3,5-triazinyl, pyrrolyl, pyrazolyl, imidazolyl, 1,2,4-triazolyl, tetrazolyl, thienyl, furyl, oxazolyl, isoxazolyl, thiazolyl, 20 isothiazolyl, thiadiazolyl, pyrrolinyl, pyrrolidinyl, imidazolidinyl, piperidyl, piperazinyl, morpholinyl, thiomorpholinyl, tetrahydropyranyl and the like.

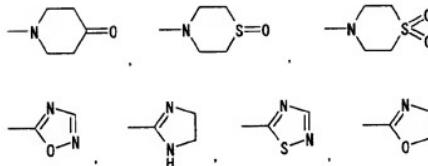
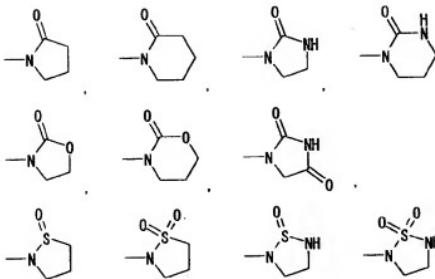
The heterocyclic group includes the groups of the following formulas.



5 wherein E<sup>1</sup> is an oxygen atom, a sulfur atom or N(-R<sup>a35</sup>), E<sup>2</sup> is an oxygen atom, CH<sub>2</sub> or N(-R<sup>a35</sup>), E<sup>3</sup> is an oxygen atom or a sulfur atom, wherein R<sup>a35</sup> is independently hydrogen atom or C<sub>1-6</sub> alkyl, f is an integer of 1 to 3, and h and h' are the same or different and each is an integer of 1 to 3.

10 Specific examples of the heterocyclic group include

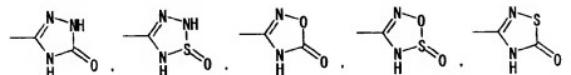


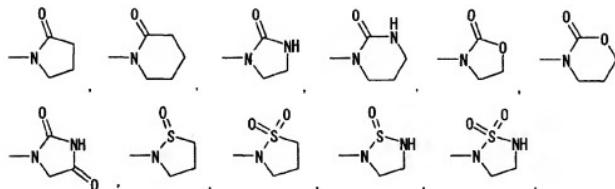
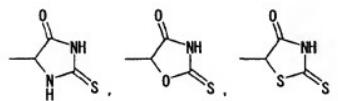
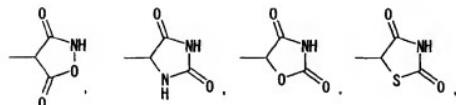
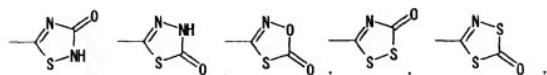
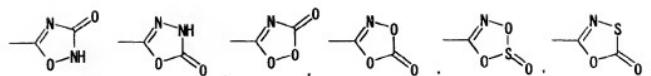


5 and the like.

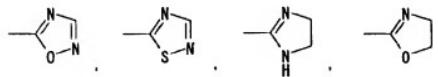
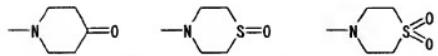
Examples of the heterocyclic group as a fused ring include quinolyl, isoquinolyl, quinazolinyl, quinoxalyl, phthalazinyl, cinnolinyl, naphthyridinyl, 5,6,7,8-tetrahydroquinolyl, indolyl, benzimidazolyl, 2,3-dihydrobenzimidazolyl, 2,3-dihydro-2-10 oxobenzimidazolyl, indolinyl, benzofuranyl, benzothienyl, benzoxazolyl, benzothiazolyl and the like.

Preferably, it is a heterocyclic group which is a 5-membered or a 6-membered monocyclic group. Examples thereof include pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, 1,3,5-triazinyl, pyrrolyl, pyrazolyl, imidazolyl, 1,2,4-triazolyl, 15 tetrazolyl, thienyl, furyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, thiadiazolyl, pyrrolidinyl, piperidyl, piperazinyl





5



and the like.

At R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, Z and group D, tetrazolyl and 5-oxo-Δ<sup>2</sup>-1,2,4-oxadiazolin-3-yl are particularly preferable.

The heterocyclic group is preferably pyridyl, pyrazinyl, pyrimidinyl or pyridazinyl which is an aromatic group, and particularly preferably pyridyl at the ring A and ring A'.

The heterocyclic group is particularly preferably pyridyl, 5 pyrazinyl, pyrimidinyl, pyridazinyl, 1,3,5-triazinyl, pyrrolyl, pyrazolyl, imidazolyl, 1,2,4-triazolyl, tetrazolyl, thiienyl, furyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl or thiadiazolyl, which is an aromatic group, at the ring B and ring B'. More preferably it is pyridyl or thiazolyl, most preferably 10 thiazolyl.

The C<sub>6-14</sub> aryl C<sub>1-6</sub> alkyl is arylalkyl wherein the alkyl moiety thereof is the above-defined C<sub>1-6</sub> alkyl and the aryl moiety is the above-defined C<sub>6-14</sub> aryl. Preferably, it is arylalkyl wherein the alkyl moiety thereof is straight chain alkyl having 1 15 to 4 carbon atoms and the aryl moiety is phenyl. Examples thereof include benzyl, phenethyl, 3-phenylpropyl, 2-phenylpropyl, 4-phenylbutyl and the like.

The C<sub>6-14</sub> aryl C<sub>1-6</sub> alkyl is particularly preferably benzyl at R<sup>a8</sup> and R<sup>b6</sup>.  
20 The glucuronic acid residue is glucuronic acid less any hydroxyl group, preferably β-D-glucuronic acid substituted at 1-position.

The C<sub>6-14</sub> aryl C<sub>1-6</sub> alkyloxycarbonyl is arylalkyloxycarbonyl wherein the C<sub>6-14</sub> aryl C<sub>1-6</sub> alkyl moiety thereof is the above-defined C<sub>6-14</sub> aryl C<sub>1-6</sub> alkyl. Preferably, it is 25 arylalkyloxycarbonyl wherein the alkyl moiety thereof is straight chain alkyl having 1 to 4 carbon atoms and the aryl moiety is phenyl. Examples thereof include benzyloxycarbonyl, phenethyloxycarbonyl, 3-phenylpropyloxycarbonyl, 2-phenylpropyloxycarbonyl, 4-phenylbutyloxycarbonyl and the like.

The C<sub>6-14</sub> aryl C<sub>1-6</sub> alkyloxycarbonyl is particularly preferably benzyloxycarbonyl at R<sup>b7</sup>.

The optionally substituted C<sub>1-6</sub> alkyl is the above-defined C<sub>1-6</sub> alkyl, preferably that wherein straight chain or branched 35 chain alkyl having 1 to 4 carbon atoms is optionally substituted with 1 to 3 substituent(s), and includes unsubstituted alkyl. The substituent(s) is(are) selected from the above-defined halogen atom, hydroxyl group, carboxyl, amino, the above-defined C<sub>1-6</sub>

alkoxy, the above-defined C<sub>1-6</sub> alkoxy C<sub>1-6</sub> alkoxy, the above-defined C<sub>1-6</sub> alkoxycarbonyl and the above-defined C<sub>1-6</sub> alkylamino. Examples of optionally substituted C<sub>1-6</sub> alkyl include methyl, ethyl, propyl, isopropyl, butyl, isobutyl, sec-butyl, tert-butyl,  
 5 pentyl, isopentyl, tert-pentyl, neopentyl, 1-ethylpropyl, hexyl, trifluoromethyl, hydroxymethyl, 2-hydroxyethyl, 3-hydroxypropyl, 4-hydroxybutyl, 1-hydroxy-1-methylethyl, 1-hydroxypropan-2-yl, 1,3-dihydroxypropan-2-yl, 1-hydroxy-2-methylpropan-2-yl, carboxymethyl, 2-carboxylethyl, methoxymethyl, methoxyethyl,  
 10 methoxyethoxyethyl, ethoxycarbonylmethyl, 2-ethoxycarbonylethyl, 2-dimethylaminoethyl and the like.

Preferably, the optionally substituted C<sub>1-6</sub> alkyl is methyl, 1-hydroxy-1-methylethyl, carboxymethyl or 2-dimethylaminoethyl at R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup>, methyl or trifluoromethyl at R<sup>5</sup>, R<sup>5'</sup>, R<sup>6</sup> and  
 15 R<sup>6'</sup>, methyl at R<sup>7</sup>, R<sup>8</sup>, R<sup>a31</sup> and R<sup>b5</sup>, methyl, ethyl or isopropyl at R<sup>a24</sup>, methyl or isopropyl at R<sup>a18</sup>, methyl or ethyl at R<sup>a1</sup>, R<sup>a19</sup> and R<sup>a25</sup>, methyl, carboxymethyl or 2-dimethylaminoethyl at R<sup>a2</sup> and R<sup>a3</sup>, methyl or carboxymethyl at R<sup>a6</sup>, methyl, ethyl, isopropyl, butyl or trifluoromethyl at X, methyl, ethyl, isopropyl, butyl,  
 20 isobutyl, tert-butyl, isopentyl, neopentyl, 1-ethylpropyl or carboxymethyl at R<sup>a10</sup>, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, trifluoromethyl, 2-hydroxyethyl or carboxymethyl at R<sup>a11</sup>, methyl or 4-hydroxybutyl at R<sup>a12</sup>, methyl, ethyl, isopropyl, butyl, 2-hydroxyethyl, 4-hydroxybutyl, ethoxycarbonylmethyl, 2-  
 25 (ethoxycarbonyl)ethyl or 2-dimethylaminoethyl at R<sup>a13</sup>, methyl, propyl, butyl, isopentyl, trifluoromethyl, hydroxymethyl, 2-hydroxyethyl, 3-hydroxypropyl, methoxymethyl, methoxyethoxyethyl or carboxymethyl at R<sup>a20</sup>, methyl or ethyl at R<sup>a22</sup> and R<sup>a23</sup>, methyl isopropyl or tert-butyl at R<sup>a26</sup>, methyl, ethyl, propyl, isopropyl,  
 30 butyl, tert-butyl, isobutyl, 2-hydroxyethyl, 1-hydroxypropan-2-yl, 1-hydroxy-2-methylpropan-2-yl or carboxymethyl at R<sup>a27</sup> and R<sup>a28</sup>, and methyl, ethyl, propyl, isopropyl, tert-butyl, trifluoromethyl, hydroxymethyl, 2-hydroxyethyl, 2-carboxylethyl, methoxymethyl or ethoxycarbonylmethyl at Z, Z' and group D.  
 35 It is particularly preferably, trifluoromethyl at R<sup>5</sup>, R<sup>5'</sup>, R<sup>6</sup> and R<sup>6'</sup>, methyl or tert-butyl at R<sup>a26</sup>, methyl, tert-butyl, trifluoromethyl or hydroxymethyl at Z, Z' and group D, and methyl at other substituents.

The optionally substituted C<sub>2-6</sub> alkenyl is that wherein straight chain or branched chain alkenyl having 2 to 6 carbon atoms is optionally substituted by 1 to 3 substituent(s), and includes unsubstituted alkenyl. The substituent(s) is(are) selected from the above-defined halogen atom, hydroxyl group, carboxyl, amino, the above-defined C<sub>1-6</sub> alkoxy, the above-defined C<sub>1-6</sub> alkoxy C<sub>1-6</sub> alkoxy, the above-defined C<sub>1-6</sub> alkoxycarbonyl and the above-defined C<sub>1-6</sub> alkylamino. Examples of optionally substituted C<sub>2-6</sub> alkenyl include vinyl, allyl, 1-propenyl, 10 isopropenyl, 1-butenyl, 2-butenyl, 1,3-butadienyl, 2-isopentenyl, 3-isohexenyl, 4-methyl-3-pentenyl, 2-carboxylethenyl and the like.

The optionally substituted C<sub>2-6</sub> alkenyl is preferably 2-carboxylethenyl at X, and preferably 2-isopentenyl, 3-isohexenyl or 4-methyl-3-pentenyl at R<sup>a20</sup>.

15 The optionally substituted C<sub>2-6</sub> alkynyl is that wherein straight chain or branched chain alkynyl having 2 to 6 carbon atoms is optionally substituted by 1 to 3 substituent(s), and includes unsubstituted alkynyl. The substituent(s) is(are) selected from the above-defined halogen atom, hydroxyl group, 20 carboxyl, amino, the above-defined C<sub>1-6</sub> alkoxy, the above-defined C<sub>1-6</sub> alkoxycarbonyl and the above-defined C<sub>1-6</sub> alkylamino. Examples thereof include ethynyl, 1-propynyl, 2-propynyl, 3-butynyl and the like.

25 The optionally substituted C<sub>2-6</sub> alkynyl is preferably 2-propynyl at R<sup>a20</sup>.

The C<sub>6-14</sub> aryl optionally substituted by 1 to 5 substituent(s) selected from group B is that wherein the above-defined C<sub>6-14</sub> aryl is optionally substituted by 1 to 5 substituent(s), and includes unsubstituted aryl. The 30 substituent(s) is(are) selected from the above-defined halogen atom, cyano, nitro, the above-defined C<sub>1-6</sub> alkyl, the above-defined halogenated C<sub>1-6</sub> alkyl, the above-defined C<sub>1-6</sub> alkanoyl, -(CH<sub>2</sub>)<sub>r</sub>-COOR<sup>b1</sup>, -(CH<sub>2</sub>)<sub>r</sub>-CONR<sup>b1</sup>R<sup>b2</sup>, -(CH<sub>2</sub>)<sub>r</sub>-NR<sup>b1</sup>R<sup>b2</sup>, -(CH<sub>2</sub>)<sub>r</sub>-NR<sup>b1</sup>-COR<sup>b2</sup>, -(CH<sub>2</sub>)<sub>r</sub>-NHSO<sub>2</sub>R<sup>b1</sup>, -(CH<sub>2</sub>)<sub>r</sub>-OR<sup>b1</sup>, -(CH<sub>2</sub>)<sub>r</sub>-SR<sup>b1</sup>, -(CH<sub>2</sub>)<sub>r</sub>-SO<sub>2</sub>R<sup>b1</sup> and 35 -(CH<sub>2</sub>)<sub>r</sub>-SO<sub>2</sub>NR<sup>b1</sup>R<sup>b2</sup> (wherein R<sup>b1</sup> and R<sup>b2</sup> are each independently hydrogen atom or the above-defined C<sub>1-6</sub> alkyl and r is 0 or an integer of 1 to 6).

Examples thereof include phenyl, naphthyl, anthryl, indenyl, azulenyl, fluorenyl, phenanthryl, 3-fluorophenyl, 4-fluorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2,4-dichlorophenyl, 3,5-dichlorophenyl, pentafluorophenyl, 4-methylphenyl, 4-tert-  
5 butylphenyl, 2-trifluoromethylphenyl, 4-trifluoromethylphenyl, 4-nitrophenyl, 4-cyanophenyl, 4-acetylphenyl, 4-carboxyphenyl, 4-carbamoylphenyl, 4-aminophenyl, 4-dimethylaminophenyl, 4-acetylaminophenyl, 4-(methylsulfonylamino)phenyl, 4-methoxyphenyl,  
10 3,4,5-trimethoxyphenyl, 4-methylthiophenyl, 4-methylsulfonylphenyl, 4-aminosulfonylphenyl, 3-nitro-4-methoxyphenyl and 4-nitro-3-methoxyphenyl.

The aryl moiety is preferably phenyl, the group B here is preferably the above-defined halogen atom, nitro, the above-defined C<sub>1-6</sub> alkyl, the above-defined halogenated C<sub>1-6</sub> alkyl or  
15 -(CH<sub>2</sub>)<sub>r</sub>-OR<sup>b1</sup>. Examples of group B include fluorine atom, chlorine atom, nitro, methyl, tert-butyl, trifluoromethyl and methoxy. Particularly preferably, it is fluorine atom or chlorine atom.

With regard to "C<sub>6-14</sub> aryl optionally substituted by 1 to 5 substituent(s) selected from group B", it is preferably phenyl,  
20 4-tert-butylphenyl, 4-fluorophenyl, 3-chlorophenyl, 4-chlorophenyl, 4-methoxyphenyl or 4-trifluoromethylphenyl at R<sup>a12</sup>, R<sup>a27</sup> and R<sup>a28</sup>, phenyl at R<sup>a14</sup>, R<sup>a22</sup>, R<sup>a23</sup>, R<sup>a26</sup> and R<sup>b5</sup>, phenyl or 3-fluorophenyl at R<sup>a18</sup>, phenyl or 2,4-dichlorophenyl at R<sup>a20</sup>, phenyl, 4-chlorophenyl, 4-trifluoromethylphenyl, 3,5-dichlorophenyl, 3-  
25 nitro-4-methoxyphenyl or 4-nitro-3-methoxyphenyl at R<sup>a24</sup>, and phenyl or 4-methylphenyl at R<sup>a25</sup>.

It is particularly preferably phenyl at other substituents.

The C<sub>6-14</sub> aryl optionally substituted by 1 to 5 substituent(s) selected from group D is that wherein the above-  
30 defined C<sub>6-14</sub> aryl is optionally substituted by 1 to 5 substituent(s), and includes unsubstituted aryl. The substituent(s) is(are) selected from the above-mentioned group D (substituents shown under (a) to (q)).

Examples of group D here include fluorine atom, chlorine atom, bromine atom, nitro, cyano, methyl, ethyl, propyl, isopropyl, tert-butyl, trifluoromethyl, hydroxymethyl, 2-hydroxyethyl, methoxymethyl, 2-carboxylethyl, methoxycarbonylmethyl, ethoxycarbonylmethyl, acetyl, carboxyl,  
35

methoxycarbonyl, ethoxycarbonyl, carbamoyl, methylaminocarbonyl,  
 isopropylaminocarbonyl, dimethylaminocarbonyl,  
 diethylaminocarbonyl, (2-hydroxyethyl)aminocarbonyl,  
 (carboxymethyl)aminocarbonyl, hydroxyl group, methoxy, ethoxy,  
 5 propyloxy, isopropyloxy, isopentyoxy, 2-isopentenoxy, 3-  
 isohexenoxy, 4-methyl-3-pentenoxy, 2-propynoxy,  
 hydroxymethoxy, carboxymethoxy,  
 (dimethylaminocarbonyl)methoxy, amino, methylamino,  
 dimethylamino, diethylamino, acetylamino, methylsulfonylamino,  
 10 methylthio, methylsulfonyl, methylsulfinyl, aminosulfonyl,  
 methylaminosulfonyl, dimethylaminosulfonyl and tetrazolyl.

Examples of C<sub>6-14</sub> aryl optionally substituted by 1 to 5  
 substituent(s) selected from group D include phenyl, naphthyl,  
 anthryl, indenyl, azulenyl, fluorenlyl, phenanthryl, 3-  
 15 fluorophenyl, 4-fluorophenyl, 3-chlorophenyl, 4-chlorophenyl,  
 2,4-dichlorophenyl, 3,5-dichlorophenyl, 4-bromophenyl, 4-  
 nitrophenyl, pentafluorophenyl, 4-methylphenyl, 4-tert-  
 butylphenyl, 2-trifluoromethylphenyl, 4-trifluoromethylphenyl, 4-  
 (hydroxymethyl)phenyl, 4-(methoxymethyl)phenyl, 4-(2-  
 20 carboxylethyl)phenyl, 3-carboxylphenyl, 4-carboxylphenyl, 4-  
 methoxyphenyl, 3,4,5-trimethoxyphenyl, 4-carbamoylphenyl, 4-  
 methylthiophenyl, 4-(dimethylaminocarbonyl)phenyl, 4-  
 methylsulfonylphenyl, 4-acetylaminophenyl, 4-cyanophenyl, 4-  
 acetylphenyl, 4-aminophenyl, 4-dimethylaminophenyl, 4-  
 25 (methylsulfonylamino)phenyl, 4-methylsulfinylphenyl, 4-  
 aminosulfonylphenyl and 3-nitro-4-methoxyphenyl, 4-nitro-3-  
 methoxyphenyl and 4-tetrazol-5-ylphenyl.

At Z and Z', the aryl moiety is preferably phenyl.

The group D here is preferably the above-defined halogen  
 30 atom, nitro, the above-defined optionally substituted C<sub>1-6</sub> alkyl,  
 -(CH<sub>2</sub>)<sub>t</sub>-COOR<sup>a19</sup>, -(CH<sub>2</sub>)<sub>t</sub>-CONR<sup>a27</sup>R<sup>a28</sup>, -(CH<sub>2</sub>)<sub>t</sub>-OR<sup>a20</sup>, -(CH<sub>2</sub>)<sub>t</sub>-NR<sup>a29</sup>CO-R<sup>a24</sup>,  
 -(CH<sub>2</sub>)<sub>t</sub>-S(O)<sub>q</sub>-R<sup>a25</sup> or -(CH<sub>2</sub>)<sub>t</sub>-SO<sub>2</sub>-NHR<sup>a26</sup>.

Particularly preferably, it is the above-defined halogen  
 atom, the above-defined optionally substituted C<sub>1-6</sub> alkyl,  
 35 -(CH<sub>2</sub>)<sub>t</sub>-COOR<sup>a19</sup>, -(CH<sub>2</sub>)<sub>t</sub>-CONR<sup>a27</sup>R<sup>a28</sup>, -(CH<sub>2</sub>)<sub>t</sub>-OR<sup>a20</sup> or -(CH<sub>2</sub>)<sub>t</sub>-S(O)<sub>q</sub>-R<sup>a25</sup>,  
 which is specifically fluorine atom, chlorine atom, bromine atom,  
 nitro, methyl, tert-butyl, carboxyl, trifluoromethyl,  
 hydroxymethyl, methoxymethyl, 2-carboxylethyl, methoxy, carbamoyl,

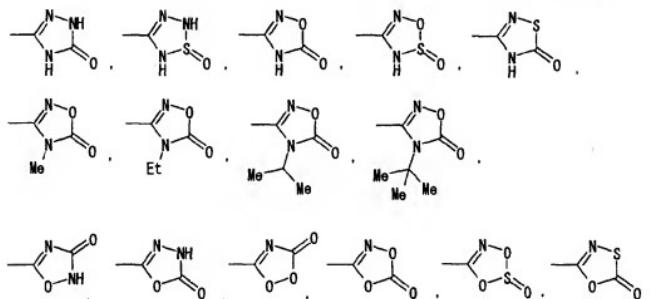
methylthio, dimethylaminocarbonyl, methylsulfonyl or acetylamino. More preferably, it is fluorine atom, chlorine atom, methyl, tert-butyl, carboxyl, methoxy, carbamoyl, methylthio, dimethylaminocarbonyl, methylsulfonyl or acetylamino, most 5 preferably fluorine atom or chlorine atom.

Examples of C<sub>6</sub>-14 aryl optionally substituted by 1 to 5 substituent(s) selected from group D preferably include phenyl, 3-fluorophenyl, 4-fluorophenyl, 3-chlorophenyl, 4-chlorophenyl, 3,5-dichlorophenyl, 4-bromophenyl, 4-nitrophenyl, 4-methylphenyl, 10 4-tert-butylphenyl, 2-trifluoromethylphenyl, 4-trifluoromethylphenyl, 4-(hydroxymethyl)phenyl, 4-(methoxymethyl)phenyl, 4-(2-carboxylethyl)phenyl, 3-carboxylphenyl, 4-carboxylphenyl, 4-methoxyphenyl, 3,4,5-trimethoxyphenyl, 4-carbamoylphenyl, 4-methylthiophenyl, 4-15 (dimethylaminocarbonyl)phenyl, 4-methylsulfonylphenyl, 4-acetylaminophenyl, 4-methylsulfinylphenyl, 4-amino sulfonylphenyl, 4-cyanophenyl and 4-tetrazolylphenyl, particularly preferably 4-chlorophenyl.

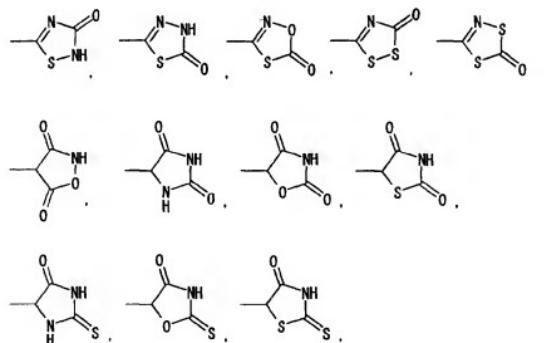
The heterocyclic group optionally substituted by 1 to 5 20 substituent(s) selected from group B is that wherein the above-defined heterocyclic group is optionally substituted by 1 to 5 substituent(s), and includes unsubstituted heterocyclic group.. The substituent(s) is(are) selected from the above-defined halogen atom, cyano, nitro, the above-defined C<sub>1</sub>-6 alkyl, the 25 above-defined halogenated C<sub>1</sub>-6 alkyl, the above-defined C<sub>1</sub>-6 alkanoyl, -(CH<sub>2</sub>)<sub>r</sub>-COOR<sup>b1</sup>, -(CH<sub>2</sub>)<sub>r</sub>-CONR<sup>b1</sup>R<sup>b2</sup>, -(CH<sub>2</sub>)<sub>r</sub>-NR<sup>b1</sup>R<sup>b2</sup>, -(CH<sub>2</sub>)<sub>r</sub>-NR<sup>b1</sup>-COR<sup>b2</sup>, -(CH<sub>2</sub>)<sub>r</sub>-NHSO<sub>2</sub>R<sup>b1</sup>, -(CH<sub>2</sub>)<sub>r</sub>-OR<sup>b1</sup>, -(CH<sub>2</sub>)<sub>r</sub>-SR<sup>b1</sup>, -(CH<sub>2</sub>)<sub>r</sub>-SO<sub>2</sub>R<sup>b1</sup> and -(CH<sub>2</sub>)<sub>r</sub>-SO<sub>2</sub>NR<sup>b1</sup>R<sup>b2</sup> wherein R<sup>b1</sup> and R<sup>b2</sup> are each independently hydrogen atom or the above-defined C<sub>1</sub>-6 alkyl and r 30 is 0 or an integer of 1 to 6.

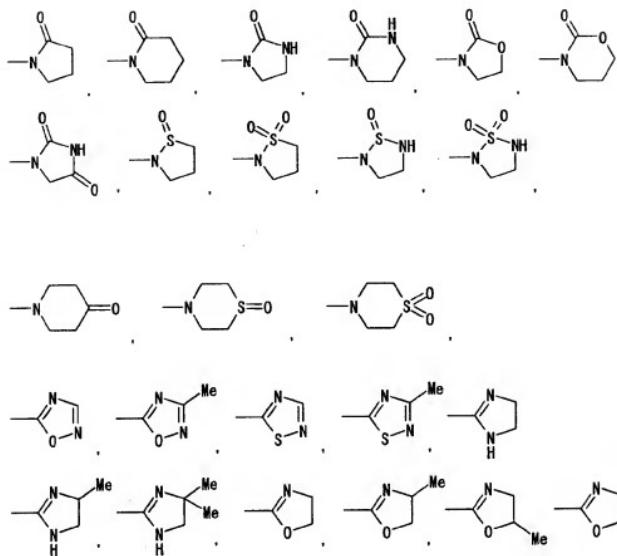
Examples thereof include 2-pyridyl, 3-pyridyl, 4-pyridyl, 3-fluoropyridin-4-yl, 3-chloropyridin-4-yl, 4-chloropyridin-3-yl, pyrazinyl, pyrimidinyl, pyridazinyl, 1,3,5-triazinyl, pyrrolyl, pyrazolyl, imidazolyl, 1,2,4-triazolyl, tetrazolyl, 2-thienyl, 3-thienyl, furyl, oxazolyl, 2-methyloxazol-4-yl, isoxazolyl, thiazolyl, 2-methylthiazol-4-yl, 2,5-dimethylthiazol-4-yl, 2,4-dimethylthiazol-5-yl, isothiazolyl, thiadiazolyl, pyrrolinyl, pyrrolidinyl, 3-hydroxypyrrrolidinyl, imidazolidinyl, azetidinyl,

piperidyl, 3-hydroxypiperidino, 4-hydroxypiperidino, 3,4-dihydroxypiperidino, 4-methoxypiperidino, 4-carboxypiperidino, 4-(hydroxymethyl)piperidino, 2,2,6,6-tetramethylpiperidino,  
 2,2,6,6-tetramethyl-4-hydroxypiperidino, N-methylpiperidin-4-yl,  
 5 N-(tert-butoxycarbonyl)piperidin-4-yl, N-acetyl piperidin-4-yl, N-methylsulfonylpiperidin-4-yl, piperazinyl, 4-methylpiperazinyl, 4-methylsulfonylpiperazinyl, morpholinyl, thiomorpholinyl, 1-oxothiomorpholin-4-yl, 1,1-dioxothiomorpholin-4-yl, tetrahydropyranlyl, quinolyl, isoquinolyl, quinazolinyl,  
 10 quinoxalyl, phthalazinyl, cinnolinyl, naphthyridinyl, 5,6,7,8-tetrahydroquinolyl, indolyl, benzimidazolyl, indolinyl, benzofuranyl, benzothienyl, benzoxazolyl, benzothiazolyl,



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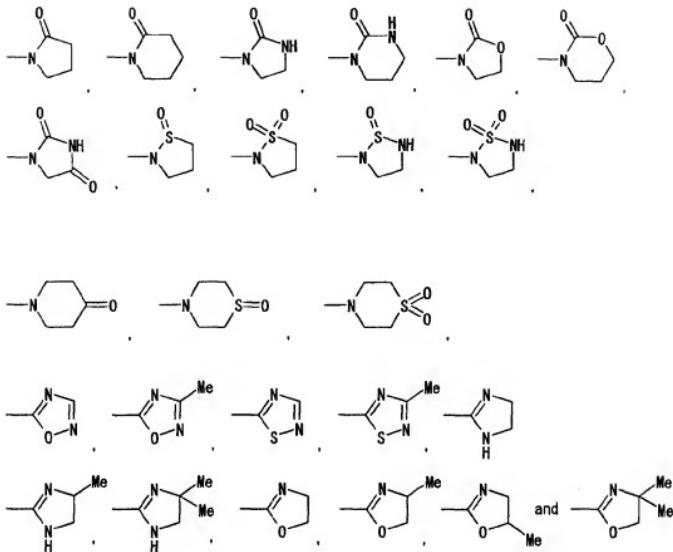




5 and the like.

The heterocyclic moiety is preferably a heterocyclic group which is a 5-membered or a 6-membered monocyclic group. Examples thereof include pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, 1,3,5-triazinyl, pyrrolyl, pyrazolyl, imidazolyl, 1,2,4-triazolyl, 10 tetrazolyl, thienyl, furyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, thiadiazolyl, pyrrolidinyl, piperidyl, piperazinyl, morpholinyl, thiomorpholinyl and tetrahydropyranyl, and the group B here is preferably the above-defined halogen atom, the above-defined C<sub>1-6</sub> alkyl, the above-defined halogenated C<sub>1-6</sub> alkyl, the above-defined 15 C<sub>1-6</sub> alkanoyl, -(CH<sub>2</sub>)<sub>z</sub>-COOR<sup>b1</sup>, -(CH<sub>2</sub>)<sub>z</sub>-CONR<sup>b1</sup>R<sup>b2</sup> or -(CH<sub>2</sub>)<sub>z</sub>-OR<sup>b1</sup>.

Examples of heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from group B preferably include piperidino, 4-methylpiperidino, 2,6-dimethylpiperidino, 4-hydroxypiperidino, 1-piperazinyl, 1-(methylsulfonyl)piperidin-4-yl, 1-pyrrolidinyl, morpholino, 4-thiomorpholinyl, 20 tetrahydropyranyl, pyridyl, thiazolyl,



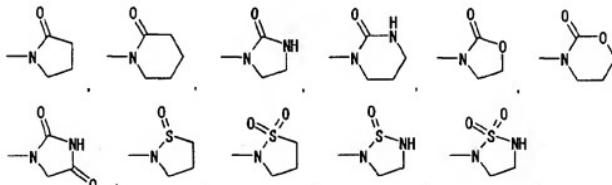
- 5      Particularly preferably, it is piperidino, 4-methylpiperidino, 2,6-dimethylpiperidino, 4-hydroxypiperidino, 1-piperazinyl, 1-pyrrolidinyl, morpholino or 4-thiomorpholinyl at R<sup>a18</sup>, tetrahydropyranyl or 4-hydroxypiperidino at R<sup>a20</sup>, piperidino, 4-hydroxypiperidino or 3,4-dihydroxypiperidino at R<sup>a21</sup>, pyridyl or 10 morpholino at R<sup>a24</sup>, pyridyl or 4-hydroxypiperidino at R<sup>a25</sup>, pyridyl or thiazolyl at R<sup>a26</sup> and at R<sup>a27</sup> and R<sup>a28</sup>, it is 1-(methylsulfonyl)piperidin-4-yl, 3-hydroxypyrrolidinyl, 3-hydroxypiperidino, 4-hydroxypiperidino, 3,4-dihydroxypiperidino, 4-methoxypiperidino, 4-carboxypiperidino, 4- 15 (hydroxymethyl)piperidino, 2-oxopiperidino, 4-oxopiperidino, 2,2,6,6-tetramethylpiperidino, 2,2,6,6-tetramethyl-4-hydroxypiperidino, 4-methylsulfonylpiperazinyl, 1-oxothiomorpholin-4-yl or 1,1-dioxothiomorpholin-4-yl, and 2-oxazolin-2-yl at R<sup>a22</sup> and R<sup>a23</sup>.
- 20      The heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from group D is that wherein the above-defined heterocyclic group is optionally substituted by 1 to 5

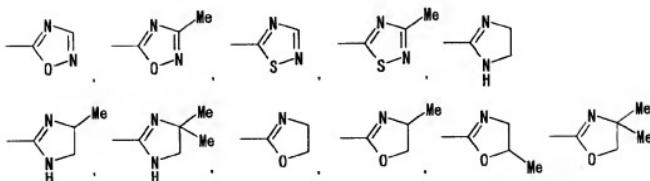
substituent(s), and includes unsubstituted heterocyclic group. The substituent(s) is(are) selected from the substituent(s) of the above-mentioned group D (substituents shown under (a) to (q)).

Examples of the group D here include the substituent(s)

- 5 exemplified for C<sub>6-14</sub> aryl optionally substituted by 1 to 5 substituent(s) selected from group D.

Examples of heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from group D include 2-pyridyl, 3-pyridyl, 4-pyridyl, 3-fluoropyridin-4-yl, 3-chloropyridin-4-yl, 10 4-chloropyridin-3-yl, pyrazinyl, pyrimidinyl, pyridazinyl, 1,3,5-triazinyl, pyrrolyl, pyrazolyl, imidazolyl, 1,2,4-triazolyl, tetrazolyl, 2-thienyl, 3-thienyl, furyl, oxazolyl, 2-methyloxazol-4-yl, isoxazolyl, thiazolyl, 2-methylthiazol-4-yl, 2,5-dimethylthiazol-4-yl, 2,4-dimethylthiazol-5-yl, isothiazolyl, 15 thiadiazolyl, pyrrolinyl, pyrrolidinyl, imidazolidinyl, piperidyl, N-methylpiperidin-4-yl, N-(tert-butoxycarbonyl)piperidin-4-yl, N-acetyl piperidin-4-yl, N-methylsulfonylpiperidin-4-yl, piperazinyl, morpholinyl, thiomorpholinyl, tetrahydropyranyl, quinolyl, isoquinolyl, quinazolinyl, quinoxalyl, phthalazinyl, cinnolinyl, 20 naphthyridinyl, 5,6,7,8-tetrahydroquinolyl, indolyl, benzimidazolyl, indolinyl, benzofuranyl, benzothienyl, benzoxazolyl, benzothiazolyl





and the like.

In addition, the heterocyclic group may be substituted at the 3-, 4-, 5- or 6-position of 2-pyridyl, at the 2-, 4-, 5- or 6-position of 3-pyridyl, at the 2-, 3-, 5- or 6-position of 4-pyridyl, at the 3-, 4- or 5-position of 2-thienyl, or at the 2-, 4- or 5-position of 3-thienyl, by fluorine atom, chlorine atom, bromine atom, nitro, methyl, tert-butyl, carboxyl, trifluoromethyl, hydroxymethyl, methoxymethyl, 2-carboxylethyl, 10 methoxy, carbamoyl, methylthio, dimethylaminocarbonyl, methylsulfonyl, amino or acetylamo.

At Z and Z', the heterocyclic moiety is preferably a heterocyclic group which is a 5-membered or 6-membered monocyclic group. Examples thereof include pyridyl, pyrazinyl, pyrimidinyl, 15 pyridazinyl, 1,3,5-triazinyl, pyrrolyl, 2-oxopyrrolidinyl, 2-oxopiperidyl, pyrazolyl, imidazolyl, 2-imidazolinyl, 2-oxoimidazolidinyl, 1,2,4-triazolyl, tetrazolyl, thieryl, furyl, oxazolyl, isoxazolyl, 2-oxazolinyl, thiazolyl, isothiazolyl, 1,1-dioxoisothiazolidinyl, thiadiazolyl, pyrrolidinyl, piperidyl, 20 piperazinyl, morpholinyl, thiomorpholinyl, tetrahydropyranyl,  $\Delta^2$ -1,2,4-oxadiazolyl, 5-oxo- $\Delta^2$ -1,2,4-oxadiazolyl, 5-oxo- $\Delta^2$ -1,2,4-thiadiazolinyl and 2-oxo-3H-1,2,3,5-oxathiadiazolinyl. The group D here is preferably the above-defined halogen atom, nitro, the above-defined optionally substituted C<sub>1-6</sub> alkyl, 25 -(CH<sub>2</sub>)<sub>t</sub>-COOR<sup>a19</sup>, -(CH<sub>2</sub>)<sub>t</sub>-CONR<sup>a27</sup>R<sup>a28</sup>, -(CH<sub>2</sub>)<sub>t</sub>-OR<sup>a20</sup>, -(CH<sub>2</sub>)<sub>t</sub>-NR<sup>a29</sup>CO-R<sup>a24</sup>, -(CH<sub>2</sub>)<sub>t</sub>-S(O)<sub>q</sub>R<sup>a25</sup> or -(CH<sub>2</sub>)<sub>t</sub>-SO<sub>2</sub>-NHR<sup>a26</sup>.

Examples of heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from group D preferably include piperidino, 4-hydroxypiperidino, 2-oxopiperidin-1-yl, 1-30 piperazinyl, 1-pyrrolidinyl, 2-oxopyrrolidin-1-yl, morpholino, 4-thiomorpholinyl, 4-tetrahydropyranyl, 3-pyridyl, 2-pyrimidinyl, 2-imidazolin-2-yl, 2-oxoimidazolidin-1-yl, 2-oxooxazolidin-1-yl,

5-tetrazolyl, 2-thiazolyl, 4-thiazolyl, 5-thiazolyl, 2-methylthiazol-4-yl, 5-methylthiazol-2-yl, 2-aminothiazol-4-yl, 3-methyl-1,2,4-oxadiazol-5-yl, 1,1-dioxoisothiazolidin-2-yl, 4,4-dimethyl- $\Delta^2$ -oxazolin-2-yl, 2-thienyl, 5-chlorothiophen-2-yl, 5-methyloxazol-2-yl, 5-oxo- $\Delta^2$ -1,2,4-oxadiazolin-3-yl, 5-oxo- $\Delta^2$ -1,2,4-thiadiazolin-3-yl and 2-oxo-3H-1,2,3,5-oxathiazolin-4-yl.

Particularly preferably, it is pyridyl, pyrimidinyl, tetrazolyl, thienyl, piperidyl, 2-oxopiperidin-1-yl, 2-oxopyrrolidin-1-yl, 2-imidazolin-2-yl, 2-oxoimidazolidin-1-yl, 2-oxooxazolidin-1-yl, 2-methylthiazol-4-yl, 5-methylthiazol-2-yl, 2-aminothiazol-4-yl, 3-methyl-1,2,4-oxadiazol-5-yl, 1,1-dioxoisothiazolidin-2-yl, 4,4-dimethyl- $\Delta^2$ -oxazolin-2-yl, 5-chlorothiophen-2-yl, 5-methyloxazol-2-yl, 5-oxo- $\Delta^2$ -1,2,4-oxadiazolin-3-yl, 5-oxo- $\Delta^2$ -1,2,4-thiadiazolin-3-yl or 2-oxo-3H-1,2,3,5-oxathiadiazolin-4-yl, more preferably 2-oxopiperidin-1-yl, 2-oxopyrrolidin-1-yl, 2-oxoimidazolidin-1-yl, 2-oxooxazolidin-1-yl or 1,1-dioxoisothiazolidin-2-yl, most preferably 2-oxopyrrolidin-1-yl.

The C<sub>3-8</sub> cycloalkyl optionally substituted by 1 to 5 substituent(s) selected from group C is that wherein the above-defined C<sub>3-8</sub> cycloalkyl is optionally substituted by the 1 to 5 substituent(s) selected from hydroxyl group, the above-defined halogen atom, the above-defined C<sub>1-6</sub> alkyl and the above-defined C<sub>1-6</sub> alkoxy, which may be unsubstituted. Examples thereof include 25 cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, 4-fluorocyclohexyl, 2-methylcyclopentyl, 3-methylcyclohexyl, 4-methylcyclohexyl, 4,4-dimethylcyclohexyl, 3,5-dimethylcyclohexyl, 4-tert-butylcyclohexyl, 4-hydroxycyclohexyl, 4-methoxycyclohexyl and 2,3,4,5,6-pentafluorocyclohexyl.

30 The cycloalkyl moiety is preferably cyclopentyl or cyclohexyl, particularly preferably cyclohexyl.

At the ring Cy and ring Cy', the C<sub>3-8</sub> cycloalkyl optionally substituted by 1 to 5 substituent(s) selected from group C is preferably cyclopentyl, cyclohexyl, 4-fluorocyclohexyl, 4-methylcyclohexyl, 4,4-dimethylcyclohexyl, 4-tert-butylcyclohexyl, 4-hydroxycyclohexyl or 4-methoxycyclohexyl, more preferably cyclopentyl or cyclohexyl, particularly preferably cyclohexyl.

35 The C<sub>3-8</sub> cycloalkyl optionally substituted by 1 to 5

substituent(s) selected from the above group B is that wherein the above-defined C<sub>3-8</sub> cycloalkyl is optionally substituted by 1 to 5 substituent(s), and includes unsubstituted cycloalkyl. The substituents are selected from the above group B.

5 Specific examples thereof include cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, 4-fluorocyclohexyl, 2-methylcyclopentyl, 3-methylcyclohexyl, 4-methylcyclohexyl, 4,4-dimethylcyclohexyl, 3,5-dimethylcyclohexyl, 4-tert-butylcyclohexyl, 4-hydroxycyclohexyl, 4-methoxycyclohexyl and  
10 2,3,4,5,6-pentafluorocyclohexyl.

Also exemplified are those wherein cyclopentyl or cyclohexyl is substituted by fluorine atom, chlorine atom, bromine atom, nitro, methyl, tert-butyl, carboxyl, trifluoromethyl, hydroxymethyl, methoxymethyl, 2-carboxylethyl,  
15 methoxy, carbamoyl, methylthio, dimethylaminocarbonyl, methylsulfonyl or acetylarnino.

At cycloalkyl moiety, it is preferably cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl. As the C<sub>3-8</sub> cycloalkyl optionally substituted by 1 to 5 substituent(s) selected from the  
20 above group B, it is particularly preferably cyclopropyl, cyclobutyl, cyclohexyl or 4-hydroxycyclohexyl at R<sup>a27</sup> and R<sup>a28</sup>.

The C<sub>3-8</sub> cycloalkyl optionally substituted by 1 to 5 substituent(s) selected from group D is that wherein the above-defined C<sub>3-8</sub> cycloalkyl is optionally substituted by 1 to 5 substituent(s), and includes unsubstituted cycloalkyl. The substituent(s) is(are) selected from the substituent(s) of the above-mentioned group D (substituents shown under (a) to (q)).

The group D here includes the substituents recited with regard to C<sub>6-14</sub> aryl optionally substituted by 1 to 5  
30 substituent(s) selected from group D.

Examples thereof include cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, 4-fluorocyclohexyl, 2-methylcyclopentyl, 3-methylcyclohexyl, 4-methylcyclohexyl, 4,4-dimethylcyclohexyl, 3,5-dimethylcyclohexyl, 4-tert-butylcyclohexyl, 4-hydroxycyclohexyl, 4-methoxycyclohexyl and  
35 2,3,4,5,6-pentafluorocyclohexyl.

The group D may be, for example, cyclopentyl or cyclohexyl substituted by fluorine atom, chlorine atom, bromine atom, nitro,

methyl, tert-butyl, carboxyl, trifluoromethyl, hydroxymethyl, methoxymethyl, 2-carboxylethyl, methoxy, carbamoyl, methylthio, dimethylaminocarbonyl, methylsulfonyl or acetyl amino.

The cycloalkyl moiety is preferably cyclopentyl or 5 cyclohexyl, and at Z and Z', it is particularly preferably cyclohexyl.

The optionally substituted C<sub>3-8</sub> cycloalkenyl is that wherein the above-defined C<sub>3-8</sub> cycloalkenyl is optionally substituted by substituent(s) selected from hydroxyl group, the 10 above-defined halogen atom, the above-defined C<sub>1-6</sub> alkyl and the above-defined C<sub>1-6</sub> alkoxy, which may be unsubstituted. Examples thereof include cyclopropenyl, cyclobutenyl, cyclopentenyl, cyclopentadienyl, cyclohexenyl, 4-fluoro-2-cyclohexenyl, 4-methyl-2-cyclohexenyl, 4-methyl-3-cyclohexenyl, 2,4-15 cyclohexadien-1-yl, 2,5-cyclohexadien-1-yl, cycloheptenyl and cyclooctenyl and the like, but do not include aryl (e.g., phenyl) or completely saturated cycloalkyl.

The optionally substituted C<sub>3-8</sub> cycloalkenyl is particularly preferably cyclohexenyl at the ring Cy.

20 The C<sub>6-14</sub> aryl C<sub>1-6</sub> alkyl optionally substituted by 1 to 5 substituent(s) selected from group B is that wherein the above-defined C<sub>6-14</sub> aryl C<sub>1-6</sub> alkyl is optionally substituted by 1 to 5 substituent(s), and includes unsubstituted arylalkyl. The substituent(s) is(are) selected from the above-mentioned group B.

25 Examples thereof include benzyl, 1-naphthylmethyl, 2-naphthylmethyl, phenethyl, 3-phenylpropyl, 2-phenylpropyl, 3-fluorobenzyl, 4-fluorobenzyl, 3-chlorobenzyl, 4-chlorobenzyl, 2,4-dichlorobenzyl, 3,5-dichlorobenzyl, pentafluorobenzyl, 4-methylbenzyl, 4-tert-butylbenzyl, 2-trifluoromethylbenzyl, 4-30 trifluoromethylbenzyl, 4-nitrobenzyl, 4-cyano benzyl, 4-acetylbenzyl, 4-carboxylbenzyl, 4-carbamoylbenzyl, 4-aminobenzyl, 4-dimethylaminobenzyl, 4-acetylaminobenzyl, 4-(methylsulfonylamino)benzyl, 4-methoxybenzyl, 3,4,5-trimethoxybenzyl, 4-methylthiobenzyl, 4-methylsulfonylbenzyl, 4-35 aminosulfonylbenzyl, 3-nitro-4-methoxybenzyl and 4-nitro-3-methoxybenzyl.

The C<sub>6-14</sub> aryl C<sub>1-6</sub> alkyl moiety is preferably benzyl or phenethyl, particularly preferably benzyl. The group B is

preferably the above-defined halogen atom, nitro, the above-defined C<sub>1-6</sub> alkyl, the above-defined halogenated C<sub>1-6</sub> alkyl or -(CH<sub>2</sub>)<sub>r</sub>-OR<sup>b1</sup>. Examples thereof include fluorine atom, chlorine atom, nitro, methyl, tert-butyl, trifluoromethyl, methoxy or 5 trifluoromethoxy, particularly preferably fluorine atom or chlorine atom.

The specific C<sub>6-14</sub> aryl C<sub>1-6</sub> alkyl optionally substituted by 1 to 5 substituent(s) selected from group B at R<sup>a12</sup> and R<sup>a13</sup> is 10 preferably benzyl, phenethyl, 3-chlorobenzyl, 4-chlorobenzyl, 4-phenethyl, 4-fluorobenzyl, 2-chlorobenzyl, 3-chlorobenzyl, 4-chlorobenzyl, 4-tert-butylbenzyl or 4-trifluoromethylbenzyl at R<sup>a20</sup>, and 4-chlorobenzyl, 3,5-dichlorobenzyl or 4-15 trifluoromethylbenzyl at R<sup>a22</sup> and R<sup>a23</sup>.

It is particularly preferably benzyl at other substituents.

The C<sub>6-14</sub> aryl C<sub>1-6</sub> alkyl optionally substituted by 1 to 5 substituent(s) selected from group D is that wherein the above-defined C<sub>6-14</sub> aryl C<sub>1-6</sub> alkyl is optionally substituted by 1 to 5 20 substituent(s), and includes unsubstituted aryl. The substituent(s) is(are) selected from the substituent(s) of the above-mentioned group D (substituents shown under (a) to (q)).

Examples of group D include fluorine atom, chlorine atom, bromine atom, nitro, cyano, methyl, ethyl, propyl, isopropyl, 25 tert-butyl, trifluoromethyl, hydroxymethyl, 2-hydroxyethyl, methoxymethyl, 2-carboxylethyl, methoxycarbonylmethyl, ethoxycarbonylmethyl, acetyl, carboxyl, methoxycarbonyl, ethoxycarbonyl, carbamoyl, methylaminocarbonyl, isopropylaminocarbonyl, dimethylaminocarbonyl, 30 diethylaminocarbonyl, (2-hydroxyethyl)aminocarbonyl, (carboxymethyl)aminocarbonyl, hydroxyl group, methoxy, ethoxy, isopropyloxy, hydroxymethoxy, carboxymethoxy, (dimethylaminocarbonyl)methoxy, amino, methylamino, dimethylamino, diethylamino, acetylamino, methylsulfonylamino, 35 methylthio, methylsulfonyl, methylsulfinyl, aminosulfonyl, methylaminosulfonyl and dimethylaminosulfonyl.

Examples of C<sub>6-14</sub> aryl C<sub>1-6</sub> alkyl optionally substituted by 1 to 5 substituent(s) selected from group D include benzyl, 1-

naphthylmethyl, 2-naphthylmethyl, phenethyl, 3-phenylpropyl, 2-phenylpropyl, 3-fluorobenzyl, 4-fluorobenzyl, 3-chlorobenzyl, 4-chlorobenzyl, 2,4-dichlorobenzyl, 3,5-dichlorobenzyl, 4-bromobenzyl, 4-nitrobenzyl, pentafluorobenzyl, 4-methylbenzyl, 4-  
5 tert-butylbenzyl, 2-trifluoromethylbenzyl, 4-trifluoromethylbenzyl, 4-(hydroxymethyl)benzyl, 4-(methoxymethyl)benzyl, 4-(2-carboxylethyl)benzyl, 3-carboxylbenzyl, 4-carboxylbenzyl, 4-methoxybenzyl, 3,4,5-trimethoxybenzyl, 4-carbamoylbenzyl, 4-methylthiobenzyl, 4-  
10 (dimethylaminocarbonyl)benzyl, 4-methylsulfonylbenzyl, 4-(acetylamino)benzyl, 4-cyanobenzyl, 4-acetylbenzyl, 4-aminobenzyl, 4-dimethylaminobenzyl, 4-(methylsulfonylamino)benzyl, 4-methylsulfinylbenzyl, 4-aminosulfonylbenzyl, (3-nitro-4-methoxyphenyl)methyl and (4-nitro-3-methoxyphenyl)methyl.

15 At Z and Z', the C<sub>6-14</sub> aryl C<sub>1-6</sub> alkyl moiety is preferably benzyl or phenethyl, and the group D here is preferably the above-defined halogen atom, nitro, the above-defined optionally substituted C<sub>1-6</sub> alkyl, -(CH<sub>2</sub>)<sub>t</sub>-COOR<sup>a19</sup>, -(CH<sub>2</sub>)<sub>t</sub>-CONR<sup>a27</sup>R<sup>a28</sup>, -(CH<sub>2</sub>)<sub>t</sub>-OR<sup>a20</sup>, -(CH<sub>2</sub>)<sub>t</sub>-NR<sup>a29</sup>CO-R<sup>a24</sup>, -(CH<sub>2</sub>)<sub>t</sub>-S(O)<sub>q</sub>-R<sup>a25</sup> or  
20 -(CH<sub>2</sub>)<sub>t</sub>-SO<sub>2</sub>-NHR<sup>a26</sup>.

The C<sub>6-14</sub> aryl C<sub>1-6</sub> alkyl optionally substituted by 1 to 5 substituent(s) selected from group D is preferably benzyl, 3-fluorobenzyl, 4-fluorobenzyl, 3-chlorobenzyl, 4-chlorobenzyl, 3,5-dichlorobenzyl, 4-bromobenzyl, 4-nitrobenzyl, 4-methylbenzyl, 4-tert-butylbenzyl, 2-trifluoromethylbenzyl, 4-trifluoromethylbenzyl, 4-(hydroxymethyl)benzyl, 4-(methoxymethyl)benzyl, 4-(2-carboxylethyl)benzyl, 3-carboxylbenzyl, 4-carboxylbenzyl, 4-methoxybenzyl, 3,4,5-trimethoxybenzyl, 4-carbamoylbenzyl, 4-methylthiobenzyl, 4-  
25 (dimethylaminocarbonyl)benzyl, 4-methylsulfonylbenzyl, 4-acetylaminobenzyl, 4-methylsulfinylbenzyl or 4-aminosulfonylbenzyl.

It is particularly preferably the above-defined halogen atom, the above-defined optionally substituted C<sub>1-6</sub> alkyl, -(CH<sub>2</sub>)<sub>t</sub>-COOR<sup>a19</sup>, -(CH<sub>2</sub>)<sub>t</sub>-CONR<sup>a27</sup>R<sup>a28</sup>, -(CH<sub>2</sub>)<sub>t</sub>-OR<sup>a20</sup> or -(CH<sub>2</sub>)<sub>t</sub>-S(O)<sub>q</sub>-R<sup>a25</sup>. Examples thereof include fluorine atom, chlorine atom, bromine atom, nitro, methyl, tert-butyl, carboxyl, trifluoromethyl, hydroxymethyl, methoxymethyl, 2-carboxylethyl, methoxy, carbamoyl,

methylthio, dimethylaminocarbonyl, methylsulfonyl and acetylamo. It is more preferably fluorine atom, chlorine atom, methyl, tert-butyl, carboxyl, methoxy, carbamoyl, methylthio, dimethylaminocarbonyl or methylsulfonyl, most preferably fluorine atom or chlorine atom.

The heterocycle C<sub>1-6</sub> alkyl optionally substituted by 1 to 5 substituent(s) selected from group B is that wherein the above-defined heterocycle C<sub>1-6</sub> alkyl is optionally substituted by 1 to 5 substituent(s), and includes unsubstituted heterocycle C<sub>1-6</sub> alkyl.  
 10 The substituent(s) is(are) selected from the above-mentioned group B.

Examples thereof include 2-pyridylmethyl, 3-pyridylmethyl, 2-chloropyridin-4-ylmethyl, 4-pyridylmethyl, pyrrolylmethyl, imidazolylmethyl, 2-thienylmethyl, 3-thienylmethyl, 2-furylmethyl, 15 2-oxazolylmethyl, 5-isothiazolylmethyl, 2-methyloxazol-4-ylmethyl, 2-thiazolylmethyl, 4-thiazolylmethyl, 5-thiazolylmethyl, 2-methylthiazol-4-ylmethyl, 2-methylthiazol-5-ylmethyl, 2,5-dimethylthiazol-4-ylmethyl, 4-methylthiazol-2-ylmethyl, 2,4-dimethylthiazol-5-ylmethyl, 2-isothiazolylmethyl, 2-pyrrolinylmethyl, pyrrolidinylmethyl, piperidylmethyl, 4-piperidylmethyl, 1-methylpiperidin-4-ylmethyl, 4-hydroxypiperidinomethyl, 3-hydroxypyrrrolidinylmethyl, 2-(4-hydroxypiperidino)ethyl, 1-(tert-butoxycarbonyl)piperidin-4-ylmethyl, 1-acetylpiperidin-4-ylmethyl, 1-methylsulfonylpiperidin-4-ylmethyl, piperazinylmethyl, morpholinomethyl, thiomorpholinylmethyl, 1-tetrahydropyranylmethyl, 2-quinolylmethyl, 1-isoquinolylmethyl and the like.

The heterocyclic moiety is preferably a heterocyclic group which is a 5-membered or 6-membered monocyclic group. Examples thereof include pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, 1,3,5-triazinyl, pyrrolyl, pyrazolyl, imidazolyl, 1,2,4-triazolyl, tetrazolyl, thienyl, furyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, thiadiazolyl, pyrrolidinyl, piperidyl, piperazinyl, 35 morpholinyl, thiomorpholinyl and tetrahydropyranyl, and the alkyl moiety thereof is preferably straight chain alkyl having 1 to 4 carbon atoms. The group B here is preferably the above-defined

halogen atom, the above-defined C<sub>1-6</sub> alkyl, the above-defined halogenated C<sub>1-6</sub> alkyl, the above-defined C<sub>1-6</sub> alkanoyl, -(CH<sub>2</sub>)<sub>r</sub>-COOR<sup>b1</sup>, -(CH<sub>2</sub>)<sub>r</sub>-CONR<sup>b1</sup>R<sup>b2</sup> or -(CH<sub>2</sub>)<sub>r</sub>-OR<sup>b1</sup>.

- Examples of heterocycle C<sub>1-6</sub> alkyl optionally substituted by 1 to 5 substituent(s) selected from group B preferably include 2-pyridylmethyl, 3-pyridylmethyl, 2-chloropyridin-4-ylmethyl, 4-pyridylmethyl, piperidin-4-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-(4-hydroxypiperidino)ethyl, 1-acetyl piperidin-4-ylmethyl, 1-(tert-butoxycarbonyl)piperidin-4-ylmethyl, 1-(methylsulfonyl)-10 piperidin-4-ylmethyl, 2-thiazolylmethyl, 4-thiazolylmethyl, 2-methylthiazolin-4-ylmethyl, 2,4-dimethylthiazolin-5-ylmethyl and 4-methylthiazol-2-ylmethyl. Particularly preferably, it is 2-pyridylmethyl, 3-pyridylmethyl, 2-chloropyridin-4-ylmethyl, 4-pyridylmethyl, piperidin-4-ylmethyl, 1-methylpiperidin-4-ylmethyl, 15 2-(4-hydroxypiperidino)ethyl, 1-acetyl piperidin-4-ylmethyl, 1-(methylsulfonyl)piperidin-4-ylmethyl, 2-methylthiazolin-4-ylmethyl, 2,4-dimethylthiazolin-5-ylmethyl or 4-methylthiazol-2-ylmethyl at R<sup>a20</sup>, 2-pyridylmethyl at R<sup>a22</sup> and R<sup>a23</sup>, and 4-20 pyridylmethyl or 4-methylthiazol-2-ylmethyl at R<sup>a27</sup> and R<sup>a28</sup>.

- The heterocycle C<sub>1-6</sub> alkyl optionally substituted by 1 to 5 substituent(s) selected from group D is that wherein the above-defined heterocycle C<sub>1-6</sub> alkyl is optionally substituted by 1 to 5 substituent(s), and includes unsubstituted heterocycle C<sub>1-6</sub> alkyl. 25 The substituent(s) is(are) selected from the above-mentioned group D (substituents shown under (a) to (q)).

- Examples of group D here include fluorine atom, chlorine atom, bromine atom, nitro, cyano, methyl, ethyl, propyl, isopropyl, tert-butyl, trifluoromethyl, hydroxymethyl, 2-hydroxyethyl, methoxymethyl, 2-carboxylethyl, methoxycarbonylmethyl, ethoxycarbonylmethyl, acetyl, carboxyl, methoxycarbonyl, ethoxycarbonyl, carbamoyl, methylaminocarbonyl, isopropylaminocarbonyl, dimethylaminocarbonyl, diethylaminocarbonyl, (2-hydroxyethyl)aminocarbonyl, (carboxylmethyl)aminocarbonyl, hydroxyl group, methoxy, ethoxy, isopropoxy, hydroxymethoxy, carboxylmethoxy, (dimethylaminocarbonyl)methoxy, amino, methylamino, dimethylamino, diethylamino, acetylamino, methylsulfonylamino,

methylthio, methylsulfonyl, methysulfinyl, aminosulfonyl, methylaminosulfonyl and dimethylaminosulfonyl.

- Examples of heterocycle C<sub>1-6</sub> alkyl optionally substituted by 1 to 5 substituent(s) selected from group D include 2-5 pyridylmethyl, 3-pyridylmethyl, 2-chloropyridin-4-ylmethyl, 4-pyridylmethyl, pyrrolylmethyl, imidazolylmethyl, 2-thienylmethyl, 3-thienylmethyl, 2-furylmethyl, 2-oxazolylmethyl, 5-isothiazolylmethyl, 2-methyloxazol-4-ylmethyl, 2-thiazolylmethyl, 4-thiazolylmethyl, 5-thiazolylmethyl, 2-methylthiazol-4-ylmethyl, 10 2-methylthiazol-5-ylmethyl, 2,5-dimethylthiazol-4-ylmethyl, 4-methylthiazol-2-ylmethyl, 2,4-dimethylthiazol-5-ylmethyl, 2-isothiazolylmethyl, 2-pyrrolinylmethyl, pyrrolidinylmethyl, piperidylmethyl, 4-piperidylmethyl, 1-methylpiperidin-4-ylmethyl, 4-hydroxypiperidinomethyl, 2-(4-hydroxypiperidino)ethyl, 1-(tert-butoxycarbonyl)piperidin-4-ylmethyl, 1-acetyl piperidin-4-ylmethyl, 1-methylsulfonylpiperidin-4-ylmethyl, piperazinylmethyl, morpholinomethyl, thiomorpholinylmethyl, 1-tetrahydropyranylmethyl, 2-quinolylmethyl, 1-isoquinolylmethyl, and the like.

- 20 Preferable heterocyclic moiety at Z and Z' is heterocyclic group which is 5-membered or 6-membered monocyclic group. Examples of the heterocyclic moiety include pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, 1,3,5-triazinyl, pyrrolyl, pyrazolyl, imidazolyl, 1,2,4-triazolyl, tetrazolyl, thieryl, furyl, oxazolyl, 25 isooxazolyl, thiazolyl, isothiazolyl, thiadiazolyl, pyrrolidinyl, piperidyl, piperazinyl, morpholinyl, thiomorpholinyl and tetrahydropyranyl, and the alkyl moiety is preferably straight chain alkyl having 1 to 4 carbon atoms, particularly methyl (i.e., methylene).

- 30 Preferable group D is the above-defined halogen atom, nitro, the above-defined optionally substituted C<sub>1-6</sub> alkyl, -(CH<sub>2</sub>)<sub>t</sub>-COOR<sup>a19</sup>, -(CH<sub>2</sub>)<sub>t</sub>-CONR<sup>a27</sup>R<sup>a28</sup>, -(CH<sub>2</sub>)<sub>t</sub>-OR<sup>a20</sup>, -(CH<sub>2</sub>)<sub>t</sub>-NR<sup>a29</sup>CO-R<sup>a24</sup>, -(CH<sub>2</sub>)<sub>t</sub>-S(O)<sub>q</sub>-R<sup>a25</sup> or -(CH<sub>2</sub>)<sub>t</sub>-SO<sub>2</sub>-NHR<sup>a26</sup>.

- 35 Preferable examples of heterocycle C<sub>1-6</sub> alkyl optionally substituted by 1 to 5 substituent(s) selected from group D include 2-pyridylmethyl, 3-pyridylmethyl, 2-chloropyridin-4-ylmethyl, 4-pyridylmethyl, piperidin-4-ylmethyl, 1-methylpiperidin-4-ylmethyl, 4-hydroxypiperidinomethyl, 2-(4-

hydroxypiperidino)ethyl, 1-acetyl piperidin-4-ylmethyl, 1-(tert-butoxycarbonyl)piperidin-4-ylmethyl, 1-(methylsulfonyl)piperidin-4-ylmethyl, 2-thiazolylmethyl, 4-thiazolylmethyl, 2-methylthiazolin-4-ylmethyl, 2,4-dimethylthiazolin-5-ylmethyl and 5 4-methylthiazol-2-ylmethyl.

Particularly preferred is 4-hydroxypiperidinomethyl.

The C<sub>3-8</sub> cycloalkyl C<sub>1-6</sub> alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B is that wherein the above-defined C<sub>3-8</sub> cycloalkyl C<sub>1-6</sub> alkyl is optionally 10 substituted by 1 to 5 substituent(s), and includes unsubstituted cycloalkylalkyl. The substituents are selected from the above group B.

Specific examples thereof include cyclopropylmethyl, cyclobutylmethyl, cyclopentylmethyl, cyclohexylmethyl, 2-15 (cyclopentyl)ethyl, 2-(cyclohexyl)ethyl, cycloheptylmethyl, 4-fluorocyclohexylmethyl, 2-methylcyclopentylmethyl, 3-methylcyclohexylmethyl, 4-methylcyclohexylmethyl, 4,4-dimethylcyclohexylmethyl, 3,5-dimethylcyclohexylmethyl, 4-tert-butylcyclohexylmethyl, 4-hydroxycyclohexylmethyl, 4-20 methoxycyclohexylmethyl and 2,3,4,5,6-pentafluorocyclohexylmethyl.

Also exemplified are those wherein cyclopentylmethyl or cyclohexylmethyl is substituted by fluorine atom, chlorine atom, bromine atom, nito, methyl, tert-butyl, carboxyl, trifluoromethyl, hydroxymethyl, methoxymethyl, 2-carboxylethyl, methoxy, carbamoyl, 25 methylthio, dimethylaminocarbonyl, methylsulfonyl or acetyl amino.

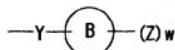
At C<sub>3-8</sub> cycloalkyl C<sub>1-6</sub> alkyl moiety, it is preferably cyclopentylmethyl or cyclohexylmethyl, and at R<sup>a20</sup>, R<sup>a27</sup> and R<sup>a28</sup>, it is particularly preferably cyclohexylmethyl.

The carboxyl-protecting group only needs to be suitable 30 for reaction conditions, and is capable of protecting and deprotecting and may be, for example, methyl; substituted methyl group such as methoxymethyl, methylthiomethyl, 2-tetrahydropyranyl, methoxyethoxymethyl, benzylloxymethyl, phenacyl, diacilmethyl, phthalimidomethyl etc.; ethyl; substituted ethyl 35 group such as 2,2,2-trichloroethyl, 2-chloroethyl, 2-(trimethylsilyl)ethyl, 2-methylthioethyl, 2-(p-toluenesulfonyl)ethyl, t-butyl etc.; benzyl; substituted benzyl group such as diphenylmethyl, triphenylmethyl, p-nitrobenzyl, 4-

picolyl, p-methoxybenzyl, 2-(9,10-dioxo)anthrylmethyl etc.; silyl group such as trimethylsilyl, t-butyldimethylsilyl, phenyldimethylsilyl etc.; and the like.

Preferred are industrially effective protecting groups and 5 specifically preferred as R<sup>36</sup> are methyl and ethyl.

In formula [I], X is preferably

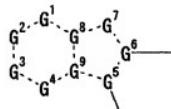


10 wherein each symbol is as defined above.

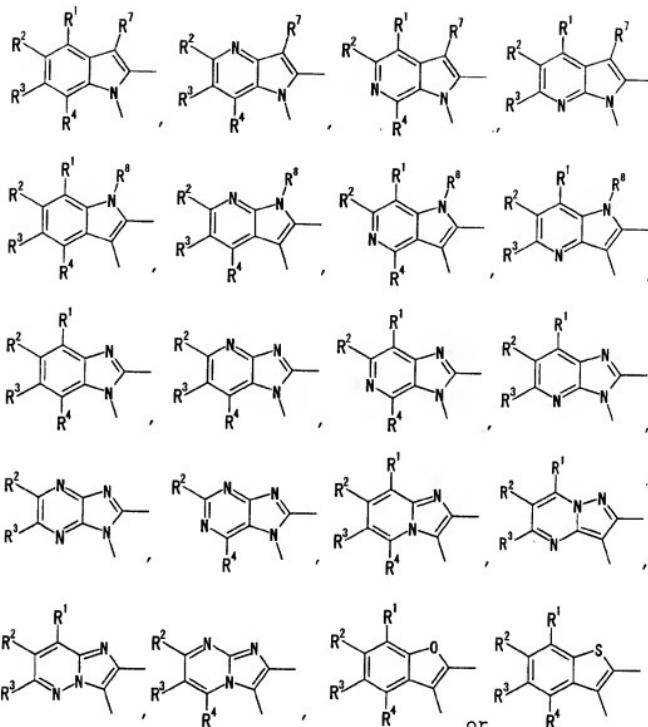
G<sup>1</sup>, G<sup>2</sup>, G<sup>3</sup> and G<sup>4</sup> are each preferably (C-R<sup>1</sup>), (C-R<sup>2</sup>), (C-R<sup>3</sup>) and (C-R<sup>4</sup>), G<sup>5</sup> is preferably a nitrogen atom, and G<sup>6</sup>, G<sup>8</sup> and G<sup>9</sup> are preferably a carbon atom. G<sup>7</sup> is preferably C(-R<sup>7</sup>) or unsubstituted nitrogen atom, wherein R<sup>7</sup> is preferably hydrogen 15 atom.

A preferable combination is G<sup>2</sup> of (C-R<sup>2</sup>) and G<sup>6</sup> of a carbon atom, particularly preferably G<sup>2</sup> of (C-R<sup>2</sup>), G<sup>6</sup> of a carbon atom and G<sup>5</sup> of a nitrogen atom, most preferably G<sup>2</sup> of (C-R<sup>2</sup>), G<sup>6</sup> of a carbon atom, G<sup>5</sup> of a nitrogen atom and G<sup>7</sup> of unsubstituted 20 nitrogen atom.

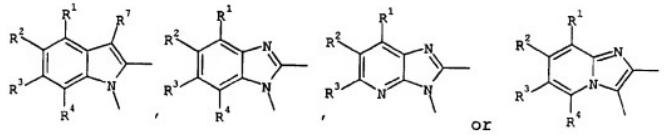
In formulas [I] and [II], 1 to 4 of G<sup>1</sup> to G<sup>9</sup> in the moiety



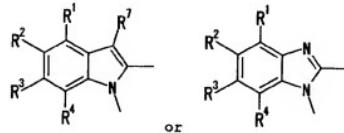
is(are) preferably a nitrogen atom, specifically preferably

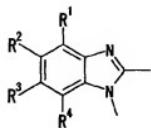


particularly preferably



more preferably





It is also a preferable embodiment wherein the



moiety is aromatic ring.

5        R¹ and R³ are preferably hydrogen atom or -OR¹⁶ (R¹⁶ is as defined above), particularly preferably hydrogen atom. R² is preferably carboxyl, -COOR¹¹, -CONR¹²R¹³, -SO₂R¹⁷ (each symbol is as defined above) or heterocyclic group having 1 to 4 heteroatom(s) selected from an oxygen atom, a nitrogen atom and a sulfur atom, 10 particularly preferably carboxyl, -COOR¹¹ or -SO₂R¹⁷, more preferably carboxyl or -COOR¹¹, most preferably carboxyl. R⁴ is preferably hydrogen atom.

R¹¹ is preferably optionally substituted C<sub>1-6</sub> alkyl.

When R² is carboxyl or -COOR¹¹, at least one of R¹, R³ and R⁴ 15 is preferably hydroxyl group, halogen atom (particularly fluorine atom, chlorine atom) or -OR¹⁶ (wherein R¹⁶ is preferably hydrogen atom or methyl).

The ring Cy and ring Cy' are preferably cyclopentyl, cyclohexyl, cycloheptyl, tetrahydrothiopyranyl or piperidino, 20 particularly preferably cyclopentyl, cyclohexyl or cycloheptyl, more preferably cyclohexyl.

The ring A and ring A' are preferably phenyl, pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, cyclohexyl, cyclohexenyl, furyl or thieryl, particularly preferably phenyl, pyridyl, 25 pyrazinyl, pyrimidinyl or pyridazinyl, more preferably phenyl or pyridyl, and most preferably phenyl.

The ring B and ring B' are preferably C<sub>1-6</sub> aryl or heterocyclic group, specifically preferably, phenyl, pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, 1,3,5-triazinyl, pyrrolyl, 30 pyrazolyl, imidazolyl, 1,2,4-triazolyl, tetrazolyl, thieryl, furyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl or

thiadiazolyl, particularly preferably phenyl, pyridyl, pyrimidinyl, 1,3,5-triazinyl or thiazolyl, more preferably, phenyl, pyridyl or thiazolyl, and most preferably phenyl or thiazolyl.

5 With regard to R<sup>5</sup> and R<sup>6</sup>, one of them is preferably hydrogen atom and the other is halogen atom, particularly fluorine atom. Alternatively, the both are preferably hydrogen atoms. When ring A is phenyl, R<sup>5</sup> and R<sup>6</sup> preferably are present at an ortho position from G<sup>6</sup>. The same applies to R<sup>5'</sup> and R<sup>6'</sup>.

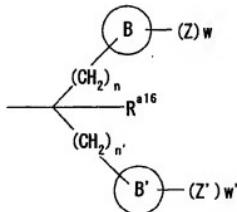
10 Y is preferably -(CH<sub>2</sub>)<sub>m</sub>-O-(CH<sub>2</sub>)<sub>n</sub>-, -NHCO<sub>2</sub>-, -CONH-CHR<sup>a14</sup>-, -(CH<sub>2</sub>)<sub>m</sub>-NR<sup>a12</sup>-(CH<sub>2</sub>)<sub>n</sub>-, -CONR<sup>a13</sup>-(CH<sub>2</sub>)<sub>n</sub>-, -O-(CH<sub>2</sub>)<sub>m</sub>-CR<sup>a15</sup>R<sup>a16</sup>-(CH<sub>2</sub>)<sub>n</sub>- or -(CH<sub>2</sub>)<sub>n</sub>-NR<sup>a12</sup>-CHR<sup>a15</sup>-(each symbol is as defined above), more preferably, -(CH<sub>2</sub>)<sub>m</sub>-O-(CH<sub>2</sub>)<sub>n</sub>- or -O-(CH<sub>2</sub>)<sub>m</sub>-CR<sup>a15</sup>R<sup>a16</sup>-(CH<sub>2</sub>)<sub>n</sub>-, most preferably -(CH<sub>2</sub>)<sub>m</sub>-O-(CH<sub>2</sub>)<sub>n</sub>-.

15 The 1, m and n are preferably 0 or an integer of 1 to 4, particularly preferably 0, 1 or 2, at Y. In -(CH<sub>2</sub>)<sub>m</sub>-O-(CH<sub>2</sub>)<sub>n</sub>-, m=n=0 or m=0 and n=1 is more preferable, most preferably m=0 and n=1. In -O-(CH<sub>2</sub>)<sub>m</sub>-CR<sup>a15</sup>R<sup>a16</sup>-(CH<sub>2</sub>)<sub>n</sub>-, m=n=0, m=0 and n=1, m=1 and n=0 or m=1 and n=1 is more preferable, most preferably m=0 and n=1.

20 When Y is -O-(CH<sub>2</sub>)<sub>m</sub>-CR<sup>a15</sup>R<sup>a16</sup>-(CH<sub>2</sub>)<sub>n</sub>-, R<sup>a16</sup> is preferably hydrogen atom, R<sup>a15</sup> is preferably



wherein the



25

moiety is preferably symmetric. The preferable mode of n, ring B, Z and w and the preferable mode of n', ring B', Z' and w' are the same.

When ring A is phenyl, X or Y is preferably present at the 30 para-position relative to G<sup>6</sup>. When ring B and ring B' are phenyl,

Z is preferably present at the ortho or meta-position relative to Y. It is preferable that the 3-position on phenyl have one substituent or the 2-position and the 5-position on phenyl each have one substituent.

- 5 When ring B is bonded to Y as pyridin-2-yl, Z is preferably substituted at the 3-position and 6-position of pyridyl; when it is bonded to Y as pyridin-3-yl, Z is preferably substituted at the 2-position and 5-position of pyridyl; and when it is bonded to Y as pyridin-4-yl, Z is preferably substituted at 10 the 2-position and 5-position of pyridyl.

When ring B is thiazolyl, Y is preferably substituted at the 5-position, and Z is preferably substituted at the 2-position, the 4-position or the 2-position and the 4-position. Similarly, when ring B' is thiazolyl,  $(CH_2)_n$  is also preferably substituted 15 at the 5-position, and Z' is preferably substituted at the 2-position, the 4-position or the 2-position and the 4-position.

- Z and Z' are preferably group D, "C<sub>6-14</sub> aryl optionally substituted by 1 to 5 substituent(s) selected from group D" or "heterocyclic group optionally substituted by 1 to 5 20 substituent(s) selected from group D", particularly preferably group D or "C<sub>6-14</sub> aryl optionally substituted by 1 to 5 substituent(s) selected from group D".

More preferably, they are the above-defined halogen atom, nitro, the above-defined optionally substituted C<sub>1-6</sub> alkyl, 25 - (CH<sub>2</sub>)<sub>t</sub>-COR<sup>a18</sup>, - (CH<sub>2</sub>)<sub>t</sub>-COOR<sup>a19</sup>, - (CH<sub>2</sub>)<sub>t</sub>-CONR<sup>a27</sup>R<sup>a28</sup>, - (CH<sub>2</sub>)<sub>t</sub>-OR<sup>a20</sup>, - (CH<sub>2</sub>)<sub>t</sub>-NR<sup>a29</sup>CO-R<sup>a24</sup>, - (CH<sub>2</sub>)<sub>t</sub>-S(O)<sub>q</sub>-R<sup>a25</sup> or - (CH<sub>2</sub>)<sub>t</sub>-SO<sub>2</sub>-NHR<sup>a26</sup>, or C<sub>6-14</sub> aryl or heterocyclic group optionally substituted by these.

With regard to Z and Z', the preferable mode of group D that directly substitutes each ring B and ring B' and the 30 preferable mode of group D that substitutes C<sub>6-14</sub> aryl, C<sub>3-8</sub> cycloalkyl, C<sub>6-14</sub> aryl C<sub>1-6</sub> alkyl or heterocyclic group are the same, wherein they may be the same with or different from each other.

Specific examples of the substituent preferably include 35 fluorine atom, chlorine atom, bromine atom, nitro, cyano, methyl, ethyl, propyl, isopropyl, tert-butyl, trifluoromethyl, hydroxymethyl, 2-hydroxyethyl, methoxymethyl, 2-carboxylethyl, methoxycarbonylmethyl, ethoxycarbonylmethyl,

carbamoylmethoxymethyl, (dimethylaminocarbonyl)methoxymethyl,  
 acetyl, isovaleryl, carboxyl, methoxycarbonyl, ethoxycarbonyl,  
 carbamoyl, methylaminocarbonyl, hydroxyaminocarbonyl,  
 ethylaminocarbonyl, propylaminocarbonyl, isopropylaminocarbonyl,  
 5 butylaminocarbonyl, isobutylaminocarbonyl, tert-  
 butylaminocarbonyl, (4-hydroxybutyl)aminocarbonyl, (1-  
 hydroxypropan-2-yl)aminocarbonyl, (2,3-dihydroxypropyl)-  
 aminocarbonyl, (1,3-dihydroxypropan-2-yl)aminocarbonyl,  
 methoxyaminocarbonyl, {2-[2-(methoxy)ethoxy]ethyl}aminocarbonyl,  
 10 N-ethyl-N-methylaminocarbonyl, N-methyl-N-propylaminocarbonyl, N-  
 isopropyl-N-methylaminocarbonyl, dimethylaminocarbonyl,  
 diethylaminocarbonyl, (2-hydroxyethyl)aminocarbonyl, (2-hydroxy-  
 2-methylpropan-2-yl)aminocarbonyl, (carboxymethyl)aminocarbonyl,  
 hydroxyl group, methoxy, ethoxy, propyloxy, isopropyloxy,  
 15 butyloxy, isopentyloxy, 2-isopentenyloxy, 3-isohexenyloxy, 4-  
 methyl-3-pentenyloxy, 2-propynyloxy, trifluoromethyloxy,  
 hydroxymethyloxy, carboxymethyloxy, (dimethylaminocarbonyl)-  
 methyloxy, amino, methylamino, dimethylamino, diethylamino,  
 acetylamino, N-acetyl-N-methylamino, N-acetyl-N-ethylamino, N-  
 20 acetyl-N-propylamino, N-acetyl-N-isopropylamino, N-ethylcarbonyl-  
 N-methylamino, N-ethyl-N-(ethylcarbonyl)amino, ureido,  
 isopropylcarbonylamino, isobutylcarbonylamino, tert-  
 butylcarbonylamino, (ethylamino)carbonylamino, (isopropylamino)-  
 carbonylamino, (dimethylamino)carbonylamino, (4-  
 25 hydroxypiperidino)carbonylamino, [(4-hydroxypiperidino)methyl]-  
 carbonylamino, [(3-hydroxypyrrolidinyl)methyl]carbonylamino,  
 methylsulfonylamino, isopropylsulfonylamino, N-(methylsulfonyl)-  
 N-methylamino, N-(ethylsulfonyl)-N-methylamino, N-  
 (isopropylsulfonyl)-N-methylamino, N-(methylsulfonyl)-N-  
 30 ethylamino, N-(methylsulfonyl)-N-propylamino, N-(ethylsulfonyl)-  
 N-ethylamino, methylthio, methylsulfonyl, isopropylsulfonyl,  
 isobutylsulfonyl, methylsulfinyl, isopropylsulfinyl,  
 aminosulfonyl, methylaminosulfonyl, dimethylaminosulfonyl,  
 isopropylaminosulfonyl, tert-butylaminosulfonyl, hydroxyamidino,  
 35 phenyl, 3-fluorophenyl, 4-fluorophenyl, 3-chlorophenyl, 4-  
 chlorophenyl, 2,4-difluorophenyl, 3,4-difluorophenyl, 3,4-  
 dichlorophenyl, 3,5-dichlorophenyl, 4-chloro-3-fluorophenyl, 4-  
 chloro-2-fluorophenyl, 4-bromophenyl, 4-nitrophenyl, 4-

cyanophenyl, 4-methylphenyl, 4-ethylphenyl, 4-propylphenyl, 4-isopropylphenyl, 4-tert-butylphenyl, 2-trifluoromethylphenyl, 4-trifluoromethylphenyl, 4-(hydroxymethyl)phenyl, 4-(2-hydroxyethyl)phenyl, 4-(methoxymethyl)phenyl, 4-(2-carboxylethyl)phenyl, 4-(methoxycarbonylmethyl)phenyl, 4-(ethoxycarbonylmethyl)phenyl, 4-acetylphenyl, 3-carboxylphenyl, 4-carboxylphenyl, 4-(methoxycarbonyl)phenyl, 4-(ethoxycarbonyl)phenyl, 4-carbamoylphenyl, 4-(methylaminocarbonyl)phenyl, 4-(isopropylaminocarbonyl)phenyl, 4-(dimethylaminocarbonyl)phenyl, 4-(diethylaminocarbonyl)phenyl, 4-[(2-hydroxyethyl)aminocarbonyl]phenyl, 4-[(carboxymethyl)aminocarbonyl]phenyl, 4-hydroxyphenyl, 4-methoxyphenyl, 3,4,5-trimethoxyphenyl, 4-ethoxyphenyl, 4-propyloxyphenyl, 4-isopropyloxyphenyl, 4-butyloxyphenyl, 4-isopentyloxyphenyl, 4-(2-isopentenyloxy)phenyl, 4-(3-isocteneyloxy)phenyl, 4-(4-methyl-3-penteneyloxy)phenyl, 4-(2-propynyoxy)phenyl, 4-(trifluoromethyloxy)phenyl, 4-(hydroxymethyloxy)phenyl, 4-(carboxymethyloxy)phenyl, 4-[(dimethylaminocarbonyl)methyloxy]phenyl, 4-aminophenyl, 4-(methylamino)phenyl, 4-(dimethylaminophenyl), 4-(diethylamino)-phenyl, 4-(acetylamino)phenyl, N-acetyl-N-methylamino, 4-(N-acetyl-N-methylamino)phenyl, 4-(N-acetyl-N-ethylamino)phenyl, 4-(N-acetyl-N-propylamino)phenyl, 4-(N-acetyl-N-isopropylamino)phenyl, 4-(N-ethylcarbonyl-N-methylamino)phenyl, 4-[N-ethyl-N-(ethylcarbonyl)amino]phenyl, 4-(methylsulfonylamino)phenyl, 4-(methylthio)phenyl, 4-(methylsulfonyl)phenyl, 4-(methylsulfinyl)phenyl, 4-(aminosulfonyl)phenyl, 4-(methylaminosulfonyl)phenyl, 4-(dimethylaminosulfonyl)phenyl, 4-(tert-butylaminosulfonyl)phenyl, 4-tetrazol-5-ylphenyl, cyclohexyl, benzyl, 4-chlorobenzyl, phenethyl, benzyloxy, 4-fluorobenzylxy, 2-chlorobenzylxy, 3-chlorobenzylxy, 4-chlorobenzylxy, 4-tert-butylbenzylxy, 4-trifluoromethylbenzylxy, phenethyloxy, 2-thienyl, 2-thiazolyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 6-fluoropyridin-3-yl, 5-fluoropyridin-2-yl, 6-chloropyridin-3-yl, 6-methylpyridin-3-yl, 2-pyrimidinyl, 5-tetrazolyl, piperidino, 2-oxopiperidin-1-yl, 2-oxopyrrolidin-1-yl, 2-imidazolin-2-yl, 2-oxoimidazolidin-1-yl, 2-oxooxazolidin-1-yl, 2-methylthiazol-4-yl, 5-methylthiazol-2-yl,

2-aminothiazol-4-yl, 3-methyl-1,2,4-oxadiazol-5-yl, 1,1-dioxoisothiazolidin-2-yl, 4,4-dimethyl- $\Delta^2$ -oxazolin-2-yl, 5-chlorothiophen-2-yl, 5-methyloxazol-2-yl, 5-oxo- $\Delta^2$ -1,2,4-oxadiazolin-3-yl, 5-oxo- $\Delta^2$ -1,2,4-thiadiazolin-3-yl, 2-oxo-3H-1,2,3,5-oxathiadiazolin-4-yl, 4-hydroxypiperidinomethyl, piperidinocarbonyl, 4-hydroxypiperidinocarbonyl, 3,4-dihydroxypiperidinocarbonyl, 1-piperazinylcarbonyl, 1-pyrrolidinylcarbonyl, morpholinocarbonyl, 4-thiomorpholinylcarbonyl, phenoxy, 2,4-dichlorophenoxy,  
 10 tetrahydropyranloxy, 2-pyridylmethoxy, 3-pyridylmethoxy, 2-chloropyridin-4-ylmethoxy, 4-pyridylmethoxy, 2-piperidylmethoxy, 3-piperidylmethoxy, 4-piperidylmethoxy, 1-methylpiperidin-4-ylmethoxy, 1-acetyl piperidin-4-ylmethoxy, 1-(tert-butoxycarbonyl)piperidin-4-ylmethoxy, 1-  
 15 (methylsulfonyl)piperidin-4-ylmethoxy, 2-methylthiazolin-4-yloxy, 2,4-dimethylthiazolin-5-yloxy, dimethylaminocarbonylmethoxy, piperidinocarbonylmethoxy, 4-hydroxypiperidinocarbonylmethoxy, 2-methylthiazol-4-ylmethoxy, (2-methylthiazol-4-yl)methoxy, (2,4-dimethylthiazol-5-yl)methoxy, benzoyl, 3-  
 20 fluorobenzoyl, 4-chlorobenzylamino, 3,5-dichlorobenzylamino, 4-trifluoromethylbenzylamino, 2-pyridylmethylamino, benzylamino, 4-chlorobenzylamino, 4-trifluoromethylbenzylamino, 3,5-dichlorobenzylamino, 3-nitro-4-methoxybenzylamino, 4-nitro-3-methoxybenzylamino, 3-pyridylcarbonylamino, morpholinocarbonyl-  
 25 amino, 2-oxazolinylamino, 4-hydroxypiperidinosulfonyl, 4-methylphenylsulfonylamino, 2-thiazolylaminosulfonyl, 2-pyridylaminosulfonyl, benzylaminocarbonyl, N-benzyl-N-methylaminocarbonyl, (4-pyridylmethyl)aminocarbonyl or (cyclohexylmethyl)aminocarbonyl, 2-hydroxyethoxy, 3-  
 30 hydroxypropyloxy, 2-methoxyethoxy, 2-(2-methoxyethoxy)ethoxy, azetidinylcarbonyl, 3-hydroxypyrrolidinylcarbonyl, 3-hydroxypiperidinocarbonyl, 4-hydroxypiperidinocarbonyl, 3,4-dihydroxypiperidinocarbonyl, 4-methoxypiperidinocarbonyl, 4-carboxypiperidinocarbonyl, 4-(hydroxymethyl)piperidinocarbonyl,  
 35 2-oxopiperidinocarbonyl, 4-oxopiperidinocarbonyl, 2,6-dimethylpiperidinocarbonyl, 2,2,6,6-tetramethylpiperidinocarbonyl, 2,2,6,6-tetramethyl-4-hydroxypiperidinocarbonyl, 1-oxothiomorpholin-4-ylcarbonyl, 1,1-dioxothiomorpholin-4-

ylcarbonyl, 1-(methylsulfonyl)piperidin-4-ylaminocarbonyl, 4-methylsulfonylpiperazinylcarbonyl, 4-methylpiperazinylcarbonyl, N,N-bis(2-hydroxyethyl)aminocarbonyl, phenylaminocarbonyl, cyclopropylaminocarbonyl, cyclobutylaminocarbonyl,  
 5 cyclohexylaminocarbonyl, 4-hydroxycyclohexylaminocarbonyl, 4-methylthiazol-2-ylmethyaminocarbonyl, 2-(4-hydroxypiperidino)-ethoxy, 2-pyridylmethyaminocarbonyl, 3-pyridylmethyaminocarbonyl, N-methyl-N-(4-pyridylmethyl)aminocarbonyl, cyclohexylmethyloxy, 4-hydroxypiperidinocarbonylmethyloxy and 4-  
 10 methylthiazol-2-ylmethyloxy.

Particularly preferable examples of the substituent include fluorine atom, chlorine atom, bromine atom, nitro, cyano, methyl, hydroxymethyl, carboxyl, carbamoyl, methylaminocarbonyl, isopropylaminocarbonyl, dimethylaminocarbonyl, diethylamino-  
 15 carbonyl, (2-hydroxylethyl)aminocarbonyl, (carboxymethyl)-aminocarbonyl, methoxy, 2-isopentenyloxy, 2-propynyoxy, methylthio, methylamino, dimethylamino, acetylamino, N-acetyl-N-methylamino, N-acetyl-N-ethylamino, N-acetyl-N-propylamino, N-acetyl-N-isopropylamino, N-ethylcarbonyl-N-methylamino, N-ethyl-  
 20 N-(ethylcarbonyl)amino, methylsulfonylamino, methylsulfonyl, aminosulfonyl, dimethylaminosulfonyl, tert-butylaminosulfonyl, phenyl, 3-fluorophenyl, 4-fluorophenyl, 3-chlorophenyl, 4-chlorophenyl, 3,5-dichlorophenyl, 4-nitrophenyl, 4-methylphenyl, 4-tert-butylphenyl, 4-trifluoromethylphenyl, 4-(methoxymethyl)-  
 25 phenyl, 4-(2-hydroxylethyl)phenyl, 3-carboxylphenyl, 4-carboxylphenyl, 4-methoxyphenyl, 4-carbamoylphenyl, 4-methylthiophenyl, 4-(dimethylaminocarbonyl)phenyl, 4-methylsulfonylphenyl, benzyl, phenethyl, benzyloxy, 4-fluorobenzylxy, 4-chlorobenzylxy, 2-thiazolyl, 3-pyridyl, 4-  
 30 pyridyl, 4-pyridylmethyloxy, 2-piperidylmethyloxy, 3-piperidylmethyloxy, 4-piperidylmethyloxy, 1-methylpiperidin-4-ylmethyloxy, 1-acetyl piperidin-4-ylmethyloxy, 2-chloropiperidin-4-ylmethyloxy, 1-(methylsulfonyl)piperidin-4-ylmethyloxy, 2-methylthiazol-4-yl, (2-methylthiazol-4-yl)methyloxy, (2,4-  
 35 dimethylthiazol-5-yl)methyloxy, 5-tetrazolyl, 3-fluorobenzoyl, piperidinocarbonyl, 4-hydroxylpiperidinocarbonyl, 1-pyrrolidinylcarbonyl, morpholinocarbonyl, 4-thiomorpholinylcarbonyl, benzylaminocarbonyl, N-benzyl-N-

methylaminocarbonyl, (4-pyridylmethyl)aminocarbonyl and (cyclohexylmethyl)aminocarbonyl.

Most preferable substituents are fluorine atom, chlorine atom, methyl, hydroxymethyl, carboxyl, carbamoyl,  
 5 methylaminocarbonyl, dimethylaminocarbonyl, methoxy, methylamino, acetylarnino, aminosulfonyl, dimethylaminosulfonyl, tert-butylaminosulfonyl, phenyl, 3-fluorophenyl, 4-fluorophenyl, 3-chlorophenyl, 4-chlorophenyl, 3,5-dichlorophenyl, 4-methylphenyl, 4-tert-butylphenyl, 4-trifluoromethylphenyl, 4-carboxylphenyl, 4-  
 10 methoxyphenyl, 4-carbamoylphenyl, 4-methylthiophenyl, 4-(dimethylaminocarbonyl)phenyl, 4-methylsulfonylphenyl and 2-oxopyrrolidin-1-yl.

The w is preferably 1 or 2, r and t are preferably 0, 1 or 2, particularly preferably 0 or 1, more preferably 0, p is  
 15 preferably 1, and q is preferably 0 or 2.

In formula [I], when X is



wherein each symbol is as defined above and w is 2 or above, one of Z is preferably C<sub>6-14</sub> aryl optionally substituted by 1 to 5  
 20 substituent(s) selected from group D or heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from group D, particularly preferably C<sub>6-14</sub> aryl optionally substituted by 1 to 5 substituent(s) selected from group D.

When ring B is phenyl, w is 2 and phenyl is bonded to Y at  
 25 the 1-position, one of the most preferable embodiments is that wherein Z is bonded to the 2-position and 5-position of phenyl, Z at the 2-position is "C<sub>6-14</sub> aryl optionally substituted by 1 to 5 substituent(s) selected from group D" and Z at the 5-position is "heterocyclic group optionally substituted by 1 to 5  
 30 substituent(s) selected from group D".

The pharmaceutically acceptable salt may be any as long as it forms a non-toxic salt with a compound of the above-mentioned formula [I] or [II]. Such salt can be obtained by reacting the compound with an inorganic acid, such as hydrochloric acid,  
 35 sulfuric acid, phosphoric acid, hydrobromic acid and the like, or an organic acid, such as oxalic acid, malonic acid, citric acid, fumaric acid, lactic acid, malic acid, succinic acid, tartaric

acid, acetic acid, trifluoroacetic acid, gluconic acid, ascorbic acid, methylsulfonic acid, benzylsulfonic acid, meglumine acid and the like, or an inorganic base, such as sodium hydroxide, potassium hydroxide, calcium hydroxide, magnesium hydroxide,  
5 ammonium hydroxide and the like, or an organic base, such as methylamine, diethylamine, triethylamine, triethanolamine, ethylenediamine, tris(hydroxymethyl)methylamine, guanidine, choline, cinchonine and the like, with an amino acid, such as lysine, arginine, alanine and the like. The present invention  
10 encompasses water-retaining product, hydrate and solvate of each compound.

The compounds of the above-mentioned formula [I] or [II] have various isomers. For example, E compound and Z compound are present as geometric isomers, and when the compound has an  
15 asymmetric carbon, an enantiomer and a diastereomer are present due to the asymmetric carbon. A tautomer may be also present. The present invention encompasses all of these isomers and mixtures thereof.

The present invention also encompasses prodrug and  
20 metabolite of each compound.

A prodrug means a derivative of the compound of the present invention, which is capable of chemical or metabolic decomposition, which shows inherent efficacy by reverting to the original compound after administration to a body, and which  
25 includes salts and complexes without a covalent bond.

When the inventive compound is used as a pharmaceutical preparation, the inventive compound is generally admixed with pharmaceutically acceptable carriers, excipients, diluents, binders, disintegrators, stabilizers, preservatives, buffers,  
30 emulsifiers, aromatics, coloring agents, sweeteners, thickeners, correctives, solubilizers, and other additives such as water, vegetable oil, alcohol such as ethanol, benzyl alcohol and the like, polyethylene glycol, glycerol triacetate, gelatin, lactose, carbohydrate such as starch and the like, magnesium stearate,  
35 talc, lanolin, petrolatum and the like, and prepared into a dosage form of tablets, pills, powders, granules, suppositories, injections, eye drops, liquids, capsules, troches, aerosols, elixirs, suspensions, emulsions, syrups and the like, which can

be administered systemically or topically and orally or parenterally.

While the dose varies depending on the age, body weight, general condition, treatment effect, administration route and the like, it is from 0.1 mg to 1 g for an adult per dose, which is given one to several times a day.

The prophylaxis of hepatitis C means, for example, administration of a pharmaceutical agent to an individual found to carry an HCV by a test and the like but without a symptom of hepatitis C, or to an individual who shows an improved disease state of hepatitis after a treatment of hepatitis C, but who still carries an HCV and is associated with a risk of recurrence of hepatitis.

The therapeutic agent for hepatitis C of the present invention is expected to provide a synergistic effect when concurrently used with other antiviral agents, antiinflammatory agents or immunostimulants.

The medicaments with the prospect of synergistic effect include, for example, interferon- $\alpha$ , interferon- $\beta$ , interferon- $\gamma$ , interleukin-2, interleukin-8, interleukin-10, interleukin-12, TNF $\alpha$ , recombinant or modified products thereof, agonists, antibodies, vaccines, ribozymes, antisense nucleotides and the like.

As evidenced in the combination therapy of anti-HIV agents, which is also called a cocktail therapy, the combined use of various anti-virus agents against viruses showing frequent genetic mutations is expected to show effect for suppressing emergence and increase of drug tolerant viruses. For example, 2 or 3 agents from HCV-IRES inhibitors, HCV-NS3 protease inhibitors, HCV-NS2NS3 protease inhibitors, HCV-NS5A inhibitors and HCV polymerase inhibitor may be used in combination. Specifically, the combined use with Ribavirin(R), interferon- $\alpha$  (IFN- $\alpha$ , Roferon(R), Intron A(R), Sumiferon(R), MultiFeron(R), Infergen(R), Omnipferon(R), Pegasys(R), PEG-Intron A(R)), interferon- $\beta$  (Frone(R), Rebif(R), AvoneX(R), IFN $\beta$ -MOCHIDA(R)), interferon- $\omega$ , 1- $\beta$ -L-ribofuranosyl-1H-1,2,4-triazole-3-carboxamide, 16 $\alpha$ -bromo-3 $\beta$ -hydroxy-5 $\alpha$ -androstan-17-one, 1H-imidazole-4-ethanamide dihydrochloride, HCV ribozyme Heptazyme(R), polyclonal antibody Civacir(R), lactoferrin GPX-400,

(1S,2R,8R,8aR)-1,2,8-trihydroxyoctahydroindolizidinium chloride, HCV vaccine (MTH-68/B, Innivax C(R), Engerix B(R)), antisense oligonucleotide ISIS-14803, HCV-RNA transcriptase inhibitor VP-50406, tetrachlorodecaoxide (high concentration Oxoferin(R)),  
 5 tetrahydrofuran-3-yl (S)-N-3-[3-(3-methoxy-4-oxazol-5-ylphenyl)ureido]benzylcarbamate, 4-amino-2-ethoxymethyl- $\alpha$ , $\alpha$ -dimethyl-1H-imidazo[4,5-c]quinoline-1-ethanol, interleukin-2 (Proleukin(R)), thymosin  $\alpha$ 1 and the like is exemplified, wherein (R) shows product names.

- 10 Furthermore, the combined use with the compounds disclosed in JP-A-08-268890, JP-A-10-101591, JP-A-07-069899, WO99/61613 and the like as HCV IRES inhibitors; the compounds disclosed in WO98/22496, WO99/07733, WO99/07734, WO00/09543, WO00/09558, WO01/59929, WO98/17679, EP932617, WO99/50230, WO00/74768,  
 15 WO97/43310, US5990276, WO01/58929, WO01/77113, WO02/8198, WO02/8187, WO02/8244, WO02/8256, WO01/07407, WO01/40262, WO01/64678, WO98/46630, JP-A-11-292840, JP-A-10-298151, JP-A-11-127861, JP-A-2001-103993, WO98/46597, WO99/64442, WO00/31129, WO01/32961, WO93/15730, US7832236, WO00/200400, WO02/8251,  
 20 WO01/16379, WO02/7761 and the like as HCV protease inhibitors; the compounds disclosed in WO97/36554, US5830905, WO97/36866, US5633388, WO01/07027, WO00/24725 and the like as HCV helicase inhibitors; the compounds disclosed in WO00/10573, WO00/13708, WO00/18231, WO00/06529, WO02/06246, WO01/32153, WO01/60315,  
 25 WO01/77091, WO02/04425, WO02/20497, WO00/04141 and the like as HCV polymerase inhibitors; the compounds disclosed in WO01/58877, JP-A-11-180981, WO01/12214 and the like as interferon agonists or enhancers; and the like is also exemplified.

Inasmuch as HCV is known to be a virus associated with  
 30 many genetic mutations, a compound effective for many genotypes is one of the preferable modes. If a compound ensures high blood concentration when administered as a pharmaceutical agent to an animal infected with HCV, it is also one of the preferable modes. From these aspects, a compound having high inhibitory activity on  
 35 both HCV type 1a and type 1b and high blood concentration, such as 2-[4-(2-(4-chlorophenyl)-5-(2-oxopyrrolidin-1-yl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride, is particularly preferable.

Examples of the production method of the compound to be used for the practice of the present invention are given in the following. However, the production method of the compound of the present invention is not limited to these examples.

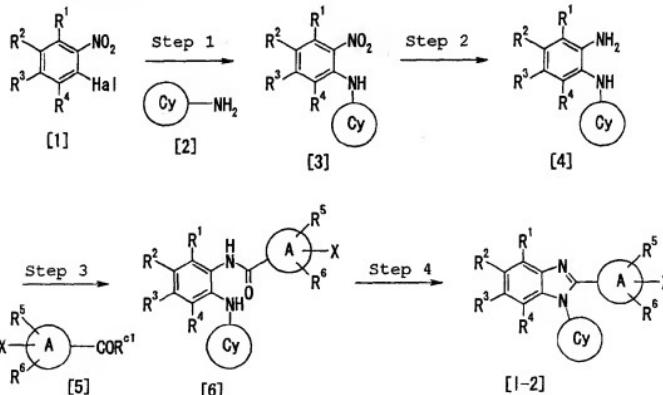
Even if no directly corresponding disclosure is found in the following Production Methods, the steps may be modified for efficient production of the compound, such as introduction of a protecting group into a functional group with deprotection in a subsequent step, and changing the order of Production Methods and steps.

The treatment after reaction in each step may be conventional ones, for which typical methods, such as isolation and purification, crystallization, recrystallization, silica gel chromatography, preparative HPLC and the like, can be appropriately selected and combined.

#### Production Method 1

In this Production Method, a benzimidazole compound is formed from a nitrobenzene compound.

#### Production Method 1-1



wherein Hal is halogen atom, such as chlorine atom, bromine atom and the like,  $R^{21}$  is halogen atom, such as chlorine atom, bromine atom and the like, or hydroxyl group, and other symbols are as defined above.

Step 1

A compound [1] obtained by a conventional method or a commercially available compound [1] is reacted with amine compound [2] in a solvent such as N,N-dimethylformamide (DMF), acetonitrile, tetrahydrofuran (THF), toluene and the like in the presence or absence of a base such as potassium carbonate, triethylamine, potassium t-butoxide and the like at room temperature or with heating to give compound [3].

Step 2

The compound [3] is hydrogenated in a solvent such as methanol, ethanol, THF, ethyl acetate, acetic acid, water and the like in the presence of a catalyst such as palladium carbon, palladium hydroxide, platinum oxide, Raney nickel and the like at room temperature or with heating to give compound [4]. In addition, compound [3] is reduced with a reducing agent such as zinc, iron, tin(II) chloride, sodium sulfite and the like, or reacted with hydrazine in the presence of iron(III) chloride to give compound [4]. The compound [4] can be also obtained by reacting compound [3] with sodium hydrosulfite under alkaline conditions.

Step 3

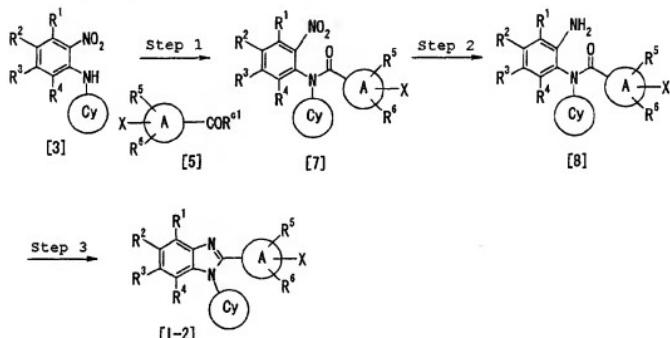
The compound [4] is condensed with carboxylic acid compound [5] in a solvent such as DMF, acetonitrile, THF, chloroform, ethyl acetate, methylene chloride, toluene and the like using a condensing agent such as dicyclohexylcarbodiimide, 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride, diphenylphosphoryl azide and the like and, where necessary, adding N-hydroxysuccinimide, 1-hydroxybenzotriazole and the like to give amide compound [6]. Alternatively, amide compound [6] can be obtained from compound [5] as follows. The carboxylic acid compound [5] is converted to an acid halide derived with thionyl chloride, oxalyl chloride and the like, or an active ester (e.g., mixed acid anhydride derived with ethyl chlorocarbonate and the like), which is then reacted in the presence of a base, such as triethylamine, potassium carbonate, pyridine and the like, or in an amine solvent, such as pyridine and the like, to give amide compound [6].

Step 4

The compound [6] is heated in a solvent such as ethanol, methanol, toluene, DMF, chloroform and the like or without a solvent in the presence of an acid such as acetic acid, formic acid, hydrochloric acid, dilute sulfuric acid, phosphoric acid, 5 polyphosphoric acid, p-toluenesulfonic acid and the like, a halogenating agent such as zinc chloride, phosphorus oxychloride, thionyl chloride and the like or acid anhydride such as acetic anhydride and the like, to allow cyclization to give compound [I-2].

**10 Production Method 1-2**

This Production Method is an alternative method for producing compound [I-2].



wherein each symbol is as defined above.

**15 Step 1**

The compound [3] obtained in the same manner as in Step 1 of Production Method 1-1 is subjected to amide condensation with compound [5] in the same manner as in Step 3 of Production Method 1-1 to give compound [7].

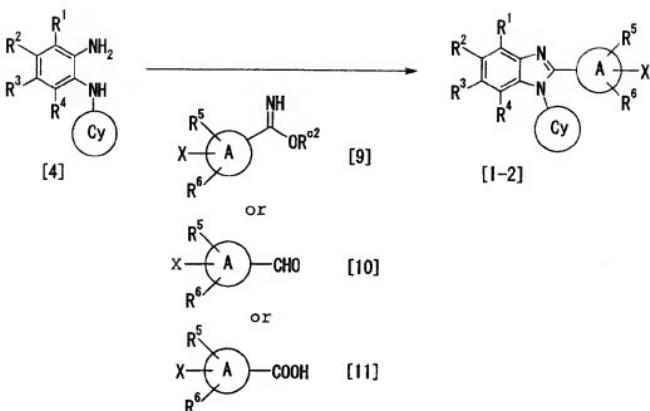
**20 Step 2**

The compound [7] is reduced in the same manner as in Step 2 of Production Method 1-1 to give compound [8].

**Step 3**

The compound [8] is subjected to cyclization in the same manner as in Step 4 of Production Method 1-1 to give compound [I-2].

**Production Method 1-3**



wherein R<sup>2</sup> is alkyl such as methyl, ethyl and the like, and other symbols are as defined above.

The compound [4] is reacted with imidate compound [9] in a solvent such as methanol, ethanol, acetic acid, DMF, THF, chloroform and the like at room temperature or with heating to give compound [I-2].

In addition, compound [4] may be reacted with aldehyde compound [10] in a solvent such as acetic acid, formic acid, acetonitrile, DMF, nitrobenzene, toluene and the like in the presence or absence of an oxidizing agent such as benzofuroxan, manganese dioxide, 2,3-dichloro-5,6-dicyano-p-benzoquinone, iodine, potassium ferricyanide and the like with heating to give compound [I-2].

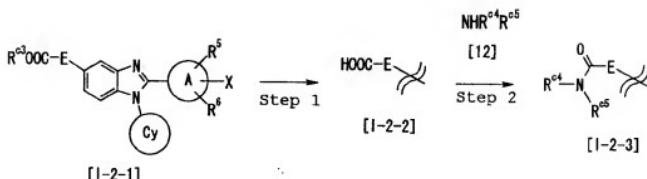
Alternatively, compound [4] and carboxylic acid compound [11] may be heated to allow reaction in the presence of polyphosphoric acid, phosphoric acid, phosphorus oxychloride, hydrochloric acid and the like to give compound [I-2].

#### Production Method 2

In this Production Method, conversion of the substituents (R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>) on the benzene ring of benzimidazole is shown. While a method of converting R<sup>2</sup> when R<sup>1</sup>, R<sup>3</sup> and R<sup>4</sup> are hydrogen atoms is shown, this Production Method is applicable irrespective of the position of substitution.

**Production Method 2-1**

Conversion of carboxylic acid ester moiety to amide

wherein E is a single bond,  $-(\text{CH}_2)_s-$ ,  $-\text{O}-(\text{CH}_2)_s-$  or  $-\text{NH}-(\text{CH}_2)_s-$ 5 (wherein s is an integer of 1 to 6),  $\text{R}^{c3}$ ,  $\text{R}^{c4}$  and  $\text{R}^{c5}$  are  $\text{C}_{1-6}$  alkyl, and other symbols are as defined above.**Step 1**

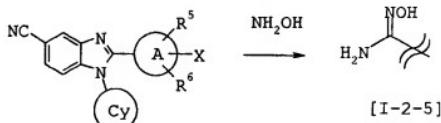
The compound [I-2-1] obtained in the same manner as in the above-mentioned Production Method is subjected to hydrolysis in a solvent such as methanol, ethanol, THF, dioxane and the like, or in a mixed solvent of these solvents and water under basic conditions with sodium hydroxide, potassium hydroxide, potassium carbonate, lithium hydroxide and the like or under acidic conditions with hydrochloric acid, sulfuric acid and the like to give compound [I-2-2].

**Step 2**

The compound [I-2-2] is reacted with compound [12] in the same manner as in Step 3 of Production Method 1-1 to give compound [I-2-3].

**20 Production Method 2-2**

Conversion of cyano group to substituted amidino group



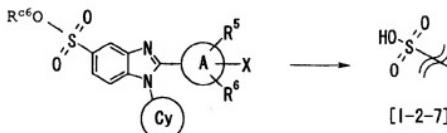
wherein each symbol is as defined above.

The compound [I-2-4] obtained in the same manner as in the above-mentioned Production Method is reacted with hydroxylamine in a solvent such as water, methanol, ethanol, THF, DMF and the like to give compound [I-2-5]. When a salt of hydroxylamine such

as hydrochloride and the like is used, the reaction is carried out in the presence of a base such as sodium hydrogencarbonate, sodium hydroxide, triethylamine and the like.

#### Production Method 2-3

- 5 Conversion of sulfonic acid ester moiety to sulfonic acid



[I-2-6]

wherein  $R^{c6}$  is  $C_{1-6}$  alkyl, and other symbols are as defined above.

- 10 The compound [I-2-6] obtained in the same manner as in the above-mentioned Production Method is reacted with iodide salt such as sodium iodide, lithium iodide and the like, bromide salt such as sodium bromide, trimethylammonium bromide and the like, amine such as pyridine, trimethylamine, triazole and the like, phosphine such as triphenylphosphine and the like in a solvent such as DMF, dimethyl sulfoxide (DMSO), acetonitrile, methanol, ethanol, water and the like with heating to give compound [I-2-7].

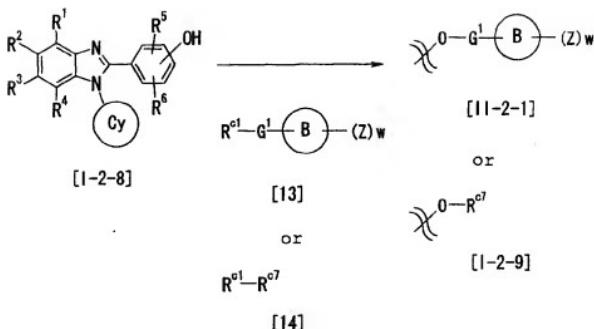
#### Production Method 3

This Production Method relates to conversion of the substituent(s) on phenyl group at the 2-position of benzimidazole.

- 20 This Production Method can be used even when phenyl is a different ring.

#### Production Method 3-1

Conversion of hydroxyl group to ether



wherein  $R^{c1}$  is optionally substituted alkyl corresponding to  $R^{a11}$ ,  $G^1$  is a single bond,  $*-(CH_2)_n-$ ,  $*-(CH_2)_n-O-$ ,  $*-(CH_2)_n-CO-$  or  $*-(CH_2)_m-CR^{a15}R^{a16})-(CH_2)_n-$ , wherein \* show the side to be bonded to  $R^{c1}$ , and other symbols are as defined above.

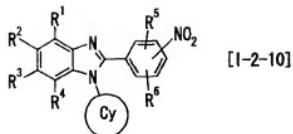
When  $R^{c1}$  of compound [13] is halogen atom, compound [I-2-8] obtained in the same manner as in the above-mentioned Production Method is reacted with compound [13] in a solvent such as DMF, DMSO, acetonitrile, ethanol, THF and the like in the presence of a base such as sodium hydride, sodium hydroxide, potassium hydroxide, potassium carbonate, sodium ethoxide, potassium t-butoxide and the like at room temperature or with heating to give compound [II-2-1].

When  $R^{c1}$  of compound [13] is hydroxyl group, the hydroxyl group of compound [13] is converted to halogen atom with thionyl chloride, phosphorus tribromide, carbon tetrabromide - triphenylphosphine and the like and reacted with compound [I-2-8] by the aforementioned method to give compound [II-2-1]. In this case, compound [I-2-8] may be subjected to Mitsunobu reaction with compound [13] in a solvent such as DMF, acetonitrile, THF and the like using triphenylphosphine - diethyl azodicarboxylate and the like to give compound [II-2-1].

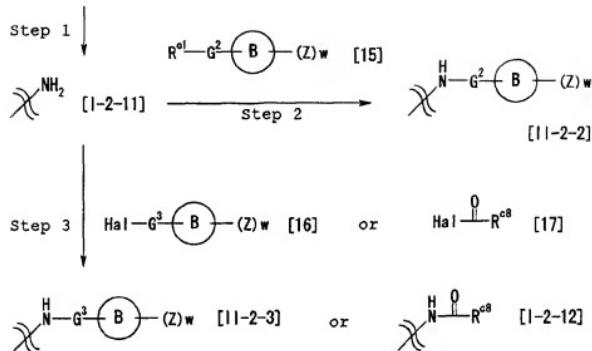
The compound [I-2-9] can be obtained in the same manner from compound [I-2-8] and compound [14].

#### 25 Production Method 3-2

Conversion of nitro to substituted amino group



[I-2-10]



wherein  $\text{R}^{\text{c}8}$  is  $\text{C}_{1-6}$  alkyl,  $\text{G}^2$  is  $-(\text{CH}_2)_n-$  or  $-\text{CHR}^{\text{a}15}-$ ,  $\text{G}^3$  is  $-\text{CO}-$ ,  $-\text{CO}_2-$ ,  $-\text{CONH}-$  or  $-\text{SO}_2-$ , and other symbols are as defined above.

**Step 1**

5 The nitro compound [I-2-10] obtained in the same manner as in the above-mentioned Production Method is reacted in the same manner as in Step 2 of Production Method 1-1 to give compound [I-2-11].

**Step 2**

10 The compound [I-2-11] is alkylated with compound [15] in the same manner as in Production Method 3-1 to give compound [II-2-2].

**Step 3**

When  $\text{G}^3$  of compound [16] is  $-\text{CO}-$ ,  $-\text{CO}_2-$  or  $-\text{CONH}-$ , compound [I-2-11] is acylated with compound [16] in the same manner as in 15 Step 3 of Production Method 1-1 to give compound [II-2-3].

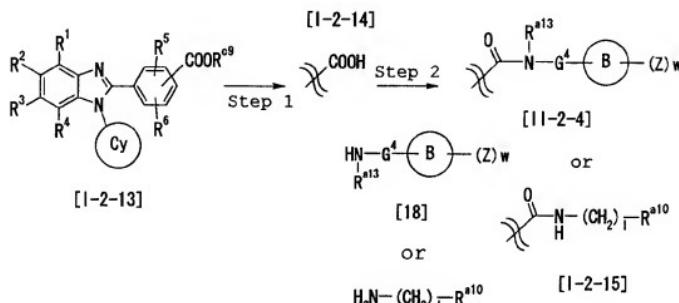
When  $\text{G}^3$  of compound [16] is  $-\text{SO}_2-$ , sulfonylation is conducted using sulfonyl halide instead of acid halide used in Step 3 of Production Method 1-1 to give compound [II-2-3].

The compound [I-2-11] is acylated with compound [17] in the 20 same manner as above to give compound [I-2-12].

This Production Method is applied in the same manner as above to give disubstituted compounds (tertiary amine) of compound [II-2-2], compound [II-2-3] and compound [I-2-12].

#### Production Method 3-3

- 5 Conversion of carboxylic acid ester moiety to amide



wherein R<sup>69</sup> is C<sub>1-6</sub> alkyl, G<sup>4</sup> is #-(CH<sub>2</sub>)<sub>n</sub>-, #-(CH<sub>2</sub>)<sub>n</sub>-NH- or #-CHR<sup>a14</sup>-  
wherein # shows the side that is bounded to amine and other  
symbols are as defined above.

#### Step 1

The compound [I-2-13] obtained in the same manner as in the above-mentioned Production Method is reacted in the same manner as in Step 1 of Production Method 2-1 to give compound [I-2-14].

#### Step 2

The compound [I-2-14] is reacted with compound [18] in the same manner as in Step 2 of Production Method 2-1 to give compound [II-2-4].

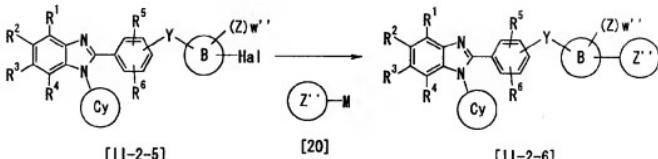
20 The compound [I-2-15] is obtained from compound [I-2-14] and compound [19] in the same manner as above.

#### Production Method 4

In this Production Method, additional substituent(s) is(are) introduced into ring B on phenyl group that substitutes the 2-position of benzimidazole. This Production Method is applicable even when phenyl is a different ring.

#### Production Method 4-1

Direct bonding of ring Z" to ring B

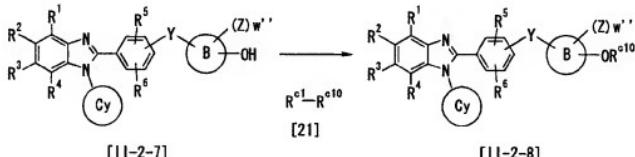


wherein ring Z''-M is aryl metal compound, ring Z'' moiety is optionally substituted C<sub>6-14</sub> aryl or optionally substituted heterocyclic group corresponding to substituent Z, and the metal moiety contains boron, zinc, tin, magnesium and the like, such as phenylboronic acid and 4-chlorophenylboronic acid, w'' is 0, 1 or 2, and other symbols are as defined above.

The compound [II-2-5] obtained in the same manner as in the  
10 above-mentioned Production Method is reacted with aryl metal  
compound [20] in a solvent such as DMF, acetonitrile, 1,2-  
dimethoxyethane, THF, toluene, water and the like in the presence  
of a palladium catalyst such as tetrakis(triphenylphosphine)-  
palladium, bis(triphenylphosphine)palladium(II) dichloride,  
15 palladium acetate - triphenylphosphine and the like, a nickel  
catalyst such as nickel chloride, [1,3-bis(diphenylphosphino)-  
propane]nickel(II) chloride and the like, and a base such as  
potassium carbonate, potassium hydrogen carbonate, sodium hydrogen-  
carbonate, potassium phosphate, triethylamine and the like at room  
20 temperature or with heating, to give compound [II-2-6].

### Production Method 4-2

### Conversion of hydroxyl group to ether



wherein  $R^{c10}$  is  $-R^{e20}$  or  $-(CH_2)_p-COR^{a21}$  corresponding to substituent  
<sup>25</sup> Z, and other symbols are as defined above.

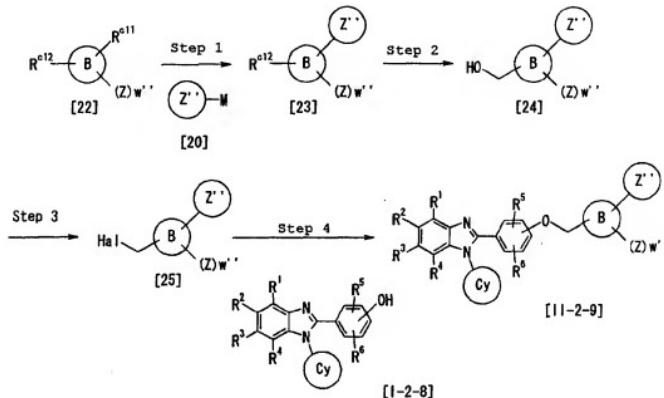
The compound [II-2-7] obtained in the same manner as in the above-mentioned Production Method is reacted with compound [21]

in the same manner as in Production Method 3-1 to give compound [II-2-8].

#### Production Method 4-3

Synthesis in advance of ring B part such as compound [13] in

##### 5 Production Method 3-1



wherein R<sup>511</sup> is leaving group such as chlorine atom, bromine atom, iodine atom, trifluoromethanesulfonyloxy and the like, R<sup>512</sup> is 10 formyl, carboxyl or carboxylic acid ester such as methoxycarbonyl, ethoxycarbonyl, tert-butoxycarbonyl and the like, and other symbols are as defined above.

##### Step 1

Commercially available compound [22] or compound [22] 15 obtained by a conventional method is reacted with aryl metal compound [20] in the same manner as in Production Method 4-1 to give compound [23].

##### Step 2

The compound [23] obtained in the same manner as in the 20 above-mentioned Production Method is reduced according to a conventional method to give compound [24].

For example, compound [23] is reacted with in a solvent such as methanol, ethanol, THF and the like in the presence of a reducing agent such as lithium aluminum hydride, sodium

borohydride and the like under cooling to heating to give compound [24].

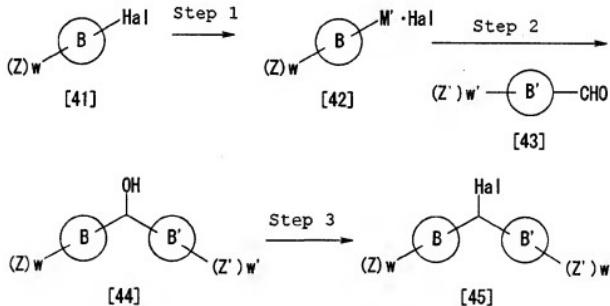
#### Step 3

The compound [24] obtained in the same manner as in the above-mentioned Production Method is reacted in a solvent such as 1,4-dioxane, diethyl ether, THF, dichloromethane, chloroform, toluene and the like with a halogenating agent, such as phosphorus pentachloride, phosphorus tribromide, thionyl chloride and the like, to give compound [25]. For an accelerated reaction, the reaction may be carried out in the presence of a tertiary amine such as DMF, pyridine and the like, or under heating.

#### Step 4

The compound [24] or [25] obtained in the same manner as in the above-mentioned Production Method is reacted with compound [I-2-8] in the same manner as in Production Method 3-1 to give compound [II-2-9].

#### Production Method 4-4



wherein M' is a metal such as magnesium, lithium, zinc and the like, and other symbols are as defined above.

#### Step 1

Commercially available compound [41] or compound [41] obtained by a conventional method is converted to aryl metal reagent by a conventional method to give compound [42].

For example, when M' is magnesium, magnesium is reacted with compound [41] in a solvent such as THF, diethyl ether, benzene, toluene and the like, preferably THF, from cooling to heating preferably at -100°C to 100°C to give compound [42].

**Step 2**

The compound [42] obtained in the same manner as in the above-mentioned Production Method is reacted with compound [43] to give compound [44].

- 5      The compound [42] is reacted in a solvent such as diethyl ether, benzene, toluene, THF and the like, preferably THF, from cooling to room temperature, preferably at -100°C to 30°C to give compound [44].

**Step 3**

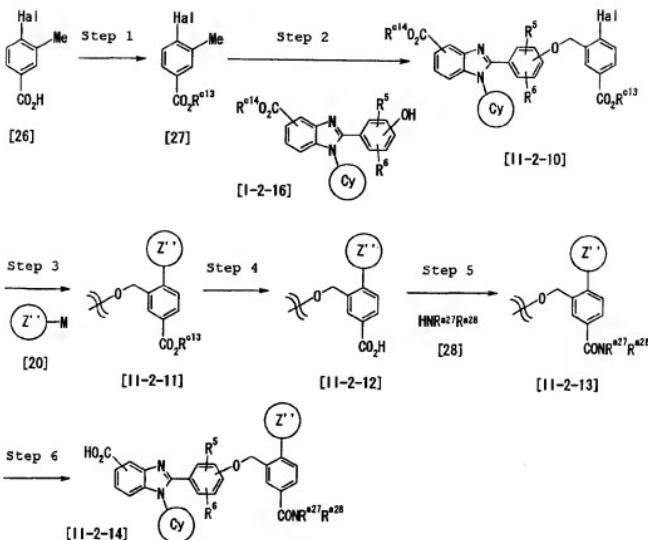
- 10     The compound [44] obtained in the same manner as in the above-mentioned Production Method is halogenated in the same manner as in Step 3 of Production Method 4-3 to give compound [45].

15     The compound [44] is reacted with thionyl chloride and pyridine preferably in toluene solvent to give compound [45].

When compound [45] is symmetric, namely, when the ring B-(Z)<sup>w</sup> moiety and the ring B'-(Z')<sup>w'</sup> moiety are the same, compound [42] is reacted with formate such as methyl formate, ethyl formate and the like, preferably ethyl formate, in a solvent such 20 as diethyl ether, benzene, toluene, THF and the like, preferably THF, from cooling to room temperature, preferably at -100°C to 30°C, to give compound [45].

**Production Method 4-5**

Method including steps to introduce a protecting group into a 25 functional group



wherein R<sup>c13</sup> is carboxylic acid protecting group such as tert-butyl and the like, R<sup>c14</sup> is carboxylic acid protecting group such as methyl and the like and other symbols are as defined above.

#### Step 1

Commercially available compound [26] or compound [26] obtained by a conventional method is protected by a conventional method to give compound [27].

For example, when R<sup>c13</sup> is tert-butyl, compound [26] is converted to acid halide with thionyl chloride, oxalyl chloride and the like in a solvent such as THF, chloroform, dichloromethane, toluene and the like, and reacted with potassium tert-butoxide to give compound [27].

As used herein, R<sup>c13</sup> may be a different protecting group as long as it is not removed during the Step 2 or Step 3 but removed in Step 4 without affecting -CO<sub>2</sub>R<sup>c14</sup>.

#### Step 2

The methyl group of compound [27] obtained in the same manner as in the above-mentioned Production Method is converted

to bromomethyl with N-bromosuccinimide and N,N'-azobisisobutyronitrile and reacted with compound [I-2-16] in the same manner as in Production Method 3-1 to give compound [II-2-10].

**5 Step 3**

The compound [II-2-10] obtained in the same manner as in the above-mentioned Production Method is reacted with aryl metal compound [20] in the same manner as in Production Method 4-1 to give compound [II-2-11].

**10 Step 4**

The R<sup>c13</sup> of the compound [II-2-11] obtained in the same manner as in the above-mentioned Production Method is removed by a conventional method to give compound [II-2-12].

The protecting group of carboxylic acid can be removed by a  
 15 conventional deprotection method according to the protecting group. In this Step, the conditions free from reaction of R<sup>c14</sup> are preferable. For example, when R<sup>c13</sup> is tert-butyl, compound [II-2-11] is treated with trifluoroacetic acid in a solvent such as dichloromethane, chloroform and the like to give compound [II-2-

**20 12].**

**Step 5**

The compound [II-2-12] obtained in the same manner as in the above-mentioned Production Method is subjected to amide condensation with compound [28] in the same manner as in Step 3  
 25 of Production Method 1-1 to give compound [II-2-13].

**Step 6**

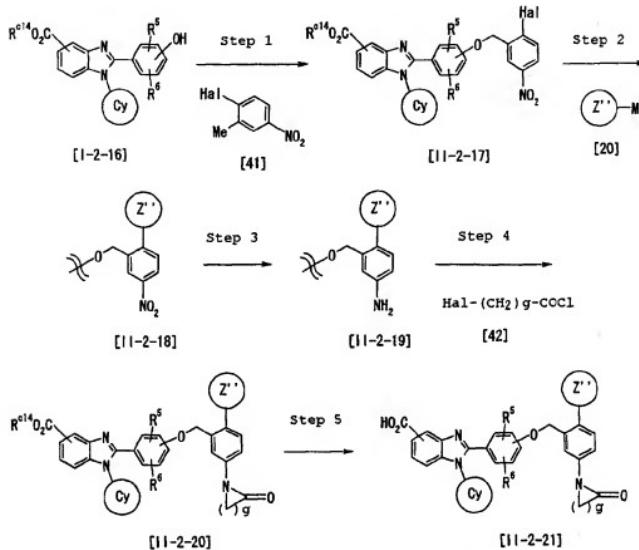
The compound [II-2-13] obtained in the same manner as in the above-mentioned Production Method is deprotected in the same manner as in Step 1 of Production Method 2-1 to give compound  
 30 [II-2-14].

As used herein, R<sup>c14</sup> is preferably a protecting group that does not react during the Step 1 through Step 5 but removed in this Step.

For example, when R<sup>c14</sup> is methyl, compound [II-2-13] is  
 35 reacted in an alcohol solvent such as methanol, ethanol, n-propanol, isopropanol and the like or a mixed solvent of alcohol solvent and water in the presence of a base such as potassium carbonate, sodium carbonate, lithium hydroxide, sodium hydroxide,

potassium hydroxide and the like from cooling to heating for deprotection, followed by acidifying the reaction solution to give compound [II-2-14].

**Production Method 4-6**



5

wherein g is an integer of 1 to 5, and other symbols are as defined above.

**Step 1**

The compound [I-2-16] obtained by the above-mentioned Production Method is reacted with toluene derivative [41] in the same manner as in Step 2 of Production Method 4-5 to give compound [II-2-17].

**Step 2**

The compound [II-2-17] obtained by the above-mentioned Production Method is reacted with aryl metal compound [20] in the same manner as in Production Method 4-1 to give compound [II-2-18].

**Step 3**

The compound [II-2-18] obtained by the above-mentioned Production Method is reduced in the same manner as in Step 2 of Production Method 1-1 to give compound [II-2-19].

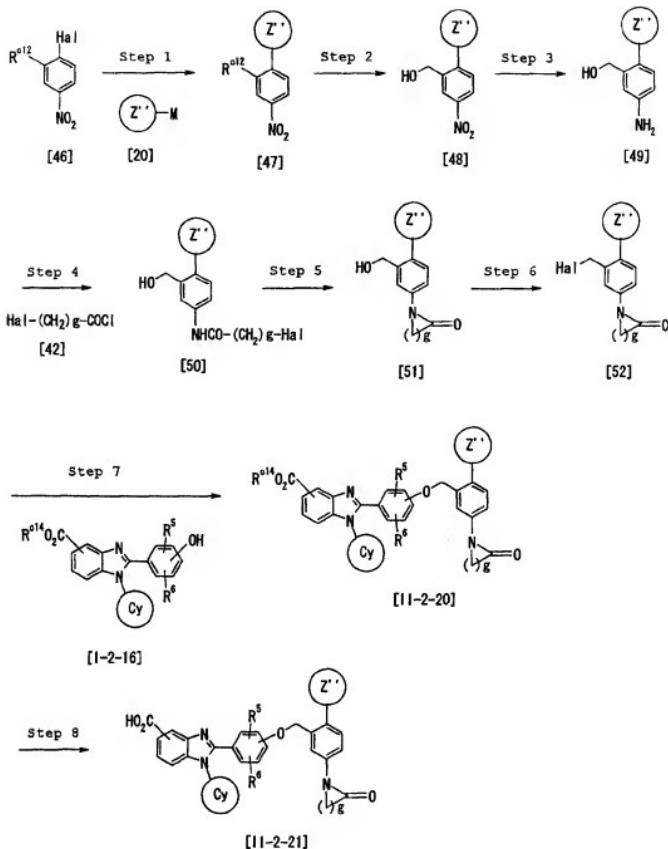
**Step 4**

5 The compound [II-2-19] obtained by the above-mentioned Production Method is amide condensed with compound [42] in the same manner as in Step 3 of Production Method 1-1 and subjected to cyclization in the same manner as in Step 1 of Production Method 1-1 to give compound [II-2-20].

**10 Step 5**

The compound [II-2-20] obtained by the above-mentioned Production Method is hydrolyzed in the same manner as in Step 1 of Production Method 2-1 to give compound [II-2-21].

**Production Method 4-7**



wherein each symbol is as defined above.

#### Step 1

Commercially available product or compound [46] obtained by  
5 a conventional method is reacted with compound [20] in the same manner as in Production Method 4-1 to give compound [47].

#### Step 2

The compound [47] obtained in the same manner as in the above-mentioned Production Method is reduced in the same manner

as in the above-mentioned Production Method 4-3 Step 2 to give compound [48].

**Step 3**

The compound [48] obtained in the same manner as in the 5 above-mentioned Production Method is reduced in the same manner as in the above-mentioned Production Method 1-1 Step 2 to give compound [49].

**Step 4**

The compound [49] obtained in the same manner as in the 10 above-mentioned Production Method is reacted with compound [42] in a solvent such as DMF, acetonitrile, THF, chloroform, ethyl acetate, methylene chloride and toluene to give compound [50]. To enhance the reaction selectivity for amino group, acetic acid and sodium acetate may be added in an equivalent amount ratio.

**15 Step 5**

The compound [50] obtained in the same manner as in the above-mentioned Production Method is subjected to cyclization reaction in the same manner as in the above-mentioned Production Method 1-1 Step 1 to give compound [51].

**20 Step 6**

The compound [51] obtained in the same manner as in the above-mentioned Production Method is halogenated in the same manner as in the above-mentioned Production Method 4-3 Step 3 to give compound [52].

**25 Step 7**

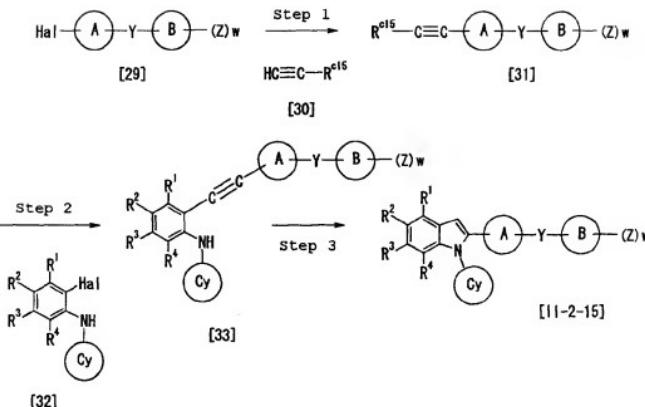
The compound [52] obtained in the same manner as in the above-mentioned Production Method is reacted in the same manner as in the above-mentioned Production Method 3-1 with compound [I-2-16] obtained in the same manner as in the above-mentioned 30 Production Method to give compound [II-2-20].

**Step 8**

The compound [II-2-20] obtained in the same manner as in the above-mentioned Production Method is hydrolyzed in the same manner as in the above-mentioned Production Method 2-1 Step 1 to 35 give compound [II-2-21].

**Production Method 5**

Formation of indole ring



wherein R<sup>C15</sup> is protecting group such as trimethylsilyl, tert-butyltrimethylsilyl, tert-butyldiphenylsilyl and the like, and other symbols are as defined above.

## 5 Step 1

The compound [29] obtained in the same manner as in the above-mentioned Production Method or conventional method is reacted with compound [30] in a solvent such as DMF, acetonitrile, 1,2-dimethoxyethane, THF, toluene, water and the like using a palladium catalyst such as tetrakis(triphenylphosphine)palladium, bis(triphenylphosphine)palladium(II) dichloride, palladium acetate - triphenylphosphine and the like, a copper catalyst such as copper(I) iodide and the like or a mixture thereof, and in the presence of a base such as potassium carbonate, potassium hydrogencarbonate, sodium hydrogencarbonate, potassium phosphate, triethylamine and the like to give compound [31].

## Step 2

The compound [31] obtained in the same manner as in the above-mentioned Production Method is reacted in an alcohol solvent such as methanol, ethanol and the like or a mixed solvent of an alcohol solvent and a solvent such as DMF, acetonitrile, THF, chloroform, dichloromethane, ethyl acetate, methylene chloride, toluene and the like in the presence of a base such as potassium carbonate, sodium carbonate, lithium hydroxide, sodium hydroxide, potassium hydroxide, lithium hydride, sodium hydride,

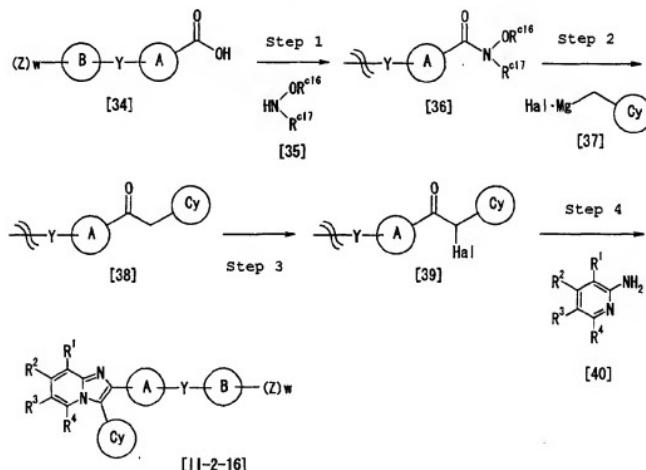
potassium hydride and the like at room temperature or with heating for deprotection, and reacted with compound [32] obtained in the same manner as in Step 1 of Production Method 1-1 in the same manner as in Step 1 of Production Method 5 to give compound [33].

### Step 3

The compound [33] obtained in the same manner as in the above-mentioned Production Method was subjected to cyclization in a solvent such as DMF, acetonitrile, THF, chloroform, dichloromethane, ethyl acetate, methylene chloride, toluene and the like in the presence of a copper catalyst such as copper(I) iodide and the like or a palladium catalyst such as palladium(II) chloride and the like at room temperature or with heating to give compound [II-2-15].

### 15 Production Method 6

Formation of imidazo[1,2-a]pyridine ring



wherein  $\text{R}^{\text{c}16}$  and  $\text{R}^{\text{c}17}$  are each independently alkyl, such as methyl, ethyl and the like, and other symbols are as defined above.

### 20 Step 1

The compound [34] obtained by the above-mentioned Production Method or a conventional method is subjected to amide

condensation with compound [35] in the same manner as in Step 3 of Production Method 1-1 to give compound [36].

#### Step 2

The compound [36] obtained by the above-mentioned Production Method is reacted with Grignard reagent [37] obtained by a conventional method to give compound [38].

Alternatively, an acid halide of compound [34] may be used instead of compound [36].

#### Step 3

The compound [38] obtained by the above-mentioned Production Method is subjected to halogenation by a conventional method to give compound [39].

For example, when Hal is a bromine atom, compound [38] is reacted with bromine under cooling or at room temperature in a solvent such as DMF, acetonitrile, THF, chloroform, dichloromethane, ethyl acetate, toluene and the like to give compound [39].

Alternatively, a halogenating agent such as hypohalite (e.g., hypochlorite and the like), N-bromosuccinimide and the like may be used instead of bromine for halogenation.

#### Step 4

The compound [39] obtained by the above-mentioned Production Method is subjected to cyclization with compound [40] obtained by a conventional or known method (JP-A-8-48651) in the presence of a base such as potassium carbonate, sodium carbonate, lithium hydroxide, sodium hydroxide, potassium hydroxide, lithium hydride, sodium hydride, potassium hydride and the like in a solvent or without a solvent at room temperature or with heating to give compound [II-2-16].

In the compounds of the formulas [I] and [II], a desired heterocyclic group can be formed according to a method similar to the methods disclosed in known publications. Examples of such heterocyclic group and reference publications are recited in the following.

35 5-oxo- $\Delta^2$ -1,2,4-oxadiazolin-3-yl (or 2,5-dihydro-5-oxo-4H-1,2,4-oxadiazol-3-yl), 5-oxo- $\Delta^2$ -1,2,4-thiadiazolin-3-yl (or 2,5-dihydro-5-oxo-4H-1,2,4-thiadiazol-3-yl), 2-oxo- $\Delta^3$ -1,2,3,5-oxathiadiazolin-

- 4-yl (or 2-oxo- $\Delta^3$ -1,2,4-oxathiadiazol-4-yl): Journal of Medicinal Chemistry, 39(26), 5228-35, 1996,
- 5-oxo- $\Delta^2$ -1,2,4-triazolin-3-yl: J Org Chem, 61(24), 8397-8401, 1996,
- 5 1-oxo- $\Delta^3$ -1,2,3,5-thiatriazolin-4-yl: Liebigs Ann Chem, 1376, 1980,  
3-oxo- $\Delta^4$ -1,2,4-oxadiazolin-5-yl: EP145095,
- 5-oxo- $\Delta^2$ -1,3,4-oxadiazolin-2-yl: J Org Chem, 20, 412, 1955,
- 5-oxo- $\Delta^3$ -1,2,4-dioxazolin-3-yl: J Prakt Chem, 314, 145, 1972,  
3-oxo- $\Delta^4$ -1,2,4-thiadiazolin-5-yl: JP-A-61-275271,
- 10 5-oxo- $\Delta^3$ -1,2,4-dithiazolin-3-yl: J Org Chem, 61(19), 6639-6645, 1996,  
2-oxo- $\Delta^4$ -1,3,4-dioxazolin-5-yl: J Org Chem, 39, 2472, 1974,
- 2-oxo- $\Delta^4$ -1,3,4-oxathiazolin-5-yl: J Med Chem, 35(20), 3691-98, 1992,
- 15 5-oxo- $\Delta^2$ -1,3,4-thiadiazolin-2-yl: J Prakt Chem, 332(1), 55, 1990,  
5-oxo- $\Delta^2$ -1,4,2-oxathiazolin-3-yl: J Org Chem, 31, 2417, 1966,  
2-oxo- $\Delta^4$ -1,3,4-dithiazolin-5-yl: Tetrahedron Lett, 23, 5453, 1982,  
2-oxo- $\Delta^4$ -1,3,2,4-dioxathiazolin-5-yl: Tetrahedron Lett, 319, 1968,  
3,5-dioxoisooxazolidin-4-yl: Helv Chim Acta, 1973, 48, 1965,
- 20 2,5-dioxoimidazolidin-4-yl: Heterocycles, 43(1), 49-52, 1996,  
5-oxo-2-thioxoimidazolidin-4-yl: Heterocycles, 5, 391, 1983,  
2,4-dioxooxazolidin-5-yl: J Am Chem Soc, 73, 4752, 1951,  
4-oxo-2-thioxooxazolidin-5-yl: Chem Ber, 91, 300, 1958,  
2,4-dioxothiazolidin-5-yl: JP-A-57-123175,
- 25 4-oxo-2-thioxothiazolidin-5-yl: Chem Pharm Bull, 30, 3563, 1982,  
The Production Methods shown in the above-mentioned  
Production Methods 2 to 4 can be used for the synthesis of  
compounds other than benzimidazole of the formulas [I] and [II],  
such as compounds [II-2-15] and [II-2-16].
- 30 The compounds of the formulas [I], [II] and [III], 4-(4-  
fluorophenyl)-5-hydroxymethyl-2-methylthiazole and 4-(4-  
fluorophenyl)-5-chloromethyl-2-methylthiazole and production  
methods thereof of the present invention are explained in detail  
in the following by way of Examples. It is needless to say that  
35 the present invention is not limited by these Examples.

**Example 1**

Production of ethyl 2-[4-(3-bromophenoxy)phenyl]-1-  
cyclohexylbenzimidazole-5-carboxylate

**Step 1: Production of ethyl 4-chloro-3-nitrobenzoate**

4-Chloro-3-nitrobenzoic acid (300 g) was dissolved in ethyl alcohol (1500 ml) and concentrated sulfuric acid (100 ml) was added with ice-cooling. The mixture was refluxed under heating for 7 hr. The reaction mixture was poured into ice-cold water and the precipitated crystals were collected by filtration to give the title compound (332 g, yield 97%).

<sup>1</sup>H-NMR (300MHz, CDCl<sub>3</sub>): 8.50(1H, d, J=2.1Hz), 8.16(1H, dd, J=8.4, 2.1Hz), 7.63(1H, d, J=8.4Hz), 4.43(2H, q, J=7.5Hz), 1.42(3H, t, J=7.5Hz)

**Step 2: Production of ethyl 4-cyclohexylamino-3-nitrobenzoate**

Ethyl 4-chloro-3-nitrobenzoate (330 g) obtained in the previous step was dissolved in acetonitrile (1500 ml), and cyclohexylamine (220 g) and triethylamine (195 g) were added. The mixture was refluxed under heating overnight. The reaction mixture was poured into ice-cold water and the precipitated crystals were collected by filtration to give the title compound (400 g, yield 94%).

<sup>1</sup>H-NMR (300MHz, CDCl<sub>3</sub>): 8.87(1H, d, J=2.1Hz), 8.35-8.46(1H, m), 8.02(1H, dd, J=9.1, 2.1Hz), 6.87(1H, d, J=9.1Hz), 4.35(2H, q, J=7.1Hz), 3.65-3.50(1H, m), 2.14-1.29(10H, m), 1.38(3H, t, J=7.1Hz)

**Step 3: Production of ethyl 3-amino-4-cyclohexylaminobenzoate**

Ethyl 4-cyclohexylamino-3-nitrobenzoate (400 g) obtained in the previous step was dissolved in ethyl acetate (1500 ml) and ethyl alcohol (500 ml), and 7.5% palladium carbon (50% wet, 40 g) was added. The mixture was hydrogenated for 7 hr at atmospheric pressure. The catalyst was filtered off and the filtrate was concentrated under reduced pressure. Diisopropyl ether was added to the residue and the precipitated crystals were collected by filtration to give the title compound (289 g, yield 80%).

<sup>1</sup>H-NMR (300MHz, CDCl<sub>3</sub>): 7.57(1H, dd, J=8.4, 1.9Hz), 7.41(1H, d, J=1.9Hz), 6.59(1H, d, J=8.4Hz), 4.30(2H, q, J=7.1Hz), 3.40-3.30(1H, m), 2.18-2.02(2H, m), 1.88-1.15(8H, m), 1.35(3H, t, J=7.1Hz)

**Step 4: Production of ethyl 3-[4-(3-bromophenoxy)benzoyl]amino-4-cyclohexylaminobenzoate**

4-(3-Bromophenoxy)benzoic acid (74 g) was dissolved in chloroform (500 ml), and oxalyl chloride (33 ml) and dimethylformamide (catalytic amount) were added. The mixture was stirred for 4 hr at room temperature. The reaction mixture was 5 concentrated under reduced pressure and dissolved in dichloromethane (150 ml). The resulting solution was added dropwise to a solution of ethyl 3-amino-4-cyclohexylaminobenzoate (66 g) obtained in the previous step in dichloromethane (500 ml) and triethylamine (71 ml), and the mixture was stirred for 1 hr 10 at room temperature. The reaction mixture was poured into water and extracted with dichloromethane. The organic layer was washed with saturated brine, dried over anhydrous magnesium sulfate, and concentrated under reduced pressure. Diethyl ether was added to the residue for crystallization and the crystals were collected 15 by filtration to give the title compound (129 g, yield 95%).

<sup>1</sup>H-NMR (300MHz, CDCl<sub>3</sub>): 8.00-7.78(4H, m), 7.66(1H, brs), 7.37-7.18(3H, m), 7.13-6.59(3H, m), 6.72(1H, d, J=8.7Hz), 4.50(1H, brs), 4.29(2H, q, J=7.2Hz), 3.36(1H, m), 2.12-1.96(2H, m), 1, 83-1.56(3H, m), 1.47-1.12(5H, m), 1.37(3H, t, J=7.2Hz)

20 **Step 5:** Production of ethyl 2-[4-(3-bromophenoxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylate

Ethyl 3-[4-(3-bromophenoxy)benzoyl]amino-4-cyclohexylaminobenzoate (129 g) obtained in the previous step was suspended in acetic acid (600 ml) and the resulting suspension 25 was refluxed under heating for 3 hr. The reaction mixture was concentrated under reduced pressure. Water was added to the residue and the precipitated crystals were collected by filtration to give the title compound (124 g, yield 99%).

<sup>1</sup>H-NMR (300MHz, CDCl<sub>3</sub>): 8.51(1H, d, J=1.5Hz), 8.00(1H, dd, J=8.4, 30 1.5Hz), 7.67(1H, d, J=8.4Hz), 7.63(2H, d, J=8.7Hz), 7.35-7.21(3H, m), 7.17(2H, d, J=8.7Hz), 7.14(1H, m), 4.42(2H, q, J=7.2Hz), 4.38(1H, m), 2.43-2.22(2H, m), 2.07-1.87(4H, m), 1.80(1H, m), 1.42(3H, t, J=7.2Hz), 1.40-1.27(3H, m)

#### Example 2

35 Production of 2-[4-(3-bromophenoxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid

Ethyl 2-[4-(3-bromophenoxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylate (1.0 g) obtained in Example 1 was

dissolved in tetrahydrofuran (10 ml) and ethyl alcohol (10 ml), and 4N sodium hydroxide (10 ml) was added. The mixture was refluxed under heating for 1 hr. The reaction mixture was concentrated under reduced pressure and water was added to the residue. The mixture was acidified with 6N hydrochloric acid and the precipitated crystals were collected by filtration to give the title compound (0.9 g, yield 96%).

melting point: 255-256°C

FAB-Ms: 491(MH<sup>+</sup>)

- 10 <sup>1</sup>H-NMR (300MHz, DMSO-d<sub>6</sub>): (12.75(1H, brs), 8.24(1H, s), 7.96(1H, d, J=8.7Hz), 7.86(1H, d, J=8.7Hz), 7.71(2H, d, J=8.6Hz), 7.47-7.34(3H, m), 7.24(2H, d, J=8.6Hz), 7.20(1H, m), 4.31(1H, m), 2.38-2.18(2H, m), 2.02-1.79(4H, m), 1.65(1H, m), 1.44-1.20(3H, m)

#### Example 3

- 15 Production of ethyl 1-cyclohexyl-2-(4-hydroxyphenyl)benzimidazole-5-carboxylate

Ethyl 3-amino-4-cyclohexylaminobenzoate (130 g) obtained in Example 1, Step 3, and methyl 4-hydroxybenzimidate hydrochloride (139 g) were added to methyl alcohol (1500 ml), and the mixture 20 was refluxed under heating for 4 hr. The reaction mixture was allowed to cool and the precipitated crystals were collected by filtration to give the title compound (131 g, yield 72%).

- <sup>1</sup>H-NMR (300MHz, CDCl<sub>3</sub>): 10.02(1H, brs), 8.21(1H, d, J=1.4Hz), 7.93(1H, d, J=8.6Hz), 7.83(1H, dd, J=8.6, 1.4Hz), 7.48(2H, d, J=8.6Hz), 6.95(2H, d, J=8.6Hz), 4.39-4.25(1H, m), 4.33(1H, q, J=7.0Hz), 2.35-2.18(2H, m), 1.98-1.79(4H, m), 1.70-1.60(1H, m), 1.46-1.19(3H, m), 1.35(3H, t, J=7.0Hz)

#### Example 4

- Production of ethyl 2-[4-(2-bromo-5-chlorobenzoyloxy)phenyl]-1-30 cyclohexylbenzimidazole-5-carboxylate

2-Bromo-5-chlorobenzyl bromide prepared from 2-bromo-5-chlorotoluene (50 g), N-bromosuccinimide and N,N'-azobisisobutyronitrile, and ethyl 1-cyclohexyl-2-(4-hydroxyphenyl)benzimidazole-5-carboxylate (50 g) obtained in 35 Example 3 were suspended in dimethylformamide (300 ml). Potassium carbonate (38 g) was added and the mixture was stirred for 1 hr at 80°C with heating. The reaction mixture was allowed to cool and then added to a mixed solvent of water-ethyl acetate. The

precipitated crystals were collected by filtration to give the title compound (50 g, yield 64%).

<sup>1</sup>H-NMR (300MHz, CDCl<sub>3</sub>): 8.50(1H, d, J=1.4Hz), 7.97(1H, dd, J=8.6, 1.4Hz), 7.70-7.57(5H, m), 7.20(1H, dd, J=8.4, 2.5Hz), 7.14(2H, d, J=8.7Hz), 5.17(2H, s), 4.46-4.30(1H, m), 4.41(2H, q, J=7.1Hz), 2.40-2.20(2H, m), 2.02-1.21(8H, m), 1.42(3H, t, J=7.1Hz)

#### Example 5

Production of ethyl 2-[4-[2-(4-chlorophenyl)-5-chlorobenzoyloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylate

Ethyl 2-[4-(2-bromo-5-chlorobenzoyloxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylate (49 g) obtained in Example 4, 4-chlorophenylboronic acid (18 g) and tetrakis-(triphenylphosphine)palladium (10 g) were suspended in 1,2-dimethoxyethane (600 ml). Saturated aqueous sodium hydrogencarbonate solution (300 ml) was added and the mixture was refluxed under heating for 2 hr. Chloroform was added to the reaction mixture. The organic layer was washed successively with saturated aqueous sodium hydrogencarbonate solution, water and saturated brine, dried over anhydrous magnesium sulfate, and concentrated under reduced pressure. The residue was purified by silica gel flash chromatography (developing solvent, chloroform:ethyl acetate = 97:3). Ethyl acetate and diisopropyl ether were added to the resulting oil for crystallization and the resulting crystals were collected by filtration to give the title compound (44 g, yield 85%).

<sup>1</sup>H-NMR (300MHz, CDCl<sub>3</sub>): 8.49(1H, d, J=1.4Hz), 7.97(1H, dd, J=8.6, 1.6Hz), 7.70-7.60(2H, m), 7.55(2H, d, J=8.7Hz), 4.95(2H, s), 4.48-4.28(1H, m), 4.40(2H, m), 2.02-1.20(8H, m), 1.41(3H, t, J=7.1Hz)

#### Example 6

Production of 2-[4-[2-(4-chlorophenyl)-5-chlorobenzoyloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid

Ethyl 2-[4-[2-(4-chlorophenyl)-5-chlorobenzoyloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylate (43 g) obtained in Example 5 was treated in the same manner as in Example 2 to give the title compound (33 g, yield 76%).

melting point: 243-244°C

FAB-Ms: 571(MH<sup>+</sup>)

<sup>1</sup>H-NMR (300MHz, DMSO-d<sub>6</sub>): 8.32(1H, s), 8.28(1H, d, J=8.9Hz), 8.05(1H, d, J=8.8Hz), 7.76-7.72(3H, m), 7.58-7.46(5H, m), 7.40(1H, d, J=8.3Hz), 7.24(2H, d, J=8.9Hz), 5.11(2H, s), 4.36(1H, m), 2.40-2.15(2H, m), 2.15-1.95(2H, m), 1.95-1.75(2H, m), 1.75-5.1.55(1H, m), 1.55-1.15(3H, m)

#### Example 7

Production of ethyl 2-[4-(2-bromo-5-methoxybenzyloxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylate

Ethyl 1-cyclohexyl-2-(4-hydroxyphenyl)benzimidazole-5-carboxylate obtained in Example 3 and 2-bromo-5-methoxybenzyl bromide were treated in the same manner as in Example 4 to give the title compound (59 g).

#### Example 8

Production of ethyl 2-[4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylate

Ethyl 2-[4-(2-bromo-5-methoxybenzyloxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylate obtained in Example 7 was treated in the same manner as in Example 5 to give the title compound (48 g, yield 77%).

20 <sup>1</sup>H-NMR (300MHz, CDCl<sub>3</sub>): 8.49(1H, d, J=1.4Hz), 7.97(1H, dd, J=8.6, 1.4Hz), 7.64(1H, d, J=8.6Hz), 7.54(2H, d, J=8.7Hz), 7.37(2H, d, J=8.6Hz), 7.31(2H, d, J=8.6Hz), 7.25(1H, d, J=8.4Hz), 7.19(1H, d, J=2.7Hz), 7.00(2H, d, J=8.7Hz), 6.97(1H, dd, J=8.4, 2.7Hz), 4.98(2H, s), 4.41(2H, q, J=7.1Hz), 4.42-4.29(1H, m), 3.88(3H, s), 2.40-2.20(2H, m), 2.01-1.88(4H, m), 1.83-1.73(1H, m), 1.42(3H, t, J=7.1Hz), 1.41-1.25(3H, m)

#### Example 9

Production of 2-[4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid

30 Ethyl 2-[4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylate (52 g) obtained in Example 8 was treated in the same manner as in Example 2 to give the title compound (44 g, yield 89%).

melting point: 248-249°C

35 FAB-Ms: 568(MH<sup>+</sup>)

<sup>1</sup>H-NMR (300MHz, DMSO-d<sub>6</sub>): 8.20(1H, s), 7.88(1H, d, J=8.7Hz), 7.85(1H, d, J=8.7Hz), 7.57(d, 2H, J=8.6Hz), 7.46(2H, d, J=8.6Hz), 7.44(2H, d, J=8.6Hz), 7.29(1H, d, J=8.5Hz), 7.24(1H, d, J=2.6Hz),

7.11(2H, d, J=8.6Hz), 7.06(1H, dd, J=8.5, 2.6Hz), 5.04(2H, s),  
4.26(1H, m), 3.83(3H, s), 2.38-2.29(2H, m)

**Example 10**

Production of ethyl 1-cyclohexyl-2-{4-[(E)-2-phenylvinyl]phenyl}-  
benzimidazole-5-carboxylate

Ethyl 3-amino-4-cyclohexylaminobenzoate (500 mg) obtained in Example 1, Step 3, was dissolved in methyl alcohol (6 ml) and trans-4-stilbenecarbaldehyde (397 mg) was added under ice-cooling. The mixture was stirred overnight at room temperature. The reaction mixture was ice-cooled and benzofuran (259 mg) dissolved in acetonitrile (2 ml) was added. The mixture was stirred for 7 hr at 50°C. The reaction mixture was ice-cooled. After 1N sodium hydroxide (0.1 ml) was added, ethyl acetate was added and the mixture was extracted. The organic layer was washed with water and saturated brine, dried over anhydrous magnesium sulfate, and concentrated under reduced pressure. The residue was purified by silica gel flash chromatography (developing solvent, n-hexane:ethyl acetate = 4:1) to give the title compound (540 mg, yield 63%).

<sup>20</sup> <sup>1</sup>H-NMR (300MHz, DMSO-d<sub>6</sub>): 8.28(1H, d, J=1.4Hz), 8.01(1H, d, J=8.7Hz), 7.90-7.80(3H, m), 7.75-7.65(4H, m), 7.50-7.25(5H, m), 4.35(2H, q, J=7.0Hz), 4.31(1H, m), 2.40-2.20(2H, m), 2.00-1.80(4H, m), 1.63(1H, m), 1.40-1.20(3H, m), 1.36(3H, t, J=7.0Hz)

**Example 11**

Production of 1-cyclohexyl-2-{4-[(E)-2-phenylvinyl]phenyl}-benzimidazole-5-carboxylic acid

Ethyl 1-cyclohexyl-2-{4-[(E)-2-phenylvinyl]phenyl}-benzimidazole-5-carboxylate (127 mg) obtained in Example 10 was treated in the same manner as in Example 2 to give the title compound (116 mg, yield 97%).

melting point: not lower than 300°C

FAB-Ms: 423(MH<sup>+</sup>)

<sup>1</sup>H-NMR (300MHz, DMSO-d<sub>6</sub>): 8.25(1H, s), 7.96-7.29(13H, m), 4.33(1H, brt), 2.41-2.23(2H, m), 2.03-1.78(4H, m), 1.71-1.59(1H, m), 1.49-1.20(3H, m)

**Example 12**

Production of 2-(4-benzyloxyphenyl)-1-cyclopentylbenzimidazole-5-carboxylic acid

In the same manner as in Examples 1 and 2, the title compound (700 mg) was obtained.

FAB-Ms: 413(MH<sup>+</sup>)

<sup>1</sup>H-NMR (300MHz, CDCl<sub>3</sub>): 8.60(1H, s), 8.04(1H, d, J=9.0Hz), 7.63(2H, d, J=8.4Hz), 7.51-7.32(6H, m), 7.14(2H, d, J=9.0Hz), 5.16(2H, s), 5.03-4.89(1H, m), 2.41-1.63(8H, m)

#### Example 13

Production of 2-(4-benzyloxyphenyl)-1-cyclopentylbenzimidazole-5-carboxamide

10 2-(4-Benzyloxyphenyl)-1-cyclopentylbenzimidazole-5-carboxylic acid (700 mg) obtained in Example 12 was dissolved in dimethylformamide (10 ml), and ammonium chloride (108 mg), 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (390 mg), 1-hydroxybenzotriazole (275 mg) and triethylamine (0.3 ml) were added. The mixture was stirred overnight at room temperature. Water was added to the reaction mixture and the mixture was extracted with ethyl acetate. The organic layer was washed successively with saturated aqueous sodium hydrogen carbonate, water and saturated brine, dried over anhydrous magnesium sulfate, and concentrated under reduced pressure. Ethyl acetate and diisopropyl ether were added to the residue for crystallization and the crystals were collected by filtration to give the title compound (571 mg, yield 81%).

melting point: 232-233°C

25 FAB-Ms: 412(MH<sup>+</sup>)

<sup>1</sup>H-NMR (300MHz, CDCl<sub>3</sub>): 8.23(1H, d, =1.5Hz), 7.86(1H, dd, J=8.5, 1.5Hz), 7.65-7.30(8H, m), 7.13(2H, d, J=8.8Hz), 5.16(2H, s), 4.93(1H, quint, J=8.8Hz), 2.40-1.60(8H, m)

#### Example 14

30 Production of 2-(4-benzyloxyphenyl)-5-cyano-1-cyclopentylbenzimidazole

In the same manner as in Example 1, the title compound (400 mg) was obtained.

FAB-Ms: 394(MH<sup>+</sup>)

35 <sup>1</sup>H-NMR (300MHz, CDCl<sub>3</sub>): 8.11(1H, s), 7.68-7.30(9H, m), 7.13(2H, s), 5.16(2H, s), 4.94(1H, quint, J=8.9Hz), 2.35-1.60(8H, m)

#### Example 15

Production of 2-(4-benzyloxyphenyl)-1-cyclopentylbenzimidazole-5-carboxamide oxime

2-(4-Benzyloxyphenyl)-5-cyano-1-cyclopentylbenzimidazole (400 mg) obtained in Example 14 was suspended in ethyl alcohol (3 ml) and water (1.5 ml), and hydroxylamine hydrochloride (141 mg) and sodium hydrogencarbonate (170 mg) were added. The mixture was refluxed under heating overnight. The reaction mixture was allowed to cool and the precipitated crystals were collected by filtration to give the title compound (312 mg, yield 71%).

10 melting point: 225-226°C

FAB-Ms: 456(MH<sup>+</sup>)

<sup>1</sup>H-NMR (300MHz, DMSO-d<sub>6</sub>): 8.20(1H, s), 7.50-7.31(9H, m), 7.12(2H, d, J=8.7Hz), 5.15(2H, s), 4.94(1H, quint, J=8.7Hz), 3.61(3H, s), 3.40(3H, s), 2.41-1.42(8H, m)

15 Example 16

Production of ethyl 1-cyclohexyl-2-{4-[{4-(4-fluorophenyl)-2-

methyl-5-thiazolylmethoxy]phenyl}benzimidazole-5-carboxylate  
Step 1: Production of 4-(4-fluorophenyl)-5-hydroxymethyl-2-

methylthiazole

20 Ethyl 4-(4-fluorophenyl)-2-methyl-5-thiazolecarboxylate (59 g) prepared by a known method (Chem. Pharm. Bull., 43(6), 947, 1995) was dissolved in tetrahydrofuran (700 ml). Lithium aluminum hydride (13 g) was added under ice-cooling and the mixture was stirred for 30 min. Water (13 ml), 15% sodium hydroxide (13 ml) 25 and water (39 ml) were added successively to the reaction mixture, and the precipitated insoluble materials were filtered off. The filtrate was concentrated under reduced pressure to give the title compound (37 g, yield 71%).

<sup>1</sup>H-NMR (300MHz, CDCl<sub>3</sub>): 7.60(2H, dd, J=8.7, 6.6Hz), 7.11(2H, t, J=8.7Hz), 4.80(2H, s), 2.70(3H, s)

Step 2: Production of 5-chloromethyl-4-(4-fluorophenyl)-2-methylthiazole

30 4-(4-Fluorophenyl)-5-hydroxymethyl-2-methylthiazole (37 g) obtained in the previous step was dissolved in chloroform (500 ml), and thionyl chloride (24 ml) and pyridine (2 ml) were added. The mixture was stirred for 3 hr at room temperature. The reaction mixture was poured into ice-cold water. The mixture was extracted with chloroform, and washed with water and saturated

brine. The organic layer was dried over sodium sulfate, and concentrated under reduced pressure to give the title compound (29 g, yield 76%).

<sup>1</sup>H-NMR (300MHz, CDCl<sub>3</sub>): 7.67(2H, dd, J=8.8, 5.4Hz), 7.16(2H, t, J=8.7Hz), 4.79(2H, s), 2.73(3H, s)

**Step 3:** Production of ethyl 1-cyclohexyl-2-{4-[4-(4-fluorophenyl)-4-methyl-5-thiazolyl]methoxy}phenylbenzimidazole-5-carboxylate

5-Chloromethyl-4-(4-fluorophenyl)-2-methylthiazole (28 g) obtained in the previous step and ethyl 1-cyclohexyl-2-(4-hydroxyphenyl)benzimidazole-5-carboxylate (36 g) obtained in Example 3 were treated in the same manner as in Example 4 to give the title compound (61 g, yield 100%).

APCI-Ms: 570(MH<sup>+</sup>)

<sup>1</sup>H-NMR (300MHz, DMSO-d<sub>6</sub>): 8.25(1H, d, J=1.5Hz), 7.97(1H, d, J=8.7Hz), 7.86(1H, dd, J=8.6, 1.6Hz), 7.74(2H, dd, J=8.8, 5.5Hz), 7.62(2H, d, J=8.7Hz), 7.33(2H, t, J=8.9Hz), 7.22(2H, t, J=8.9Hz), 5.41(2H, s), 4.34(2H, q, J=7.1Hz), 4.31(1H, m), 2.71(3H, s), 2.40-2.15(2H, m), 2.05-1.75(4H, m), 1.55-1.15(3H, m), 1.36(3H, t, J=7.1Hz)

#### Example 17

Production of 1-cyclohexyl-2-{4-[4-(4-fluorophenyl)-2-methyl-5-thiazolyl]methoxy}phenylbenzimidazole-5-carboxylic acid

Ethyl 1-cyclohexyl-2-{4-[4-(4-fluorophenyl)-4-methyl-5-thiazolyl]methoxy}phenylbenzimidazole-5-carboxylate (60 g) obtained in Example 16 was treated in the same manner as in Example 2 to give the title compound (39g, yield 69%).

melting point: 196-198°C

FAB-Ms: 542(MH<sup>+</sup>)

<sup>1</sup>H-NMR (300MHz, DMSO-d<sub>6</sub>): 13.1(1H, brs), 8.34(1H, s), 8.29(1H, d, J=8.8Hz), 8.06(1H, d, J=8.7Hz), 7.80-7.72(4H, m), 7.36-7.31(4H, m), 5.46(2H, s), 4.38(1H, m), 2.72(3H, s), 2.45-2.15(2H, m), 2.15-1.95(2H, m), 1.95-1.75(2H, m), 1.75-1.55(1H, m), 1.55-1.20(3H, m)

#### Example 18

Production of ethyl 1-cyclohexyl-2-(2-fluoro-4-hydroxyphenyl)benzimidazole-5-carboxylate

In the same manner as in Example 3, the title compound (50 g) was obtained.

**Example 19**

Production of ethyl 2-{4-[bis(3-fluorophenyl)methoxy]-2-<sup>5</sup> fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylate

**Step 1 : Production of 3,3'-difluorobenzhydrol**

To a stirred solution of magnesium strip (35.4 g) in THF (200 ml), iodine strip was added and the mixture was heated with stirring under nitrogen stream until most of color of iodine was <sup>10</sup> disappeared. A solution of 3-fluoro-bromobenzene (250.0 g) in THF (1000 ml) was added dropwise over 2.5 hr while the temperature of the solution was maintained at 60°C. After the completion of the addition of the solution, the resulting mixture was refluxed for 1 hr with heating. The resulting Grignard solution was ice-cooled <sup>15</sup> and a solution of ethyl formate (63.2 g) in THF (200 ml) was added dropwise over 1 hr. After a stirring of the reaction solution for an additional 30 min, saturated aqueous ammonium chloride solution (700 ml) was added dropwise with ice-cooling and water (300 ml) was added. The mixture was stirred for 10 min. <sup>20</sup> The organic layer and water layer were separated. Water layer was extracted with ethyl acetate, and the combined organic layer was washed with 2N hydrochloric acid, saturated aqueous sodium hydrogencarbonate and saturated brine. The organic layer was dried over anhydrous magnesium sulfate, filtered, and the solvent <sup>25</sup> was evaporated off under reduced pressure to give the title compound (156.2 g, yield 99%).

<sup>1</sup>H-NMR (300MHz, CDCl<sub>3</sub>): 7.31(2H, td, J=7.9, 5.8Hz), 7.15-7.80(4H, m), 6.97-6.94(2H, m), 5.82(1H, d, J=3.3Hz), 2.30(1H, d, J=3.3Hz)

**Step 2: Production of 3,3'-difluorobenzhydrol chloride**

<sup>30</sup> To a solution of 3,3'-difluorobenzhydrol (150.0 g) obtained in the previous step in toluene (400 ml), pyridine (539 mg) was added at room temperature. To the solution, thionyl chloride (89.1 g) was added dropwise over 1 hr at room temperature and the resulting solution was stirred for an additional 2 hr. The <sup>35</sup> solution was heated so that the temperature of the solution was at 40°C, and then stirred for an additional 1.5 hr. Thionyl chloride (8.1 g) was added again and the mixture was stirred for 30 min. To the reaction mixture, water was added. The organic

layer was separated, and washed with water, saturated aqueous sodium hydrogen carbonate and saturated brine. The organic layer was dried over anhydrous magnesium sulfate, filtered, the solvent was evaporated off under reduced pressure to give the title compound (158.2 g, yield 97%).

<sup>1</sup>H-NMR (300MHz, CDCl<sub>3</sub>): 7.32(2H, td, J=8.0, 5.9Hz), 7.18-7.10(4H, m), 7.01(2H, tdd, J=8.2, 2.5, 1.2Hz), 6.05(1H, s)

**Step 3:** Production of ethyl 2-{4-[bis(3-fluorophenyl)methoxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylate

Ethyl 1-cyclohexyl-2-(2-fluoro-4-hydroxyphenyl)-benzimidazole-5-carboxylate (50 g) obtained in Example 18 and 3,3'-difluorobenzhydryl chloride (34 g) obtained in the previous step were treated in the same manner as in Example 4 to give the title compound (76 g, yield 99%).

FAB-Ms: 585(MH<sup>+</sup>)

<sup>1</sup>H-NMR (300MHz, DMSO-d<sub>6</sub>): 8.24(1H, d, J=1.4Hz), 7.98(1H, d, J=8.7Hz), 7.88(1H, d, J=8.7Hz), 7.56(1H, t, J=8.6Hz), 7.50-7.40(6H, m), 6.82(1H, s), 4.34(2H, q, J=7.1Hz), 3.95(1H, m), 2.20-2.10(2H, m), 1.90-1.80(4H, m), 1.6(1H, m), 1.35(3H, t, J=7.2Hz), 1.30-1.20(3H, m)

#### Example 20

Production of 2-{4-(bis[3-fluorophenyl)methoxy)-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid

Ethyl 2-{4-[bis(3-fluorophenyl)methoxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylate (75 g) obtained in Example 19 was treated in the same manner as in Example 2 to give the title compound (48 g, yield 62%).

melting point: 242-243°C

FAB-Ms: 557(MH<sup>+</sup>)

<sup>1</sup>H-NMR (300MHz, DMSO-d<sub>6</sub>): 8.29(1H, s), 8.16(1H, d, J=8.8Hz), 7.99(1H, d, J=8.7Hz), 7.66(1H, t, J=8.7Hz), 7.51-7.40(6H, m), 7.30(1H, d, J=12.1Hz), 7.20-7.14(3H, m), 6.88(1H, s), 4.07(1H, m), 2.40-2.10(2H, m), 2.00-1.75(4H, m), 1.70-1.55(1H, m), 1.50-1.15(3H, m)

#### Example 21

Production of ethyl 1-cyclopentyl-2-(4-nitrophenyl)benzimidazole-5-carboxylate

In the same manner as in Example 1, the title compound (12 g) was obtained.

**Example 22**

Production of ethyl 2-(4-aminophenyl)-1-cyclopentylbenzimidazole-5-carboxylate

Ethyl 1-cyclopentyl-2-(4-nitrophenyl)benzimidazole-5-carboxylate (12 g) obtained in Example 21 was dissolved in tetrahydrofuran (200 ml) and ethyl alcohol (50 ml), 7.5% palladium carbon (50% wet, 1 g) was added. The mixture was 10 hydrogenated for 1 hr at atmospheric pressure. The catalyst was filtered off and the filtrate was concentrated under reduced pressure. Tetrahydrofuran was added to the residue to allow crystallization and the crystals were collected by filtration to give the title compound (11 g, yield 98%).

15  $^1\text{H-NMR}$  (300MHz,  $\text{CDCl}_3$ ): 8.49(1H, d,  $J=1.3\text{Hz}$ ), 7.95(1H, dd,  $J=8.5, 1.3\text{Hz}$ ), 7.50-7.40(3H, m), 6.79(2H, d,  $J=4.6\text{Hz}$ ), 4.97(1H, quint,  $J=8.9\text{Hz}$ ), 4.40(2H, q,  $J=7.1\text{Hz}$ ), 3.74(2H, brs), 2.40-1.60(8H, m), 1.41(3H, t,  $J=7.1\text{Hz}$ )

**Example 23**

20 Production of ethyl 2-(4-benzoylaminophenyl)-1-cyclopentylbenzimidazole-5-carboxylate

Ethyl 1-cyclopentyl-2-(4-aminophenyl)benzimidazole-5-carboxylate (300 mg) obtained in Example 22 was dissolved in pyridine (3 ml) and chloroform (3 ml), and benzoyl chloride (127 25 mg) was added. The mixture was stirred for 30 min at room temperature. The reaction mixture was concentrated under reduced pressure and water was added to the residue to allow crystallization. The crystals were collected by filtration to give the title compound (403 mg, yield 100%).

30  $^1\text{H-NMR}$  (300MHz,  $\text{CDCl}_3$ ): 8.58(1H, s), 8.00(1H, d,  $J=9.0\text{Hz}$ ), 7.84(2H, d,  $J=7.5\text{Hz}$ ), 7.60-7.40(6H, m), 7.14(2H, d,  $J=7.5\text{Hz}$ ), 4.84(1H, quint,  $J=8.7\text{Hz}$ ), 4.41(2H, q,  $J=7.5\text{Hz}$ ), 2.20-1.30(8H, m), 1.41(3H, t,  $J=7.5\text{Hz}$ )

**Example 24**

35 Production of 2-(4-benzoylaminophenyl)-1-cyclopentylbenzimidazole-5-carboxylic acid

Ethyl 2-(4-benzoylaminophenyl)-1-cyclopentylbenzimidazole-5-carboxylate (200 mg) obtained in Example 23 was treated in the

same manner as in Example 2 to give the title compound (131 mg, yield 70%).

melting point: not lower than 300°C

FAB-Ms: 426(MH<sup>+</sup>)

- 5 <sup>1</sup>H-NMR (300MHz, DMSO-d<sub>6</sub>): 10.75(1H, s), 8.35(1H, s), 8.15 and 7.85(4H, ABq, J=8.9Hz), 8.10-7.98(4H, m), 7.70-7.55(3H, m), 5.02(1H, quint, J=8.7Hz), 2.36-2.15(4H, m), 2.14-1.95(2H, m), 1.80-1.62(2H, m)

#### Example 25

- 10 Production of ethyl 2-[4-[3-(3-chlorophenyl)phenoxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylate

Ethyl 2-[4-(3-bromophenoxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylate (65 g) obtained in Example 1 and 3-chlorophenylboronic acid (23 g) were treated in the same 15 manner as in Example 5 to give the title compound (59 g, yield 85%).

<sup>1</sup>H-NMR (300MHz, CDCl<sub>3</sub>): 8.51(1H, d, J=1.8Hz), 7.99(1H, dd, J=8.7, 1.8Hz), 7.71-7.55(4H, m), 7.51-7.43(2H, m), 7.43-7.27(4H, m), 7.19(1H, d, J=8.4Hz), 7.12(1H, m), 4.41(2H, q, J=7.2Hz), 4.39(1H, m), 2.42-2.22(2H, m), 2.03-1.87(4H, m), 1.79(1H, m), 1.42(3H, t, J=7.2Hz), 1.39-1.29(3H, m)

#### Example 26

- Production of 2-[4-[3-(3-chlorophenyl)phenoxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid

25 Ethyl 2-[4-[3-(3-chlorophenyl)phenoxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylate (59 g) obtained in Example 25 was treated in the same manner as in Example 2 to give the title compound (43 g, yield 76%).

melting point: 253-254°C

30 FAB-Ms: 523(MH<sup>+</sup>)

<sup>1</sup>H-NMR (300MHz, DMSO-d<sub>6</sub>): 12.82(1H, brs), 8.24(1H, d, J=1.3Hz), 7.98(1H, d, J=8.7Hz), 7.89(1H, dd, J=8.7, 1.3Hz), 7.78(1H, s), 7.72(2H, d, J=9.7Hz), 7.70(1H, m), 7.64-7.42(5H, m), 7.25(2H, d, J=8.7Hz), 7.20(1H, m), 4.33(1H, m), 2.39-2.17(2H, m), 2.00-1.76(4H, m), 1.65(1H, m), 1.50-1.22(3H, m)

#### Example 27

- Production of ethyl 2-[4-(3-acetoxyphenoxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylate

In the same manner as in Example 1, the title compound (87 g) was obtained.

**Example 28**

Production of ethyl 1-cyclohexyl-2-[4-(3-hydroxyphenoxy)-5-phenyl]benzimidazole-5-carboxylate

Ethyl 2-[4-(3-acetoxyphenoxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylate (87 g) obtained in Example 27 was dissolved in methyl alcohol (250 ml) and tetrahydrofuran (250 ml), and potassium carbonate (31 g) was added. The mixture 10 was stirred for 30 min at room temperature. The insoluble materials were filtered off and the filtrate was concentrated under reduced pressure. Water was added to the residue and the mixture was neutralized with 2N hydrochloric acid. The precipitated crystals were collected by filtration to give the 15 title compound (78 g, yield 97%).

$^1\text{H-NMR}$  (300MHz, DMSO- $d_6$ ): 9.71(1H, s), 7.98(1H, d,  $J=8.7\text{Hz}$ ), 7.87(1H, d,  $J=8.7\text{Hz}$ ), 7.68(2H, d,  $J=8.6\text{Hz}$ ), 7.24(1H, t,  $J=8.1\text{Hz}$ ), 7.18(2H, d,  $J=8.6\text{Hz}$ ), 6.63(1H, d,  $J=8.1\text{Hz}$ ), 6.57(1H, d,  $J=8.1\text{Hz}$ ), 6.51(1H, s), 4.38-4.23(1H, m), 4.35(2H, q,  $J=6.9\text{Hz}$ ), 2.36-2.18(2H, 20 m), 1.99-1.78(4H, m), 1.71-1.59(1H, m), 1.45-1.20(3H, m), 1.36(3H, t,  $J=6.9\text{Hz}$ )

**Example 29**

Production of ethyl 1-cyclohexyl-2-[4-(3-(4-pyridylmethoxy)-phenyloxy)phenyl]benzimidazole-5-carboxylate

Ethyl 1-cyclohexyl-2-[4-(3-hydroxyphenoxy)phenyl]-benzimidazole-5-carboxylate (78 g) obtained in Example 28 was suspended in dimethylformamide (800 ml), and sodium hydride (60% oil, 14 g) was added under ice-cooling. The mixture was stirred for 1 hr at room temperature. After the reaction mixture was ice-30 cooled, 4-chloromethylpyridine hydrochloride (29 g) was added and the mixture was stirred for 30 min. The mixture was then stirred overnight at room temperature. Water was added to the reaction mixture and the precipitated crystals were collected by filtration. The resulting crystals were recrystallized from ethyl 35 alcohol to give the title compound (77 g, yield 82%).

$^1\text{H-NMR}$  (300MHz, CDCl<sub>3</sub>): 8.63(2H, d,  $J=6.0\text{Hz}$ ), 8.51(1H, s), 7.99(1H, d,  $J=8.7\text{Hz}$ ), 7.66(2H, d,  $J=8.7\text{Hz}$ ), 7.62(2H, d,  $J=8.7\text{Hz}$ ), 7.36(2H, d,  $J=8.7\text{Hz}$ ), 7.31(1H, t,  $J=8.2\text{Hz}$ ), 7.26(1H, s), 7.16(2H, d,

$J=8.7\text{Hz}$ ), 6.79-6.70(3H, m), 5.09(2H, s), 4.47-4.31(1H, m), 4.42(2H, q,  $J=7.0\text{Hz}$ ), 2.42-2.22(2H, m), 2.04-1.71(5H, m), 1.45-1.25(3H, m), 1.42(3H, t,  $J=7.0\text{Hz}$ )

**Example 30**

- 5 Production of 1-cyclohexyl-2-{4-[3-(4-pyridylmethoxy)phenoxy]-phenyl}benzimidazole-5-carboxylic acid

Ethyl 1-cyclohexyl-2-{4-[3-(4-pyridylmethoxy)phenoxy]-phenyl}benzimidazole-5-carboxylate (60 g) obtained in Example 29 was treated in the same manner as in Example 2 to give the title 10 compound (54 g, yield 75%).

melting point: 235-237°C

FAB-Ms: 520(MH<sup>+</sup>)

- <sup>1</sup>H-NMR (300MHz, DMSO-d<sub>6</sub>): 8.58(2H, d,  $J=6.0\text{Hz}$ ), 8.23(1H, s), 7.96 and 7.86(2H, ABq,  $J=8.7\text{Hz}$ ), 7.68 and 7.17(4H, A'B'q,  $J=8.7\text{Hz}$ ), 15 7.44(2H, d,  $J=8.7\text{Hz}$ ), 7.39(1H, t,  $J=8.3\text{Hz}$ ), 6.90(1H, d,  $J=8.1\text{Hz}$ ), 6.84(1H, s), 6.75(1H, d,  $J=8.1\text{Hz}$ ), 5.22(2H, s), 4.40-4.22(1H, m), 2.40-2.19(2H, m), 2.00-1.80(4H, m)

**Example 241**

- Production of methyl 2-{4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylate

**Step 1:** Production of 2-bromo-5-methoxybenzaldehyde

3-Methoxybenzaldehyde (15 g) was dissolved in acetic acid (75 ml), and a solution of bromine (5.7 ml) dissolved in acetic acid (15 ml) was added dropwise. The mixture was stirred 25 overnight at room temperature and water (150 ml) was added to the reaction mixture. The precipitated crystals were collected by filtration, washed with water and dried under reduced pressure to give the title compound (21 g, yield 88%).

- <sup>1</sup>H-NMR (300MHz, CDCl<sub>3</sub>): 10.31(1H, s), 7.52(1H, d,  $J=8.8\text{Hz}$ ), 30 7.41(1H, d,  $J=3.3\text{Hz}$ ), 7.03(1H, dd,  $J=8.8$ , 3.3Hz), 3.48(3H, s)

**Step 2:** Production of 2-(4-chlorophenyl)-5-methoxybenzaldehyde

2-Bromo-5-methoxybenzaldehyde (10 g) obtained in the previous step was treated in the same method as in Example 5 to give the title compound (11 g, yield 96%).

- 35 <sup>1</sup>H-NMR (300MHz, CDCl<sub>3</sub>): 9.92(1H, s), 7.50(1H, d,  $J=2.6\text{Hz}$ ), 7.48-7.14(6H, m), 3.90(3H, s)

**Step 3:** Production of 2-(4-chlorophenyl)-5-methoxybenzyl alcohol

2-(4-Chlorophenyl)-5-methoxybenzaldehyde (10 g) obtained in the previous step was dissolved in tetrahydrofuran (30 ml). The solution was added dropwise to a suspension of sodium borohydride (620 mg) in isopropyl alcohol (50 ml) and the mixture was stirred 5 for 1 hr. The solvent was evaporated under reduced pressure and water was added to the residue. The precipitated crystals were collected by filtration and dried under reduced pressure. The resulting crystals were recrystallized from a mixture of methanol and water to give the title compound (9.2 g, yield 91%).

10  $^1\text{H-NMR}$  (300MHz,  $\text{CDCl}_3$ ): 7.37(2H, d,  $J=8.6\text{Hz}$ ), 7.27(2H, d,  $J=8.6\text{Hz}$ ), 7.17(1H, d,  $J=8.6\text{Hz}$ ), 7.11(1H, d,  $J=2.6\text{Hz}$ ), 6.89(1H, dd,  $J=8.6, 2.6\text{Hz}$ ), 4.57(2H, s), 3.86(3H, s)

**Step 4:** Production of 2-(4-chlorophenyl)-5-methoxybenzyl chloride  
 2-(4-Chlorophenyl)-5-methoxybenzyl alcohol (20 g) obtained 15 in the previous step was dissolved in ethyl acetate (100 ml) and pyridine (0.5 ml), and thionyl chloride (11 ml) was added dropwise. The mixture was stirred for 1 hr. Water was added to the reaction mixture and the mixture was extracted with ethyl acetate. The organic layer was washed with water, saturated 20 aqueous sodium hydrogencarbonate, water and saturated brine, dried over anhydrous magnesium sulfate, and concentrated under reduced pressure. Isopropyl alcohol was added to the residue to allow crystallization. The resulting crystals were collected by filtration and dried under reduced pressure to give the title 25 compound (16 g, yield 74%).

$^1\text{H-NMR}$  (300MHz,  $\text{CDCl}_3$ ): 7.43-7.29(4H, m), 7.17(1H, d,  $J=8.6\text{Hz}$ ), 7.05(1H, d,  $J=2.6\text{Hz}$ ), 6.96-6.89(1H, m), 4.46(2H, s), 3.86(3H, s)

**Step 5:** Production of methyl 2-[4-[2-(4-chlorophenyl)-5-methoxybenzoyloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylate  
 30 2-(4-Chlorophenyl)-5-methoxybenzyl chloride (4.0 g) obtained in the previous step and methyl 1-cyclohexyl-2-(4-hydroxyphenyl)-benzimidazole-5-carboxylate (5.0 g) obtained in the same manner as in Example 3 were treated in the same manner as in Example 4 to give the title compound (6.0 g, yield 72%).

35  $^1\text{H-NMR}$  (300MHz,  $\text{CDCl}_3$ ): 8.48(1H, s), 8.00-7.93(1H, m), 7.68-7.62(1H, m), 7.54(2H, d,  $J=9.0\text{Hz}$ ), 7.41-7.16(6H, m), 7.04-6.93(3H, m), 4.97(2H, s), 4.36(1H, m), 3.94(3H, s), 3.87(3H, s), 2.39-2.21(2H, m), 2.02-1.88(4H, m), 1.85-1.45(4H, m)

**Example 242**

Production of 2-[4-[2-(4-chlorophenyl)-5-methoxybenzoyloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride

Methyl 2-[4-[2-(4-chlorophenyl)-5-methoxybenzoyloxy]phenyl]-  
5 1-cyclohexylbenzimidazole-5-carboxylate (5.0 g) obtained in  
Example 241 was treated in the same manner as in Example 2 to  
give the title compound (5.1 g, yield 98%).

APCI-Ms: 568(MH<sup>+</sup>)

<sup>1</sup>H-NMR (300MHz, DMSO-d<sub>6</sub>): 8.30(1H, d, J=1.4Hz), 8.24(1H, d,  
10 J=8.7Hz), 8.03(1H, d, J=8.7Hz), 7.72(2H, d, J=8.7Hz), 7.51-  
7.39(4H, m), 7.34-7.18(4H, m), 7.11-7.03(1H, m), 5.08(2H, s),  
4.35(1H, m), 3.83(3H, m), 2.40-2.18(2H, m), 2.10-1.96(2H, m),  
1.93-1.78(2Hm), 1.72-1.18(4H, m)

**Example 243**

15 Production of ethyl 2-[4-[3-(4-chlorophenyl)pyridin-2-  
ylmethoxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylate  
Step 1: Production of methyl 3-hydroxypicolinate

3-Hydroxypicolinic acid (1.0 g) was suspended in methanol  
(10 ml) and concentrated sulfuric acid (1.0 ml) was added. The  
20 mixture was refluxed under heating for 5 hr. The reaction mixture  
was ice-cooled, neutralized with saturated aqueous sodium  
hydrogencarbonate, and extracted with chloroform. The organic  
layer was washed with water and saturated brine, and dried over  
anhydrous magnesium sulfate. The solvent was evaporated under  
25 reduced pressure to give the title compound (711 mg, yield 64%).

<sup>1</sup>H-NMR (300MHz, CDCl<sub>3</sub>): 10.63(1H, s), 8.28(1H, dd, J=3.7, 1.8Hz),  
7.47-7.35(2H, m), 4.06(3H, s)

Step 2: Production of methyl 3-(trifluoromethylsulfonyloxy)-  
pyridine-2-carboxylate

30 Methyl 3-hydroxypicolinate (710 mg) obtained in the previous  
step and triethylamine (0.77 ml) were dissolved in  
dichloromethane (7 ml), and trifluoromethanesulfonic anhydride  
(0.86 ml) was added under ice-cooling. The reaction mixture was  
allowed to warm to room temperature and the mixture was stirred  
35 for 2 hr. Water was added to the reaction mixture and the mixture  
was extracted with ethyl acetate. The organic layer was washed  
with saturated brine and dried over anhydrous magnesium sulfate.

The solvent was evaporated under reduced pressure to give the title compound (1.2 g, yield 90%).

<sup>1</sup>H-NMR (300MHz, CDCl<sub>3</sub>): 8.80-8.73(1H, m), 7.75-7.70(1H, m), 7.63(1H, dd, J=8.2, 4.5Hz), 4.05(3H, s)

5 Step 3: Production of methyl 3-(4-chlorophenyl)pyridine-2-carboxylate

Methyl 3-(trifluoromethylsulfonyloxy)pyridine-2-carboxylate (1.2 g) obtained in the previous step was treated in the same manner as in Example 5 to give the title compound (728 mg, yield 10 69%).

<sup>1</sup>H-NMR (300MHz, CDCl<sub>3</sub>): 8.73-8.66(1H, m), 7.77-7.68(1H, m), 7.49(1H, dd, J=7.8, 4.5Hz), 7.46-7.37(2H, m), 7.32-7.23(2H, m), 3.80(3H, s)

Step 4: Production of [3-(4-chlorophenyl)pyridin-2-yl]methanol

15 Methyl 3-(4-chlorophenyl)pyridine-2-carboxylate (720 mg) obtained in the previous step was dissolved in tetrahydrofuran (10 ml) and the solution was ice-cooled. Lithium aluminum hydride (160 mg) was added to the solution and the mixture was stirred for 1 hr. To the reaction mixture were added successively water 20 (1.6 ml), 15% sodium hydroxide (1.6 ml) and water (4.8 ml). The insoluble materials were filtered off and the filtrate was concentrated under reduced pressure. The residue was purified by silica gel flash chromatography (developing solvent, n-hexane:ethyl acetate = 1:1) to give the title compound (208 mg, 25 yield 32%).

<sup>1</sup>H-NMR (300MHz, CDCl<sub>3</sub>): 8.60(1H, dd, J=4.8, 1.5Hz), 7.60-7.55(1H, m), 7.40-7.48(2H, m), 7.29-7.36(1H, m), 7.27-7.20(3H, m), 4.63(2H, s)

Step 5: Production of ethyl 2-[4-[3-(4-chlorophenyl)pyridin-2-ylmethoxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylate

30 [3-(4-Chlorophenyl)pyridin-2-yl]methanol (200 mg) obtained in the previous step was dissolved in chloroform (3 ml), and thionyl chloride (0.13 ml) and pyridine (catalytic amount) were added. The mixture was stirred for 1 hr at room temperature and 35 concentrated under reduced pressure. The residue was dissolved in dimethylformamide (3 ml), and ethyl 1-cyclohexyl-2-(4-hydroxyphenyl)benzimidazole-5-carboxylate (232 mg) obtained in the same manner as in Example 3 and potassium carbonate (250 mg)

were added. The mixture was stirred for 3 hr with heating at 80°C. The reaction mixture was then allowed to cool. Water was added and the mixture was extracted with ethyl acetate. The organic layer was washed with water and saturated brine, dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The residue was purified by silica gel flash chromatography (developing solvent, n-hexane:ethyl acetate = 1:2) to give the title compound (246 mg, yield 68%).

<sup>1</sup>H-NMR (300MHz, CDCl<sub>3</sub>): 8.71(1H, dd, J=4.7, 1.4Hz), 8.49(1H, d, J=2.1Hz), 7.96(1H, d, J=10.2Hz), 7.71-7.62(2H, m), 7.53(2H, d, J=8.7Hz), 7.45-7.34(5H, m), 7.04(2H, d, J=8.7Hz), 5.14(2H, s), 4.48-4.29(3H, m), 2.38-2.19(2H, m), 2.02-1.22(11H, m)

#### Example 244

Production of methyl 2-[4-(2-bromo-5-tert-butoxycarbonyl-benzyloxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylate

**Step 1:** Production of tert-butyl 4-bromo-3-methylbenzoate

4-Bromo-3-methylbenzoic acid (25 g) was suspended in dichloromethane (200 ml), and oxalyl chloride (12 ml) and dimethylformamide (catalytic amount) were added. The mixture was stirred for 2 hr at room temperature and the solvent was evaporated under reduced pressure. The residue was dissolved in tetrahydrofuran (200 ml) and the solution was ice-cooled. To the solution was added dropwise a solution of potassium tert-butoxide dissolved in tetrahydrofuran (150 ml) and the mixture was stirred for 30 min. Water was added to the reaction mixture and the mixture was extracted with ethyl acetate. The organic layer was washed with water and saturated brine, and dried over anhydrous magnesium sulfate. The solvent was evaporated under reduced pressure to give the title compound (27 g, yield 85%).

<sup>1</sup>H-NMR (300MHz, CDCl<sub>3</sub>): 7.83(1H, d, J=2.2Hz), 7.67-7.53(2H, m), 2.43(3H, s), 1.58(9H, s)

**Step 2:** Production of methyl 2-[4-(2-bromo-5-tert-butoxycarbonylbenzyloxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylate

tert-Butyl 4-bromo-3-methylbenzoate (7.0 g) obtained in the previous step and methyl 1-cyclohexyl-2-(4-hydroxyphenyl)-benzimidazole-5-carboxylate (6.3 g) obtained in the same manner

as in Example 3 were treated in the same manner as in Example 4 to give the title compound (8.8 g, yield 77%).

<sup>1</sup>H-NMR (300MHz, CDCl<sub>3</sub>): 8.49(1H, d, J=1.5Hz), 8.21(1H, d, J=2.1Hz), 7.97(1H, d, J=10.2Hz), 7.82(1H, d, J=10.2Hz), 7.71-7.58(4H, m),

5 7.16(2H, d, J=8.7Hz), 5.23(2H, s), 4.38(1H, m), 3.95(3H, s), 2.40-2.23(2H, m), 2.04-1.90(4H, m), 1.84-1.73(1H, m), 1.59(9H, s), 1.44-1.27(3H, m)

#### Example 245

Production of methyl 2-[4-[5-tert-butoxycarbonyl-2-(4-chlorophenyl)benzyloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylate

Methyl 2-[4-(2-bromo-5-tert-butoxycarbonylbenzyloxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylate (4.5 g) obtained in Example 244 was treated in the same manner as in Example 5 to

15 give the title compound (3.6 g, yield 76%).

<sup>1</sup>H-NMR (300MHz, CDCl<sub>3</sub>): 8.48(1H, s), 8.27(1H, d, J=1.8Hz), 8.04(1H, dd, J=7.9, 1.5Hz), 7.96(1H, dd, J=7.0, 1.5Hz), 7.65(1H, d, J=8.6Hz), 7.55(2H, d, J=8.6Hz), 7.43-7.32(5H, m), 7.01(2H, d, J=8.6Hz), 4.99(2H, s), 4.43-4.29(1H, m), 3.95(3H, s), 2.41-2.21(2H, m), 2.02-1.89(4H, m), 1.82-1.73(1H, m), 1.62(9H, s), 1.46-1.28(3H, m)

#### Example 246

Production of methyl 2-[4-[5-carboxy-2-(4-chlorophenyl)-benzyloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylate hydrochloride

Methyl 2-[4-[5-tert-butoxycarbonyl-2-(4-chlorophenyl)-benzyloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylate (3.5 g) obtained in Example 245 was dissolved in dichloromethane (35 ml), and trifluoroacetic acid (35 ml) was added. The mixture was

30 stirred for 1 hr at room temperature and the reaction mixture was concentrated under reduced pressure. The residue was dissolved in ethyl acetate, and 4N hydrochloric acid-ethyl acetate was added. The precipitated crystals were collected by filtration and dried under reduced pressure to give the title compound (3.3 g, yield

35 97%).

<sup>1</sup>H-NMR (300MHz, DMSO-d<sub>6</sub>): 8.33(1H, d, J=1.5Hz), 8.29(1H, s), 8.24(1H, d, J=1.8Hz), 8.09-8.00(2H, m), 7.74(2H, d, J=8.6Hz),

7.61-7.44(5H, m), 7.24(2H, d, J=8.6Hz), 5.19(2H, s), 4.36(1H, m),  
3.93(3H, s), 2.37-1.21(10H, m)

**Example 247**

Production of methyl 2-{4-[2-(4-chlorophenyl)-5-methylcarbamoyl-  
5 benzoyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylate

Methyl 2-{4-[5-carboxy-2-(4-chlorophenyl)benzyloxy]phenyl}-  
1-cyclohexylbenzimidazole-5-carboxylate hydrochloride (400 mg)  
obtained in Example 246 was suspended in dichloromethane (5 ml),  
and oxalyl chloride (0.08 ml) and dimethylformamide (catalytic  
10 amount) were added. The mixture was stirred for 2 hr at room  
temperature. The reaction mixture was concentrated under reduced  
pressure and the residue was dissolved in dichloromethane (5 ml).  
The resulting solution was added dropwise to a mixed solution of  
40% aqueous methylamine solution (5 ml) and tetrahydrofuran (5  
15 ml) under ice-cooling. The reaction mixture was stirred for 1 hr  
and concentrated under reduced pressure. Water was added to the  
residue and the mixture was extracted with ethyl acetate. The  
organic layer was washed with water, saturated aqueous sodium  
hydrogencarbonate and saturated brine, and dried over anhydrous  
20 magnesium sulfate. The solvent was evaporated under reduced  
pressure and the residue was crystallized from ethyl acetate and  
diisopropyl ether. The crystals were collected by filtration and  
dried under reduced pressure to give the title compound (335 mg,  
yield 86%).

25 <sup>1</sup>H-NMR (300MHz, CDCl<sub>3</sub>): 8.47(1H, s), 8.06(1H, d, J=1.8Hz), 7.96(1H,  
dd, J=8.6, 1.5Hz), 7.82(1H, dd, J=8.2, 2.2Hz), 7.64(1H, d,  
J=8.6Hz), 7.54(2H, d, J=9.0Hz), 7.44-7.31(5H, m), 6.99(2H, d,  
J=9.0Hz), 6.35-6.26(1H, m), 5.00(2H, s), 4.35(1H, m), 3.95(3H, s),  
3.05(3H, d, J=4.8Hz), 2.40-1.24(10H, m)

30 **Example 248**

Production of 2-{4-[2-(4-chlorophenyl)-5-  
methylcarbamoylbenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-  
carboxylate hydrochloride

Methyl 2-{4-[2-(4-chlorophenyl)-5-methylcarbamoylbenzyloxy]-  
35 phenyl}-1-cyclohexylbenzimidazole-5-carboxylate (150 mg) obtained  
in Example 247 and tetrahydrofuran (2 ml) were treated in the  
same manner as in Example 2 to give the title compound (141 mg,  
yield 90%).

APCI-Ms: 594 (MH<sup>+</sup>)

<sup>1</sup>H-NMR (300MHz, DMSO-d<sub>6</sub>): 8.65-8.58(1H, m), 8.27(1H, d, J=1.5Hz), 8.21(1H, d, J=8.2Hz), 8.15(1H, d, J=1.5Hz), 8.05-7.90(2H, m), 7.70(2H, d, J=8.6Hz), 7.56-7.43(5H, m), 7.21(2H, d, J=8.6Hz), 5.14(2H, s), 4.34(1H, m), 2.81(3H, d, J=4.5Hz), 2.39-1.19(10H, m)

5      **Example 336**

Production of methyl 2-[4-(2-bromo-5-nitrobenzyloxy)-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylate

Commercially available 2-bromo-5-nitrotoluene was

10 dissolved in carbon tetrachloride (30 ml), and N-bromosuccinimide (2.9 g) and *N,N'*-azobisisobutyronitrile (228 mg) were added, which was followed by refluxing under heating overnight. The reaction mixture was allowed to cool, water was added and the mixture was extracted with chloroform. The organic layer was  
 15 dried over magnesium sulfate and concentrated under reduced pressure. The residue was dissolved in dimethylformamide (30 ml) and methyl 2-(2-fluoro-4-hydroxyphenyl)-1-cyclohexylbenzimidazole-5-carboxylate (3.8 g) obtained in the same manner as in Example 3 and potassium carbonate (3.8 g) were  
 20 added, which was followed by stirring at 80°C for 1 hr. The reaction mixture was allowed to cool, water was added and the mixture was extracted with ethyl acetate. The organic layer was washed with water and saturated brine, dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The  
 25 residue was purified by silica gel flash chromatography (n-hexane:ethyl acetate = 1:1) to give the title compound (3.7 g, yield 61%).

<sup>1</sup>H-NMR (300MHz, CDCl<sub>3</sub>): 8.55-8.45(2H, m), 8.15-8.05(1H, m), 7.99(1H, dd, J=8.6Hz, 1.5Hz), 7.70-7.55(2H, m), 7.05-6.85(2H, m),  
 30 5.24(2H, s), 4.06(1H, m), 3.95(3H, s), 2.35-2.15(2H, m), 2.05-1.85(4H, m), 1.80-1.70(1H, m), 1.45-1.20(3H, m)

35      **Example 337**

Production of methyl 2-[4-{2-(4-chlorophenyl)-5-nitrobenzyloxy}-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylate

Methyl 2-[4-(2-bromo-5-nitrobenzyloxy)-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylate (2.0 g) obtained in Example 336, 4-chlorophenylboronic acid (590 mg) and tetrakis(triphenylphosphine)palladium (396 mg) were suspended in

dimethoxyethane (40 ml), and saturated aqueous sodium hydrogencarbonate solution (20 ml) was added, which was followed by refluxing under heating for 1 hr. The reaction mixture was allowed to cool, water was added and the mixture was extracted with chloroform. The organic layer was dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The residue was purified by silica gel flash chromatography (*n*-hexane:ethyl acetate = 2:1) to give the title compound (1.9 g, yield 90%).

<sup>1</sup>H-NMR (300MHz, CDCl<sub>3</sub>): 8.55(1H, d, J=2.3Hz), 8.49(1H, d, J=1.4Hz), 8.29(1H, dd, J=8.4Hz, 2.3Hz), 7.98(1H, dd, J=8.6Hz, 1.5Hz), 7.60-7.30(6H, m), 6.85-6.70(2H, m), 5.03(2H, s), 4.02(1H, m), 3.95(3H, s), 2.35-2.10(2H, m), 2.05-1.70(5H, m), 1.40-1.20(3H, m)

#### Example 338

Production of methyl 2-[4-{5-amino-2-(4-chlorophenyl)benzyloxy}-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylate

Methyl 2-[4-{2-(4-chlorophenyl)-5-nitrobenzyloxy}-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylate (1.9 g) obtained in Example 337 was suspended in ethanol (40 ml), and tin(II) chloride dihydrate (3.5 g) was added, which was followed by refluxing under heating for 30 min. The reaction mixture was concentrated under reduced pressure, 4N sodium hydroxide was added and the mixture was extracted with chloroform. The organic layer was washed with 2N sodium hydroxide and water, dried over anhydrous magnesium sulfate and concentrated under reduced pressure. Diisopropyl ether was added to the residue, and the precipitated crystals were collected by filtration to give the title compound (1.5 g, yield 82%).

<sup>1</sup>H-NMR (300MHz, CDCl<sub>3</sub>): 8.49(1H, d, J=1.2Hz), 7.98(1H, dd, J=9.0, 1.5Hz), 7.66(1H, d, J=8.7Hz), 7.49(1H, t, J=8.4Hz), 7.40-7.20(3H, m), 7.13(1H, d, J=8.1Hz), 6.92(1H, d, J=2.7Hz), 6.85-6.65(4H, m), 4.92(2H, s), 4.03(1H, m), 3.95(3H, s), 3.82(2H, brs), 2.30-2.10(2H, m), 2.05-1.80(4H, m), 1.80-1.70(1H, m), 1.40-1.10(3H, m)

#### Example 339

Production of methyl 2-[4-{2-(4-chlorophenyl)-5-(2-oxopyrrolidin-1-yl)benzyloxy}-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylate

Methyl 2-[4-{5-amino-2-(4-chlorophenyl)benzyloxy}-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylate (500 mg) obtained in Example 338 and triethylamine (0.14 ml) were dissolved in chloroform (5 ml), and commercially available 5 chlorobutyryl chloride (0.1 ml) was added under ice-cooling, which was followed by stirring at room temperature for 3 hr. Water was added to the reaction mixture and the mixture was extracted with ethyl acetate. The organic layer was washed with water and saturated brine, dried over anhydrous magnesium sulfate 10 and concentrated under reduced pressure. The residue was dissolved in dimethylformamide (6 ml) and potassium carbonate (244 mg) was added, which was followed by stirring at 80°C for 1 hr. The reaction mixture was allowed to cool, water was added and the precipitated crystals were collected by filtration to give 15 the title compound (502 mg, yield 89%).

<sup>1</sup>H-NMR (300MHz, CDCl<sub>3</sub>): 4.89(1H, d, J=1.5Hz), 7.98(1H, dd, J=8.6Hz, 1.6Hz), 7.72(1H, d, J=2.2Hz), 7.75-7.65(2H, m), 7.49(1H, t, J=8.3Hz), 7.45-7.20(5H, m), 6.85-7.65(2H, m), 4.99(2H, s), 4.10-3.85(6H, m), 2.66(2H, t, J=7.8Hz), 2.30-2.15(4H, m), 2.00-1.85(4H, 20 m), 1.80-1.70(1H, m), 1.45-1.20(3H, m)

#### Example 340

Production of 2-[4-{2-(4-chlorophenyl)-5-(2-oxopyrrolidin-1-yl)benzyloxy}-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride

25 Methyl 2-[4-{2-(4-chlorophenyl)-5-(2-oxopyrrolidin-1-yl)benzyloxy}-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylate (200 mg) obtained in Example 339 was treated in the same manner as in Example 2 to give the title compound (182 mg, yield 87%).

30 Ms:638(M+1)

<sup>1</sup>H-NMR (300MHz, CDCl<sub>3</sub>): 8.28(1H, d, J=1.3Hz), 8.10(1H, d, J=8.7Hz), 8.05-7.90(2H, m), 7.77(1H, dd, J=8.4Hz, 2.2Hz), 7.61(1H, t, J=8.5Hz), 7.55-7.35(5H, m), 7.00-7.20(2H, m), 5.09(2H, s), 4.06(1H, m), 3.90(2H, t, J=6.9Hz), 2.60-2.45(2H, m), 2.30-2.00(4H, 35 m), 1.95-1.75(4H, m), 1.70-1.55(1H, m), 1.45-1.15(3H, m)

#### Example 340-2

Step 1: Production of 4'-chloro-4-nitro-biphenyl-2-carbaldehyde

To a solution of 2-chloro-5-nitrobenzaldehyde (100 g) in 1,2-dimethoxyethane (1000 ml) were added 4-chlorophenylboronic acid (93 g), bis(triphenylphosphine) palladium(II) dichloride (380 mg), sodium hydrogencarbonate (68 g) and water (500 ml), and the mixture was refluxed for 1 hr. The reaction mixture was cooled to 50°C, ethyl acetate (1000 ml) was added thereto and the mixture was stirred. The aqueous layer was separated and the organic layer was washed with water (500 ml), 1N aqueous sodium hydroxide solution (500 ml), water (500 ml), 28% aqueous ammonia (500 ml), water (500 ml), 2N hydrochloric acid (500 ml) and saturated brine (500 ml), dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The residue was suspended in diisopropyl ether (500 ml), filtrated and vacuum dried to give the title compound (120 g, yield 85%).

15  $^1\text{H-NMR}$  (300MHz, DMSO- $d_6$ ): 9.92(1H, s), 8.61 (1H, d,  $J=2.5\text{Hz}$ ), 8.53(1H, dd,  $J=2.6\text{Hz}$ , 8.5Hz), 7.82(1H, d,  $J=8.5\text{Hz}$ ), 7.64(2H, d,  $J=8.7\text{Hz}$ ), 7.59(2H, d,  $J=8.7\text{Hz}$ )

**Step 2:** Production of (4'-chloro-4-nitro-biphenyl-2-yl)methanol

A solution of 4'-chloro-4-nitro-biphenyl-2-carbaldehyde (120 g) obtained in the previous step in tetrahydrofuran (900 ml) was added dropwise to a suspension of sodium borohydride (47 g) in 2-propanol (600 ml), over 70 min under water-cooling. The reaction mixture was stirred at room temperature for 1 hr, and 2N hydrochloric acid (185 ml) was dropwise added thereto over 40 min under water-cooling. The mixture was stirred at room temperature for 30 min and concentrated under reduced pressure. The residue was suspended in 2-propanol (300 ml), and water (1000 ml) was added with stirring. After stirring the mixture for 30 min, the crystals were collected by filtration and vacuum dried to give 30 the title compound (116 g, yield 96%).

1 $\text{H-NMR}$  (300MHz, DMSO- $d_6$ ): 8.43(1H, d,  $J=2.5\text{Hz}$ ), 8.19(1H, dd,  $J=2.6\text{Hz}$ , 8.4Hz), 7.57(2H, d,  $J=8.5\text{Hz}$ ), 7.52(1H, d,  $J=8.4\text{Hz}$ ), 7.47(2H, d,  $J=8.6\text{Hz}$ ), 5.59(1H, brs), 4.48(2H, s)

**Step 3:** Production of (4-amino-4'-chloro-biphenyl-2-yl)methanol

35 To a suspension of (4'-chloro-4-nitro-biphenyl-2-yl)methanol (1.0 g) obtained in the previous step and sodium hydrosulfite (2.0 g) in N,N-dimethylformamide (4 ml) and methanol (1 ml) was added water (0.3 ml, 50  $\mu\text{l}$  each time in 6 portions) every 20 min

at 100°C. Water (5 ml) was added threto at room temperature. Conc. hydrochloric acid (2.5 ml) was added threto at room temperature. The mixture was stirred at 55°C for 2.5 hr, and a solution of sodium hydroxide (1.2 g) in water (3 ml) was added under ice-cooling. Water (5 ml) was added and the mixture was stirred at room temperature for 1 hr. The precipitate was filtrated and washed with water (3 ml). The crystals were vacuum dried to give the title compound (700 mg, yield 79%).

<sup>1</sup>H-NMR (400MHz, DMSO-d<sub>6</sub>): 7.39(2H, d, J=8.5Hz), 7.35(2H, d, J=8.5Hz), 6.90(1H, d, J=8.4Hz), 6.82(1H, s), 6.56(1H, d, J=8.4Hz), 5.20(2H, brs), 5.04(1H, t, J=5.4Hz), 4.29(2H, d, J=5.4Hz).

**Step 4:** Production of 4-chloro-N-(4'-chloro-2-hydroxymethyl-biphenyl-4-yl)butyramide

To a solution of (4-amino-4'-chloro-biphenyl-2-yl)-methanol (1.0 g) obtained in the previous step in tetrahydrofuran (10 ml) were added sodium acetate (390 mg) and acetic acid (0.27 ml) at room temperature.

4-Chlorobutyryl chloride (0.48 ml) was gradually added dropwise under ice-cooling. After stirring the mixture at room temperature for 30 min, water (20 ml) and ethyl acetate (20 ml) were added to the reaction mixture and the organic layer was separated. The organic layer was washed with saturated aqueous sodium hydrogencarbonate (20 ml) and saturated brine (20 ml). The organic layer was dried over sodium sulfate, filtrated and the solvent was evaporated to give the title compound (1.44 g, yield 99%).

<sup>1</sup>H-NMR (300MHz, CDCl<sub>3</sub>): 7.68(1H, s), 7.55(1H, d, J=8.4Hz), 7.39(2H, d, J=8.5Hz), 7.28(2H, d, J=8.5Hz), 7.22(1H, d, J=8.3Hz), 4.58(2H, s), 3.69(2H, t, J=6.1Hz), 2.60(2H, t, J=7.0Hz), 2.22(2H, m)

**Step 5:** Production of 1-(4'-chloro-2-hydroxymethyl-biphenyl-4-yl)-2-pyrrolidinone

To a solution of 4-chloro-N-(4'-chloro-2-hydroxymethyl-biphenyl-4-yl)butyramide (1.44 g) obtained in the previous step in N,N-dimethylformamide (15 ml) was added potassium carbonate (710 mg) at room temperature. After stirring the mixture at 100°C for 90 min, 1N hydrochloric acid (5 ml) and water (20 ml) were added at room temperature and the precipitated crystals were collected by filtration and washed with water (5 ml). The

crystals were vacuum dried to give the title compound (970 mg, yield 76%).

<sup>1</sup>H-NMR (300MHz, CDCl<sub>3</sub>): 7.76(1H, d, J=2.3Hz), 7.62(1H, dd, J=2.4Hz, 8.3Hz), 7.38(2H, d, J=8.5Hz), 7.29(2H, d, J=8.5Hz), 7.25(1H, d, J=8.3Hz), 4.61(2H, s), 3.91(2H, t, J=7.0Hz), 2.62(2H, t, J=7.8Hz), 2.18(2H, m)

**Step 6:** Production of 1-(4'-chloro-2-chloromethyl-biphenyl-4-yl)-2-pyrrolidinone

To a mixed solution of 1-(4'-chloro-2-hydroxymethyl-biphenyl-4-yl)-2-pyrrolidinone (900 mg) obtained in the previous step in N,N-dimethylformamide (2 ml) and toluene (7 ml) was dropwise added thionyl chloride (0.26 ml) under ice-cooling. After stirring the mixture at room temperature for 3 hr, the reaction mixture was diluted with ethyl acetate (20 ml) and washed with water (20 ml), saturated aqueous sodium hydrogencarbonate (20 ml) and saturated brine (20 ml). The organic layer was dried over sodium sulfate, filtrated and the solvent was evaporated under reduced pressure to give the title compound (954 mg, yield 99%).

<sup>1</sup>H-NMR (300MHz, CDCl<sub>3</sub>): 7.77(1H, d, J=2.3Hz), 7.69(1H, dd, J=2.4Hz, 8.5Hz), 7.42(2H, d, J=8.6Hz), 7.34(2H, d, J=8.6Hz), 7.26(1H, d, J=8.4Hz), 4.50(2H, s), 3.92(2H, t, J=7.0Hz), 2.65(2H, t, J=7.8Hz), 2.20(2H, m)

**Step 7:** Production of methyl 2-[4-(2-(4-chlorophenyl)-5-(2-oxopyrrolidin-1-yl)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylate

To a suspension of methyl 1-cyclohexyl-2-(2-fluoro-4-hydroxyphenyl)benzimidazole-5-carboxylate (915 mg) obtained in Example 18 in N,N-dimethylformamide (6 ml) was added 1-(4'-chloro-2-chloromethyl-biphenyl-4-yl)-2-pyrrolidinone (954 mg) obtained in the previous step and potassium carbonate (415 mg) at room temperature. After stirring the mixture at 100°C for 1 hr, 1N hydrochloric acid (3 ml) and water (8 ml) were added at room temperature and the precipitated crystals were collected by filtration and washed with water (5 ml). The crystals were vacuum dried to give the title compound (1.6 g, yield 100%).

<sup>1</sup>H-NMR (300MHz, CDCl<sub>3</sub>): 8.49(1H, d, J=1.5Hz), 7.98(1H, dd, J=1.6Hz, 8.6Hz), 7.90(1H, d, J=2.2Hz), 7.72-7.65(2H, m), 7.49(1H, t,

J=8.3Hz), 7.40(2H, d, J=8.5Hz), 7.34(1H, d, J=8.7Hz), 7.31(2H, d, J=8.6Hz), 6.80 (1H, d, J=8.6Hz), 6.71(1H, d, J=11.6Hz), 4.99(2H, s), 4.04(1H, m), 3.95(3H, s), 3.93(2H, t, J=7.1Hz), 2.66(2H, t, J=7.8Hz), 2.30-2.15(4H, m), 2.00-1.85(4H, m), 1.80-1.70(1H, m),  
 5 1.45-1.20(3H, m)

**Step 8:** Production of 2-[4-(2-(4-chlorophenyl)-5-(2-oxopyrrolidin-1-yl)benzyloxy)-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid

Methyl 2-[4-(2-(4-chlorophenyl)-5-(2-oxopyrrolidin-1-yl)benzyloxy)-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylate (2.0 g) obtained in the previous step was suspended in methanol (4.0 ml) and tetrahydrofuran (8.0 ml), and 2N aqueous sodium hydroxide solution (2.3 ml) was added. The mixture was heated under reflux for 3 hr. The reaction mixture was allowed to cool and tetrahydrofuran (1.0 ml) and water (5.0 ml) were added. 2N Hydrochloric acid (2.3 ml) was gradually added at room temperature. After stirring the mixture at room temperature for 2 hr, the precipitated crystals were collected by filtration and washed successively with methanol-water (1:1) mixed solution (6.0 ml), water (6.0 ml) and methanol-water (1:1) mixed solution (6.0 ml), and vacuum dried to give the title compound (1.84 g, yield 94%).

<sup>1</sup>H-NMR (300MHz, DMSO-d<sub>6</sub>): 12.75(1H, brs), 8.26(1H, s), 7.99(1H, s), 7.96(1H, d, J=9.0Hz), 7.89(1H, d, J=9.0Hz), 7.78(1H, dd, J=2.1Hz, 25 8.4Hz), 7.54(1H, t, J=9.0Hz), 7.49(2H, d, J=8.7Hz), 7.45(2H, d, J=8.4Hz), 7.38(1H, d, J=8.4Hz), 7.08(1H, dd, J=2.1Hz, 12.0Hz), 6.96(1H, dd, J=2.1Hz, 8.7Hz), 5.09(2H, s), 3.99(1H, m), 3.91(2H, t, J=6.6Hz), 2.54(2H, t, J=7.8Hz), 2.30-2.00(4H, m), 1.95-1.50(5H, m), 1.45-1.20(3H, m)

**Step 9:** Production of 2-[4-(2-(4-chlorophenyl)-5-(2-oxopyrrolidine-1-yl)benzyloxy)-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride

To 4N hydrochloric acid (50 ml) were successively added 2-[4-(2-(4-chlorophenyl)-5-(2-oxopyrrolidin-1-yl)benzyloxy)-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (10.0 g) obtained in the previous step and acetone-methyl ethyl ketone (3:2) mixed solution (20 ml). The mixture was stirred at 60°C for 3 hr and at room temperature for 1 hr. The crystals were

collected by filtration, washed twice with acetone (10 ml) and vacuum dried to give the title compound (9.62 g, yield 91%).

melting point: 243-246°C

Ms: 638 (M+1)

5  $^1\text{H-NMR}$  (300MHz, DMSO-d<sub>6</sub>): 8.33(1H, d, J=1.1Hz), 8.21(1H, d, J=8.8Hz), 8.02(1H, d, J=8.8Hz), 8.00(1H, d, J=2.2Hz), 7.77(1H, dd, J=2.2Hz, 8.4Hz), 7.68(1H, t, J=8.4Hz), 7.50(2H, d, J=8.4Hz), 7.45(2H, d, J=8.4Hz), 7.39(1H, d, J=8.4Hz), 7.20(1H, dd, J=2.2Hz, 12.1Hz), 7.06(1H, dd, J=2.2Hz, 8.8Hz), 5.11(2H, s), 4.13(1H, m), 10 3.91(2H, t, J=7.0Hz), 2.54(2H, t, J=8.1Hz), 2.40-2.05(4H, m), 2.00-1.75(4H, m), 1.70-1.55(1H, m), 1.50-1.20(3H, m)

In the same manner as in Examples 1-30, 241-248 and 336-340 and optionally using other conventional methods, where necessary, the compounds of Examples 31-240, 249-335, 341-471, 15 701-703 and 1001-1559 were obtained. The chemical structures and properties are shown in Table 1 to 177, 185 to 212, 219 to 221 and 225 to 269.

#### Example 501

Production of methyl 2-{4-[2-(4-chlorophenyl)-5-  
20 methoxybenzoyloxy]phenyl}-1-cyclohexyl-1H-indole-5-carboxylate  
**Step 1:** Production of methyl 3-bromo-4-cyclohexylaminobenzoate  
 3-Bromo-4-fluorobenzoic acid (2.0 g) was dissolved in methanol (20 ml) and concentrated sulfuric acid (2 ml) was added. The mixture was refluxed for 3 hr. The reaction mixture was 25 poured into ice-cold water and extracted with ethyl acetate (50 ml). The organic layer was washed with water (30 ml) and saturated brine (30 ml), and dried over sodium sulfate. After filtration, the solvent was evaporated under reduced pressure. The residue was dissolved in dimethyl sulfoxide (20 ml) and 30 cyclohexylamine (10.3 ml) was added. The mixture was stirred overnight at 120°C. The reaction mixture was poured into 10% aqueous citric acid solution (100 ml) and extracted with ethyl acetate (100 ml). The organic layer was washed with water (50 ml) and saturated brine (50 ml), and dried over sodium sulfate. After 35 filtration, the solvent was evaporated under reduced pressure and the residue was purified by silica gel flash chromatography (developing solvent, n-hexane:ethyl acetate = 10:1) to give the title compound (2.6 g, yield 92%).

<sup>1</sup>H-NMR (300MHz, CDCl<sub>3</sub>): 8.10(1H, d, J=1.9Hz), 7.83(1H, dd, J=1.9Hz, 8.6Hz), 6.59(1H, d, J=8.7Hz), 4.73(1H, brd, J=7.3Hz), 3.85(3H, s), 3.38(1H, m), 2.10-2.00(2H, m), 1.90-1.20(8H, m)

Step 2: Production of 4'-chloro-2-(4-iodophenoxyethyl)-4-methoxybiphenyl

4-Iodophenol (5.0 g) was dissolved in acetone (50 ml), and potassium carbonate (4.7 g) and 4'-chloro-2-chloromethyl-4-methoxybiphenyl (6.0 g) were added. The mixture was refluxed for 10 hr. The reaction mixture was concentrated and 4N aqueous sodium hydroxide solution (50 ml) was added. The precipitated crystals were collected by filtration, washed with water, and dried under reduced pressure to give the title compound (10.0 g, yield 98%).

<sup>1</sup>H-NMR (300MHz, CDCl<sub>3</sub>): 7.52(2H, d, J=8.9Hz), 7.35(2H, d, J=8.5Hz), 7.27-7.20(3H, m), 7.12(1H, s), 6.95(1H, d, J=8.5Hz), 6.62(2H, d, J=8.9Hz), 4.84(2H, s), 3.85(3H, s)

Step 3: Production of [4-(4'-chloro-4-methoxybiphenyl-2-ylmethoxy)phenylethyynyl]trimethylsilane

4'-Chloro-2-(4-iodophenoxyethyl)-4-methoxybiphenyl (7.0 g) obtained in the previous step was dissolved in acetonitrile (50 ml), and trimethylsilylacetylene (2.3 g), tetrakis(triphenylphosphine)palladium complex (1.8 g), copper(I) iodide (0.6 g) and triethylamine (50 ml) were added. The mixture was stirred overnight at room temperature and concentrated. Water (30 ml) was added and the mixture was extracted with ethyl acetate (50 ml). The organic layer was washed with water (30 ml) and saturated brine (30 ml) and dried over sodium sulfate. After filtration, the solvent was evaporated under reduced pressure and the residue was purified by silica gel flash chromatography (developing solvent, n-hexane:ethyl acetate = 10:1) to give the title compound (5.1 g, yield 79%).

<sup>1</sup>H-NMR (300MHz, CDCl<sub>3</sub>): 7.37(2H, d, J=8.9Hz), 7.34(2H, d, J=8.2Hz), 7.28-7.21(3H, m), 7.13(1H, s), 6.94(1H, d, J=8.2Hz), 6.75(2H, d, J=8.9Hz), 4.87(2H, s), 3.85(3H, s), 0.23(9H, s)

Step 4: Production of methyl 3-[4-(4'-chloro-4-methoxybiphenyl-2-ylmethoxy)phenylethyynyl]-4-cyclohexylaminobenzoate

[4-(4'-Chloro-4-methoxybiphenyl-2-ylmethoxy)phenylethyynyl]-trimethylsilane (5.1 g) obtained in the previous step was

dissolved in methanol (50 ml) and chloroform (50 ml), and potassium carbonate (2.5 g) was added. The mixture was stirred for 3 hr at room temperature and concentrated. Water (30 ml) was added and the mixture was extracted with ethyl acetate (50 ml).

5 The organic layer was washed with water (30 ml) and saturated brine (30 ml) and dried over sodium sulfate. After filtration, the solvent was evaporated under reduced pressure to give white crystals (3.8 g). The white crystals (2.3 g) were dissolved in acetonitrile (10 ml), and methyl 3-bromo-4-cyclohexylamino-

10 benzoate (1.0 g) obtained in Step 1, tetrakis(triphenyl-phosphine)palladium complex (0.4 g), copper(I) iodide (0.1 g) and triethylamine (10 ml) were added. The mixture was stirred overnight at 100°C and concentrated under reduced pressure. Water (30 ml) was added and the mixture was extracted with ethyl

15 acetate (50 ml). The organic layer was washed with water (30 ml) and saturated brine (30 ml), and dried over sodium sulfate. After filtration, the solvent was evaporated under reduced pressure and the residue was purified by silica gel flash chromatography (developing solvent, n-hexane:ethyl acetate = 8:1) to give the

20 title compound (0.9 g, yield 49%).

<sup>1</sup>H-NMR (300MHz, CDCl<sub>3</sub>): 8.03(1H, s), 7.84(1H, d, J=8.7Hz), 7.42-7.22(7H, m), 7.15(1H, s), 6.95(1H, d, J=8.2Hz), 6.85(2H, d, J=8.8Hz), 6.59(1H, d, J=8.8Hz), 5.07(1H, brs), 4.91(2H, s), 3.86(3H, s), 3.85(3H, s), 3.42(1H, m), 2.15-2.00(2H, m), 1.80-25 1.20(8H, m)

**Step 5:** Production of methyl 2-[4-[2-(4-chlorophenyl)-5-methoxybenzoyloxy]phenyl]-1-cyclohexyl-1H-indole-5-carboxylate  
Methyl 3-[4-(4'-chloro-4-methoxybiphenyl-2-ylmethoxy)phenyl-ethynyl]-4-cyclohexylaminobenzoate (0.5 g) obtained in the

30 previous step was dissolved in N,N-dimethylformamide (5 ml), and copper(I) iodide (0.17 g) was added. The mixture was refluxed for 3 hr at 180°C. The insoluble materials were removed by filtration. Water (10 ml) was added and the mixture was extracted with ethyl acetate (30 ml). The organic layer was washed with water (10 ml)

35 and saturated brine (10 ml), and dried over sodium sulfate. After filtration, the solvent was evaporated under reduced pressure and the residue was purified by silica gel flash chromatography

(developing solvent, n-hexane:ethyl acetate = 8:1) to give the title compound (0.27 g, yield 55%).

<sup>1</sup>H-NMR (300MHz, CDCl<sub>3</sub>): 8.34(1H, s), 7.85(1H, d, J=8.8Hz), 7.62(1H, d, J=8.8Hz), 7.40-7.18(8H, m), 7.00-6.94(3H, m), 6.48(1H, s), 5 4.95(2H, m), 4.18(1H, m), 3.93(3H, s), 3.88(3H, s), 2.45-2.25(2H, m), 1.95-1.20(8H, m)

#### Example 502

Production of 2-[4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl]-1-cyclohexyl-1H-indole-5-carboxylic acid

10 Methyl 2-[4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl]-1-cyclohexyl-1H-indole-5-carboxylate (0.27 g) obtained in Example 501 was treated in the same manner as in Example 2 to give the title compound (0.19 g, yield 71%).

APCI-Ms: 566 (M<sup>+</sup>)

15 <sup>1</sup>H-NMR (300MHz, DMSO-d<sub>6</sub>): 12.43(1H, brs), 8.20(1H, s), 7.79(1H, d, J=9.3Hz), 7.72(1H, d, J=9.0Hz), 7.50-7.20(8H, m), 7.07-7.03(3H, m), 6.53(1H, s), 5.01(2H, s), 4.13(1H, m), 3.83(3H, m), 2.35-2.25(2H, m), 1.85-1.10(8H, m)

In the same manner as in Examples 501 and 502, and 20 optionally using other conventional methods where necessary, the compound of Example 503 was obtained. The chemical structure and properties are shown in Table 207.

#### Example 601

Production of ethyl 2-(4-benzyloxyphenyl)-3-cyclohexylimidazo-25 [1,2-a]pyridine-7-carboxylate

Step 1: Production of 4-benzyloxy-N-methoxy-N-methylbenzamide

4-Benzylbenzoic acid (5.0 g) and N,O-dimethylhydroxylamine hydrochloride (2.5 g) were suspended in dimethylformamide (50 ml), and 1-(3-dimethylaminopropyl)-30 ethylcarbodiimide hydrochloride (5.0 g), 1-hydroxybenzotriazole (3.5 g) and triethylamine (3.6 ml) were added. The mixture was stirred overnight at room temperature. Water was added to the reaction mixture and the mixture was extracted with ethyl acetate. The organic layer was washed successively with water, saturated 35 aqueous sodium hydrogen carbonate, water and saturated brine, and dried over anhydrous magnesium sulfate. The solvent was evaporated under reduced pressure to give the title compound (5.6 g, yield 94%).

<sup>1</sup>H-NMR (300MHz, CDCl<sub>3</sub>): 7.22, 2H, d, J=8.8Hz), 7.28-7.46(5H, m), 6.97(2H, d, J=8.8Hz), 5.10(2H, s), 3.56(3H, s), 3.35(3H, s)

**Step 2: Production of 1-(4-benzyloxyphenyl)-2-cyclohexylethanone**

Magnesium (470 mg) was suspended in tetrahydrofuran (2 ml) and cyclohexylmethyl bromide (3.4 g) was added dropwise at room temperature. After the addition, the reaction mixture was stirred for 30 min at 60°C. The reaction mixture was allowed to cool and diluted with tetrahydrofuran (5 ml). Separately, 4-benzyloxy-N-methoxy-N-methylbenzamide (3.4 g) obtained in the previous step was dissolved in tetrahydrofuran (10 ml) and the solution was added dropwise to the reaction mixture at room temperature. The mixture was stirred for 2 hr and saturated aqueous ammonium chloride solution was added to the reaction mixture. The mixture was extracted with diethyl ether. The organic layer was washed with saturated brine and dried over anhydrous magnesium sulfate, and the solvent was evaporated under reduced pressure. The residue was purified by silica gel flash chromatography (developing solvent, n-hexane:ethyl acetate = 9:1) to give the title compound (3.8 g, yield 66%).

20 <sup>1</sup>H-NMR (300MHz, CDCl<sub>3</sub>): 7.93(2H, d, J=8.8Hz), 7.28-7.46(5H, m), 7.00(2H, d, J=8.8Hz), 5.13(2H, s), 2.76(2H, d, J=6.8Hz), 1.95(1H, m), 0.78-1.82(10H, m)

**Step 3: Production of 1-(4-benzyloxyphenyl)-2-bromo-2-cyclohexylethanone**

25 1-(4-Benzylxyphenyl)-2-cyclohexylethanone (1.0 g) obtained in the previous step was dissolved in 1,4-dioxane (10 ml) and bromine (0.17 ml) was added. The mixture was stirred for 10 min at room temperature. Saturated aqueous sodium hydrogencarbonate was added to the reaction mixture and the mixture was extracted with diethyl ether. The organic layer was washed with water and saturated brine and dried over anhydrous magnesium sulfate, and the solvent was evaporated under reduced pressure. The residue was purified by silica gel flash chromatography (developing solvent, n-hexane:ethyl acetate = 9:1) to give the title compound (696 mg, yield 55%).

1<sup>H</sup>-NMR (300MHz, CDCl<sub>3</sub>): 7.98(2H, d, J=8.9Hz), 7.28-7.48(5H, m), 7.02(2H, d, J=8.9Hz), 5.14(2H, s), 4.89(1H, d, J=9.3Hz), 0.86-3.30(11H, m)

**Step 4: Production of ethyl 2-(4-benzyloxyphenyl)-3-cyclohexylimidazo[1,2-a]pyridine-7-carboxylate**

Ethyl 2-aminopyridine-4-carboxylate (214 mg) prepared according to JP-A-8-48651, 1-(4-benzyloxyphenyl)-2-bromo-2-  
5 cyclohexylethanone (500 mg) obtained in the previous step and potassium carbonate (356 mg) were stirred for 5 hr with heating at 140°C. The reaction mixture was allowed to cool and chloroform was added. The insoluble materials were filtered off and the filtrate was concentrated under reduced pressure. The residue was  
10 purified by silica gel flash chromatography (developing solvent, n-hexane:ethyl acetate = 1:1) to give the title compound (95 mg, yield 16%).

APCI-MS: 455 (MH<sup>+</sup>)

<sup>1</sup>H-NMR (300MHz, CDCl<sub>3</sub>): 8.33(1H, s), 8.21(1H, d, J=7.5Hz), 7.55(2H,  
15 d, J=8.7Hz), 7.25-7.50(6H, m), 5.13(2H, s), 4.41(2H, q, J=7.1Hz), 3.25(1H, m), 1.41(3H, t, J=7.1Hz), 1.15-2.00(10H, m)

**Example 602**

Production of 2-(4-benzyloxyphenyl)-3-cyclohexylimidazo[1,2-a]pyridine-7-carboxylic acid

Ethyl 2-(4-benzyloxyphenyl)-3-cyclohexylimidazo[1,2-a]pyridine-7-carboxylate (95 mg) obtained in the previous step was treated in the same manner as in Example 2 to give the title compound (33 mg, 37%).

APCI-MS: 427 (MH<sup>+</sup>)

<sup>1</sup>H-NMR (300MHz, DMSO-d<sub>6</sub>): 8.67(1H, d, J=7.3Hz), 8.08(1H, s), 7.25-7.58(8H, m), 7.13(2H, d, J=8.7Hz), 5.17(2H, s), 3.23(1H, m), 1.25-2.10(10H, m)

The compounds shown in Tables 213 to 218 can be further obtained in the same manner as in Examples 1 to 703 or by other  
30 conventional method employed as necessary.

The evaluation of the HCV polymerase inhibitory activity of the compound of the present invention is explained in the following. This polymerase is an enzyme coded for by the non-structural protein region called NS5B on the RNA gene of HCV  
35 (EMBO J., 15:12-22, 1996).

**Experimental Example [I]**

i) Preparation of enzyme (HCV polymerase)

Using, as a template, a cDNA clone corresponding to the full length RNA gene of HCV BK strain obtained from the blood of a patient with hepatitis C, a region encoding NS5B (591 amino acids; J Virol 1991 Mar, 65(3), 1105-13) was amplified by PCR.

5 The objective gene was prepared by adding a 6 His tag {base pair encoding 6 continuous histidine (His)} to the 5' end thereof and transformed to *Escherichia coli*. The *Escherichia coli* capable of producing the objective protein was cultured. The obtained cells were suspended in a buffer solution containing a surfactant and

10 crushed in a microfluidizer. The supernatant was obtained by centrifugation and applied to various column chromatographies {poly[U]-Sepharose, Sephadryl S-200, mono-S (Pharmacia)}, inclusive of metal chelate chromatography, to give a standard enzyme product.

15 ii) Synthesis of substrate RNA

Using a synthetic primer designed based on the sequence of HCV genomic 3' untranslated region, a DNA fragment (148 bp) containing polyU and 3'X sequence was entirely synthesized and cloned into plasmid pBluescript SK II(+) (Stratagene). The cDNA 20 encoding full length NS5B, which was prepared in i) above, was digested with restriction enzyme KpnI to give a cDNA fragment containing the nucleotide sequence of from the restriction enzyme cleavage site to the termination codon. This cDNA fragment was inserted into the upstream of 3' untranslated region of the DNA 25 in pBluescript SK II(+) and ligated. The about 450 bp inserted DNA sequence was used as a template in the preparation of substrate RNA. This plasmid was cleaved immediately after the 3'X sequence, linearized and purified by phenol-chloroform treatment and ethanol precipitation to give DNA.

30 RNA was synthesized (37°C, 3 hr) by run-off method using this purified DNA as a template, a promoter of pBluescript SK II(+), MEGAscript RNA synthesis kit (Ambion) and T7 RNA polymerase. DNaseI was added and the mixture was incubated for 1 hr. The template DNA was removed by decomposition to give a crude 35 RNA product. This product was treated with phenol-chloroform and purified by ethanol precipitation to give the objective substrate RNA.

This RNA was applied to formaldehyde denaturation agarose gel electrophoresis to confirm the quality thereof and preserved at -80°C.

iii) Assay of enzyme (HCV polymerase) inhibitory activity

5 A test substance (compound of the present invention) and a reaction mixture (30 µl) having the following composition were reacted at 25°C for 90 min.

10 10% Trichloroacetic acid at 4°C and 1% sodium pyrophosphate solution (150 µl) were added to this reaction mixture to stop the reaction. The reaction mixture was left standing in ice for 15 min to insolubilize RNA. This RNA was trapped on a glass filter (Whatman GF/C and the like) upon filtration by suction. This filter was washed with a solution containing 1% trichloroacetic acid and 0.1% sodium pyrophosphate, washed with 90% ethanol and 15 dried. A liquid scintillation cocktail (Packard) was added and the radioactivity of RNA synthesized by the enzyme reaction was measured on a liquid scintillation counter.

20 The HCV polymerase inhibitory activity ( $IC_{50}$ ) of the compound of the present invention was calculated from the values of radioactivity of the enzyme reaction with and without the test substance.

The results are shown in Tables 178-184 and 222-224.

Reaction mixture : HCV polymerase (5 µg/ml) obtained in i), substrate RNA (10 µg/ml) obtained in ii), ATP (50 µM), GTP (50 µM), CTP (50 µM), UTP (2 µM), [5,6-<sup>3</sup>H]UTP (46 Ci/mmol (Amersham), 25 1.5 µCi) 20 mM Tris-HCl (pH 7.5), EDTA (1 mM), MgCl<sub>2</sub> (5 mM), NaCl (50 mM), DTT (1 mM), BSA (0.01%).

30 Formulation Example is given in the following. This example is merely for the purpose of exemplification and does not limit the invention.

**Formulation Example**

|                                      |    |   |
|--------------------------------------|----|---|
| (a) compound of Example 1            | 10 | g |
| (b) lactose                          | 50 | g |
| (c) corn starch                      | 15 | g |
| 35 (d) sodium carboxymethylcellulose | 44 | g |
| (e) magnesium stearate               | 1  | g |

The entire amounts of (a), (b) and (c) and 30 g of (d) are kneaded with water, dried in vacuo and granulated. The obtained

granules are mixed with 14 g of (d) and 1 g of (e) and processed into tablets with a tabletting machine to give 1000 tablets each containing 10 mg of (a).

Table 1

|             |              |                                                                                                                                                                                                                                                                                             |
|-------------|--------------|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 31           | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, CDCl <sub>3</sub><br>7.81 (2H, d, J=6.6Hz), 7.60 (2H, d, J=8.8Hz), 7.51-7.21 (8H, m), 7.11 (2H, d, J=8.8Hz), 5.15 (2H, s), 4.93 (1H, quin, t, J=8.8Hz), 2.36-2.32 (2H, m), 2.09-2.04 (3H, m), 1.75-1.68 (3H, m).                             |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                             |
| MS          | 369 (M+1)    |                                                                                                                                                                                                                                                                                             |
| Example No. | 32           | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, CDCl <sub>3</sub><br>8.51 (1H, d, J=1.5Hz), 7.98 (1H, d, J=8.4Hz), 7.61 (2H, d, J=8.7Hz), 7.56-7.10 (6H, m), 7.12 (2H, d, J=8.7Hz), 5.15 (2H, s), 4.94 (1H, quint, J=9.3Hz), 4.41 (2H, q, J=7.5Hz), 2.40-1.50 (8H, m), 1.41 (3H, t, J=7.5Hz) |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                             |
| MS          | 441 (M+1)    |                                                                                                                                                                                                                                                                                             |
| Example No. | 33           | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, CDCl <sub>3</sub><br>7.84 (1H, s), 7.61 (2H, d, J=9.0Hz), 7.58-7.30 (7H, m), 7.12 (2H, d, J=9.0Hz), 5.15 (2H, s), 4.94 (1H, quint, J=8.7Hz), 3.10 (6H, brs), 2.40-1.50 (8H, m)                                                               |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                             |
| MS          | 440 (M+1)    |                                                                                                                                                                                                                                                                                             |

Table 2

|             |                        |                                                                                                                                                                                                                                                           |
|-------------|------------------------|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 34                     | $\text{^1H NMR}(\delta) \text{ ppm}$<br>300MHz, CDCl <sub>3</sub><br>8.20(1H, s), 7.50-7.31(9H, m), 7.12(2H, d, J=8.7Hz), 5.15(2H, s), 4.94(1H, quint, J=8.7Hz), 3.61(3H, s), 3.40(3H, s), 2.41-1.42(8H, m)                                               |
| Purity      | $> 90\% \text{ (NMR)}$ |                                                                                                                                                                                                                                                           |
| MS          | 456(M+1)               |                                                                                                                                                                                                                                                           |
| Example No. | 35                     | $\text{^1H NMR}(\delta) \text{ ppm}$<br>300MHz, CDCl <sub>3</sub><br>7.91(1H, s), 7.59(2H, d, J=8.7Hz), 7.49-7.30(7H, m), 7.11(2H, d, J=8.8Hz), 5.15(2H, s), 4.19(1H, quint, J=8.8Hz), 2.41-2.22(2H, m), 2.13-1.49(14H, m)                                |
| Purity      | $> 90\% \text{ (NMR)}$ |                                                                                                                                                                                                                                                           |
| MS          | 427(M+1)               |                                                                                                                                                                                                                                                           |
| Example No. | 36                     | $\text{^1H NMR}(\delta) \text{ ppm}$<br>300MHz, CDCl <sub>3</sub><br>8.40(1H, d, J=1.4Hz), 7.95(1H, dd, J=8.6, 1.4Hz), 7.61(2H, d, J=8.7Hz), 7.57-7.30(6H, m), 7.13(2H, d, J=8.7Hz), 5.16(2H, s), 4.95(1H, quint, J=8.8Hz), 2.64(3H, s), 2.40-1.54(8H, m) |
| Purity      | $> 90\% \text{ (NMR)}$ |                                                                                                                                                                                                                                                           |
| MS          | 411(M+1)               |                                                                                                                                                                                                                                                           |

Table 3

|                    |                        |                                                                                                                                                                                                                                                                                                                                        |
|--------------------|------------------------|----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| <b>Example No.</b> | <b>37</b>              | <b>1H NMR (<math>\delta</math>) ppm</b>                                                                                                                                                                                                                                                                                                |
|                    |                        | 300MHz, DMSO-d6<br>10. 47 (1H, brs, ), 9. 15 (1H, b<br>rs), 8. 40 (1H, s), 8. 07 (1H, d<br>, J=9. 0Hz), 7. 93 (1H, d, J=8.<br>7Hz), 7. 77 (2H, d, J=8. 7Hz),<br>7. 55-7. 29 (7H, m), 5. 26 (2H,<br>s), 4. 93 (1H, quint, J=9. 0Hz<br>, J=3. 77-3. 63 (2H, m), 3. 39-3<br>. 23 (2H, m), 2. 84 (6H, d, J=4.<br>8Hz), 2. 32-1. 60 (8H, m) |
| <b>Purity</b>      | <b>&gt; 90 % (NMR)</b> |                                                                                                                                                                                                                                                                                                                                        |
| <b>MS</b>          | <b>483 (M+1)</b>       |                                                                                                                                                                                                                                                                                                                                        |

|             |              |                                                                                                                                                                                                                                                                                  |
|-------------|--------------|----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 38           | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, CDCl <sub>3</sub><br>8.69 (1H, s), 8.19 (1H, d, J=9.0Hz), 7.62 (2H, d, J=8.7Hz)<br>7.54 (1H, d, J=9.0Hz), 7.48-7.36 (5H, m), 7.15 (2H, d, J=8.7Hz), 5.17 (2H, s), 4.98 (1H, quint, J=9.0Hz), 2.27-2.07 (6H, m), 1.82-1.78 (2H, m) |
| Purity      | > 90 % (NMR) | .                                                                                                                                                                                                                                                                                |
| MS          | 414 (M+1)    | .                                                                                                                                                                                                                                                                                |

|                    |             |                                                                                                                                                                                       |
|--------------------|-------------|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| <u>Example No.</u> | 39          | <u>1H NMR (<math>\delta</math>) ppm</u>                                                                                                                                               |
|                    |             | 300MHz, DMSO-d6<br>7.84 (1H, d, $J$ =9.0 Hz), 7.79 (2H, d, $J$ =8.7 Hz), 7.52-7.33 (8H, m), 7.26 (1H, d, $J$ =9.0 Hz), 5.27 (2H, s), 4.92 (1H, quin, $J$ =9.3 Hz), 2.19-1.70 (8H, m). |
| <u>Purity</u>      | > 90% (NMR) |                                                                                                                                                                                       |
| <u>MS</u>          | 384 (M+1)   |                                                                                                                                                                                       |

Table 4

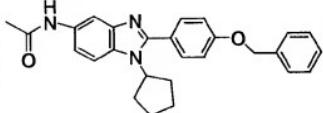
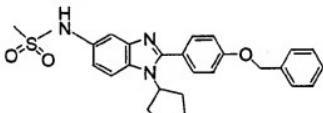
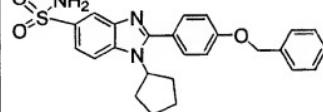
|             |              |                                                                                   |                                                                                                                                                                                                                                                                                                                  |
|-------------|--------------|-----------------------------------------------------------------------------------|------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 40           |  | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, CDCl <sub>3</sub><br>7.72 (1H, s), 7.60-7.35 (10H, m), 7.10 (2H, d, J=8.7Hz), 5.14 (2H, s), 4.90 (1H, quint, J=8.8Hz), 2.29-2.19 (2H, m), 2.19 (3H, s), 2.19-1.74 (6H, m).                                                                                        |
| Purity      | > 90 % (NMR) |                                                                                   |                                                                                                                                                                                                                                                                                                                  |
| MS          | 426 (M+1)    |                                                                                   |                                                                                                                                                                                                                                                                                                                  |
| Example No. | 41           |  | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, CDCl <sub>3</sub><br>7.66 (1H, s), 7.61 (2H, d, J=8.8Hz), 7.50-7.28 (7H, m), 7.12 (2H, d, J=8.8Hz), 6.86 (1H, brs), 5.15 (2H, s), 4.94 (1H, quint, J=8.8Hz), 2.97 (3H, s), 2.29-1.76 (8H, m).                                                                     |
| Purity      | > 90 % (NMR) |                                                                                   |                                                                                                                                                                                                                                                                                                                  |
| MS          | 462 (M+1)    |                                                                                   |                                                                                                                                                                                                                                                                                                                  |
| Example No. | 42           |  | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d <sub>6</sub><br>8.11 (1H, s), 7.81 (1H, d, J=8.4Hz), 7.72 (1H, d, J=8.4Hz), 7.65 (2H, d, J=8.4Hz), 7.51 (2H, m), 7.43 (2H, m), 7.37 (1H, m), 7.29 (2H, s), 7.23 (2H, d, J=8.4Hz), 5.22 (2H, s), 4.89 (1H, quintet, J=9.2Hz), 2.2-2.0 (6H, m), 1.7 (2H, m). |
| Purity      | > 90 % (NMR) |                                                                                   |                                                                                                                                                                                                                                                                                                                  |
| MS          | 448 (M+)     |                                                                                   |                                                                                                                                                                                                                                                                                                                  |

Table 5

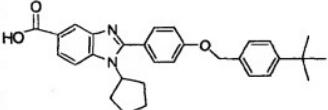
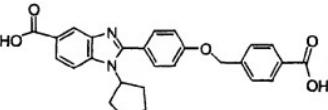
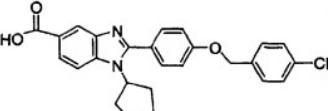
|                                                                                   |              |                                                                                                                                                                                                                                            |
|-----------------------------------------------------------------------------------|--------------|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| <b>Example No.</b>                                                                | <b>43</b>    | <b>1H NMR (<math>\delta</math>) ppm</b>                                                                                                                                                                                                    |
|  |              | 300MHz, DMSO-d6<br>8.33(1H, s), 8.08(1H, d, J=9.0Hz), 7.99(1H, d, J=9.0Hz), 7.47-7.41(4H, m), 7.33(2H, d, J=8.4Hz), 5.22(2H, s), 4.96(1H, quint, J=9.0Hz), 2.25-1.60(8H, m), 1.30(9H, s)                                                   |
| <b>Purity</b>                                                                     | > 90 % (NMR) |                                                                                                                                                                                                                                            |
| <b>MS</b>                                                                         | 469 (M+1)    |                                                                                                                                                                                                                                            |
| <b>Example No.</b>                                                                | <b>44</b>    | <b>1H NMR (<math>\delta</math>) ppm</b>                                                                                                                                                                                                    |
|  |              | 300MHz, DMSO-d6<br>12.9(2H, brs), 8.25(1H, s), 8.00(2H, d, J=7.8Hz), 7.90(1H, d, J=8.4Hz), 7.74(1H, d, J=8.7Hz), 7.67(2H, d, J=9.0Hz), 7.62(2H, d, J=8.1Hz), 7.24(2H, d, J=8.4Hz), 5.32(2H, s), 4.88(1H, quint, J=9.0Hz, 2.25-1.60(8H, m). |
| <b>Purity</b>                                                                     | > 90 % (NMR) |                                                                                                                                                                                                                                            |
| <b>MS</b>                                                                         | 457 (M+1)    |                                                                                                                                                                                                                                            |
| <b>Example No.</b>                                                                | <b>45</b>    | <b>1H NMR (<math>\delta</math>) ppm</b>                                                                                                                                                                                                    |
|  |              | 300MHz, DMSO-d6<br>13.4(1H, brs), 8.32(1H, s), 8.06(1H, d, J=8.7Hz), 7.97(1H, d, J=8.7Hz), 7.79(2H, d, J=8.8Hz), 7.56-7.48(4H, m), 7.33(2H, d, J=8.8Hz), 5.27(2H, s), 4.95(1H, quint, J=8.9Hz), 2.30-1.60(8H, m).                          |
| <b>Purity</b>                                                                     | > 90 % (NMR) |                                                                                                                                                                                                                                            |
| <b>MS</b>                                                                         | 447 (M+1)    |                                                                                                                                                                                                                                            |

Table 6

|                    |              |                                                                                                                                                                                                                                           |
|--------------------|--------------|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| <b>Example No.</b> | <b>46</b>    | <b>1H NMR (<math>\delta</math>) ppm</b>                                                                                                                                                                                                   |
|                    |              | 300MHz, DMSO-d6<br>8.33(1H, s), 8.07(1H, d, J=8.4Hz), 7.98(1H, d, J=8.7Hz), 7.80(2H, d, J=8.4Hz), 7.34(2H, d, J=8.4Hz), 7.19(1H, d, J=3.6Hz), 5.41(2H, s), 4.95(1H, quint, J=8.7Hz), 2.30-1.60(8H, m).                                    |
| <b>Purity</b>      | > 90 % (NMR) |                                                                                                                                                                                                                                           |
| <b>MS</b>          | 453 (M+1)    |                                                                                                                                                                                                                                           |
| <b>Example No.</b> | <b>47</b>    | <b>1H NMR (<math>\delta</math>) ppm</b>                                                                                                                                                                                                   |
|                    |              | 300MHz, DMSO-d6<br>8.33(1H, s), 8.07(1H, d, J=8.4Hz), 7.98(1H, d, J=9.0Hz), 7.82-7.72(6H, m), 7.35(2H, d, J=9.0Hz), 5.40(2H, s), 4.95(1H, quint, J=8.7Hz), 2.35-1.60(8H, m).                                                              |
| <b>Purity</b>      | > 90 % (NMR) |                                                                                                                                                                                                                                           |
| <b>MS</b>          | 481 (M+1)    |                                                                                                                                                                                                                                           |
| <b>Example No.</b> | <b>48</b>    | <b>1H NMR (<math>\delta</math>) ppm</b>                                                                                                                                                                                                   |
|                    |              | 300MHz, DMSO-d6<br>8.23(1H, s), 7.88(1H, d, J=8.4Hz), 7.70(1H, d, J=8.4Hz), 7.64(2H, d, J=8.4Hz), 7.43(2H, d, J=8.4Hz), 7.20(2H, d, J=8.4Hz), 6.98(2H, d, J=8.4Hz), 5.13(2H, s), 4.88(1H, quint, J=8.7Hz), 3.77(3H, s), 2.35-1.60(8H, m). |
| <b>Purity</b>      | > 90 % (NMR) |                                                                                                                                                                                                                                           |
| <b>MS</b>          | 443 (M+1)    |                                                                                                                                                                                                                                           |

Table 7

| Example No. |              | 49 | 1H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                              |
|-------------|--------------|----|------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
|             |              |    | 300MHz, DMSO-d6<br>8.93 (2H, d, J=6.6Hz), 8.35 (1H, s), 8.06-8.04 (3H, m), 7.97 (1H, d, J=8.7Hz), 7.83 (2H, d, J=8.7Hz), 7.38 (2H, d, J=8.7Hz), 5.61 (2H, s), 4.94 (1H, quint, J=8.7Hz), 2.40-1.60 (8H, m).                                          |
| Purity      | > 90 % (NMR) |    |                                                                                                                                                                                                                                                      |
| MS          | 414 (M+1)    |    |                                                                                                                                                                                                                                                      |
| Example No. |              | 50 | 1H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                              |
|             |              |    | 300MHz, DMSO-d6<br>8.33 (1H, s), 8.08 (1H, d, J=8.7Hz), 7.99 (1H, d, J=9.0Hz), 7.78 (2H, d, J=8.4Hz), 7.39 (2H, d, J=8.1Hz), 7.32 (2H, d, J=8.7Hz), 7.23 (2H, d, J=7.8Hz), 5.22 (2H, s), 4.96 (1H, quint, J=9.0Hz), 2.32 (3H, s), 2.30-1.60 (8H, m). |
| Purity      | > 90 % (NMR) |    |                                                                                                                                                                                                                                                      |
| MS          | 427 (M+1)    |    |                                                                                                                                                                                                                                                      |
| Example No. |              | 51 | 1H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                              |
|             |              |    | 300MHz, DMSO-d6<br>8.31 (1H, s), 8.03 (1H, d, J=9.0Hz), 7.93 (1H, d, J=9.0Hz), 7.77 (2H, d, J=8.4Hz), 7.31 (2H, d, J=8.7Hz), 5.07 (2H, s), 4.94 (1H, quint, J=8.7Hz), 2.45 (3H, s), 2.26 (3H, s), 2.26-1.60 (8H, m).                                 |
| Purity      | > 90 % (NMR) |    |                                                                                                                                                                                                                                                      |
| MS          | 432 (M+1)    |    |                                                                                                                                                                                                                                                      |

Table 8

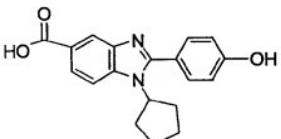
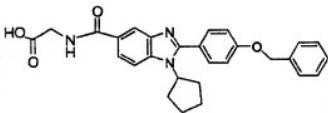
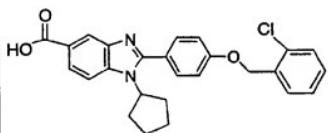
|                    |                                                                                   |                                                                                                                                                                                                                                                                          |
|--------------------|-----------------------------------------------------------------------------------|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| <b>Example No.</b> | <b>52</b>                                                                         | <b>1H NMR (<math>\delta</math>) ppm</b>                                                                                                                                                                                                                                  |
|                    |  | 300MHz, DMSO-d6<br>12.7 (1H, brs), 10.0 (1H, s),<br>8.22 (1H, s), 7.87 (1H, d, J=8.6Hz),<br>7.69 (1H, d, J=8.6Hz), 7.53 (2H, d, J=8.6Hz), 6.96<br>(2H, d, J=8.6Hz), 4.89 (1H, q<br>uint, J=9.0Hz), 2.30-1.60 (8H, m).                                                    |
| <b>Purity</b>      | > 90 % (NMR)                                                                      |                                                                                                                                                                                                                                                                          |
| <b>MS</b>          | 323 (M+1)                                                                         |                                                                                                                                                                                                                                                                          |
| <b>Example No.</b> | <b>53</b>                                                                         | <b>1H NMR (<math>\delta</math>) ppm</b>                                                                                                                                                                                                                                  |
|                    |  | 300MHz, DMSO-d6<br>9.18 (1H, t, J=5.6Hz), 8.34 (1H, s),<br>8.04 (1H, d, J=9.6Hz), 7.98 (1H, d, J=8.7Hz), 7.80<br>(2H, d, J=8.7Hz), 7.52-7.32<br>(7H, m), 5.27 (2H, s), 4.95 (1H,<br>quint, J=9.0Hz), 3.99 (2H,<br>d, J=5.7Hz), 2.40-1.60 (8H,<br>m).                     |
| <b>Purity</b>      | > 90 % (NMR)                                                                      |                                                                                                                                                                                                                                                                          |
| <b>MS</b>          | 470 (M+1)                                                                         |                                                                                                                                                                                                                                                                          |
| <b>Example No.</b> | <b>54</b>                                                                         | <b>1H NMR (<math>\delta</math>) ppm</b>                                                                                                                                                                                                                                  |
|                    |  | 300MHz, DMSO-d6<br>8.32 (1H, s), 8.05 (1H, d, J=8.7Hz),<br>7.95 (1H, d, J=8.7Hz), 7.80 (2H, d, J=8.4Hz), 7.67<br>(1H, t, J=4.5Hz), 7.56 (1H, t,<br>J=4.5Hz), 7.45-7.42 (2H, m),<br>7.35 (2H, d, J=8.4Hz), 5.31 (2H, s), 4.96 (1H, quint,<br>J=9.0Hz), 2.30-1.60 (8H, m). |
| <b>Purity</b>      | > 90 % (NMR)                                                                      |                                                                                                                                                                                                                                                                          |
| <b>MS</b>          | 447 (M+1)                                                                         |                                                                                                                                                                                                                                                                          |

Table 9

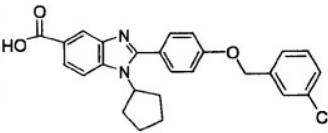
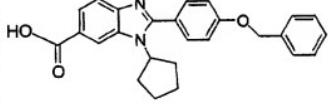
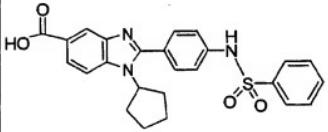
|                                                                                   |              |                                                                                                                                                                                                                                                                   |
|-----------------------------------------------------------------------------------|--------------|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| <b>Example No.</b>                                                                | <b>55</b>    | <b>1H NMR (<math>\delta</math>) ppm</b>                                                                                                                                                                                                                           |
|  |              | 300MHz, DMSO-d6<br>12.78(1H, br s), 8.24(1H, s), 7.88 and 7.72(2H, ABq, J=8.6Hz), 7.66 and 7.23(4H, A'B'q, J=8.6Hz), 7.58(1H, s), 7.48-7.42(3H, m), 5.24(1H, s), 4.88(1H, qu int, J=8.8Hz), 2.30-1.91(6H, m), 1.78-1.60(2H, m)                                    |
| <b>Purity</b>                                                                     | > 90 % (NMR) |                                                                                                                                                                                                                                                                   |
| <b>MS</b>                                                                         | 447(M+1)     |                                                                                                                                                                                                                                                                   |
| <b>Example No.</b>                                                                | <b>56</b>    | <b>1H NMR (<math>\delta</math>) ppm</b>                                                                                                                                                                                                                           |
|  |              | 300MHz, DMSO-d6<br>12.89(1H, broad), 8.18(1H, s), 7.87(1H, d, J=8.4Hz), 7.74(1H, d, J=9.2Hz), 7.67(2H, d, J=8.8Hz), 7.52(2H, m), 7.45(2H, m), 7.38(1H, m), 7.23(2H, d, J=8.8Hz), 5.22(2H, s), 4.94(1H, quintet, J=8.9 Hz), 2.16(4H, m), 1.98(2H, m), 1.73(2H, m). |
| <b>Purity</b>                                                                     | > 90 % (NMR) |                                                                                                                                                                                                                                                                   |
| <b>MS</b>                                                                         | 413(M+)      |                                                                                                                                                                                                                                                                   |
| <b>Example No.</b>                                                                | <b>57</b>    | <b>1H NMR (<math>\delta</math>) ppm</b>                                                                                                                                                                                                                           |
|  |              | 300MHz, DMSO-d6<br>10.99(1H, s), 8.26(1H, s), 8.01-7.86(4H, m), 7.69-7.59(5H, m), 7.38(2H, d, J=8.7Hz), 4.86(1H, quint, J=8.7Hz), 2.12-1.90(6H, m), 1.72-1.59(2H, m)                                                                                              |
| <b>Purity</b>                                                                     | > 90 % (NMR) |                                                                                                                                                                                                                                                                   |
| <b>MS</b>                                                                         | 462(M+1)     |                                                                                                                                                                                                                                                                   |

Table 10

|             |              |                                                                                                                                                                                                                                                                                                                      |
|-------------|--------------|----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 58           | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>12.78 (1H, s), 10.69 (1H, s),<br>8.26-7.72 (9H, m), 4.92 (1H,<br>quint, J=9.0Hz), 2.34-1.70<br>(6H, m), 1.75-1.61 (2H, m)                                                                                                                                              |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                      |
| MS          | 494 (M+1)    |                                                                                                                                                                                                                                                                                                                      |
| Example No. | 59           | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>10.82 (1H, s), 8.34 (1H, s), 8<br>.14 and 7.84 (4H, ABq, J=8.4H<br>z), 8.06 and 7.66 (4H, A' B' q,<br>J=8.6Hz), 8.06-7.98 (4H, m),<br>5.01 (1H, quint, J=9.3Hz),<br>2.35-2.15 (4H, m), 2.11-1.9<br>6 (2H, m), 1.80-1.62 (2H, m)                                        |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                      |
| MS          | 460 (M+1)    |                                                                                                                                                                                                                                                                                                                      |
| Example No. | 60           | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>10.61 (1H, s), 8.32 (1H, s), 8<br>.12 and 7.81 (4H, ABq, J=8.9H<br>z), 8.03 and 7.93 (2H, A' B' q,<br>J=8.7Hz), 7.95 and 7.59 (4H,<br>A'' B'' q, J=8.4Hz), 4.99 (1H, q<br>uint, J=9.0Hz), 2.33-2.12 (4H,<br>m), 2.10-1.93 (2H, m), 1.<br>80-1.63 (2H, m), 1.34 (9H, m) |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                      |
| MS          | 482 (M+1)    |                                                                                                                                                                                                                                                                                                                      |

Table 11

|             |                 |                                                                                                                                                                                                                                                                                                             |
|-------------|-----------------|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 61              | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>10.6 (1H, s), 8.34 (1H, s), 8.13 (2H, d, J=8.7Hz), 8.09-7.98 (4H, m), 7.82 (2H, d, J=8.7Hz), 7.50-7.35 (5H, m), 7.20-7.17 (2H, d, J=9.0Hz), 5.24 (2H, s), 5.01 (1H, quint, J=9.3Hz), 2.40-1.60 (8H, m).                                           |
| Purity      | > 90% (NMR)     |                                                                                                                                                                                                                                                                                                             |
| MS          | 532 (M+1)       |                                                                                                                                                                                                                                                                                                             |
| Example No. | 62              | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.32 (1H, s), 8.26 (1H, d, J=8.7Hz), 8.04 (1H, d, J=8.7Hz), 7.77 (2H, d, J=8.4Hz), 7.52 (2H, d, J=6.9Hz), 7.46-7.39 (5H, m), 5.28 (2H, s), 4.38 (1H, m), 3.71 (1H, m), 2.60-2.15 (2H, m), 2.04-1.96 (4H, m), 1.30-1.20 (2H, m).                   |
| Purity      | > 90% (NMR)     |                                                                                                                                                                                                                                                                                                             |
| MS          | 443 (M+1)       |                                                                                                                                                                                                                                                                                                             |
| Example No. | 63              | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.27 (1H, s), 8.14 (1H, d, J=8.7Hz), 7.96 (1H, d, J=8.4Hz), 7.71 (2H, d, J=9.0Hz), 7.51 (2H, d, J=6.9Hz), 7.46-7.37 (3H, m), 7.30 (2H, d, J=8.4Hz), 5.25 (3H, s), 4.39 (1H, m), 3.44 (1H, m), 3.27 (3H, s), 2.60-1.95 (6H, m), 1.25-1.05 (2H, m). |
| Purity      | about 90% (NMR) |                                                                                                                                                                                                                                                                                                             |
| MS          | 457 (M+1)       |                                                                                                                                                                                                                                                                                                             |

Table 12

|                    |              |                                                                                                                                                                                                                                                                 |
|--------------------|--------------|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| <b>Example No.</b> | <b>64</b>    | <b>1H NMR (<math>\delta</math>) ppm</b><br>300MHz, DMSO-d6<br>12.25 (1H, brs), 7.70-7.30 (9H, m), 7.20 (2H, d, J=8.7Hz), 7.14 (1H, d, J=8.4Hz), 5.20 (2H, s), 4.84 (1H, quint, J=6.0Hz), 3.66 (2H, s), 2.30-1.51 (8H, m)                                        |
| <b>Purity</b>      | > 90 % (NMR) |                                                                                                                                                                                                                                                                 |
| <b>MS</b>          | 427 (M+1)    |                                                                                                                                                                                                                                                                 |
| <b>Example No.</b> | <b>65</b>    | <b>1H NMR (<math>\delta</math>) ppm</b><br>300MHz, DMSO-d6<br>12.64 (1H, brs), 8.13 (1H, s), 7.80 (1H, d, J=7.2Hz), 7.59 (1H, d, J=8.7Hz), 7.48-7.30 (5H, m), 5.11 (2H, s), 5.03 (1H, quint, J=8.7Hz), 4.20-4.05 (2H, m), 3.45-3.90 (3H, m), 2.15-1.60 (12H, m) |
| <b>Purity</b>      | > 90 % (NMR) |                                                                                                                                                                                                                                                                 |
| <b>MS</b>          | 448 (M+1)    |                                                                                                                                                                                                                                                                 |
| <b>Example No.</b> | <b>66</b>    | <b>1H NMR (<math>\delta</math>) ppm</b><br>300MHz, DMSO-d6<br>10.59 (1H, s), 8.31 (1H, s), 8.10 (2H, d, J=8.6Hz), 8.03 (1H, d, J=8.7Hz), 8.00-7.85 (3H, m), 7.80 (2H, d, J=8.6Hz), 7.41 (2H, d, J=8.2Hz), 4.98 (1H, quint, J=8.8Hz), 2.71-1.10 (19H, m)         |
| <b>Purity</b>      | > 90 % (NMR) |                                                                                                                                                                                                                                                                 |
| <b>MS</b>          | 508 (M+1)    |                                                                                                                                                                                                                                                                 |

Table 13

|             |              |                                                                                                                                                                                                                                                                                                                                     |
|-------------|--------------|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 67           | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>12.81 (1H, brs), 8.42 (1H, s), 7.90 (1H, d, J=8.5Hz), 7.80 -7.52 (6H, m), 7.44 (2H, d, J=8.6Hz), 5.25 (2H, s), 4.88 (1H, quint, J=8.8Hz), 2.30-1.52 (8H, m)                                                                                                               |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                     |
| MS          | 481 (M+1)    |                                                                                                                                                                                                                                                                                                                                     |
| Example No. | 68           | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.31 (1H, d, J=1.4Hz), 8.05 (1H, d, J=8.6Hz), 7.96 (1H, d, J=8.6Hz), 8.86-8.61 (4H, m), 7.51 (1H, d, J=6.3Hz), 7.33 (2H, d, J=8.8Hz), 5.28 (2H, s), 4.94 (1H, quint, J=8.8Hz), 2.31-1.60 (8H, m)                                                                          |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                     |
| MS          | 481 (M+1)    |                                                                                                                                                                                                                                                                                                                                     |
| Example No. | 69           | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>9.88 (1H, s), 9.42 (1H, s), 8.32 (1H, s), 8.09 and 8.02 (2H, ABq, J=9.0Hz), 7.81 and 7.78 (4H, A' B' q, J=9.2Hz), 7.50 (2H, d, J=7.8Hz), 7.31 (2H, t, J=7.8Hz), 7.00 (1H, t, J=7.8Hz), 5.03 (1H, quint, J=8.7Hz), 2.34-2.17 (4H, m), 2.13-1.96 (2H, m), 1.83-1.64 (2H, m) |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                     |
| MS          | 441 (M+1)    |                                                                                                                                                                                                                                                                                                                                     |

Table 14

|             |              |                                                                                                                                                                                                                                                                                                                |
|-------------|--------------|----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 70           | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.27 (1H, d, J=1.2Hz), 8.04 (1H, d, J=8.7Hz), 7.94 (1H, d, J=8.7Hz), 7.72 (2H, d, J=8.7Hz), 7.60-7.20 (12H, m) 6.74 (1H, s), 4.92 (1H, quint, J=8.9Hz), 2.30-1.58 (8H, m)                                                                                        |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                |
| MS          | 489 (M+1)    |                                                                                                                                                                                                                                                                                                                |
| Example No. | 71           | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.31 (1H, s), 8.05 (1H, d, J=8.7Hz), 7.97 (1H, d, J=8.7Hz), 7.76 (2H, d, J=8.6Hz), 7.44-7.19 (7H, m), 4.94 (1H, quint, J=8.8Hz), 4.35 (2H, t, J=6.7Hz), 3.10 (2H, t, J=6.7Hz), 2.32-1.60 (8H, m)                                                                 |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                |
| MS          | 427 (M+1)    |                                                                                                                                                                                                                                                                                                                |
| Example No. | 72           | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.30 (1H, s), 8.25 (1H, d, J=8.7Hz), 8.03 (1H, d, J=9.0Hz), 7.75 (2H, d, J=8.7Hz), 7.51 (2H, d, J=7.2Hz), 7.46-7.33 (5H, m), 5.27 (2H, s), 4.36 (1H, m), 2.50-2.25 (2H, m), 2.15-2.00 (2H, m), 1.95-1.85 (2H, m), 1.35 (1H, m), 1.20-1.10 (2H, m), 0.87 (9H, s). |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                |
| MS          | 483 (M+1)    |                                                                                                                                                                                                                                                                                                                |

Table 15

|             |    |                                                                                                                                     |
|-------------|----|-------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 73 | <chem>O=COC1=CC2=C(N1Cc1ccccc1)N(c3ccc(Oc4ccccc4)cc3)C21CCCC1</chem><br><br><b>Purity</b> > 90% (NMR)<br><b>MS</b> 443 (M+1)        |
|             |    |                                                                                                                                     |
|             |    |                                                                                                                                     |
| Example No. | 74 | <chem>O=COC1=CC2=C(N1Cc1ccccc1)N(c3ccc(NCc4ccccc4)cc3)C21CCCC1</chem><br><br><b>Purity</b> > 90% (NMR)<br><b>MS</b> 412 (M+1)       |
|             |    |                                                                                                                                     |
|             |    |                                                                                                                                     |
| Example No. | 75 | <chem>O=COC1=CC2=C(N1Cc1ccccc1)N(c3ccc(N(C)c4ccccc4)[S+]([O-])=O)cc3</chem><br><br><b>Purity</b> > 90% (NMR)<br><b>MS</b> 476 (M+1) |
|             |    |                                                                                                                                     |
|             |    |                                                                                                                                     |

Table 16

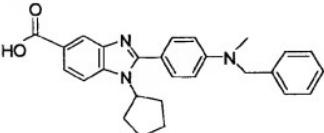
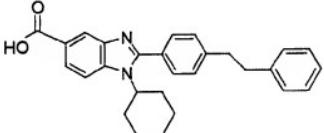
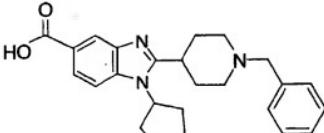
|                                                                                   |              |                                                                                                                                                                                                                             |
|-----------------------------------------------------------------------------------|--------------|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| <b>Example No.</b>                                                                | <b>76</b>    | <b>1H NMR (<math>\delta</math>) ppm</b>                                                                                                                                                                                     |
|  |              | 300MHz, DMSO-d6<br>8.29 (1H, s), 8.07 and 7.49 (2H, ABq, J=8.7Hz), 7.66 and 7.00 (4H, A' B' q, J=7.7Hz), 7.39-7.24 (5H, m), 5.05 (1H, quin, J=8.8Hz), 4.76 (2H, s), 3.21 (3H, s), 2.35-1.92 (6H, m), 1.81-1.62 (2H, m)      |
| <b>Purity</b>                                                                     | > 90 % (NMR) |                                                                                                                                                                                                                             |
| <b>MS</b>                                                                         | 426 (M+1)    |                                                                                                                                                                                                                             |
| <b>Example No.</b>                                                                | <b>77</b>    | <b>1H NMR (<math>\delta</math>) ppm</b>                                                                                                                                                                                     |
|  |              | 300MHz, DMSO-d6<br>8.21 (1H, s), 7.87 (1H, s), 7.56 and 7.43 (4H, ABq, J=8.1Hz), 7.34-7.16 (5H, m), 4.25 (1H, brt, J=12.5Hz), 3.06-2.92 (4H, m), 2.41-2.17 (2H, m), 1.96-1.77 (4H, m), 1.72-1.58 (1H, m), 1.48-1.15 (3H, m) |
| <b>Purity</b>                                                                     | > 90 % (NMR) |                                                                                                                                                                                                                             |
| <b>MS</b>                                                                         | 425 (M+1)    |                                                                                                                                                                                                                             |
| <b>Example No.</b>                                                                | <b>78</b>    | <b>1H NMR (<math>\delta</math>) ppm</b>                                                                                                                                                                                     |
|  |              | 300MHz, DMSO-d6<br>8.14 (1H, s), 7.79 (1H, d, J=9.0Hz), 7.57 (1H, d, J=8.7Hz), 7.40-7.20 (5H, m), 4.89 (1H, quint, J=8.7Hz), 3.54 (2H, s), 3.19-2.90 (3H, m), 2.23-1.69 (14H, m)                                            |
| <b>Purity</b>                                                                     | > 90 % (NMR) |                                                                                                                                                                                                                             |
| <b>MS</b>                                                                         | 404 (M+1)    |                                                                                                                                                                                                                             |

Table 17

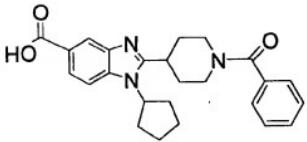
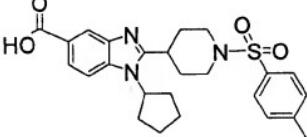
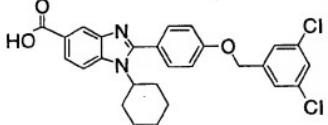
|             |              |                                                                                   |                                                                                                                                                                                                                                                                                                                                           |
|-------------|--------------|-----------------------------------------------------------------------------------|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 79           |  | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8. 15 (1H, s), 7. 81 (1H, d, J=8 . 4Hz), 7. 59 (1H, d, J=9. 0Hz) , 7. 50-7. 38 (5H, m), 5. 05 (1H , quint, J=9. 0Hz), 3. 85-2. 9 5 (3H, m), 2. 20-1. 65 (14H, m)                                                                                                                |
| Purity      | > 90 % (NMR) |                                                                                   |                                                                                                                                                                                                                                                                                                                                           |
| MS          | 418 (M+1)    |                                                                                   |                                                                                                                                                                                                                                                                                                                                           |
| Example No. | 80           |  | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8. 17 (1H, m), 7. 84 (1H, d, J=8 . 4Hz), 7. 78-7. 62 (3H, m), 7. 49 (2H, d, J=8. 1Hz), 5. 05-4. 91 (1H, m), 3. 80-3. 70 (2H, m) , 3. 30-3. 12 (1H, m), 2. 48-2. 31 (5H, m), 2. 15-1. 60 (12H, m )                                                                               |
| Purity      | > 90 % (NMR) |                                                                                   |                                                                                                                                                                                                                                                                                                                                           |
| MS          | 468 (M+1)    |                                                                                   |                                                                                                                                                                                                                                                                                                                                           |
| Example No. | 81           |  | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>12. 75 (1H, brs), 8. 21 (1H, d, J=1. 4Hz), 7. 49 (1H, d, J=8. 6 Hz), 7. 85 (1H, dd, J=8. 6, 1. 4 Hz), 7. 70-7. 55 (5H, m), 7. 23 (2H, d, J=8. 7Hz), 5. 25 (2H, s ), 4. 36-4. 15 (1H, m), 2. 39-2 . 18 (2H, m), 2. 00-1. 78 (4H, m ) , 1. 70-1. 57 (1H, m), 1. 48-1 . 15 (3H, m) |
| Purity      | > 90 % (NMR) |                                                                                   |                                                                                                                                                                                                                                                                                                                                           |
| MS          | 495 (M+1)    |                                                                                   |                                                                                                                                                                                                                                                                                                                                           |

Table 18

|                    |              |                                                                                                                                                                                                                                                   |
|--------------------|--------------|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| <b>Example No.</b> | <b>82</b>    | <b>1H NMR (<math>\delta</math>) ppm</b>                                                                                                                                                                                                           |
|                    |              | 300MHz, DMSO-d6<br>8.27(1H, s), 8.22(1H, d, J=8.7Hz), 8.02(1H, d, J=8.7Hz), 7.69(2H, d, J=8.7Hz), 7.60-7.50(4H, m), 7.45-7.25(8H, m), 6.75(1H, s), 4.21-4.23(1H, m), 2.39-2.18(2H, m), 2.10-1.78(4H, m), 1.70-1.15(4H, m)                         |
| <b>Purity</b>      | > 90 % (NMR) |                                                                                                                                                                                                                                                   |
| <b>MS</b>          | 503 (M+1)    |                                                                                                                                                                                                                                                   |
| <b>Example No.</b> | <b>83</b>    | <b>1H NMR (<math>\delta</math>) ppm</b>                                                                                                                                                                                                           |
|                    |              | 300MHz, DMSO-d6<br>13.2(1H, brs), 8.30(1H, s), 8.23(1H, d, J=8.8Hz), 8.02(1H, d, J=8.7Hz), 7.74(2H, d, J=8.6Hz), 7.40-7.33(5H, m), 5.22(2H, s), 4.36(1H, m), 2.50-1.40(10H, m), 1.31(1H, s).                                                      |
| <b>Purity</b>      | > 90 % (NMR) |                                                                                                                                                                                                                                                   |
| <b>MS</b>          | 539 (M+1)    |                                                                                                                                                                                                                                                   |
| <b>Example No.</b> | <b>84</b>    | <b>1H NMR (<math>\delta</math>) ppm</b>                                                                                                                                                                                                           |
|                    |              | mixture of isomers (cis:trans=3:1)<br>300MHz, DMSO-d6<br>8.30(1H, s), 8.20-7.95(2H, m), 7.72(2H, d, J=8.4Hz), 7.52-7.29(7H, m), 5.25(2H, s), 4.34, 3.40(1H, m), 2.50-2.20(2H, m), 2.05-1.50(6H, m), 1.14, 0.90(3H, d, J=6.9, 6.3Hz), 1.09(1H, m). |
| <b>Purity</b>      | > 90 % (NMR) |                                                                                                                                                                                                                                                   |
| <b>MS</b>          | 441 (M+1)    |                                                                                                                                                                                                                                                   |

Table 19

|             |              |                                                                                                                                                                                                                                                                                                         |
|-------------|--------------|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 85           | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.25 (1H, s), 8.14-7.83 (6H, m), 7.77-7.44 (5H, m), 7.21' (2H, d, J=7.8Hz), 4.44 (2H, br t), 4.31 (1H, brt), 3.56 (2H, brt), 2.20-2.16 (2H, m), 2.00-1.74 (4H, m), 1.70-1.55 (1H, m), 1.45-1.14 (3H, m)                                       |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                         |
| MS          | 491 (M+1)    |                                                                                                                                                                                                                                                                                                         |
| Example No. | 86           | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>12.75 (1H, s), 8.23 (1H, s), 8.15 (1H, d, J=7.6Hz), 8.02-7.53 (10H, m), 7.32 (2H, d, J=8.7Hz), 5.68 (2H, s), 4.32 (1H, brt, J=12.2Hz), 2.41-2.20 (2H, m), 2.01-1.78 (4H, m), 1.71-1.56 (1H, m), 1.50-1.16 (3H, m)                             |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                         |
| MS          | 477 (M+1)    |                                                                                                                                                                                                                                                                                                         |
| Example No. | 87           | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>12.75 (1H, brs), 8.16 (1H, s), 7.91 and 7.82 (2H, Abq, J=8.5Hz), 7.44 and 6.86 (4H, A' B' q, J=8.6Hz), 7.39-7.15 (10H, m), 4.82 (2H, s), 4.35 (1H, brt, J=12.2Hz), 2.35-2.16 (2H, m), 1.97-1.75 (4H, m), 1.69-1.56 (1H, m), 1.45-1.16 (3H, m) |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                         |
| MS          | 516 (M+1)    |                                                                                                                                                                                                                                                                                                         |

Table 20

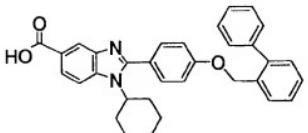
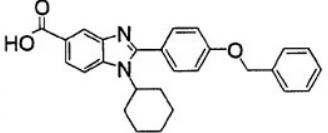
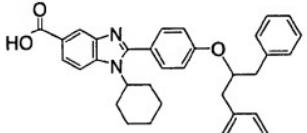
|                                                                                   |              |                                                                                                                                                                                                                                      |
|-----------------------------------------------------------------------------------|--------------|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| <b>Example No.</b>                                                                | <b>88</b>    | <b>1H NMR (<math>\delta</math>) ppm</b>                                                                                                                                                                                              |
|  |              | 300MHz, DMSO-d6<br>8.31(1H, s), 8.26 and 8.06(2H, ABq, J=8.9Hz), 7.73 and 7.22(4H, A' B' q, J=8.7Hz), 7.50-7.36(8H, m), 5.10(2H, s), 4.37(1H, brt, J=12.2Hz), 2.38-2.28(2H, m), 2.10-1.80(4H, m), 1.70-1.56(1H, m), 1.50-1.20(3H, m) |
| <b>Purity</b>                                                                     | > 90 % (NMR) |                                                                                                                                                                                                                                      |
| <b>MS</b>                                                                         | 503(M+1)     |                                                                                                                                                                                                                                      |
| <b>Example No.</b>                                                                | <b>89</b>    | <b>1H NMR (<math>\delta</math>) ppm</b>                                                                                                                                                                                              |
|  |              |                                                                                                                                                                                                                                      |
| <b>Purity</b>                                                                     | 91 % (HPLC)  |                                                                                                                                                                                                                                      |
| <b>MS</b>                                                                         | 427(M+1)     |                                                                                                                                                                                                                                      |
| <b>Example No.</b>                                                                | <b>90</b>    | <b>1H NMR (<math>\delta</math>) ppm</b>                                                                                                                                                                                              |
|  |              | 300MHz, DMSO-d6<br>8.40-8.20(2H, m), 8.04(1H, d, J=8.4Hz), 7.65(2H, d, J=8.4Hz), 7.50-7.10(12H, m), 5.08(1H, m), 4.33(1H, m), 3.00(4H, m), 2.50-1.10(10H, m)                                                                         |
| <b>Purity</b>                                                                     | > 90 % (NMR) |                                                                                                                                                                                                                                      |
| <b>MS</b>                                                                         | 531(M+1)     |                                                                                                                                                                                                                                      |

Table 21

|             |                 |                                                                                                                                                                                                                                                                                                                                                                                     |
|-------------|-----------------|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 91              | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d <sub>6</sub><br>8.31(1H, s), 8.27(1H, d, J=8 .7Hz), 8.08-8.03(3H, m), 7.77-7.58(5H, m), 7.31(2H, d, J=8.7Hz), 5.81(2H, s), 4.40(1H, m), 2.50-1.20(10H, m).                                                                                                                                                                    |
| Purity      | about 90% (NMR) |                                                                                                                                                                                                                                                                                                                                                                                     |
| MS          | 455 (M+1)       |                                                                                                                                                                                                                                                                                                                                                                                     |
| Example No. | 92              | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d <sub>6</sub><br>11.8(1H, brs), 8.07(1H, s), 7.89(1H, d, J=8.7Hz), 7.84(1H, d, J=8.4Hz), 7.69(2H, m), 7.48(3H, m), 4.42(2H, s), 4.11(1H, m), 3.73(4H, m), 3.40(4H, m), 2.40-1.40(10H, m).                                                                                                                                      |
| Purity      | > 90 % (NMR)    |                                                                                                                                                                                                                                                                                                                                                                                     |
| MS          | 419 (M+1)       |                                                                                                                                                                                                                                                                                                                                                                                     |
| Example No. | 93              | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d <sub>6</sub><br>8.32(1H, s), 8.28(1H, d, J=8 .9Hz), 8.05(1H, d, J=8.7Hz), 7.72(2H, d, J=8.7Hz), 7.38(4H, d, J=7.2Hz), 7.31(4H, t, J=7.3Hz), 7.21-7.17(4H, m), 4.37(1H, m), 4.26(1H, t, J=7.9Hz), 4.01(2H, t, J=6.2Hz), 2.57(2H, m), 2.50-2.20(2H, m), 2.10-2.00(2H, m), 2.00-1.75(2H, m), 1.75-1.55(1H, m), 1.55-1.20(3H, m). |
| Purity      | > 90 % (NMR)    |                                                                                                                                                                                                                                                                                                                                                                                     |
| MS          | 531 (M+1)       |                                                                                                                                                                                                                                                                                                                                                                                     |

Table 22

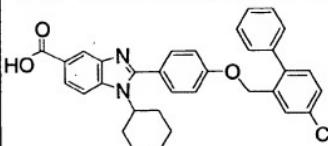
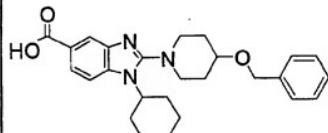
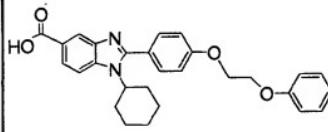
|                                                                                    |                        |                                                                                                                                                                                                                                                                                 |
|------------------------------------------------------------------------------------|------------------------|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| <b>Example No.</b>                                                                 | <b>94</b>              | <b><sup>1</sup>H NMR (<math>\delta</math>) ppm</b>                                                                                                                                                                                                                              |
|   |                        | 300MHz, DMSO-d6<br>8.32(1H, s), 8.27(1H, d, J=9.0Hz), 8.05(1H, d, J=8.7Hz), 7.75-7.70(3H, m), 7.56(1H, d, J=8.4Hz), 7.55-7.35(6H, m), 7.22(2H, d, J=8.7Hz), 5.11(2H, s), 4.36(1H, m), 2.40-2.15(2H, m), 2.15-1.95(2H, m), 1.95-1.75(2H, m), 1.75-1.55(1H, m), 1.55-1.20(3H, m). |
| <b>Purity</b>                                                                      | <b>&gt; 90 % (NMR)</b> |                                                                                                                                                                                                                                                                                 |
| <b>MS</b>                                                                          | <b>537 (M+1)</b>       |                                                                                                                                                                                                                                                                                 |
| <b>Example No.</b>                                                                 | <b>95</b>              | <b><sup>1</sup>H NMR (<math>\delta</math>) ppm</b>                                                                                                                                                                                                                              |
|   |                        | 300Hz, DMSO-d6<br>12.9(1H, brs), 8.02(1H, s), 7.82(2H, m), 7.40-7.25(5H, m), 4.58(2H, s); 4.09(1H, m), 3.71(1H, m), 3.49(2H, m), 3.21(2H, m), 2.35-1.30(14H, m).                                                                                                                |
| <b>Purity</b>                                                                      | <b>&gt; 90 % (NMR)</b> |                                                                                                                                                                                                                                                                                 |
| <b>MS</b>                                                                          | <b>434 (M+1)</b>       |                                                                                                                                                                                                                                                                                 |
| <b>Example No.</b>                                                                 | <b>96</b>              | <b><sup>1</sup>H NMR (<math>\delta</math>) ppm</b>                                                                                                                                                                                                                              |
|  |                        | 300MHz, DMSO-d6<br>8.31(1H, d, J=1.3Hz), 8.27(1H, d, J=8.8Hz), 8.05(1H, d, J=8.8Hz), 7.76(2H, d, J=8.7Hz), 7.40-7.25(4H, m), 7.06-6.90(3H, m), 4.53-4.26(5H, m), 2.40-2.18(2H, m), 2.12-1.56(5H, m), 1.50-1.19(3H, m)                                                           |
| <b>Purity</b>                                                                      | <b>&gt; 90 % (NMR)</b> |                                                                                                                                                                                                                                                                                 |
| <b>MS</b>                                                                          | <b>457 (M+1)</b>       |                                                                                                                                                                                                                                                                                 |

Table 23

|                    |              |                                                                                                                                                                                                                                                                                                                                                  |
|--------------------|--------------|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| <b>Example No.</b> | <b>97</b>    | <b>1H NMR (<math>\delta</math>) ppm</b><br>300MHz, DMSO-d6<br>8.32 (1H, d, $J=1.3\text{Hz}$ ), 8.29 (1H, d, $J=8.8\text{Hz}$ ), 8.05 (1H, dd, $J=8.8, 1.3\text{Hz}$ ), 8.42 (2H, d, $J=8.8\text{Hz}$ ), 7.37-7.16 (7H, m), 4.48-4.30 (1H, m), 4.12 (2H, t, $J=6.2\text{Hz}$ ), 2.83-2.70 (2H, m), 2.40-1.50 (9H, m), 1.59-1.19 (3H, m)           |
| <b>Purity</b>      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                  |
| <b>MS</b>          | 455 (M+1)    |                                                                                                                                                                                                                                                                                                                                                  |
| <b>Example No.</b> | <b>98</b>    | <b>1H NMR (<math>\delta</math>) ppm</b><br>300MHz, DMSO-d6<br>8.28 (1H, d, $J=1.3\text{Hz}$ ), 8.21 (1H, d, $J=8.8\text{Hz}$ ), 8.01 (1H, d, $J=10.1\text{Hz}$ ), 7.70 (2H, d, $J=8.7\text{Hz}$ ), 7.33-7.12 (7H, m), 4.44-4.28 (1H, m), 4.10 (2H, t, $J=6.3\text{Hz}$ ), 2.62 (2H, t, $J=7.4\text{Hz}$ ), 2.39-2.15 (2H, m), 2.10-1.18 (14H, m) |
| <b>Purity</b>      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                  |
| <b>MS</b>          | 483 (M+1)    |                                                                                                                                                                                                                                                                                                                                                  |
| <b>Example No.</b> | <b>99</b>    | <b>1H NMR (<math>\delta</math>) ppm</b><br>300MHz, DMSO-d6<br>12.93 (1H, brs), 8.30 (1H, d, $J=1.4\text{Hz}$ ), 8.04 (1H, d, $J=8.7\text{Hz}$ ), 7.92 (1H, dd, $J=8.7, 1.4\text{Hz}$ ), 7.59-7.34 (5H, m), 7.07 (1H, s), 5.38 (2H, s), 4.78-4.60 (1H, m), 2.32-2.14 (2H, m), 2.03-1.28 (8H, m)                                                   |
| <b>Purity</b>      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                  |
| <b>MS</b>          | 418 (M+1)    |                                                                                                                                                                                                                                                                                                                                                  |

Table 24

| Example No. | 100          | 1H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                                                           |
|-------------|--------------|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
|             |              | 300MHz, DMSO-d6<br>8.46(1H, d, J=2.1Hz), 8.16(1H, s), 8.00(1H, dd, J=8.5, 2.1Hz), 7.87(1H, d, J=8.5Hz), 7.68(1H, d, J=8.5Hz), 7.55-7.30(5H, m), 7.08(1H, d, J=8.5Hz), 5.45(2H, s), 4.25-4.08(1H, m), 2.39-2.18(2H, m), 2.00-1.75(4H, m), 1.70-1.55(1H, m), 1.45-1.19(3H, m)       |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                   |
| MS          | 427 (M+1)    |                                                                                                                                                                                                                                                                                   |
| Example No. | 101          | 1H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                                                           |
|             |              | 300MHz, DMSO-d6<br>8.33(1H, s), 8.31(1H, d, J=6.9Hz), 8.06(1H, d, J=8.4Hz), 7.76 and 7.29(4H, ABq, J=8.9Hz), 6.68(2H, s), 4.37(1H, m), 4.35(2H, t, J=7.0Hz), 3.79(6H, s), 3.63(3H, s), 3.04(2H, t, J=6.9Hz), 2.30(2H, m), 2.04(2H, m), 1.86(2H, m), 1.65(1H, m), 1.50-1.15(3H, m) |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                   |
| MS          | 531 (M+1)    |                                                                                                                                                                                                                                                                                   |
| Example No. | 102          | 1H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                                                           |
|             |              | 300MHz, DMSO-d6<br>12.88(1H, s), 8.34(1H, s), 7.86(1H, d, J=8.5Hz), 7.73(1H, d, J=8.5Hz), 7.63 and 7.23(4H, ABq, J=8.7Hz), 7.52-7.35(5H, m), 5.22(2H, s), 4.31(1H, m), 2.39(2H, m), 1.79(2H, m), 1.53(2H, m), 1.31(2H, m), 1.11(3H, s), 0.95(3H, s)                               |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                   |
| MS          | 455 (M+1)    |                                                                                                                                                                                                                                                                                   |

Table 25

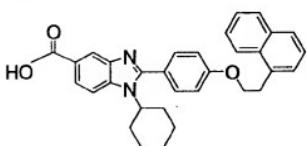
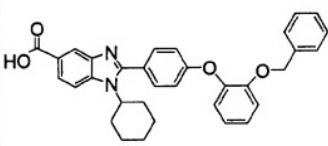
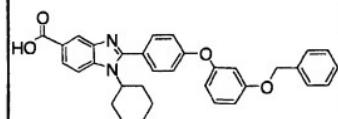
| Example No. | 103                                                                               | 1H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                                                                                                                      |
|-------------|-----------------------------------------------------------------------------------|----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
|             |  | 300MHz, DMSO-d6<br>12. 79(1H, brs), 8. 22(2H, s)<br>, 8. 02-7. 78(4H, m), 7. 63-7.<br>42(6H, m), 7. 20-7. 09(2H, m)<br>, 4. 43(2H, s), 4. 27(1H, brt,<br>J=12. 2Hz), 3. 59(2H, s), 2. 3<br>9-2. 15(2H, m), 1. 98-1. 72(4<br>H, m), 1. 68-1. 59(1H, m), 1. 4<br>3-1. 12(3H, m)                                                                |
| Purity      | > 90 % (NMR)                                                                      |                                                                                                                                                                                                                                                                                                                                              |
| MS          | 491(M+1)                                                                          |                                                                                                                                                                                                                                                                                                                                              |
| Example No. | 104                                                                               | 1H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                                                                                                                      |
|             |  | 300MHz, DMSO-d6<br>12. 75(1H, s), 8. 23(1H, s), 7<br>. 94and7. 86(2H, ABq, J=8. 6H<br>z), 7. 64and7. 05(4H, A' B' q,<br>J=8. 7Hz), 7. 32-7. 09(9H, m)<br>, 5. 13(2H, s), 4. 28(1H, brt,<br>J=12. 2Hz), 2. 36-2. 19(2H, m<br>, 1. 95-1. 77(4H, m), 1. 66-1<br>. 56(1H, m), 1. 46-1. 10(3H, m<br>)                                             |
| Purity      | > 90 % (NMR)                                                                      |                                                                                                                                                                                                                                                                                                                                              |
| MS          | 519(M+1)                                                                          |                                                                                                                                                                                                                                                                                                                                              |
| Example No. | 105                                                                               | 1H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                                                                                                                      |
|             |  | 300MHz, DMSO-d6<br>8. 23(1H, s), 7. 94and7. 87(2<br>H, ABq, J=8. 6Hz), 7. 68and7.<br>17(4H, A' B' q, J=8. 7Hz), 7. 4<br>6-7. 33(6H, m), 6. 93and6. 75<br>(2H, A'' B'' q, J=8. 2Hz), 6. 82(1H,<br>s), 5. 13(2H, s), 4. 30(1H<br>, brt, J=12. 2Hz), 2. 39-2. 18<br>(2H, m), 1. 98-1. 77(4H, m), 1<br>. 71-1. 59(1H, m), 1. 48-1. 20<br>(3H, m) |
| Purity      | > 90 % (NMR)                                                                      |                                                                                                                                                                                                                                                                                                                                              |
| MS          | 519(M+1)                                                                          |                                                                                                                                                                                                                                                                                                                                              |

Table 26

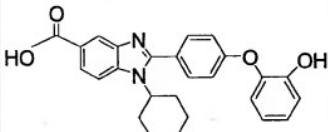
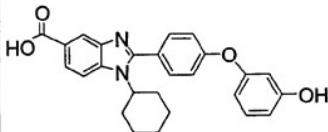
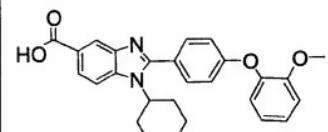
|                                                                                   |                        |                                                                                                                                                                                                                                                                                                                           |
|-----------------------------------------------------------------------------------|------------------------|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| <b>Example No.</b>                                                                | <b>106</b>             | <b>1H NMR (<math>\delta</math>) ppm</b>                                                                                                                                                                                                                                                                                   |
|  |                        | 300MHz, DMSO-d6<br>12. 89(1H, brs), 9. 73(1H, s),<br>8. 24(1H, s), 8. 03and7. 91(<br>2H, ABq, J=8. 7Hz), 7. 66and7.<br>04(4H, A' B' q, J=8. 7Hz), 7.<br>16-7. 03(3H, m), 6. 89(2H, t,<br>J=9. 2Hz), 4. 33(1H, brt, J=1.<br>2Hz), 2. 40-2. 18(2H, m), 2.<br>.00-1. 78(4H, m), 1. 70-1. 58<br>(1H, m), 1. 50-1. 20(3H, m)   |
| <b>Purity</b>                                                                     | <b>&gt; 90 % (NMR)</b> |                                                                                                                                                                                                                                                                                                                           |
| <b>MS</b>                                                                         | <b>429 (M+1)</b>       |                                                                                                                                                                                                                                                                                                                           |
| <b>Example No.</b>                                                                | <b>107</b>             | <b>1H NMR (<math>\delta</math>) ppm</b>                                                                                                                                                                                                                                                                                   |
|  |                        | 300MHz, DMSO-d6<br>12. 98(1H, brs), 9. 82(1H, br<br>s), 8. 27(1H, s), 8. 09and7. 9<br>4(2H, ABq, J=8. 7Hz), 7. 74an<br>d7. 22(4H, A' B' q, J=8. 7Hz),<br>7. 28-7. 22(1H, m), 6. 67-6. 5<br>4(3H, m), 4. 35(1H, brt, J=12.<br>2Hz), 2. 40-2. 20(2H, m), 2.<br>.05-1. 80(4H, m), 1. 72-1. 59<br>(1H, m), 1. 50-1. 21(3H, m) |
| <b>Purity</b>                                                                     | <b>&gt; 90 % (NMR)</b> |                                                                                                                                                                                                                                                                                                                           |
| <b>MS</b>                                                                         | <b>429 (M+1)</b>       |                                                                                                                                                                                                                                                                                                                           |
| <b>Example No.</b>                                                                | <b>108</b>             | <b>1H NMR (<math>\delta</math>) ppm</b>                                                                                                                                                                                                                                                                                   |
|  |                        | 300MHz, DMSO-d6<br>8. 24(1H, s), 8. 01and7. 90(2<br>H, ABq, J=8. 7Hz), 7. 65and7.<br>03(4H, A' B' q, J=8. 7Hz), 7. 3<br>2-7. 20(3H, m), 7. 08-7. 03(1<br>H, m), 4. 32(1H, brt, J=12. 2H<br>z), 3. 77(3H, s), 2. 36-2. 20<br>(2H, m), 2. 00-1. 78(4H, m), 1.<br>71-1. 59(1H, m), 1. 44-1. 11<br>(3H, m)                    |
| <b>Purity</b>                                                                     | <b>&gt; 90 % (NMR)</b> |                                                                                                                                                                                                                                                                                                                           |
| <b>MS</b>                                                                         | <b>443 (M+1)</b>       |                                                                                                                                                                                                                                                                                                                           |

Table 27

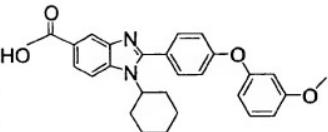
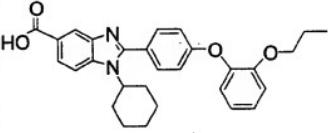
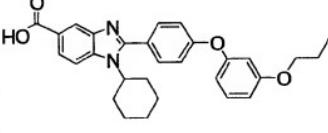
| Example No. |                                                                                    | 1H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                                                                      |
|-------------|------------------------------------------------------------------------------------|----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| 109         |   | 300MHz, DMSO-d6<br>12.75(1H, s), 8.24(1H, s), 7.96and7.87(2H, ABq, J=9, OH z), 7.69and7.19(4H, A' B' q, J=8, 6Hz), 7.37(1H, t, J=7.1 Hz), 6.84-6.70(3H, m), 4.31(1H, brt, J=12.2Hz), 3.78(3H, s), 2.39-2.20(2H, m), 1.98-1.78(4H, m), 1.76-1.60(1H, m), 1.48-1.13(3H, m)                     |
| Purity      | > 90 % (NMR)                                                                       |                                                                                                                                                                                                                                                                                              |
| MS          | 443(M+1)                                                                           |                                                                                                                                                                                                                                                                                              |
| 110         |   | 300MHz, DMSO-d6<br>8.31(1H, s), 8.26and8.04(2H, ABq, J=8, 8Hz), 7.75and7.71(4H, A' B' q, J=8, 8Hz), 7.32-7.03(4H, m), 4.34(1H, brt, J=12.2Hz), 3.94(2H, t, J=6.3Hz), 2.40-2.19(2H, m), 2.11-1.81(4H, m), 1.72-1.16(6H, m), 0.71(3H, t, J=7.3Hz)                                              |
| Purity      | > 90 % (NMR)                                                                       |                                                                                                                                                                                                                                                                                              |
| MS          | 471(M+1)                                                                           |                                                                                                                                                                                                                                                                                              |
| 111         |  | 300MHz, DMSO-d6<br>8.22(1H, s), 7.91and7.87(2H, ABq, J=8, 7Hz), 7.68and7.18(4H, A' B' q, J=8, 7Hz), 7.35(1H, t, J=8.5Hz), 6.80(1H, d, J=9, OHz), 6.72-6.68(2H, m), 4.30(1H, brt, J=12.2Hz), 3.94(2H, t, J=6.5Hz), 2.39-2.18(2H, m), 1.97-1.58(7H, m), 1.45-1.20(3H, m), 0.97(3H, t, J=7.4Hz) |
| Purity      | > 90 % (NMR)                                                                       |                                                                                                                                                                                                                                                                                              |
| MS          | 471(M+1)                                                                           |                                                                                                                                                                                                                                                                                              |

Table 28

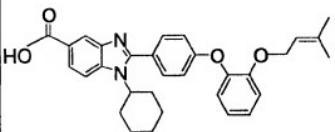
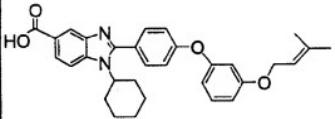
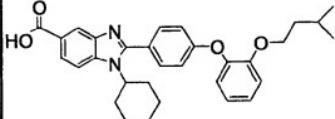
| Example No.                                                                       | 112          | 1H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                                                                                                    |
|-----------------------------------------------------------------------------------|--------------|----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
|  |              | 300MHz, DMSO-d6<br>12.73(1H, s), 8.22(1H, s), 7.94and7.85(2H, ABq, J=8, 3H z), 7.61and7.01(4H, A' B' q, J=8, 6Hz), 7.25-7.00(4H, m), 5.25(2H, brs), 4.55(2H, d, J=6, 6Hz), 4.29(1H, brt, J=1.2Hz), 2.38-2.18(2H, m), 1.96-1.78(4H, m), 1.70-1.56(1H, m), 1.67(3H, s), 1.60(3H, s), 1.48-1.15(3H, m)                        |
| Purity                                                                            | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                            |
| MS                                                                                | 497 (M+1)    |                                                                                                                                                                                                                                                                                                                            |
| Example No.                                                                       | 113          | 1H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                                                                                                    |
|  |              | 300MHz, DMSO-d6<br>12.75(1H, s), 8.23(1H, s), 7.95and7.86(2H, ABq, J=8, 9H z), 7.69and7.18(4H, A' B' q, J=8, 9Hz), 7.35(1H, t, J=8.3Hz), 6.81-6.66(3H, m), 5.41(2H, brs), 4.54(2H, d, J=6.6Hz), 4.31(1H, brt, J=12, 2Hz), 2.41-2.18(2H, m), 1.98-1.76(4H, m), 1.73(3H, s), 1.70-1.58(1H, m), 1.68(3H, s), 1.45-1.17(3H, m) |
| Purity                                                                            | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                            |
| MS                                                                                | 497 (M+1)    |                                                                                                                                                                                                                                                                                                                            |
| Example No.                                                                       | 114          | 1H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                                                                                                    |
|  |              | 300MHz, DMSO-d6<br>12.73(1H, s), 8.22(1H, s), 7.94and7.85(2H, ABq, J=8, 4H z), 7.60and6.99(4H, A' B' q, J=8, 6Hz), 7.29-7.00(4H, m), 4.29(1H, brt, J=12, 2Hz), 3.99(2H, t, J=6, 3Hz), 2.41-2.20(2H, m), 1.95-1.76(4H, m), 1.70-1.14(7H, m), 0.76(3H, d, J=6, 6Hz)                                                          |
| Purity                                                                            | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                            |
| MS                                                                                | 499 (M+1)    |                                                                                                                                                                                                                                                                                                                            |

Table 29

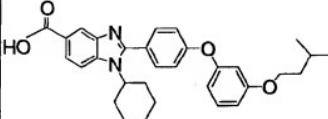
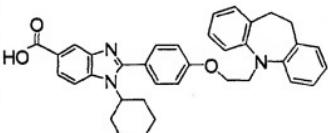
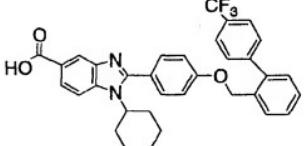
| Example No. |                                                                                    | 1H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                                                                                                                         |
|-------------|------------------------------------------------------------------------------------|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
|             |   | 300MHz, DMSO-d6<br>8.23(1H, s), 7.93 and 7.87(2H, ABq, J=8.6Hz), 7.69 and 7.19(4H, A'B'q, J=8.6Hz), 7.35(1H, t, J=7.8Hz), 6.82-6.69(3H, m), 4.30(1H, brt, J=12.2Hz), 4.00(2H, t, J=6.9Hz), 2.38-2.20(2H, m), 1.97-1.54(8H, m), 1.47-1.20(3H, m), 0.93(6H, d, J=6.6Hz)                                                                           |
| Purity      | > 90 % (NMR)                                                                       |                                                                                                                                                                                                                                                                                                                                                 |
| MS          | 499 (M+1)                                                                          |                                                                                                                                                                                                                                                                                                                                                 |
|             |   | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.30(1H, s), 8.25(1H, d, J=8.9Hz), 8.03(1H, d, J=8.8Hz), 7.68(2H, d, J=8.8Hz), 7.24(2H, d, J=7.2Hz), 7.19-7.10(6H, m), 6.94(2H, t, J=7.2Hz), 4.34(1H, m), 4.19(4H, brs), 3.10(4H, brs), 2.40-2.15(2H, m), 2.10-1.95(2H, m), 1.95-1.75(2H, m), 1.75-1.55(1H, m), 1.55-1.20(3H, m).                 |
| Purity      | > 90 % (NMR)                                                                       |                                                                                                                                                                                                                                                                                                                                                 |
| MS          | 557 (M+1)                                                                          |                                                                                                                                                                                                                                                                                                                                                 |
|             |  | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>12.8(1H, brs), 8.22(1H, s), 7.98(1H, d, J=8.7Hz), 7.87(1H, d, J=8.6Hz), 7.80(2H, d, J=8.2Hz), 7.72-7.67(3H, m), 7.59(2H, d, J=8.7Hz), 7.54-7.51(2H, m), 7.42-7.41(1H, m), 7.11(2H, d, J=8.8Hz), 5.09(2H, s), 4.27(1H, m), 2.40-2.15(2H, m), 2.00-1.75(4H, m), 1.75-1.55(1H, m), 1.55-1.15(3H, m). |
| Purity      | > 90 % (NMR)                                                                       |                                                                                                                                                                                                                                                                                                                                                 |
| MS          | 571 (M+1)                                                                          |                                                                                                                                                                                                                                                                                                                                                 |

Table 30

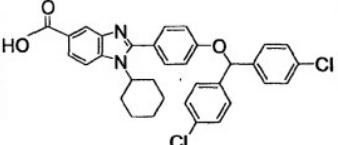
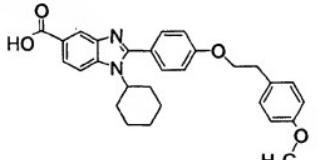
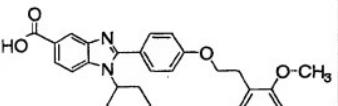
| Example No. | 118                                                                               | 1H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                                                                                                                                                   |
|-------------|-----------------------------------------------------------------------------------|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
|             |  | 300MHz, DMSO-d6<br>13. 3 (1H, brs), 8. 30 (1H, s),<br>8. 25 (1H, d, J=8. 9Hz), 8. 04 (1H, d, J=8. 7Hz), 7. 72 (2H, d, J=8. 8Hz), 7. 57 (4H, d, J=8. 6Hz), 7. 47 (4H, d, J=8. 6Hz), 7. 33 (2H, d, J=8. 9Hz), 6. 84 (1H, s), 4. 33 (1H, m), 2. 45-2. 10 (2H, m), 2. 10-1. 95 (2H, m), 1. 95-1. 70 (2H, m), 1. 70-1. 55 (1H, m), 1. 55-1. 15 (3H, m).                        |
| Purity      | > 90 % (NMR)                                                                      |                                                                                                                                                                                                                                                                                                                                                                           |
| MS          | 571 (M+1)                                                                         |                                                                                                                                                                                                                                                                                                                                                                           |
| Example No. | 119                                                                               | 1H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                                                                                                                                                   |
|             |  | 300MHz, DMSO-d6<br>8. 32-8. 30 (2H, m), 8. 07-8. 03 (1H, m), 7. 74 and 6. 90 (4H, A <sub>Bq</sub> , J=8. 7Hz), 4. 37 (1H, m), 4. 31 (2H, t, J=6. 8Hz), 3. 74 (3H, s), 3. 04 (2H, t, J=6. 7Hz), 2. 30 (2H, m), 2. 02 (2H, m), 1. 86 (2H, m), 1. 63 (1H, m), 1. 55-1. 15 (3H, m)                                                                                            |
| Purity      | > 90 % (NMR)                                                                      |                                                                                                                                                                                                                                                                                                                                                                           |
| MS          | 471 (M+1)                                                                         |                                                                                                                                                                                                                                                                                                                                                                           |
| Example No. | 120                                                                               | 1H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                                                                                                                                                   |
|             |  | 300MHz, DMSO-d6<br>8. 23 (1H, s), 7. 99 (1H, d, J=8. 7Hz), 7. 88 (1H, d, J=8. 4Hz), 7. 61 and 7. 16 (4H, A <sub>Bq</sub> , J=8. 6Hz), 7. 30-7. 22 (2H, m), 7. 01 (2H, d, J=8. 1Hz), 6. 92 (1H, t, J=7. 5Hz), 4. 28 (1H, m), 4. 25 (2H, t, J=7. 2Hz), 3. 83 (3H, s), 3. 07 (2H, t, J=7. 1Hz), 2. 28 (2H, m), 2. 00-1. 75 (4H, m), 1. 70-1. 55 (1H, m), 1. 50-1. 15 (3H, m) |
| Purity      | > 90 % (NMR)                                                                      |                                                                                                                                                                                                                                                                                                                                                                           |
| MS          | 471 (M+1)                                                                         |                                                                                                                                                                                                                                                                                                                                                                           |

Table 31

| Example No. | 121          | 1H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                                                                                                                                                 |
|-------------|--------------|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
|             |              | 300MHz, DMSO-d6<br>12. 85(1H, brs), 8. 24(1H, s),<br>. 8. 01(1H, d, J=8. 7Hz), 7. 90<br>. (1H, d, J=8. 6Hz), 7. 62and, 7<br>. 17(4H, ABq, J=8. 7Hz), 7. 24<br>. (1H, m), 6. 94(2H, m), 6. 82(1<br>. H, m), 4. 32(2H, t, J=6. 7Hz),<br>. 3. 76(3H, s), 3. 07(2H, t, J=6<br>. . 7Hz), 2. 29(2H, m), 2. 00-1.<br>. 75(4H, m), 1. 70-1. 55(1H, m)<br>. , 1. 50-1. 15(3H, m) |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                         |
| MS          | 471(M+1)     |                                                                                                                                                                                                                                                                                                                                                                         |

| Example No. | 122          | 1H NMR ( $\delta$ ) ppm                                                                                                                                                                                     |
|-------------|--------------|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
|             |              | 300MHz, DMSO-d6<br>12. 8(1H, brs), 8. 22(1H, s),<br>. 7. 87(2H, m), 7. 62(2H, d, J=8<br>. . 1Hz), 7. 60-7. 20(7H, m), 5.<br>. 23(2H, s), 4. 46(1H, m), 2. 50<br>. -2. 30(2H, m), 1. 70-1. 40(10<br>. H, m). |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                             |
| MS          | 441(M+1)     |                                                                                                                                                                                                             |

| Example No. | 123          | 1H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                                                                                                                           |
|-------------|--------------|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
|             |              | 300MHz, DMSO-d6<br>8. 24(1H, s), 7. 97(1H, d, J=9<br>. . 0Hz), 7. 87(1H, d, J=8. 4Hz)<br>. , 7. 65(2H, d, J=8. 7Hz), 7. 40<br>. -7. 05(9H, m), 7. 03(2H, d, J=8<br>. . 4Hz), 4. 31(1H, m), 4. 18(2<br>. H, t, J=6. 6Hz), 2. 81(2H, t, J<br>. =6. 3Hz), 2. 40-2. 20(2H, m),<br>. 2. 00-1. 70(4H, m), 1. 70-1. 5<br>. 0(1H, m), 1. 50-1. 05(3H, m). |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                   |
| MS          | 533(M+1)     |                                                                                                                                                                                                                                                                                                                                                   |

Table 32

| Example No. |              | 1H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                                                                                                                                                          |
|-------------|--------------|----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
|             | 124          | 300MHz, DMSO-d6<br>13. 1 (1H, brs), 8. 29 (1H, s),<br>8. 17 (1H, d, J=8. 7Hz), 7. 99 (1H, d, J=8. 7Hz), 7. 77 (2H, d, J=8. 7Hz), 7. 40-7. 20 (8H, m),<br>6. 84 (1H, d, J=9. 3Hz), 6. 75-6. 72 (2H, m), 4. 36 (1H, m), 4. 22 (2H, t, J=6. 8Hz), 3. 04 (2H, t, J=6. 7Hz), 2. 40-2. 15 (2H, m), 2. 15-1. 95 (2H, m), 1. 95-1. 75 (2H, m), 1. 75-1. 55 (1H, m), 1. 55-1. 15 (3H, m). |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                                  |
| MS          | 533 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                                  |
| Example No. | 125          | 1H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                                                                                                                                                          |
|             |              | 300MHz, DMSO-d6<br>8. 32 (1H, s), 8. 28 (1H, d, J=8. 7Hz), 8. 05 (1H, d, J=9. 0Hz),<br>7. 73 (2H, d, J=9. 0Hz), 7. 43 (4H, d, J=7. 2Hz), 7. 36-7. 20 (8H, m), 4. 74 (2H, d, J=7. 5Hz),<br>4. 57 (1H, t, J=7. 5Hz), 4. 38 (1H, m), 2. 40-2. 15 (2H, m),<br>2. 15-1. 95 (2H, m), 1. 95-1. 85 (2H, m), 1. 85-1. 55 (1H, m),<br>1. 55-1. 20 (3H, m).                                 |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                                  |
| MS          | 517 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                                  |
| Example No. | 126          | 1H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                                                                                                                                                          |
|             |              | 300MHz, DMSO-d6<br>8. 32 (1H, s), 8. 14 (1H, d, J=8. 7Hz), 8. 03 (1H, d, J=8. 7Hz),<br>7. 77 (2H, d, J=9. 0Hz), 7. 52-7. 31 (7H, m), 5. 74 (2H, m), 5. 26 (2H, s), 4. 61 (1H, m), 2. 96 (1H, m), 2. 60-2. 10 (5H, m).                                                                                                                                                            |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                                  |
| MS          | 425 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                                  |

Table 33

|             |              |                                                                                                                                                                                                                                                                                                                 |
|-------------|--------------|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 127          | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>13.2(1H, brs), 8.33(1H, s),<br>8.12(1H, d, J=8.6Hz), 7.96(1H, d,<br>J=8.6Hz), 7.52-7.32(7H, m),<br>5.26(2H, s), 4.92(1H, d, J=49.4Hz),<br>4.57(1H, m), 2.65-2.35(2H, m), 2.25-1.50(6H,<br>m).                                                                     |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                 |
| MS          | 445 (M+1)    |                                                                                                                                                                                                                                                                                                                 |
| Example No. | 128          | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.21(1H, s), 7.92 and 7.85(2H, ABq, J=8.6Hz), 7.61 and 7.06(4H, A'B'q, J=8.6Hz), 7.36-6.91(9H, m), 4.24(1H, brt, J=12.2Hz), 2.35-2.15(2H, m), 1.95-1.75(4H, m), 1.70-1.58(1H, m), 1.48-1.14(3H, m)                                                                |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                 |
| MS          | 505 (M+1)    |                                                                                                                                                                                                                                                                                                                 |
| Example No. | 129          | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.21(1H, s), 7.92 and 7.86(2H, ABq, J=8.6Hz), 7.69 and 7.22(4H, A'B'q, J=8.6Hz), 7.52-7.39(1H, m), 7.47 and 7.41(2H, A'B'q, J=8.1Hz), 6.91(1H, d, J=8.2Hz), 6.75(1H, s), 4.36-4.18(1H, m), 2.38-2.17(2H, m), 1.95-1.76(4H, m), 1.70-1.59(1H, m), 1.44-1.19(3H, m) |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                 |
| MS          | 505 (M+1)    |                                                                                                                                                                                                                                                                                                                 |

Table 34

|                    |              |                                                                                                                                                                                                                                                                                                               |
|--------------------|--------------|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| <b>Example No.</b> | <b>130</b>   | <b>1H NMR (<math>\delta</math>) ppm</b><br>300MHz, DMSO-d6<br>8.27(1H, s), 7.69(2H, d, J=8.6Hz), 7.49-7.21(11H, m), 5.08and5.03(2H, ABq, J=12.6Hz), 5.07-4.99(1H, m), 4.26(2H, d, J=6.6Hz), 2.40-2.18(2H, m), 2.04-1.77(4H, m), 1.70-1.58(1H, m), 1.48-1.15(3H, m)                                            |
| <b>Purity</b>      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                               |
| <b>MS</b>          | 590 (M+1)    |                                                                                                                                                                                                                                                                                                               |
| <b>Example No.</b> | <b>131</b>   | <b>1H NMR (<math>\delta</math>) ppm</b><br>300MHz, DMSO-d6<br>8.29(1H, s), 8.11(1H, d, J=9.0Hz), 7.96(1H, d, J=8.4Hz), 7.80(2H, d, J=8.1Hz), 7.72-7.41(7H, m), 7.12(1H, d, J=12.6Hz), 7.01(1H, d, J=8.4Hz), 5.12(2H, s), 4.06(1H, m), 2.35-2.10(2H, m), 2.00-1.75(4H, m), 1.75-1.55(1H, m), 1.60-1.20(3H, m). |
| <b>Purity</b>      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                               |
| <b>MS</b>          | 589 (M+1)    |                                                                                                                                                                                                                                                                                                               |
| <b>Example No.</b> | <b>132</b>   | <b>1H NMR (<math>\delta</math>) ppm</b><br>300MHz, DMSO-d6<br>12.8(1H, brs), 8.23(1H, s), 7.97(1H, d, J=8.7Hz), 7.87(1H, d, J=8.6Hz), 7.66(2H, d, J=8.6Hz), 7.49-7.33(5H, m), 7.17-7.05(6H, m), 5.12(2H, s), 4.31(1H, m), 2.40-2.15(2H, m), 2.05-1.20(8H, m).                                                 |
| <b>Purity</b>      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                               |
| <b>MS</b>          | 519 (M+1)    |                                                                                                                                                                                                                                                                                                               |

Table 35

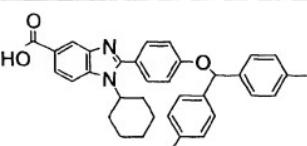
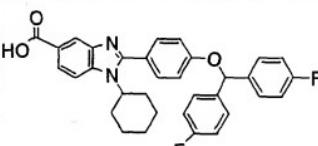
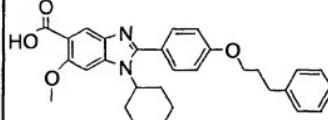
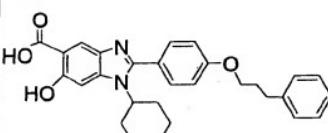
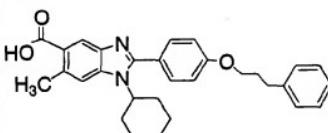
|                                                                                    |                 |                                                                                                                                                                                                                                                                    |
|------------------------------------------------------------------------------------|-----------------|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No.                                                                        | 133             | <sup>1</sup> H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                                |
|   | 300MHz, DMSO-d6 | 8.57(1H, s), 8.01(1H, d, J=8.7Hz), 7.66(1H, d, J=8.7Hz), 7.51(2H, d, J=8.7Hz), 7.31(4H, d, J=8.0Hz), 7.16(4H, d, J=8.0Hz), 7.09(2H, d, J=8.7Hz), 6.26(1H, s), 4.37(1H, m), 2.41-2.28(2H, m), 2.33(6H, s), 2.03-1.84(4H, m), 1.77(1H, m), 1.45-1.20(3H, m)          |
| Purity                                                                             | > 90 % (NMR)    |                                                                                                                                                                                                                                                                    |
| MS                                                                                 | 531(M+1)        |                                                                                                                                                                                                                                                                    |
| Example No.                                                                        | 134             | <sup>1</sup> H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                                |
|   | 300MHz, DMSO-d6 | 8.59(1H, d, J=1.5Hz), 8.02(1H, dd, J=8.7, 1.5Hz), 7.68(1H, d, J=8.7Hz), 7.54(2H, d, J=8.8Hz), 7.39(4H, dd, J=8.7, 5.3Hz), 7.08(4H, d, J=8.7Hz), 7.05(2H, d, J=8.8Hz), 6.29(1H, s), 4.36(1H, m), 2.43-2.19(2H, m), 2.04-1.85(4H, m), 1.78(1H, m), 1.45-1.23(3H, m). |
| Purity                                                                             | > 90 % (NMR)    |                                                                                                                                                                                                                                                                    |
| MS                                                                                 | 539(M+1)        |                                                                                                                                                                                                                                                                    |
| Example No.                                                                        | 135             | <sup>1</sup> H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                                |
|  | 300MHz, DMSO-d6 | 12.34(1H, brs), 7.93(1H, s), 7.55(1H, d, J=8.6Hz), 7.33-7.15(6H, m), 7.11(2H, d, J=8.6Hz), 4.30-4.20(1H, m), 4.07(2H, t, J=6.3Hz), 3.93(3H, s), 2.78(2H, t, J=7.4Hz), 2.35-2.19(2H, m), 2.12-2.00(2H, m), 1.91-1.79(4H, m), 1.69-1.60(1H, m), 1.47-1.20(3H, m)     |
| Purity                                                                             | > 90 % (NMR)    |                                                                                                                                                                                                                                                                    |
| MS                                                                                 | 485(M+1)        |                                                                                                                                                                                                                                                                    |

Table 36

|                    |                                                                                   |                                                                                                                                                                                       |
|--------------------|-----------------------------------------------------------------------------------|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| <b>Example No.</b> | <b>136</b>                                                                        | <b><math>^1\text{H}</math> NMR (<math>\delta</math>) ppm</b>                                                                                                                          |
|                    |  | 300MHz, DMSO-d6<br>8.13(1H, s), 7.65(2H, d, J=8.7Hz), 7.63(1H, s), 7.35-7.12(7H, m), 4.35-4.20(1H, m), 4.10(1H, t, J=6.3Hz), 2.78(2H, t, J=7.5Hz), 2.33-1.78(8H, m), 1.70-1.16(4H, m) |
| <b>Purity</b>      | > 90 % (NMR)                                                                      |                                                                                                                                                                                       |
| <b>MS</b>          | 471 (M+1)                                                                         |                                                                                                                                                                                       |

|                    |                                                                                   |                                                                                                                                                                                                     |
|--------------------|-----------------------------------------------------------------------------------|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| <b>Example No.</b> | <b>137</b>                                                                        | <b><math>^1\text{H}</math> NMR (<math>\delta</math>) ppm</b>                                                                                                                                        |
|                    |  | 300MHz, DMSO-d6<br>8.24(1H, s), 8.11(1H, s), 7.76(2H, d, J=9.0Hz), 7.37-7.16(7H, m), 4.43-4.30(1H, m), 4.13(2H, t, J=6.3Hz), 2.84-2.68(5H, m), 2.42-2.22(2H, m), 2.18-1.80(6H, m), 1.70-1.20(4H, m) |
| <b>Purity</b>      | > 90 % (NMR)                                                                      |                                                                                                                                                                                                     |
| <b>MS</b>          | 469 (M+1)                                                                         |                                                                                                                                                                                                     |

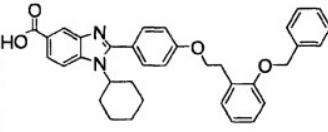
|                    |                                                                                    |                                                                                                                                                                                                                                          |
|--------------------|------------------------------------------------------------------------------------|------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| <b>Example No.</b> | <b>138</b>                                                                         | <b><math>^1\text{H}</math> NMR (<math>\delta</math>) ppm</b>                                                                                                                                                                             |
|                    |  | 300MHz, DMSO-d6<br>12.73(1H, brs), 8.22(1H, s), 7.76(1H, d, J=8.7Hz), 7.85(1H, d, J=8.7Hz), 7.54-7.49(4H, m), 7.42-7.21(5H, m), 7.11-7.09(3H, m), 6.93(1H, m), 5.17(2H, s), 4.29(3H, m), 3.11(2H, m), 2.40-2.20(2H, m), 1.99-1.23(8H, m) |
| <b>Purity</b>      | > 90 % (NMR)                                                                       |                                                                                                                                                                                                                                          |
| <b>MS</b>          | 547 (M+1)                                                                          |                                                                                                                                                                                                                                          |

Table 37

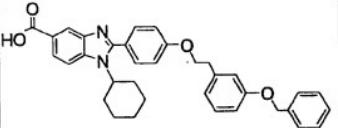
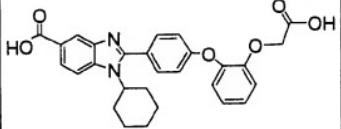
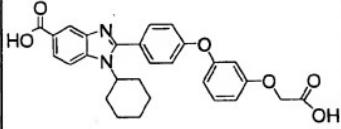
|                                                                                    |                        |                                                                                                                                                                                                                                                               |
|------------------------------------------------------------------------------------|------------------------|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| <b>Example No.</b>                                                                 | <b>139</b>             | <b><math>^1\text{H}</math> NMR (<math>\delta</math>) ppm</b>                                                                                                                                                                                                  |
|   |                        | 300MHz, DMSO-d6<br>12.73 (1H, brs), 8.22 (1H, s),<br>7.93 (1H, d, J=8.7Hz), 7.73<br>(1H, m), 7.60-7.57 (2H, m), 7<br>47-6.90 (1H, m), 5.11 (2H, s)<br>, 4.33-4.28 (3H, m), 3.09-3<br>04 (2H, t, J=6.7Hz), 2.35-2<br>20 (2H, m), 1.95-1.10 (8H, m)             |
| <b>Purity</b>                                                                      | <b>&gt; 90 % (NMR)</b> |                                                                                                                                                                                                                                                               |
| <b>MS</b>                                                                          | <b>547 (M+1)</b>       |                                                                                                                                                                                                                                                               |
| <b>Example No.</b>                                                                 | <b>140</b>             | <b><math>^1\text{H}</math> NMR (<math>\delta</math>) ppm</b>                                                                                                                                                                                                  |
|   |                        | 300MHz, DMSO-d6<br>12.83 (2H, brs), 8.22 (1H, s),<br>7.94 (1H, d, J=8.7Hz), 7.85<br>(1H, d, J=8.4Hz), 7.63-7.60<br>(2H, m), 7.26-7.03 (6H, m), 4<br>73 (2H, s), 4.30 (1H, m), 2.4<br>0-2.15 (2H, m), 2.00-1.20 (8<br>H, m)                                    |
| <b>Purity</b>                                                                      | <b>&gt; 90 % (NMR)</b> |                                                                                                                                                                                                                                                               |
| <b>MS</b>                                                                          | <b>487 (M+1)</b>       |                                                                                                                                                                                                                                                               |
| <b>Example No.</b>                                                                 | <b>141</b>             | <b><math>^1\text{H}</math> NMR (<math>\delta</math>) ppm</b>                                                                                                                                                                                                  |
|  |                        | 300MHz, DMSO-d6<br>12.87 (1H, brs), 8.24 (1H, s),<br>7.97 (1H, d, J=9.0Hz), 7.87<br>(1H, d, J=8.7Hz), 7.69 and 7,<br>19 (4H, ABq, J=8.7Hz), 7.36 (1H,<br>t, J=8.7Hz), 6.80-6.72 (3H,<br>m), 4.71 (2H, s), 4.32 (1H,<br>m), 2.29 (2H, m), 1.95-1.25<br>(8H, m) |
| <b>Purity</b>                                                                      | <b>&gt; 90 % (NMR)</b> |                                                                                                                                                                                                                                                               |
| <b>MS</b>                                                                          | <b>487 (M+1)</b>       |                                                                                                                                                                                                                                                               |

Table 38

|             |              |                                                                                                                                                                                                                                                                                                                                                                                           |
|-------------|--------------|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 142          | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.32 (1H, s), 8.27 (1H, d, J=8.7Hz), 8.05 (1H, d, J=9.0Hz), 7.76-7.72 (3H, m), 7.54 (1H, d, J=8.4Hz), 7.39-7.22 (7H, m), 5.11 (1H, s), 4.36 (1H, m), 2.35 (3H, s), 2.35-2.15 (2H, m), 2.15-1.95 (2H, m), 1.95-1.75 (2H, m), 1.75-1.55 (1H, m), 1.55-1.15 (3H, m).                                                               |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                                           |
| MS          | 551 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                                           |
| Example No. | 143          | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>13.1 (1H, brs), 8.30 (1H, s), 8.24 (1H, d, J=8.8Hz), 8.03 (1H, d, J=8.7Hz), 7.74-7.71 (3H, m), 7.52 (1H, d, J=8.3Hz), 7.40-7.36 (3H, m), 7.23 (2H, d, J=8.8Hz), 7.01 (2H, d, J=8.7Hz), 5.11 (2H, s), 4.35 (1H, m), 3.79 (3H, s), 2.45-2.15 (2H, m), 2.15-1.95 (2H, m), 1.95-1.75 (2H, m), 1.75-1.55 (1H, m), 1.55-1.15 (3H, m). |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                                           |
| MS          | 567 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                                           |
| Example No. | 144          | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>13.0 (1H, brs), 8.31 (1H, s), 8.23 (1H, d, J=8.7Hz), 8.04 (1H, d, J=8.7Hz), 7.80 (2H, d, J=8.3Hz), 7.70-7.66 (3H, m), 7.55-7.40 (4H, m), 7.03-6.95 (2H, m), 5.08 (2H, s), 4.03 (1H, m), 2.40-2.15 (2H, m), 2.18 (3H, s), 2.05-1.70 (4H, m), 1.70-1.50 (1H, m), 1.50-1.10 (3H, m).                                               |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                                           |
| MS          | 585 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                                           |

Table 39

|             |              |                                                                                                                                                                                                                                                                                                                                                                    |
|-------------|--------------|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 145          | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.31(1H, s), 8.23(1H, d, J=8.8Hz), 8.02(1H, d, J=8.7Hz), 7.73-7.71(3H, m), 7.54(1H, d, J=8.3Hz), 7.48(2H, d, J=8.4Hz), 7.41-7.37(3H, m), 7.22(2H, d, J=8.7Hz), 5.13(2H, s), 4.34(1H, m), 2.40-2.20(2H, m), 2.15-1.95(2H, m), 1.95-1.75(2H, m), 1.70-1.55(1H, m), 1.50-1.15(3H, m), 1.31(9H, s).                      |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                    |
| MS          | 593 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                    |
| Example No. | 146          | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.29(1H, s), 8.13(1H, d, J=8.7Hz), 7.97(1H, d, J=8.6Hz), 7.76(1H, d, J=2.1Hz), 7.63(1H, t, J=8.5Hz), 7.57(1H, d d, J=8.2, 2.2Hz), 7.55-7.35(6H, m), 7.15(1H, d, J=12.1Hz), 7.02(1H, d, J=8.6Hz), 5.10(2H, s), 4.07(1H, m), 2.35-2.10(2H, m), 2.00-1.70(4H, m), 1.70-1.55(1H, m), 1.50-1.15(3H, m).                   |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                    |
| MS          | 555 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                    |
| Example No. | 147          | 1H NMR ( $\delta$ ) ppm<br>300MHz, CDCl3<br>8.61(1H, s), 8.04(1H, d, J=8.7Hz), 7.69(1H, d, J=8.7Hz), 7.66(1H, d, J=2.4Hz), 7.59(2H, d, J=8.7Hz), 7.42(1H, d d, J=8.0, 2.4Hz), 7.38(1H, t, J=1.8Hz), 7.28(2H, d, J=1.8Hz), 7.26(1H, d, J=8.0Hz), 7.03(2H, d, J=8.7Hz), 4.94(2H, s), 4.37(1H, m), 2.43-2.21(2H, m), 2.17-1.86(4H, m), 1.79(1H, m), 1.43-1.26(3H, m). |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                    |
| MS          | 605 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                    |

Table 40

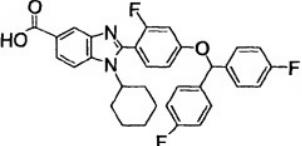
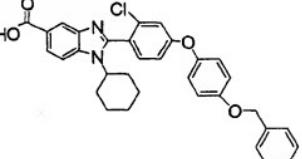
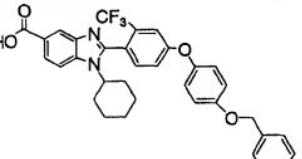
|                                                                                    |              |                                                                                                                                                                                                                                     |
|------------------------------------------------------------------------------------|--------------|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| <b>Example No.</b>                                                                 | <b>148</b>   | <b><math>^1\text{H}</math> NMR (<math>\delta</math>) ppm</b>                                                                                                                                                                        |
|   |              | 300MHz, DMSO-d6<br>8.21(s, 1H), 7.89(1H, d, J=8.7Hz), 7.87(1H, d, J=8.7Hz), 7.63-7.46(5H, m), 7.30-7.12(5H, m), 7.08(1H, d, J=11.0Hz), 6.81(1H, s), 3.92(1H, m), 2.15-2.06(2H, m), 1.89-1.72(4H, m), 1.61(1H, m), 1.42-1.09(3H, m). |
| <b>Purity</b>                                                                      | > 90 % (NMR) |                                                                                                                                                                                                                                     |
| <b>MS</b>                                                                          | 557 (M+1)    |                                                                                                                                                                                                                                     |
| <b>Example No.</b>                                                                 | <b>149</b>   | <b><math>^1\text{H}</math> NMR (<math>\delta</math>) ppm</b>                                                                                                                                                                        |
|   |              | 300MHz, DMSO-d6<br>8.24(1H, d, J=1.5Hz), 7.96(1H, d, J=9.0, 1.5Hz), 7.88(1H, dd, J=9.0, 1.5Hz), 7.58(1H, d, J=8.7Hz), 7.50-7.30(5H, m), 7.22-7.00(6H, m), 5.13(2H, s), 3.98-3.80(1H, s), 2.36-1.10(10H, m)                          |
| <b>Purity</b>                                                                      | > 90 % (NMR) |                                                                                                                                                                                                                                     |
| <b>MS</b>                                                                          | 553 (M+1)    |                                                                                                                                                                                                                                     |
| <b>Example No.</b>                                                                 | <b>150</b>   | <b><math>^1\text{H}</math> NMR (<math>\delta</math>) ppm</b>                                                                                                                                                                        |
|  |              | 300MHz, DMSO-d6<br>8.23(1H, s), 8.95(1H, d, J=8.4Hz), 7.88(1H, d, J=8.7Hz), 7.66(1H, d, J=8.4Hz), 7.52-7.28(7H, m), 7.23(2H, d, J=9.3Hz), 7.14(2H, d, J=8.7Hz), 5.14(2H, s), 3.90-3.72(1H, m), 2.20-1.10(10H, m)                    |
| <b>Purity</b>                                                                      | > 90 % (NMR) |                                                                                                                                                                                                                                     |
| <b>MS</b>                                                                          | 587 (M+1)    |                                                                                                                                                                                                                                     |

Table 41

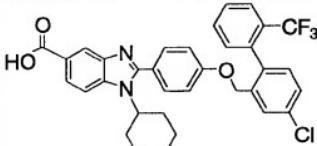
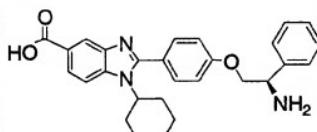
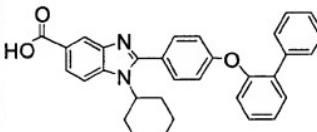
|             |              |                                                                                   |                                                                                                                                                                                                                                                                                                         |
|-------------|--------------|-----------------------------------------------------------------------------------|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 151          |  | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d <sub>6</sub><br>8.18 (1H, s), 7.92-7.78 (3H, m), 7.78-7.58 (3H, m), 7.58-7.44 (4H, m), 7.29 (1H, d, J=8.2Hz), 7.01 (2H, d, J=8.7Hz), 4.80 (1H, d, J=11.8Hz), 4.22 (1H, m), 2.37-2.16 (2H, m), 1.95-1.75 (4H, m), 1.64 (1H, m), 1.48-1.14 (3H, m). |
| Purity      | > 90 % (NMR) |                                                                                   |                                                                                                                                                                                                                                                                                                         |
| MS          | 605 (M+1)    |                                                                                   |                                                                                                                                                                                                                                                                                                         |
| Example No. | 152          |  | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d <sub>6</sub><br>8.21 (2H, m), 7.99-7.80 (2H, m), 7.63-7.08 (9H, m), 4.20-3.98 (4H, m), 2.20-2.15 (2H, m), 1.95-1.74 (4H, m), 1.70-1.54 (1H, m), 1.44-1.14 (3H, m)                                                                                 |
| Purity      | > 90 % (NMR) |                                                                                   |                                                                                                                                                                                                                                                                                                         |
| MS          | 456 (M+1)    |                                                                                   |                                                                                                                                                                                                                                                                                                         |
| Example No. | 153          |  | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d <sub>6</sub><br>8.20 (1H, s), 8.93 and 7.83 (2H, ABq, J=8.7Hz), 7.86-7.21 (11H, m), 7.03 (2H, d, J=8.7Hz), 4.20 (1H, brt, J=12.2Hz), 2.32-2.13 (2H, m), 1.92-1.74 (4H, m), 1.69-1.58 (1H, m), 1.45-1.15 (3H, m)                                   |
| Purity      | > 90 % (NMR) |                                                                                   |                                                                                                                                                                                                                                                                                                         |
| MS          | 489 (M+1)    |                                                                                   |                                                                                                                                                                                                                                                                                                         |

Table 42

| Example No. | 154         | $^1\text{H NMR}$ ( $\delta$ ) ppm<br>300MHz, DMSO-d6                                                                                                                                                                                             |
|-------------|-------------|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
|             |             | 8.23 (1H, s), 7.94 and 7.86 (2H, ABq, $J=8.6\text{ Hz}$ ), 7.72-7.16 (13H, m), 5.25 (2H, brs), 4.55 (2H, d, $J=6.6\text{ Hz}$ ), 4.31 (1H, brt, $J=12.2\text{ Hz}$ ), 2.37-2.18 (2H, m), 1.98-1.77 (4H, m), 1.70-1.58 (1H, m), 1.48-1.20 (3H, m) |
| Purity      | > 90% (NMR) |                                                                                                                                                                                                                                                  |
| MS          | 489 (M+1)   |                                                                                                                                                                                                                                                  |

| Example No. | 155          | $^1\text{H NMR}(\delta)$ ppm<br>300MHz, DMSO-d6                                                                                                                                                                                                                                                                                                                                       |
|-------------|--------------|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
|             |              | 8. 21 (1H, s), 7. 85 and 7. 61 (2H, ABq, J=8. 7Hz), 7. 61 and 6. 99 (4H, A' B' q, J=8. 7Hz), 7. 28-7. 18 (1H, m), 7. 25 (2H, d, J=7. 5Hz), 7. 07-6. 99 (1H, m), 3. 30 (1H, brt, J=12. 2Hz), 3. 83 (2H, d, J=6. 0Hz), 3. 82-3. 72 (1H, m), 2. 68-2. 49 (2H, m), 2. 39-2. 21 (2H, m), 1. 95-1. 80 (4H, m), 1. 79-1. 60 (2H, m), 1. 46-1. 22 (5H, m), 1. 30 (9H, s), 1. 00-0. 82 (2H, m) |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                                       |
| MS          | 626 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                                       |

|             |                       |                                                                                                                                                                                                                                                                                                                                                                                                                             |
|-------------|-----------------------|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 156                   | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d <sub>6</sub><br>8. 22 (1H, s), 7. 92 and 7. 86 (2H, ABq, J=8, 7Hz), 7. 68 and 7. 18 (4H, A' B' q, J=8, 7Hz), 7. 35 (1H, t, J=8, 5Hz), 6. 80 (1H, d, J=8, 3Hz), 6. 72-6. 70 (2H, m) 4. 30 (1H, brt, J=12, 2Hz), 3. 99 (2H, brd, J=12, 0Hz), 3. 85 (2H, d, J=6, 3Hz), 2. 82-2. 62 (2H, m), 2. 38-2. 20 (2H, m), 1. 99-1. 59 (8H, m), 1. 42-1. 03 (5H, m), 1. 39 (9H, s) |
| Purity      | > 90 % (NMR)          |                                                                                                                                                                                                                                                                                                                                                                                                                             |
| MS          | 626 (M <sup>+</sup> ) |                                                                                                                                                                                                                                                                                                                                                                                                                             |

Table 43

| Example No. |              | 1H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                                                                                                                                                                  |
|-------------|--------------|------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
|             |              | 157<br>300MHz, DMSO-d6<br>12.78(1H, brs), 8.22(1H, s),<br>7.96(1H, d, J=8.6Hz), 7.86<br>(1H, d, J=8.6Hz), 7.75(1H, d<br>, J=2.2Hz), 7.60(2H, d, J=8.<br>4Hz), 7.55(1H, dd, J=8.3, 2.<br>2Hz), 7.48(1H, d, J=8.3Hz),<br>7.18(2H, d, J=8.4Hz), 6.73(<br>2H, s), 5.08(2H, s), 4.23(1H<br>, m), 3.68(9H, s), 2.37-2.17<br>(2H, m), 1.99-1.79(4H, m), 1.<br>.65(1H, s), 1.49-1.15(3H, m<br>). |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                                          |
| MS          | 627(M+1)     |                                                                                                                                                                                                                                                                                                                                                                                          |
| Example No. |              | 1H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                                                                                                                                                                  |
|             |              | 158<br>300MHz, DMSO-d6<br>12.75(1H, brs), 8.22(1H, s),<br>7.93(2H, d, J=8.7Hz), 7.85<br>(2H, d, J=8.5Hz), 7.53-7.21<br>(10H, m), 6.94(2H, d, J=8.7H<br>z), 4.30-4.12(3H, m), 3.05(<br>2H, m), 2.35-2.15(2H, m), 1.<br>95-1.75(4H, m), 1.75-1.55(<br>1H, m), 1.50-1.10(3H, m)                                                                                                             |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                                          |
| MS          | 517(M+1)     |                                                                                                                                                                                                                                                                                                                                                                                          |
| Example No. |              | 1H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                                                                                                                                                                  |
|             |              | 159<br>300MHz, DMSO-d6<br>12.77(1H, brs), 8.22(1H, s),<br>7.95(1H, d, 8.6Hz), 7.86(1<br>H, d, 8.6Hz), 7.80(1H, s), 7.<br>70-7.35(10H, m), 7.27(2H, d<br>, J=8.7Hz), 5.30(2H, s), 4.2<br>8(1H, m), 2.35-2.15(2H, m),<br>1.95-1.75(4H, m), 1.70-1.5<br>5(1H, m), 1.50-1.15(3H, m)                                                                                                          |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                                          |
| MS          | 503(M+1)     |                                                                                                                                                                                                                                                                                                                                                                                          |

Table 44

|             |              |                                                                                                                                                                                                                                                                                                                                                          |
|-------------|--------------|----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 160          | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.90 (1H, brs), 8.59 (1h, brs ), 8.33 (1H, s), 8.18 and 8.00 (2H, ABq, J=8, 5Hz), 7.73 and 7.10 (4H, A' B' q, J=8, 5Hz), 7 .32-7.05 (4H, m), 4.35 (1H, b rt, J=12, 2Hz), 3.86 (2H, d, J =6, 3Hz), 3.25-3.08 (2H, m), 2.85-2.66 (2H, m), 2.40-2.28 (2H, m), 2.07-1.14 (15H, m)                  |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                          |
| MS          | 526 (M+1)    |                                                                                                                                                                                                                                                                                                                                                          |
| Example No. | 161          | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>9.05 (1H, brs), 8.76 (1h, brs ), 8.31 (1H, s), 8.19 and 8.00 (2H, ABq, J=8, 3Hz), 7.79 and 7.25 (4H, A' B' q, J=8, 3Hz), 7 .39 (1H, brs), 6.86-6.74 (4H, m), 4.37 (1H, brt, J=12. 2Hz ) , 3.89 (2H, d, J=5. 0Hz) , 3.35-3.18 (2H, m), 2.98-2.75 (2H, m), 2.38-2.17 (2H, m), 2.16-1.15 (15H, m) |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                          |
| MS          | 526 (M+1)    |                                                                                                                                                                                                                                                                                                                                                          |
| Example No. | 162          | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>12.87 (1H, brs), 8.58 (1H, d, J=6. 0Hz), 8.23 (1H, s), 7.99 and 7.80 (2H, ABq, J=8, 6Hz), 7.61 and 7.18 (4H, A' B' q, J=8 . 0Hz), 7.45-7.30 (5H, m), 5.29 (1H, brs), 4.26 (1H, brt, J =12. 2Hz), 2.37-2.11 (2H, m) , 2.00-1.71 (4H, m), 1.92 (3H , s), 1.70-1.52 (1H, m), 1.45 -1.11 (3H, m)   |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                          |
| MS          | 498 (M+1)    |                                                                                                                                                                                                                                                                                                                                                          |

Table 45

|             |              |                                                                                                                                                                                                                                                                                                                                                                                               |
|-------------|--------------|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 163          | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.23 (1H, s), 7.95 and 7.86 (2H, ABq, J=8.6Hz), 7.69 and 7.18 (4H, A'B'q, J=8.6Hz), 7.35 (1H, t, J=8.6Hz), 6.80 (1H, d, J=7.5Hz), 6.72-6.69 (2H, m), 5.20 (1H, t, J=3.7Hz), 4.31 (1H, brt, J=12.2Hz), 3.95 (2H, t, J=6.8Hz), 2.49-2.19 (4H, m), 1.97-1.76 (4H, m), 1.68 (3H, s), 1.67-1.54 (1H, m), 1.61 (3H, s), 1.45-1.20 (3H, m) |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                                               |
| MS          | 511 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                                               |
| Example No. | 164          | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.20 (1H, s), 7.87 (2H, s), 7.68 and 7.18 (4H, ABq, J=8.7Hz), 7.35 (1H, t, J=7.9Hz), 6.81 (1H, d, J=9.4Hz), 6.72 (1Hs), 6.71 (1H, d, J=6.8Hz), 4.80 (2H, s), 4.29 (1H, brt, J=12.2Hz), 4.10 (1H, t, J=6.7Hz), 2.43 (1H, t, J=6.7Hz), 2.39-2.19 (2H, m), 1.97-1.78 (4H, m), 1.76 (3H, s), 1.70-1.56 (1H, m), 1.43-1.19 (3H, m)       |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                                               |
| MS          | 497 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                                               |
| Example No. | 165          | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>11.21 (1H, brs), 8.33 (1H, s), 8.25 (1H, d, J=8.6Hz), 8.04 (1H, d, J=8.6Hz), 7.78 (2H, d, J=8.7Hz), 7.70-7.67 (2H, m), 7.55-7.42 (3H, m), 7.27 (2H, d, J=8.7Hz), 4.73-4.30 (5H, m), 4.20-3.97 (1H, m), 3.42-3.10 (2H, m), 2.45-1.23 (4H, m)                                                                                         |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                                               |
| MS          |              |                                                                                                                                                                                                                                                                                                                                                                                               |

Table 46

|             |              |                                                                                                                                                                                                                                                                                                                                                                                                        |
|-------------|--------------|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 166          | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.27 (1H, s), 8.13 (1H, d, J=8.4Hz), 7.97 (1H, d, J=9.0Hz), 7.73 (1H, d, J=1.8Hz), 7.68 (2H, d, J=8.4Hz), 7.54 (1H, d, J=8.4, 2.1Hz), 7.41-7.31 (5H, m), 7.19 (2H, d, J=8.4Hz), 5.10 (2H, s), 4.32 (1H, m), 2.50 (3H, s), 2.40-2.15 (2H, m), 2.10-1.75 (4H, m), 1.75-1.55 (1H, m), 1.55-1.10 (3H, m).                                                    |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                                                        |
| MS          | 583 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                                                        |
| Example No. | 167          | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.25 (1H, s), 8.09 (1H, d, J=8.4Hz), 8.00 (2H, d, J=8.4Hz), 7.94 (1H, d, J=8.7Hz), 7.80 (1H, d, J=2.1Hz), 7.73 (2H, d, J=8.1Hz), 7.65 (2H, d, J=8.7Hz), 7.60 (1H, dd, J=8.1, 2.1Hz), 7.44 (1H, d, J=8.1Hz), 7.16 (2H, d, J=8.7Hz), 5.13 (2H, s), 4.30 (1H, m), 3.26 (3H, s), 2.40-1.55 (2H, m), 2.05-1.75 (4H, m), 1.75-1.55 (1H, m), 1.55-1.15 (3H, m). |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                                                        |
| MS          | 615 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                                                        |
| Example No. | 168          | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>13.1 (1H, brs), 8.32 (1H, s), 8.28 (1H, d, J=8.8Hz), 8.05 (1H, d, J=8.7Hz), 7.80-7.75 (3H, m), 7.69 (1H, d, J=4.1Hz), 7.57 (2H, m), 7.34-7.29 (3H, m), 7.20-7.15 (1H, m), 5.24 (2H, s), 4.39 (1H, m), 2.45-2.20 (2H, m), 2.20-1.95 (2H, m), 1.95-1.75 (2H, m), 1.75-1.55 (1H, m), 1.55-1.15 (3H, m).                                                     |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                                                        |
| MS          | 543 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                                                        |

Table 47

|             |              |                                                                                                                                                                                                                                                                                                                      |
|-------------|--------------|----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 169          | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.31 (1H, s), 8.26 (1H, d, J=8.7Hz), 8.05 (1H, d, J=8.7Hz), 7.78-7.71 (3H, m), 7.59-7.41 (6H, m), 7.23 (2H, d, J=9.0Hz), 5.11 (2H, s), 4.35 (1H, m), 2.40-2.15 (2H, m), 2.15-1.95 (2H, m), 1.95-1.75 (2H, m), 1.75-1.55 (1H, m), 1.55-1.15 (3H, m).                    |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                      |
| MS          | 571 (M+1)    |                                                                                                                                                                                                                                                                                                                      |
| Example No. | 170          | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>12.7 (1H, brs), 8.66 (1H, s), 8.61 (1H, m), 8.21 (1H, s), 7.92-7.79 (4H, m), 7.61-7.56 (3H, m), 7.50-7.43 (2H, m), 7.10 (2H, d, J=8.7Hz), 5.09 (2H, s), 4.26 (1H, m), 2.40-2.15 (2H, m), 2.00-1.75 (4H, m), 1.75-1.55 (1H, m), 1.50-1.15 (3H, m).                      |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                      |
| MS          | 538 (M+1)    |                                                                                                                                                                                                                                                                                                                      |
| Example No. | 171          | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.31 (1H, s), 8.25 (1H, d, J=8.7Hz), 8.04 (1H, d, J=8.7Hz), 7.74-7.71 (3H, m), 7.57-7.46 (3H, m), 7.39 (1H, d, J=8.1Hz), 7.31-7.21 (4H, m), 5.11 (2H, s), 4.35 (1H, m), 2.40-2.15 (2H, m), 2.15-1.95 (2H, m), 1.95-1.75 (2H, m), 1.75-1.55 (1H, m), 1.55-1.15 (3H, m). |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                      |
| MS          | 555 (M+1)    |                                                                                                                                                                                                                                                                                                                      |

Table 48

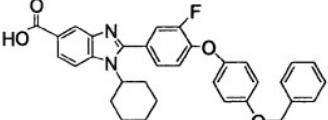
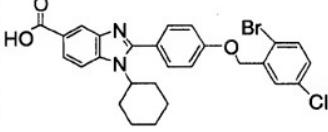
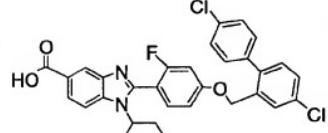
|             |                                                                                   |                                                                                                                                                                                                                                                                     |
|-------------|-----------------------------------------------------------------------------------|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 172                                                                               | <sup>1</sup> H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                                 |
|             |  | 300MHz, DMSO-d6<br>8.24(1H, s), 7.99(1H, d, J=8.7Hz), 7.88(1H, d, J=10.5Hz), 7.70(1H, dd, J=11.4, 1.8Hz), 7.48-7.32(6H, m), 7.17-7.09(5H, m), 5.12(2H, s), 4.30(1H, m), 2.40-2.15(2H, m), 2.05-1.75(4H, m), 1.75-1.55(1H, m), 1.55-1.20(3H, m)                      |
| Purity      | > 90 % (NMR)                                                                      |                                                                                                                                                                                                                                                                     |
| MS          | 537 (M+1)                                                                         |                                                                                                                                                                                                                                                                     |
| Example No. | 173                                                                               | <sup>1</sup> H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                                 |
|             |  | 300MHz, DMSO-d6<br>8.33(1H, s), 8.29(1H, d, J=8.7Hz), 8.06(1H, d, J=8.7Hz), 7.82-7.74(4H, m), 7.45(1H, dd, J=8.4, 3.0Hz), 7.39(2H, d, J=8.7Hz), 5.28(2H, s), 4.40(1H, m), 2.40-2.15(2H, m), 2.15-1.95(2H, m), 1.95-1.75(2H, m), 1.75-1.55(1H, m), 1.55-1.15(3H, m). |
| Purity      | > 90 % (NMR)                                                                      |                                                                                                                                                                                                                                                                     |
| MS          | 540 (M+1)                                                                         |                                                                                                                                                                                                                                                                     |
| Example No. | 174                                                                               | <sup>1</sup> H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                                 |
|             |  | 300MHz, DMSO-d6<br>12.80(1H, brs), 8.26(1H, s), 8.01(1H, d, J=8.7Hz), 7.85(1H, d, J=8.7Hz), 7.80-7.70(1H, m), 7.60-7.36(7H, m), 7.18-6.91(2H, m), 5.09(2H, s), 4.11-3.90(1H, m), 2.32-1.18(14H, m)                                                                  |
| Purity      | > 90 % (NMR)                                                                      |                                                                                                                                                                                                                                                                     |
| MS          | 590 (M+1)                                                                         |                                                                                                                                                                                                                                                                     |

Table 49

|             |              |                                                                                                                                                                                                                                                                                                                                                   |
|-------------|--------------|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 175          | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>12.75 (1H, s), 8.21 (1H, s), 7.94 and 7.85 (2H, ABq, J=8, 7Hz), 7.61 and 7.00 (4H, A' B' q, J=8, 5Hz), 7.31-6.91 (2H, m), 7.25 (2H, d, J=7, 7Hz), 5.41 (2H, brs), 4.54 (2H, d, J=6.6 Hz), 4.35-4.14 (2H, m), 2.49-2.15 (3H, m), 1.95-1.55 (5H, m), 1.50-1.13 (5H, m), 1.10-0.77 (2H, m) |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                   |
| MS          | 568 (M+1)    |                                                                                                                                                                                                                                                                                                                                                   |

|             |              |                                                                                                                                                                                                                                                                                                                                                                                             |
|-------------|--------------|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 176          | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.24 (1H, s), 7.97 and 7.87 (2H, ABq, J=8, 6Hz), 7.69 and 7.19 (4H, A' B' q, J=8, 6Hz), 7.35 (1H, t, J=8.1Hz), 6.81 (1H, d, J=9.2Hz), 6.72 (1H, s), 6.71 (1H, d, J=6.5Hz), 4.48-4.20 (2H, m), 3.95-3.75 (3H, m), 3.03 (1H, t, J=12.3Hz), 2.60-2.40 (1H, m), 2.39-2.15 (2H, m), 2.07-1.58 (6H, m), 1.99 (3H, s), 1.50-1.00 (5H, m) |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                                             |
| MS          | 568 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                                             |

|             |              |                                                                                                                                                                                                                                                                                                                                                                        |
|-------------|--------------|------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 177          | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>12.76 (1H, s), 8.23 (1H, s), 7.96 and 7.86 (2H, ABq, J=8, 6Hz), 7.69 and 7.20 (4H, A' B' q, J=8, 6Hz), 7.39 (1H, t, J=8.2Hz), 6.88 (1H, d, J=8.3Hz), 6.81 (1H, s), 6.76 (1H, d, J=8.0Hz), 4.83 (2H, s), 4.31 (1H, brt, J=12.2Hz), 2.39-2.19 (2H, m), 1.99-1.79 (4H, m), 1.70-1.58 (1H, m), 1.48-1.20 (3H, m) |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                        |
| MS          | 467 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                        |

Table 50

| Example No. | 178          | <sup>1</sup> H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                                                                                                                                           |
|-------------|--------------|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
|             |              | 300MHz, DMSO-d6<br>12.85(1H, s), 8.75(1H, s), 8.63(2H, d, J=3.8Hz), 8.25(1H, s), 8.04-8.01(2H, m), 8.02and7.90(2H, ABq, J=8, 6Hz), 7.72and7.20(4H, A' B' q, J=8, 6Hz), 7.57(2H, dd, J=7.8, 5.0Hz), 7.40(1H, t, J=8.2Hz), 6.93(1H, d, J=8.2Hz), 6.87(1H, s), 6.77(1H, d, J=8.2Hz), 5.23(2H, s), 4.33(1H, br t, J=12.2Hz), 2.40-2.18(2H, m), 2.00-1.55(5H, m), 1.50-1.15(3H, m) |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                               |
| MS          | 520(M+1)     |                                                                                                                                                                                                                                                                                                                                                                               |
| Example No. | 179          | <sup>1</sup> H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                                                                                                                                           |
|             |              | 300MHz, DMSO-d6<br>8.32(1H, s), 8.29(1H, d, J=0.0Hz), 8.06(1H, d, J=8.7Hz), 7.61(1H, d, J=8.4Hz), 7.58-7.32(5H, m), 6.98(1H, d, J=2.1Hz), 6.93(1H, dd, J=8.7, 2.1Hz), 5.27(2H, s), 4.16-4.00(1H, m), 3.87(3H, s), 2.20-2.12(2H, m), 2.02-1.98(4H, m), 1.70-1.60(1H, m), 1.52-1.10(3H, m)                                                                                      |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                               |
| MS          | 457(M+1)     |                                                                                                                                                                                                                                                                                                                                                                               |
| Example No. | 180          | <sup>1</sup> H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                                                                                                                                           |
|             |              | 300MHz, DMSO-d6<br>8.21(1H, s), 7.91(1H, d, J=8.6Hz), 7.85(1H, d, J=8.6Hz), 7.63(2H, d, J=8.4Hz), 7.60(1H, d, J=9.0Hz), 7.25(2H, d, J=8.4Hz), 7.23(1H, d, J=3.0Hz), 6.95(1H, dd, J=9.0, 3.0Hz), 5.19(2H, s), 4.30(1H, m), 3.78(3H, s), 2.40-2.19(2H, m), 2.00-1.87(4H, m), 1.66(1H, m), 1.49-1.18(3H, m)                                                                      |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                               |
| MS          | 536(M+1)     |                                                                                                                                                                                                                                                                                                                                                                               |

Table 51

|             |             |                                                                                                                                                                                                                                                                                                                 |
|-------------|-------------|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 181         | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.19 (1H, s), 7.95 (1H, d, J=8.7Hz), 7.86 (1H, d, J=8.7Hz), 7.65 (4H, d, J=7.4Hz), 7.47 (2H, d, J=8.7Hz), 7.44-7.27 (6H, m), 6.99 (2H, d, J=8.7Hz), 4.20 (1H, m), 2.34-2.12 (2H, m), 1.98-1.75 (4H, m), 1.64 (1H, m), 1.46-1.13 (3H, m).                          |
| Purity      | > 90% (NMR) |                                                                                                                                                                                                                                                                                                                 |
| MS          | 547 (M+)    |                                                                                                                                                                                                                                                                                                                 |
| Example No. | 182         | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.55 (1H, d, J=2.1Hz), 8.32 (1H, m), 8.21 (1H, s), 7.95 (1H, d, J=8.4Hz), 7.86 (1H, d, J=7.8Hz), 7.68-7.56 (7H, m), 7.14 (2H, d, J=8.7Hz), 5.21 (1H, s), 4.26 (1H, m), 2.35-2.15 (2H, m), 2.00-1.75 (4H, m), 1.74-1.55 (1H, m), 1.50-1.15 (3H, m)                 |
| Purity      | > 90% (NMR) |                                                                                                                                                                                                                                                                                                                 |
| MS          | 582 (M+)    |                                                                                                                                                                                                                                                                                                                 |
| Example No. | 183         | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>10.16 (1H, s), 8.25 (1H, s), 8.07 (1H, d, J=8.7Hz), 7.94-7.87 (2H, m), 7.71-7.62 (3H, m), 7.50-7.42 (4H, m), 7.30 (1H, d, J=8.4Hz), 7.14 (2H, d, J=8.4Hz), 5.06 (2H, s), 4.31 (1H, m), 2.35-2.15 (2H, m), 2.05-1.75 (4H, m), 1.75-1.55 (1H, m), 1.50-1.15 (3H, m) |
| Purity      | > 90% (NMR) |                                                                                                                                                                                                                                                                                                                 |
| MS          | 594 (M+)    |                                                                                                                                                                                                                                                                                                                 |

Table 52

|             |              |                                                                                                                                                                                                                                                                                                                                                                                                                 |
|-------------|--------------|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 184          | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>13.2(2H, brs), 8.30(1H, s),<br>8.26(1H, d, J=8.8Hz), 8.04(1H, d, J=8.8Hz), 8.00(2H, d,<br>J=8.2Hz), 7.79(1H, s), 7.73(2H, d, J=8.7Hz), 7.61-7.56(3H, m), 7.44(1H, d, J=8.3Hz)<br>) 7.23(2H, d, J=8.8Hz), 5.13(2H, s), 4.35(1H, m), 2.45-<br>2.15(2H, m), 2.15-1.95(2H,<br>m), 1.95-1.75(1H, m), 1.75-<br>1.15(3H, m).                                             |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                                                                 |
| MS          | 581(M+1)     |                                                                                                                                                                                                                                                                                                                                                                                                                 |
| Example No. | 185          | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.30(1H, m), 8.24(1H, d, J=9.0Hz), 8.03(1H, d, J=9.0Hz)<br>, 7.79-7.10(9H, m), 5.20-5.<br>07(2H, m), 4.43-4.04(4H, m)<br>, 3.50-3.36(2H, m), 2.40-1.<br>19(14H, m)                                                                                                                                                                                                |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                                                                 |
| MS          | 554(M+1)     |                                                                                                                                                                                                                                                                                                                                                                                                                 |
| Example No. | 186          | 1H NMR ( $\delta$ ) ppm<br>(DMSO-d6) $\delta$ : 8.29(1H, brs)<br>, 8.10(1H, d, J=8.4Hz), 7.97<br>(1H, d, J=8.4Hz), 7.79(2H, d,<br>J=8.4Hz), 7.74-7.67(1H, m)<br>, 7.68(2H, d, J=8.4Hz), 7.6<br>1(1H, d, J=8.4Hz), 7.57-7.5<br>0(2H, m), 7.46-7.39(1H, m),<br>7.29(1H, d, J=2.4Hz), 7.11(1H,<br>dd, J=2.4, 8.4Hz), 5.12(2H,<br>s), 3.99-3.84(1H, m), 2.<br>35-1.72(6H, m), 1.68-1.55(1H,<br>m), 1.42-1.10(3H, m) |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                                                                 |
| MS          | 605(M+1)     |                                                                                                                                                                                                                                                                                                                                                                                                                 |

Table 53

|             |              |                                                                                                                                                                                                                                                                                                                                                                                                                                                                    |
|-------------|--------------|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 187          | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>12.76 (1H, s), 8.57 (1H, d, J=4.4Hz), 8.23 (1H, s), 7.96an<br>d7.86 (2H, ABq, J=8.2Hz), 7.87-7.82 (1H, m), 7.68and7.1<br>2 (4H, A' B' q, J=8.6Hz), 7.53 (2H, d, J=7.8Hz), 7.37 (1H, t<br>, J=8.3Hz), 7.36-7.33 (1H, m ), 6.90 (1H, d, J=8.3Hz), 6.8<br>3 (1H, s), 6.74 (1H, d, J=8.0H<br>z), 5.20 (2H, s), 4.31 (1H, br<br>t, J=12.2Hz), 2.35-2.19 (2H<br>, m), 1.99-1.57 (5H, m), 1.45<br>-1.20 (3H, m) |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                                                                                                                    |
| MS          | 520 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                                                                                                                    |
| Example No. | 188          | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>12.77 (1H, brs), 8.21 (1H, d,<br>J=1.4Hz), 7.92 (1H, d, J=8.7<br>Hz), 7.88 (1H, dd, J=8.7, 1.4<br>Hz), 7.57 (2H, d, J=8.7Hz), 7<br>.57-7.27 (7H, m), 7.11 (2H, d<br>, J=8.7Hz), 5.07 (2H, s), 4.2<br>6 (1H, m), 2.36-2.16 (2H, m),<br>1.98-1.75 (4H, m), 1.64 (1H,<br>m), 1.49-1.17 (3H, m).                                                                                                             |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                                                                                                                    |
| MS          | 555 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                                                                                                                    |
| Example No. | 189          | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.32 (1H, s), 8.30-8.20 (2H,<br>m), 8.10-7.98 (2H, m), 7.74<br>(2H, d, J=9.0Hz), 7.60-7.46<br>(5H, m), 7.24 (2H, d, J=9.0Hz)<br>, 5.19 (2H, s), 4.44-4.30 (1H<br>, m), 2.40-2.20 (2H, m), 2.12<br>-1.78 (4H, m), 1.72-1.58 (4H<br>, m)                                                                                                                                                                   |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                                                                                                                    |
| MS          | 581 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                                                                                                                    |

Table 54

|             |              |                                                                                                                                                                                                                                                                                               |
|-------------|--------------|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 190          | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.36-7.90 (5H, m), 7.74 (2H, d, J=8.6Hz), 7.60-7.40 (5H, m), 7.25 (2H, d, J=8.7Hz), 5.14 (2H, s), 4.45-4.28 (1H, m), 2.40-2.15 (4H, m), 1.75-1.55 (1H, m), 1.55-1.20 (3H, m)                                                        |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                               |
| MS          | 580 (M+1)    |                                                                                                                                                                                                                                                                                               |
| Example No. | 191          | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.22 (1H, s), 7.94 (1H, d, J=8.4Hz), 7.85 (1H, d, J=8.7Hz), 7.61 (2H, d, J=8.7Hz), 7.25-7.00 (6H, m), 4.86 (2H, s), 4.30 (1H, m), 2.89 (3H, s), 2.80 (3H, s), 2.29 (2H, m), 2.00-1.75 (4H, m), 1.70-1.55 (1H, m), 1.50-1.15 (3H, m) |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                               |
| MS          | 514 (M+1)    |                                                                                                                                                                                                                                                                                               |
| Example No. | 192          | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.22 (1H, s), 7.94 (1H, d, J=8.4Hz), 7.85 (1H, d, J=8.7Hz), 7.61 (2H, d, J=8.7Hz), 7.26-7.01 (6H, m), 4.84 (2H, s), 4.31 (1H, m), 3.36 (4H, m), 2.29 (2H, m), 2.00-1.75 (4H, m), 1.75-1.15 (10H, m)                                 |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                               |
| MS          | 554 (M+1)    |                                                                                                                                                                                                                                                                                               |

Table 55

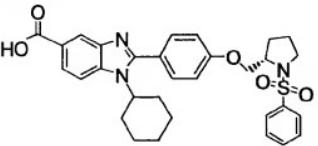
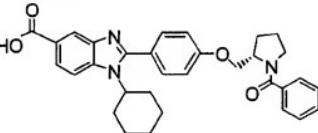
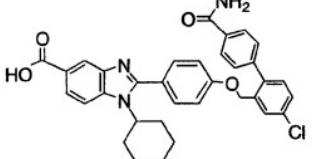
|                                                                                   |                                                                                                                                                                                                                                                      |                                         |
|-----------------------------------------------------------------------------------|------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|-----------------------------------------|
| <u>Example No.</u>                                                                | 193                                                                                                                                                                                                                                                  | <u>1H NMR (<math>\delta</math>) ppm</u> |
|  | 300MHz, DMSO-d6<br>13.00(1H, brs), 8.29(1H, d, J=1.4Hz), 8.15(1H, d, J=8.8Hz), 7.97(1H, dd, J=1.4Hz, 8.8Hz), 7.89(2H, d, J=8.8Hz), 7.80-7.60(5H, m), 7.25(2H, d, J=8.8Hz), 4.47-3.90(4H, m), 3.20-3.10(2H, m), 2.41-1.22(14H, m)                     |                                         |
| <u>Purity</u>                                                                     | > 90 % (NMR)                                                                                                                                                                                                                                         |                                         |
| <u>MS</u>                                                                         | 560 (M+1)                                                                                                                                                                                                                                            |                                         |
| <u>Example No.</u>                                                                | 194                                                                                                                                                                                                                                                  | <u>1H NMR (<math>\delta</math>) ppm</u> |
|  | 300MHz, DMSO-d6<br>12.80(1H, brs), 8.23(1H, s), 7.97(1H, d, J=8.5Hz), 7.87(1H, d, J=8.5Hz), 7.70-7.17(9H, m), 4.60-4.13(4H, m), 3.72-3.40(2H, m), 2.40-1.15(14H, m)                                                                                  |                                         |
| <u>Purity</u>                                                                     | > 90 % (NMR)                                                                                                                                                                                                                                         |                                         |
| <u>MS</u>                                                                         | 524 (M+1)                                                                                                                                                                                                                                            |                                         |
| <u>Example No.</u>                                                                | 195                                                                                                                                                                                                                                                  | <u>1H NMR (<math>\delta</math>) ppm</u> |
|  | 300MHz, DMSO-d6<br>8.25(1H, s), 8.09-7.92(5H, m), 7.77(1H, s), 7.65(2H, d, J=8.4Hz), 7.59-7.51(3H, m), 7.43(2H, d, J=8.4Hz), 7.17(2H, d, J=8.7Hz), 5.10(2H, s), 4.30(1H, m), 2.40-2.15(2H, m), 2.10-1.75(4H, m), 1.75-1.55(1H, m), 1.55-1.10(3H, m). |                                         |
| <u>Purity</u>                                                                     | > 90 % (NMR)                                                                                                                                                                                                                                         |                                         |
| <u>MS</u>                                                                         | 580 (M+1)                                                                                                                                                                                                                                            |                                         |

Table 56

|             |              |                                                                                                                                                                                                                                                                                                                                                                                                                               |
|-------------|--------------|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 196          | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.22 (1H, s), 7.95 (1H, d, J=8.4Hz), 7.86 (1H, d, J=8.4Hz), 7.69 and 7.18 (4H, ABq, J=8.7Hz), 7.34 (1H, t, J=8.0Hz), 6.80-6.69 (3H, m), 4.83 (2H, s), 4.31 (1H, m), 2.98 (3H, s), 2.84 (3H, s), 2.29 (2H, m), 2.00-1.75 (4H, m), 1.70-1.55 (1H, m), 1.50-1.15 (3H, m)                                                                                               |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                                                                               |
| MS          | 514 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                                                                               |
| Example No. | 197          | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.23 (1H, s), 7.95 (1H, d, J=8.4Hz), 7.86 (1H, d, J=8.7Hz), 7.69 and 7.18 (4H, ABq, J=8.7Hz), 7.35 (1H, t, J=8.4Hz), 6.80-6.70 (3H, m), 4.82 (2H, s), 4.31 (1H, m), 3.40 (4H, m), 2.29 (2H, m), 2.00-1.75 (4H, m), 1.70-1.15 (10H, m)                                                                                                                               |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                                                                               |
| MS          | 554 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                                                                               |
| Example No. | 198          | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>12.75 (1H, s), 8.23 (1H, d, J=4.4Hz), 7.95 and 7.86 (2H, ABq, J=8.6Hz), 7.69 and 7.19 (4H, A' B' q, J=8.6Hz), 7.36 (1H, t, J=7.8Hz), 6.82 (1H, d, J=9.3Hz), 6.73 (1H, s), 6.71 (1H, d, J=7.2Hz), 4.30 (1H, brt, J=12.2Hz), 3.89 (2H, d, J=6.0Hz), 3.59 (2H, d, J=11.7Hz), 2.85 (3H, s), 2.73 (2H, t, J=10.5Hz), 2.41-2.20 (2H, m), 1.98-1.59 (8H, m), 1.46-1.12 (m) |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                                                                               |
| MS          | 604 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                                                                               |

Table 57

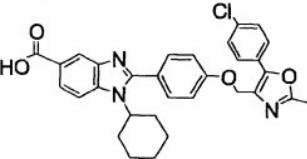
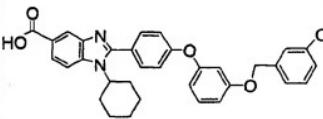
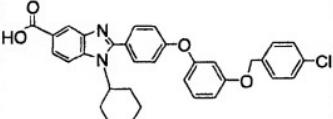
|                                                                                   |              |                                                                                                                                                                                                                                                                                                                         |
|-----------------------------------------------------------------------------------|--------------|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No.                                                                       | 199          | 1H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                                                                                                 |
|  |              | 300MHz, DMSO-d6<br>8.33(1H, s), 8.30(1H, d, J=8.9Hz), 8.06(1H, d, J=8.7Hz), 7.79(2H, d, J=8.7Hz), 7.70(2H, d, J=8.7Hz), 7.61(2H, d, J=8.7Hz), 5.28(2H, s), 4.39(1H, m), 2.50-2.15(2H, m), 2.15-1.95(2H, m), 1.95-1.75(2H, m), 1.75-1.55(1H, m), 1.55-1.15(3H, m).                                                       |
| Purity                                                                            | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                         |
| MS                                                                                | 542(M+1)     |                                                                                                                                                                                                                                                                                                                         |
| Example No.                                                                       | 200          | 1H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                                                                                                 |
|  |              | (DMSO-d6) $\delta$ : 8.23(1H, s), 7.96(1H, d, J=8.6Hz), 7.86(1H, d, J=8.6Hz), 7.69(2H, d, J=8.4Hz), 7.52(1H, s), 7.50-7.30(4H, m), 7.18(2H, d, J=8.4Hz), 6.90(1H, d, J=8.3Hz), 6.84(1H, s), 6.74(1H, d, J=8.3Hz), 5.15(2H, s), 4.39-4.21(1H, m), 2.39-2.18(2H, m), 1.99-1.80(4H, m), 1.71-1.59(1H, m), 1.50-1.20(3H, m) |
| Purity                                                                            | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                         |
| MS                                                                                | 553(M+1)     |                                                                                                                                                                                                                                                                                                                         |
| Example No.                                                                       | 201          | 1H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                                                                                                 |
|  |              | (DMSO-d6) $\delta$ : 8.26(1H, s), 8.06(1H, d, J=8.7Hz), 7.92(1H, d, J=8.7Hz), 7.72(2H, d, J=8.7Hz), 7.47(4H, s), 7.38(1H, t, J=8.2Hz), 7.20(2H, d, J=8.7Hz), 6.90(1H, d, J=8.2Hz), 6.83(1H, s), 6.74(1H, d, J=8.2Hz), 5.14(2H, s), 2.40-2.19(2H, m), 2.04-1.78(4H, m), 1.71-1.60(1H, m), 1.50-1.21(3H, m)               |
| Purity                                                                            | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                         |
| MS                                                                                | 553(M+1)     |                                                                                                                                                                                                                                                                                                                         |

Table 58

| Example No. | 202          | 1H NMR ( $\delta$ ) ppm<br>(DMSO-d6) $\delta$ : 12.81 (1H, brs), 8.24 (1H, s), 7.99 (1H, d, J = 8.7 Hz), 7.87 (1H, d, J = 8.7 Hz), 7.69 (2H, d, J = 8.6 Hz), 7.53-7.47 (2H, m), 7.38 (1H, t, J = 8.2 Hz), 7.26-7.16 (4H, m), 6.89 (1H, d, J = 8.2 Hz), 6.82 (1H, s), 6.73 (1H, d, J = 8.2 Hz), 5.11 (2H, s), 4.40-4.21 (1H, m), 2.40-2.17 (2H, m), 2.01-1.77 (4H, m), 1.71-1.59 (1H, m), 1.50-1.20 (3H, m) |
|-------------|--------------|------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                                                            |
| MS          | 537 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                                                            |

|             |              |                                                                                                                                                                                                                                                                                                          |
|-------------|--------------|----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 203          | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>12.74 (1H, brs), 8.21 (1H, s)<br>, 8.08 (2H, d, J=9.0Hz), 7.93<br>(1H, d, J=8.7Hz), 7.85 (2H, d<br>, J=8.7Hz), 7.58 (2H, d, J=8.<br>7Hz), 7.13 (2H, d, J=8.7Hz),<br>6.83 (2H, d, J=9.0Hz), 4.50-<br>4.08 (4H, m), 3.68-3.30 (2H,<br>m), 2.40-1.23 (14H, m) |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                          |
| MS          | 541 (M+1)    |                                                                                                                                                                                                                                                                                                          |

|             |             |                                                                                                                                                                                                                                                                  |
|-------------|-------------|------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 204         | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.39–8.28 (2H, m), 8.08 (1H, d, $J$ =8.8Hz), 7.76 (2H, d, $J$ =8.7Hz), 7.29 (2H, d, $J$ =8.7Hz), 7.25–7.13 (2H, m), 6.80–6.60 (3H, m), 4.46–3.98 (4H, m), 3.51–3.42 (1H, m), 3.20–3.04 (1H, m), 2.39–1.20 (14H, m) |
| Purity      | > 90% (NMR) |                                                                                                                                                                                                                                                                  |
| MS          |             |                                                                                                                                                                                                                                                                  |

Table 59

|             |              |                                                                                                                                                                                                                                                                                                                                               |
|-------------|--------------|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 205          | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>9.59(1H, brs), 8.23(1H, s),<br>8.04(1H, d, J=8.4Hz), 7.90(1H, d, J=8.4Hz), 7.62(2H, d,<br>J=8.7Hz), 7.39(2H, d, J=8.7Hz) 7.18(2H, d, J=8.7Hz)<br>, 6.63(2H, d, J=8.7Hz), 3.95<br>-3.37(4H, m), 3.51-3.40(1H,<br>m), 3.17-3.02(1H, m), 2.39<br>-1.18(17H, m)                         |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                               |
| MS          | 553(M+1)     |                                                                                                                                                                                                                                                                                                                                               |
| Example No. | 206          | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>13.1(1H, brs), 8.33(1H, s),<br>8.29(1H, d, J=8.8Hz), 8.06(1H, d, J=8.7Hz), 7.77(2H, d,<br>J=8.7Hz), 7.59-7.52(4H, m),<br>7.35(2H, d, J=8.8Hz), 5.19<br>(2H, s), 4.39(1H, m), 2.71(3H, s), 2.45-2.20(2H, m), 2.20-1.95(2H, m), 1.95-1.75(2H, m), 1.75-1.55(1H, m), 1.55-1.15(3H, m). |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                               |
| MS          | 558(M+1)     |                                                                                                                                                                                                                                                                                                                                               |
| Example No. | 207          | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.29(1H, s), 8.26(1H, d, J=8.8Hz), 8.04(1H, d, J=8.7Hz),<br>7.73(2H, d, J=8.8Hz), 7.50-7.41(6H, m), 7.36(2H, d, J=8.8Hz),<br>7.18-7.13(2H, m), 6.84(1H, s), 4.33(1H, m), 2.40-2.15(2H, m), 2.15-1.95(2H, m), 1.95-1.75(2H, m), 1.75-1.55(1H, m), 1.55-1.15(3H, m).                  |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                               |
| MS          | 539(M+1)     |                                                                                                                                                                                                                                                                                                                                               |

Table 60

|             |              |                                                                                                                                                                                                                                                                                                                                                                              |
|-------------|--------------|------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 208          | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.32(1H, s), 8.27(1H, d, J=9.0Hz), 8.07-8.00(3H, m), 7.79-7.70(3H, m), 7.51(2H, d, J=8.1Hz), 7.40(2H, d, J=8.4Hz), 7.18(2H, d, J=8.7Hz), 4.99(2H, s), 4.34(1H, m), 2.40-2.15(2H, m), 2.15-1.95(2H, m), 1.95-1.75(2H, m), 1.75-1.55(1H, m), 1.55-1.15(3H, m).                                                                   |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                              |
| MS          | 582 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                              |
| Example No. | 209          | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.24(1H, d, J=4.4Hz), 7.98and7.88(2H, ABq, J=8.6Hz), 7.70and7.19(4H, A'B'q, J=8.4Hz), 7.35(1H, t, J=8.4Hz), 6.86(1H, d, J=8.1Hz), 6.79(1H, s), 6.71(1H, d, J=8.1Hz), 4.65-4.53(1H, m), 4.31(1H, brt, J=12.2Hz), 3.88-3.78(2H, m), 3.48(2H, t, J=9.0Hz), 2.39-2.19(2H, m), 1.02-1.71(6H, m), 1.70-1.50(3H, m), 1.46-1.19(3H, m) |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                              |
| MS          | 513 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                              |
| Example No. | 210          | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>12.75(1H, s), 8.23(1H, s), 7.96and7.87(2H, ABq, J=8.7Hz), 7.84-7.66(6H, m), 7.38(1H, t, J=8.4Hz), 7.18(2H, d, J=8.4Hz), 6.91(1H, d, J=9.0Hz), 6.84(1H, s), 6.74(1H, d, J=8.1Hz), 5.26(2H, s), 4.31(1H, brt, J=12.2Hz), 2.40-2.20(2H, m), 1.99-1.76(4H, m), 1.69-1.58(1H, m), 1.45-1.20(3H, m)                                  |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                              |
| MS          | 587 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                              |

Table 61

| Example No. | 211          | 1H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                                                                                                                                                                                                                      |
|-------------|--------------|----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
|             |              | 300MHz, DMSO-d6<br>8. 29 (1H, s), 8. 15 and 7. 47 (2 H, ABq, J=9. 0 Hz), 7. 77 and 7. 24 (4H, ABq, J=8. 9 Hz), 7. 39 (1H, t, J=7. 8 Hz), 6. 84 (1H, d, J=9. 3 Hz), 6. 76 (1H, s), 6. 75 (1H, d, J=9. 5 Hz), 4. 36 (1H, b rt, J=12. 2 Hz), 3. 89 (2H, d, J=6. 0 Hz), 3. 42 (2H, d, J=10. 8 Hz), 3. 04-2. 88 (2H, m), 2. 78-2. 60 (1H, m), 2. 71 (2H, J=4. 8 Hz), 2. 38-2. 20 (2H, m), 2. 07-1. 80 (7H, m), 1. 70-1. 20 ( $\tau_{\text{H}}$ m) |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                                                                                              |
| MS          | 540 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                                                                                              |

| Example No. | 212         | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6                                                                                                                                                                                                                                                                                               |
|-------------|-------------|------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
|             |             | 8.22(1H, s), 7.93 and 7.87(2H, ABq, J=8, 6Hz), 7.68 and 7.17(4H, A' B' q, J=8, 7Hz), 7.43-7.33(5H, m), 6.87(1H, d, J=8, 1Hz), 7.18(2H, d, J=8, 4Hz), 6.91(1H, d, J=9, 0Hz), 6.81(1H, s), 6.72(1H, d, J=8.0Hz), 5.08(2H, s), 4.36(1H, br, J=12, 2Hz), 2.37-2.20(2H, m), 1.98-1.78(4H, m), 1.69-1.60(1H, m), 1.41-1.21(3H, m), 1.28(9H, s) |
| Purity      | > 90% (NMR) |                                                                                                                                                                                                                                                                                                                                          |
| MS          | 575 (M+1)   |                                                                                                                                                                                                                                                                                                                                          |

| Example No. | 213          | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6                                                                                                                                                                                                                                                                                                 |
|-------------|--------------|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
|             |              | 8. 23 (1H, s), 7. 95and7. 86 (2H, ABq, $J=8. 4$ Hz), 7. 69and7. 19 (4H, $\delta'$ , $\beta'$ q, $J=8. 7$ Hz), 7. 62-7. 36 (5H, m), 6. 90 (1H, d, $J=8. 1$ Hz), 6. 84 (1H, s), 6. 76 (1H, d, $J=8. 1$ Hz), 5. 19 (2H, s), 4. 31 (1H, brt, $J=12. 2$ Hz), 2. 40-2. 19 (2H, m), 1. 99-1. 76 (4H, m), 1. 68-1. 55 (1H, m), 1. 50-1. 18 (3H, m) |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                            |
| MS          | 553 (M+1)    |                                                                                                                                                                                                                                                                                                                                            |

Table 62

|             |              |                                                                                                                                                                                                                                                                                                                                                                                                      |
|-------------|--------------|------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 214          | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.94(1H, d, J=2.1Hz), 8.60(1H, dd, J=8.1, 5Hz), 8.23(1H, d, J=1.5Hz), 8.12(1H, dt, J=8.1, 2.1Hz), 7.93(1H, d, J=8.7Hz), 7.87(1H, dd, J=8.7, 1.5Hz), 7.70(1H, d, J=8.7Hz), 7.67-7.54(3H, m), 7.50(1H, dd, J=8.1, 4.8Hz), 7.25(2H, d, J=8.7Hz), 7.21(1H, m), 4.31(1H, m), 2.38-2.19(2H, m), 2.00-1.78(4H, m), 1.65(1H, m), 1.48-1.22(3H, m). |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                                                      |
| MS          | 490(M+1)     |                                                                                                                                                                                                                                                                                                                                                                                                      |
| Example No. | 215          | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>12.75(1H, brs), 8.23(1H, s), 7.95(1H, d, J=8.7Hz), 7.86(1H, d, J=8.7Hz), 7.73(2H, d, J=8.4Hz), 7.71(2H, d, J=8.4Hz), 7.63-7.39(2H, m), 7.52(2H, d, J=8.4Hz), 7.24(2H, d, J=8.4Hz), 7.18(1H, m), 4.31(1H, m), 2.39-2.20(2H, m), 2.00-1.76(4H, m), 1.65(1H, m), 1.49-1.18(3H, m).                                                            |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                                                      |
| MS          | 523(M+1)     |                                                                                                                                                                                                                                                                                                                                                                                                      |
| Example No. | 216          | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>12.77(1H, s), 8.23(1H, d, J=1.4Hz), 7.95(1H, d, J=8.6Hz), 7.86(1H, dd, J=8.6, 1.4Hz), 7.70(2H, d, J=8.7Hz), 7.64(2H, d, J=8.8Hz), 7.56-7.48(2H, m), 7.40(1H, s), 7.23(2H, d, J=8.7Hz), 7.10(1H, m), 7.03(2H, d, J=8Hz), 4.31(1H, m), 3.80(3H, s), 2.48-2.20(2H, m), 2.00-1.88(4H, m), 1.66(1H, m), 1.50-1.21(3H, m).                       |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                                                      |
| MS          | 519(M+1)     |                                                                                                                                                                                                                                                                                                                                                                                                      |

Table 63

|             |              |                                                                                                                                                                                                                                                                                                                                                                     |
|-------------|--------------|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 217          | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>(DMSO-d6) $\delta$ : 12.80 (1H, brs), 8.23 (1H, s), 8.04 (1H, d, J = 8.6Hz), 7.96 (3H, d, J = 8.6Hz), 7.86 (1H, d, J = 8.7Hz), 7.63 (2H, d, J = 8.6Hz), 7.25 (2H, d, J = 8.6Hz), 5.50 (2H, s), 4.36-4.21 (1H, m), 3.27 (3H, s), 2.74 (3H, s), 2.40-2.19 (2H, m), 1.99-1.79 (4H, m), 1.71-1.60 (1H, m), 1.49-1.19 (3H, m)     |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                     |
| MS          | 602 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                     |
| Example No. | 218          | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>12.9 (1H, brs), 8.25 (1H, s), 8.04 (1H, d, J = 8.7Hz), 7.91 (1H, d, J = 8.6Hz), 7.72 (2H, d, J = 8.5Hz), 7.67 (2H, d, J = 8.7Hz), 7.56 (2H, d, J = 8.5Hz), 7.26 (2H, d, J = 8.7Hz), 5.45 (2H, s), 4.31 (1H, m), 2.71 (3H, s), 2.40-2.15 (2H, m), 2.05-1.80 (4H, m), 1.75-1.55 (1H, m), 1.55-1.15 (3H, m). |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                     |
| MS          | 558 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                     |
| Example No. | 219          | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.21 (1H, d, J = 1.5Hz), 7.93 (1H, d, J = 9.0Hz), 7.84 (1H, dd, J = 9.0, 1.5Hz), 7.56 (2H, d, J = 8.7Hz), 7.42-7.30 (4H, m), 7.12 (2H, d, J = 8.7Hz), 4.53 (1H, brs), 4.36-4.20 (1H, m), 3.55 (2H, brs), 3.00-2.90 (1H, m), 2.70-2.58 (1H, m), 2.40-1.10 (18H, m)                                         |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                     |
| MS          | 544 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                     |

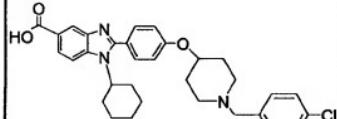
Table 64

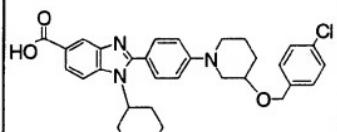
| Example No. | 220          | <sup>1</sup> H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                                                                                                         |
|-------------|--------------|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
|             |              | 300MHz, DMSO-d6<br>12.76(1H, s), 8.23(1H, s), 7.96and7.87(2H, ABq, J=8, 9Hz), 7.69and7.19(4H, A' B' q, J=8, 6Hz), 7.55(1H, s), 7.37(1H, t, J=8, 1Hz), 6.91(1H, d, J=7, 8Hz), 6.85(1H, s), 6.74(1H, d, J=7, 5Hz), 5.13(2H, s), 4.31(1H, brt, J=12, 2Hz), 2.65(3H, s), 2.41-2.20(2H, m), 2.00-1.74(4H, m), 1.70-1.59(1H, m), 1.58-1.20(3H, m) |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                             |
| MS          | 540 (M+1)    |                                                                                                                                                                                                                                                                                                                                             |

| Example No. | 221          | <sup>1</sup> H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                                                                                           |
|-------------|--------------|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
|             |              | 300MHz, DMSO-d6<br>8.23(1H, s), 7.96and7.86(2H, ABq, J=8, 6Hz), 7.69and7.18(4H, A' B' q, J=8, 7Hz), 7.37(1H, t, J=8, 2Hz), 6.87(1H, d, J=8, 2Hz), 6.82(1H, s), 6.75(1H, d, J=8, 0Hz), 5.24(2H, s), 4.32(1H, brt, J=12, 2Hz), 2.58(3H, s), 2.38-2.20(2H, m), 2.30(3H, s), 2.00-1.79(4H, m), 1.70-1.59(1H, m), 1.44-1.20(3H, m) |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                               |
| MS          | 554 (M+1)    |                                                                                                                                                                                                                                                                                                                               |

| Example No. | 222          | <sup>1</sup> H NMR ( $\delta$ ) ppm                                                                                                                                                    |
|-------------|--------------|----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
|             |              | 300MHz, DMSO-d6<br>12.88(1H, brs), 8.25(s, 1H), 8.07-7.57(11H, m), 7.26(2H, d, J=8, 7Hz), 7.24(1H, m), 4.34(1H, m), 2.30-2.20(2H, m), 2.03-1.78(4H, m), 1.64(1H, m), 1.49-1.19(3H, m). |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                        |
| MS          | 557 (M+1)    |                                                                                                                                                                                        |

Table 65

| Example No. | 223                                                                               | <sup>1</sup> H NMR ( $\delta$ ) ppm                                                                                                                                                                        |
|-------------|-----------------------------------------------------------------------------------|------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
|             |  | 300MHz, DMSO-d <sub>6</sub><br>10.96 (1H, brs), 8.21 (1H, d, J=1.4Hz), 7.93 (1H, d, J=8.7Hz), 7.84 (1H, dd, J=8.7, 1.4Hz), 7.76-7.40 (7H, m), 7.18 (2H, d, J=8.0Hz), 4.24-4.16 (2H, m), 2.40-1.12 (18H, m) |
| Purity      | > 90 % (NMR)                                                                      |                                                                                                                                                                                                            |
| MS          | 544 (M+1)                                                                         |                                                                                                                                                                                                            |

| Example No. | 224                                                                               | <sup>1</sup> H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                                                                                                                        |
|-------------|-----------------------------------------------------------------------------------|------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
|             |  | (DMSO-d <sub>6</sub> ) $\delta$ : 8.22 (1H, s), 8.07 (1H, d, J=8.4Hz), 7.92 (1H, d, J=8.4Hz), 7.54 (2H, d, J=8.7Hz), 7.40 (2H, d, J=8.4Hz), 7.30 (2H, d, J=8.4Hz), 7.14 (2H, d, J=8.7Hz), 4.61 (2H, s), 4.48-4.32 (1H, m), 3.82 (1H, brd, J=12.3Hz), 3.65-3.47 (2H, m), 3.10 (brd, J=8.4, 12.3Hz), 2.40-2.20 (2H, m), 2.09-1.76 (6H, m), 1.71-1.16 (6H, m) |
| Purity      | > 90 % (NMR)                                                                      |                                                                                                                                                                                                                                                                                                                                                            |
| MS          | 544 (M+1)                                                                         |                                                                                                                                                                                                                                                                                                                                                            |

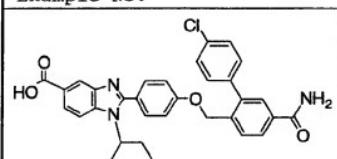
| Example No. | 225                                                                               | <sup>1</sup> H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                                                                                                   |
|-------------|-----------------------------------------------------------------------------------|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
|             |  | (DMSO-d <sub>6</sub> ) $\delta$ : 12.83 (1H, brs), 8.21 (1H, s), 8.10 (1H, brs), 7.01-7.91 (2H, m), 7.89-7.82 (2H, m), 7.75 (1H, d, J=8.0Hz), 7.59 (2H, d, J=8.7Hz), 7.53 (4H, s), 7.46 (1H, brs), 7.12 (2H, d, J=8.7Hz), 7.23 (2H, s), 4.35-4.17 (1H, m), 2.38-2.20 (2H, m), 1.99-1.79 (4H, m), 1.71-1.59 (1H, m), 1.48-1.18 (3H, m) |
| Purity      | > 90 % (NMR)                                                                      |                                                                                                                                                                                                                                                                                                                                       |
| MS          | 580 (M+1)                                                                         |                                                                                                                                                                                                                                                                                                                                       |

Table 66

|             |              |                                                                                                                                                                                                                                                                                              |
|-------------|--------------|----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 226          | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.33and8.08(2H, ABq, J=8.7Hz), 8.31(1H, m), 7.66and7.26(4H, A'B'q, J=9.2Hz), 7.42and7.39(4H, A''B''q, J=8.7Hz), 4.57(2H, s), 4.50(1H, brt, J=12.2Hz), 3.85-3.62(3H, m), 3.28-3.16(2H, m), 2.42-2.23(2H, m), 2.14-1.81(6H, m), 1.72-1.25(6H, m) |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                              |
| MS          | 544 (M+1)    |                                                                                                                                                                                                                                                                                              |

|             |              |                                                                                                                                                                                                                                                                                                                                                                                 |
|-------------|--------------|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 227          | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.43(1H, d, J=5.0Hz), 8.23(1H, s), 7.96and7.86(2H, ABq, J=8.6Hz), 7.69and7.18(4H, A'B'q, J=8.6Hz), 7.57(1H, s), 7.47(1H, d, J=5.0Hz), 7.40(2H, t, J=8.2Hz), 6.91(1H, d, J=8.3Hz), 6.85(1H, s), 6.77(1H, d, J=7.9Hz), 5.25(2H, s), 4.31(1H, brt, J=12.2Hz), 2.40-2.19(2H, m), 1.99-1.75(4H, m), 1.73-1.57(1H, m), 1.49-1.19(3H, m) |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                                 |
| MS          | 554 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                                 |

|             |              |                                                                                                                                                                                                                                                                                  |
|-------------|--------------|----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 228          | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>12.80(1H, brs), 8.22(1H, s), 7.94(1H, d, J=8.6Hz), 7.87(1H, d, J=8.6Hz), 7.60(2H, d, J=8.7Hz), 7.32(2H, d, J=8.7Hz), 7.17(2H, d, J=8.7Hz), 6.70(2H, d, J=8.7Hz), 4.35-3.97(4H, m), 3.62-3.11(2H, m), 2.96(6H, s), 2.39-1.12(4H, m) |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                  |
| MS          | 567 (M+1)    |                                                                                                                                                                                                                                                                                  |

Table 67

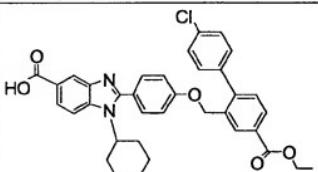
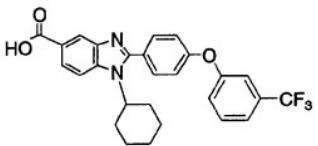
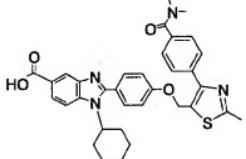
|              |              |                                                                                   |                                                                                                                                                                                                                                                                                                                                                                                                    |
|--------------|--------------|-----------------------------------------------------------------------------------|----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No.  | 229          |  | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d <sub>6</sub><br>8.25 (1H, s), 8.20 (1H, s), 8.04 (1H, dd, J=8.1, 1.8Hz), 7.92 (1H, d, J=8.1Hz), 7.84 (1H, d, J=9.9Hz), 7.62-7.50 (7H, m), 7.12 (2H, d, J=8.7Hz), 5.14 (2H, s), 4.36 (2H, q, J=6.9Hz), 4.30-4.20 (1H, m), 2.38-2.18 (2H, m), 1.98-1.18 (8H, m), 1.35 (3H, t, J=6.9Hz)                                                         |
| Purity       | > 90 % (NMR) |                                                                                   |                                                                                                                                                                                                                                                                                                                                                                                                    |
| MS           | 608 (M+1)    |                                                                                   |                                                                                                                                                                                                                                                                                                                                                                                                    |
| Example No.  | 230          |  | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d <sub>6</sub><br>8.35 (1H, s), 8.27 (1H, d, J=8.7Hz), 8.05 (1H, d, J=9.0Hz), 7.87 (2H, d, J=8.7Hz), 7.74 (1H, t, J=8.1Hz), 7.64 (1H, d, J=7.8Hz), 7.59-7.50 (2H, m), 7.36 (2H, d, J=8.7Hz), 4.39 (1H, m), 2.40-2.15 (2H, m), 2.15-1.95 (2H, m), 1.95-1.75 (2H, m), 1.75-1.55 (1H, m), 1.55-1.20 (3H, m).                                      |
| Purity about | 90% (NMR)    |                                                                                   |                                                                                                                                                                                                                                                                                                                                                                                                    |
| MS           | 481 (M+1)    |                                                                                   |                                                                                                                                                                                                                                                                                                                                                                                                    |
| Example No.  | 231          |  | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz DMSO-d <sub>6</sub><br>12.78 (1H, brs), 8.23 (1H, d, J=1.5Hz), 7.96 (1H, d, J=8.7Hz), 7.87 (1H, dd, J=8.7, 1.5Hz), 7.75 (2H, d, J=8.4Hz), 7.63 (2H, d, J=8.4Hz), 7.52 (2H, d, J=8.4Hz), 7.24 (2H, d, J=8.4Hz), 5.47 (2H, s), 4.29 (1H, m), 2.97 (6H, brs), 2.72 (3H, s), 2.39-2.16 (2H, m), 2.00-1.78 (4H, m), 1.71-1.59 (1H, m), 1.49-1.17 (3H, m). |
| Purity about | 90% (NMR)    |                                                                                   |                                                                                                                                                                                                                                                                                                                                                                                                    |
| MS           | 595 (M+1)    |                                                                                   |                                                                                                                                                                                                                                                                                                                                                                                                    |

Table 68

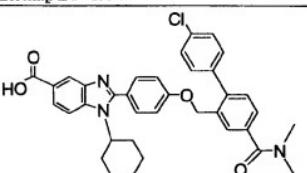
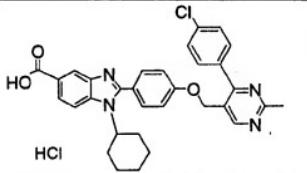
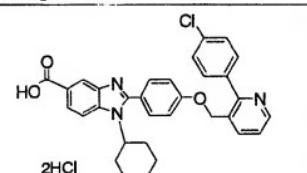
| Example No. | 232                                                                               | 1H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                                                                                                                             |
|-------------|-----------------------------------------------------------------------------------|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
|             |  | 300MHz, DMSO-d6<br>12.8 (1H, brs), 8.22 (1H, s),<br>7.96 (1H, d, J=8.7Hz), 7.86 (1H, d, J=8.6Hz), 7.70 (1H, s),<br>7.59 (2H, d, J=8.7Hz), 7.53 (7.50 (5H, m), 7.42 (1H, d, J=7.9Hz), 7.12 (2H, d, J=8.7Hz), 5.11 (2H, s), 4.27 (1H, m), 3.01 (3H, brs), 2.97 (3H, brs), 2.40-2.15 (2H, m), 2.00-1.75 (4H, m), 1.75-1.55 (1H, m), 1.50-1.15 (3H, m). |
| Purity      | > 90 % (NMR)                                                                      |                                                                                                                                                                                                                                                                                                                                                     |
| MS          | 608 (M+1)                                                                         |                                                                                                                                                                                                                                                                                                                                                     |
| Example No. | 233                                                                               | 1H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                                                                                                                             |
|             |  | DMSO-d6<br>13.20 (1H, brs), 8.99 (1H, s),<br>8.32 (1H, s), 8.25 (1H, d, J=8.8Hz), 8.04 (1H, d, J=8.6Hz),<br>7.79-7.74 (4H, m), 7.60 (2H, d, J=8.5Hz), 7.30 (2H, d, J=8.7Hz),<br>5.26 (2H, s), 4.36 (1H, m), 2.72 (3H, s), 2.50-2.15 (2H, m),<br>2.15-1.95 (2H, m), 1.95-1.75 (2H, m), 1.75-1.55 (1H, m),<br>1.55 (1H, m), 1.55-1.15 (3H, m).        |
| Purity      | > 90 % (NMR)                                                                      |                                                                                                                                                                                                                                                                                                                                                     |
| MS          | 553 (M+1-HCl)                                                                     |                                                                                                                                                                                                                                                                                                                                                     |
| Example No. | 234                                                                               | 1H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                                                                                                                             |
|             |  | DMSO-d6<br>8.77 (1H, d, J=3.6Hz), 8.36-8.26 (3H, m), 8.08 (1H, d, J=8.8Hz), 7.79 (2H, d, J=8.7Hz),<br>7.72-7.64 (3H, m), 7.58 (2H, d, J=8.4Hz), 7.30 (2H, d, J=8.7Hz),<br>5.26 (2H, s), 4.38 (1H, m), 2.50-2.15 (2H, m), 2.15-1.95 (2H, m),<br>1.95-1.75 (2H, m), 1.75-1.55 (1H, m), 1.55-1.15 (3H, m).                                             |
| Purity      | > 90 % (NMR)                                                                      |                                                                                                                                                                                                                                                                                                                                                     |
| MS          | 538 (M+1-2HCl)                                                                    |                                                                                                                                                                                                                                                                                                                                                     |

Table 69

|             |                   |                                                                                                                                                                                                                                                                                |
|-------------|-------------------|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 235               | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>12.74(1H, brs), 8.67(1H, dd, J=3.1, 1.6Hz), 8.21(1H, d, J=1.6Hz), 7.93(1H, d, J=8.6Hz), 7.90-7.80(2H, m), 7.60-7.50(7H, m), 7.09(2H, d, J=8.7Hz), 5.16(2H, s), 4.26(1H, m), 2.40-2.20(2H, m), 2.00-1.60(5H, m), 1.50-1.20(3H, m) |
| Purity      | > 90 % (NMR)      |                                                                                                                                                                                                                                                                                |
| MS          | APCI-Ms 538 (M+1) |                                                                                                                                                                                                                                                                                |
| Example No. | 236               | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.40-7.40(11H, m), 2.95, 2.81(3H, each d, J=4.7Hz), 2.40-2.20(2H, m), 2.10-1.80(4H, m), 1.70-1.60(1H, m), 1.50-1.20(3H, m)                                                                                                       |
| Purity      | > 90 % (NMR)      |                                                                                                                                                                                                                                                                                |
| MS          | APCI-Ms 555 (M+1) |                                                                                                                                                                                                                                                                                |
| Example No. | 237               | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.21(1H, s), 8.15(1H, d, J=9.5Hz), 8.02(1H, s), 8.00-7.80(3H, m), 7.70-7.50(6H, m), 7.12(2H, d, J=8.7Hz), 5.16(2H, s), 4.28(1H, m), 2.40-2.20(2H, m), 2.00-1.80(4H, m), 1.65(1H, m), 1.50-1.20(3H, m)                            |
| Purity      | > 90 % (NMR)      |                                                                                                                                                                                                                                                                                |
| MS          | FAB-Ms 605 (M+1)  |                                                                                                                                                                                                                                                                                |

Table 70

|             |                  |                                                                                                                                                                                                                                                                                                     |
|-------------|------------------|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 238              | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>12. 80(1H, brs), 8. 54(1H, s), 8. 25(1H, s), 7. 98and7. 88(2H, Abq, J=8. 6Hz), 7. 76(2H, d, J=8. 6Hz), 7. 53-7. 31(3H, m), 6. 61(1H, s), 5. 46(2H, s), 4. 32(1H, brt), 2. 40-2. 20(2H, m), 2. 02-1. 79(4H, m), 1. 69-1. 59(1H, m), 1. 48-1. 19(3H, m) |
| Purity      | > 90 % (NMR)     |                                                                                                                                                                                                                                                                                                     |
| MS          | APCI-Ms 521(M+1) |                                                                                                                                                                                                                                                                                                     |

|             |                  |                                                                                                                                                                                                                                                                                                                                  |
|-------------|------------------|----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 239              | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>12. 79(1H, brs), 8. 60(2H, d, J=1. 5Hz), 8. 53(1H, s), 8. 25(1H, s), 7. 98and7. 85(2H, AB q, J=9. 4Hz), 7. 76(2H, d, J=9. 0Hz), 7. 44(4H, d, J=6. 5Hz), 6. 69(1H, s), 5. 53(2H, s), 4. 32(1H, brt), 2. 40-2. 19(2H, m), 2. 03-1. 82(4H, m), 1. 72-1. 61(1H, m), 1. 42-1. 22(3H, m) |
| Purity      | > 90 % (NMR)     |                                                                                                                                                                                                                                                                                                                                  |
| MS          | APCI-Ms 522(M+1) |                                                                                                                                                                                                                                                                                                                                  |

|             |                  |                                                                                                                                                                                                                                                                                                                                            |
|-------------|------------------|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 240              | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8. 90(1H, s), 8. 32(1H, s), 8. 28(1H, s), 8. 25(1H, d, J=8. 3Hz), 8. 05(1H, d, J=8. 8Hz), 7. 96(1H, s), 7. 93(1H, d, J=8. 8Hz), 7. 83(1H, d, J=8. 4Hz), 7. 68-7. 59(2H, m), 7. 54(2H, d, J=8. 8Hz), 4. 37(1H, brt), 2. 30(2H, m), 2. 00(2H, m), 1. 88(2H, m), 1. 67(1H, m), 1. 5-1. 2(3H, m) |
| Purity      | > 90 % (NMR)     |                                                                                                                                                                                                                                                                                                                                            |
| MS          | APCI-Ms 525(M+1) |                                                                                                                                                                                                                                                                                                                                            |

Table 71

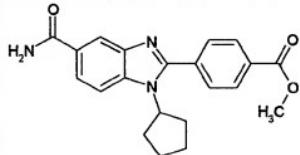
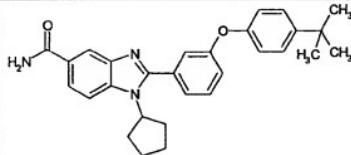
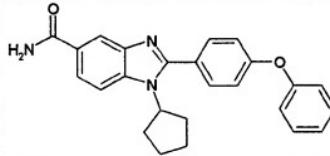
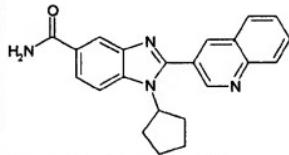
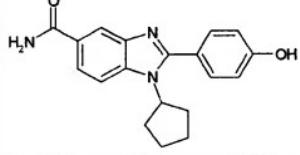
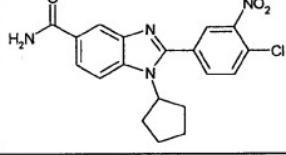
| Ex. No. | Formula                                                                             | MS        |
|---------|-------------------------------------------------------------------------------------|-----------|
| 1001    |    | 364 (M+H) |
| 1002    |    | 454 (M+H) |
| 1003    |    | 398 (M+H) |
| 1004    |    | 357 (M+H) |
| 1005    |    | 322 (M+H) |
| 1006    |  | 385 (M+H) |

Table 72

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1007    |         | 357 (M+H) |
| 1008    |         | 416 (M+H) |
| 1009    |         | 310 (M+H) |
| 1010    |         | 390 (M+H) |
| 1011    |         | 395 (M+H) |
| 1012    |         | 366 (M+H) |

Table 73

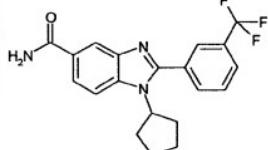
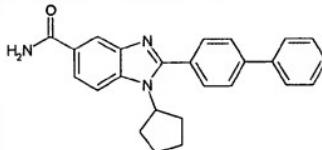
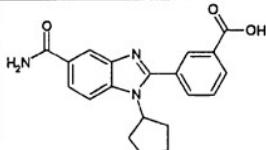
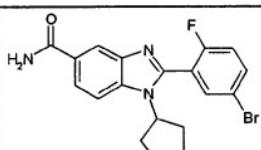
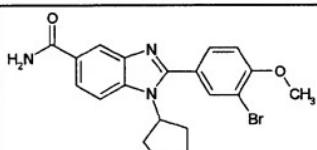
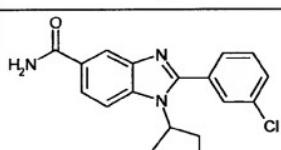
| Ex. No. | Formula                                                                            | MS        |
|---------|------------------------------------------------------------------------------------|-----------|
| 1013    |   | 374 (M+H) |
| 1014    |   | 382 (M+H) |
| 1015    |   | 350 (M+H) |
| 1016    |   | 402 (M+H) |
| 1017    |   | 414 (M+H) |
| 1018    |  | 340 (M+H) |

Table 74

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1019    |         | 350 (M+H) |
| 1020    |         | 380 (M+H) |
| 1021    |         | 366 (M+H) |
| 1022    |         | 378 (M+H) |
| 1023    |         | 402 (M+H) |

Table 75

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1024    |         | 518 (M+H) |
| 1025    |         | 408 (M+H) |
| 1026    |         | 336 (M+H) |
| 1027    |         | 408 (M+H) |
| 1028    |         | 366 (M+H) |
| 1029    |         | 362 (M+H) |

Table 76

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1030    |         | 473 (M+H) |
| 1031    |         | 338 (M+H) |
| 1032    |         | 307 (M+H) |
| 1033    |         | 406 (M+H) |
| 1034    |         | 466 (M+H) |
| 1035    |         | 412 (M+H) |

Table 77

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1036    |         | 412 (M+H) |
| 1037    |         | 428 (M+H) |
| 1038    |         | 466 (M+H) |
| 1039    |         | 406 (M+H) |
| 1040    |         | 417 (M+H) |
| 1041    |         | 440 (M+H) |

Table 78

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1042    |         | 417 (M+H) |
| 1043    |         | 440 (M+H) |
| 1044    |         | 312 (M+H) |
| 1045    |         | 423 (M+H) |
| 1046    |         | 352 (M+H) |
| 1047    |         | 307 (M+H) |

Table 79

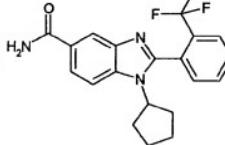
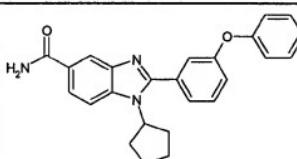
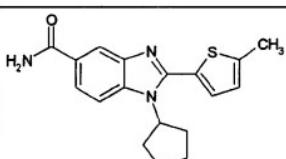
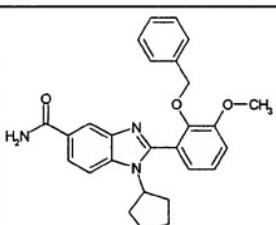
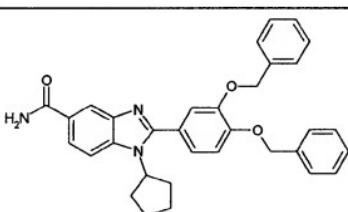
| Ex. No. | Formula                                                                            | MS        |
|---------|------------------------------------------------------------------------------------|-----------|
| 1048    |   | 374 (M+H) |
| 1049    |   | 398 (M+H) |
| 1050    |   | 326 (M+H) |
| 1051    |   | 442 (M+H) |
| 1052    |  | 518 (M+H) |

Table 80

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1053    |         | 442 (M+H) |
| 1054    |         | 376 (M+H) |
| 1055    |         | 442 (M+H) |
| 1056    |         | 352 (M+H) |
| 1057    |         | 367 (M+H) |
| 1058    |         | 367 (M+H) |

Table 81

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1059    |         | 364 (M+H) |
| 1060    |         | 324 (M+H) |
| 1061    |         | 352 (M+H) |
| 1062    |         | 357 (M+H) |
| 1063    |         | 360 (M+H) |
| 1064    |         | 351 (M+H) |

Table 82

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1065    |         | 351 (M+H) |
| 1066    |         | 366 (M+H) |
| 1067    |         | 367 (M+H) |
| 1068    |         | 364 (M+H) |
| 1069    |         | 350 (M+H) |
| 1070    |         | 306 (M+H) |

Table 83

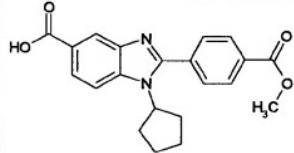
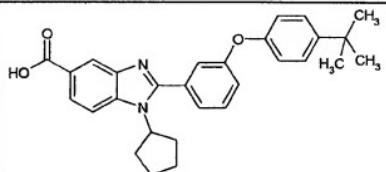
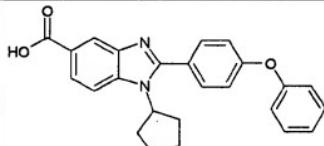
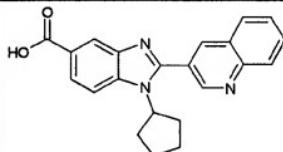
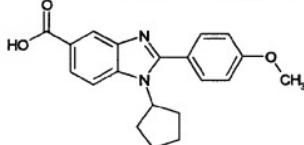
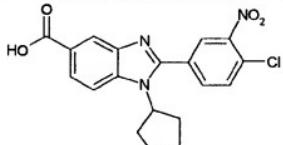
| Ex. No. | Formula                                                                             | MS        |
|---------|-------------------------------------------------------------------------------------|-----------|
| 1071    |    | 365 (M+H) |
| 1072    |    | 455 (M+H) |
| 1073    |    | 399 (M+H) |
| 1074    |    | 358 (M+H) |
| 1075    |    | 337 (M+H) |
| 1076    |  | 386 (M+H) |

Table 84

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1077    |         | 358 (M+H) |
| 1078    |         | 417 (M+H) |
| 1079    |         | 311 (M+H) |
| 1080    |         | 391 (M+H) |
| 1081    |         | 396 (M+H) |
| 1082    |         | 367 (M+H) |

Table 85

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1083    |         | 375 (M+H) |
| 1084    |         | 351 (M+H) |
| 1085    |         | 383 (M+H) |
| 1086    |         | 403 (M+H) |
| 1087    |         | 415 (M+H) |
| 1088    |         | 341 (M+H) |

Table 86

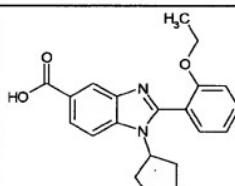
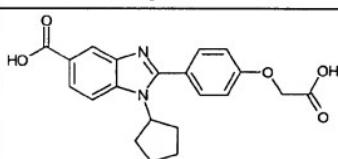
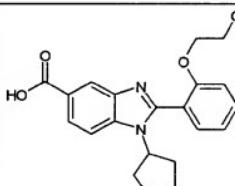
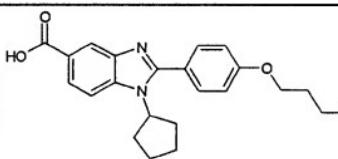
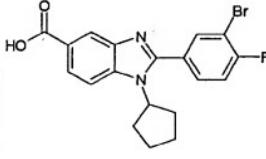
| Ex. No. | Formula                                                                            | MS        |
|---------|------------------------------------------------------------------------------------|-----------|
| 1089    |   | 351 (M+H) |
| 1090    |   | 381 (M+H) |
| 1091    |   | 367 (M+H) |
| 1092    |   | 379 (M+H) |
| 1093    |  | 403 (M+H) |

Table 87

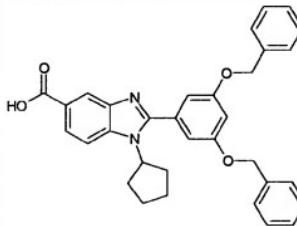
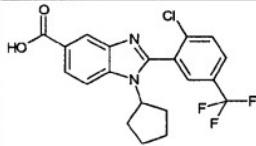
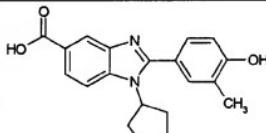
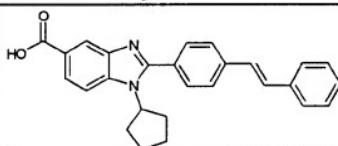
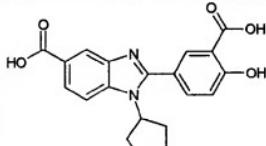
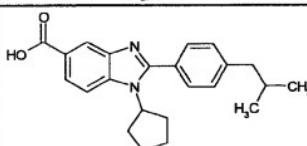
| Ex. No. | Formula                                                                             | MS        |
|---------|-------------------------------------------------------------------------------------|-----------|
| 1094    |    | 519 (M+H) |
| 1095    |    | 409 (M+H) |
| 1096    |    | 337 (M+H) |
| 1097    |    | 409 (M+H) |
| 1098    |    | 367 (M+H) |
| 1099    |  | 363 (M+H) |

Table 88

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1100    |         | 474 (M+H) |
| 1101    |         | 339 (M+H) |
| 1102    |         | 308 (M+H) |
| 1103    |         | 467 (M+H) |
| 1104    |         | 413 (M+H) |
| 1105    |         | 413 (M+H) |

Table 89

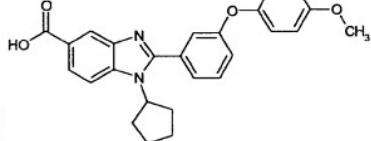
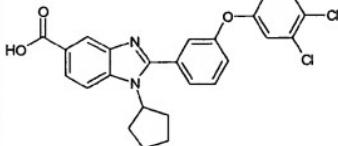
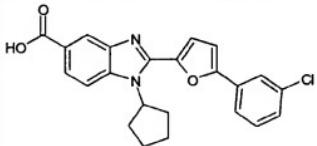
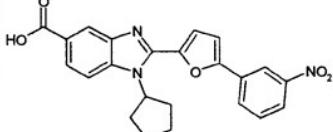
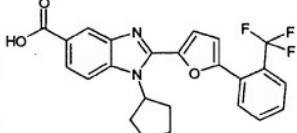
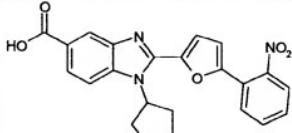
| Ex. No. | Formula                                                                            | MS        |
|---------|------------------------------------------------------------------------------------|-----------|
| 1106    |   | 429 (M+H) |
| 1107    |   | 467 (M+H) |
| 1108    |   |           |
| 1109    |   |           |
| 1110    |   | 441 (M+H) |
| 1111    |  | 418 (M+H) |

Table 90

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1112    |         | 313 (M+H) |
| 1113    |         | 308 (M+H) |
| 1114    |         | 375 (M+H) |
| 1115    |         | 399 (M+H) |
| 1116    |         | 327 (M+H) |
| 1117    |         | 443 (M+H) |

Table 91

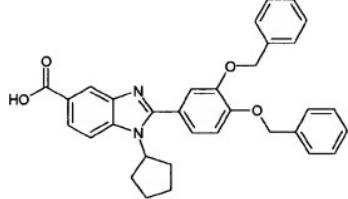
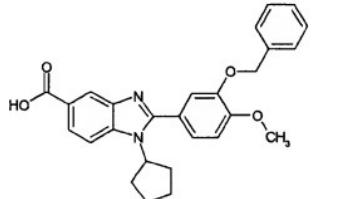
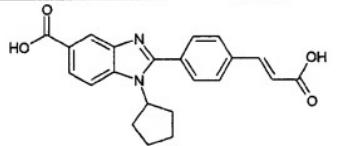
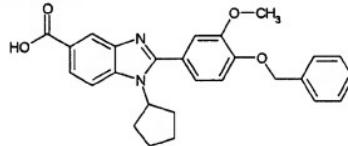
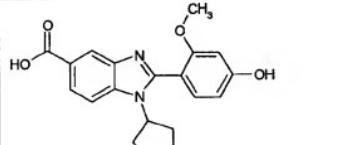
| Ex. No. | Formula                                                                            | MS        |
|---------|------------------------------------------------------------------------------------|-----------|
| 1118    |   | 519 (M+H) |
| 1119    |   | 443 (M+H) |
| 1120    |   | 377 (M+H) |
| 1121    |   | 443 (M+H) |
| 1122    |  | 353 (M+H) |

Table 92

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1123    |         | 368 (M+H) |
| 1124    |         | 368 (M+H) |
| 1125    |         | 365 (M+H) |
| 1126    |         | 325 (M+H) |
| 1127    |         | 353 (M+H) |
| 1128    |         | 358 (M+H) |

Table 93

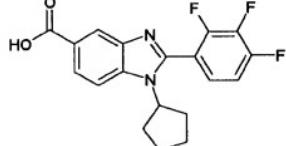
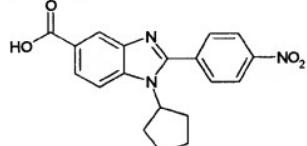
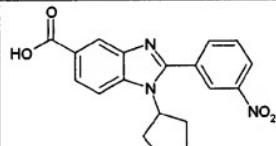
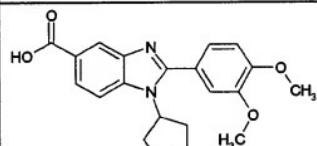
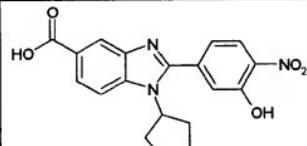
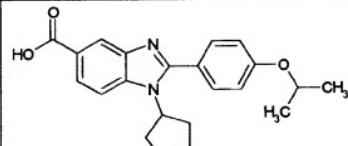
| Ex. No. | Formula                                                                            | MS        |
|---------|------------------------------------------------------------------------------------|-----------|
| 1129    |   | 361 (M+H) |
| 1130    |   | 352 (M+H) |
| 1131    |   | 352 (M+H) |
| 1132    |   | 367 (M+H) |
| 1133    |   | 368 (M+H) |
| 1134    |  | 365 (M+H) |

Table 94

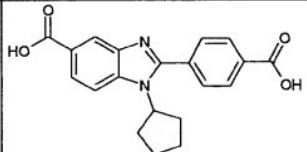
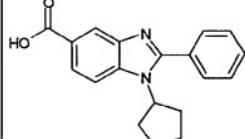
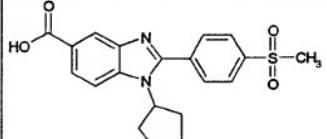
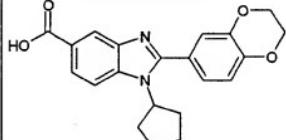
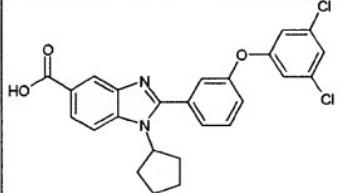
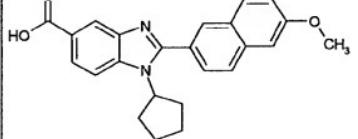
| Ex. No. | Formula                                                                             | MS        |
|---------|-------------------------------------------------------------------------------------|-----------|
| 1135    |    | 351 (M+H) |
| 1136    |    | 307 (M+H) |
| 1137    |    | 385 (M+H) |
| 1138    |    | 365 (M+H) |
| 1139    |    | 467 (M+H) |
| 1140    |  | 387 (M+H) |

Table 95

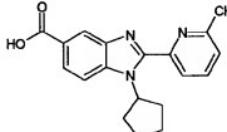
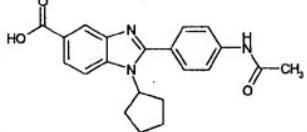
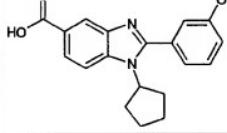
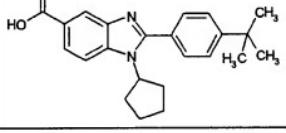
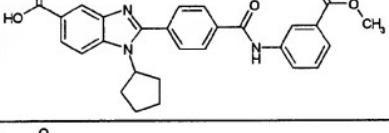
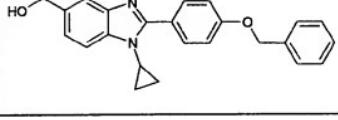
| Ex. No. | Formula                                                                            | MS        |
|---------|------------------------------------------------------------------------------------|-----------|
| 1141    |   | 322 (M+H) |
| 1142    |   | 364 (M+H) |
| 1143    |   | 323 (M+H) |
| 1144    |   | 363 (M+H) |
| 1145    |   | 484 (M+H) |
| 1146    |  | 385 (M+H) |

Table 96

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1147    |         | 427 (M+H) |
| 1148    |         | 420 (M+H) |
| 1149    |         | 508 (M+H) |
| 1150    |         | 458 (M+H) |
| 1151    |         | 458 (M+H) |

Table 97

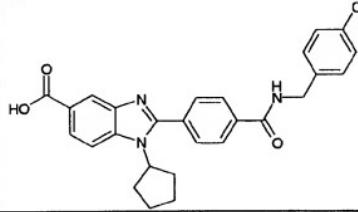
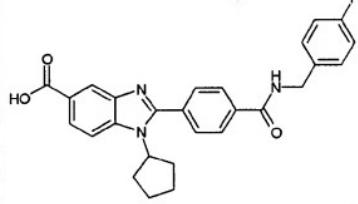
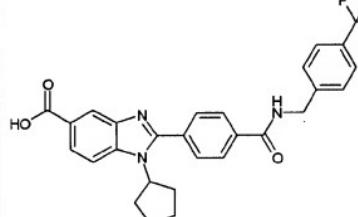
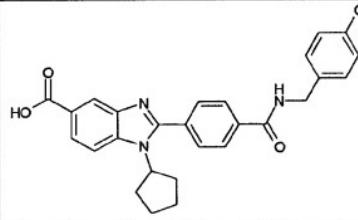
| Ex. No. | Formula                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                           | MS        |
|---------|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|-----------|
| 1152    |  <p>Detailed description: This is a chemical structure of compound 1152. It features a 1H-indole ring system substituted at the 2-position with a hydroxyl group (-OH). At the 3-position, there is a para-substituted phenyl ring. Attached to the para position of this phenyl ring is a 2-acetylphenyl group, which is further substituted at the 4-position with a cyclopentylmethyl group. The nitrogen atom of the indole ring is also substituted with this cyclopentylmethyl group. The 2-acetylphenyl group is linked via its carbonyl carbon to the 4-position of the phenyl ring.</p> | 474 (M+H) |
| 1153    |  <p>Detailed description: This is a chemical structure of compound 1153. It is similar to compound 1152, but the 4-chlorophenyl group in the 2-acetylphenyl side chain is replaced by a 4-fluorophenyl group.</p>                                                                                                                                                                                                                                                                                                                                                                                | 458 (M+H) |
| 1154    |  <p>Detailed description: This is a chemical structure of compound 1154. It is similar to compound 1152, but the 4-chlorophenyl group in the 2-acetylphenyl side chain is replaced by a 4-(trifluoromethyl)phenyl group.</p>                                                                                                                                                                                                                                                                                                                                                                     | 508 (M+H) |
| 1155    |  <p>Detailed description: This is a chemical structure of compound 1155. It is similar to compound 1152, but the 4-chlorophenyl group in the 2-acetylphenyl side chain is replaced by a 4-methylphenyl group.</p>                                                                                                                                                                                                                                                                                                                                                                               | 454 (M+H) |

Table 98

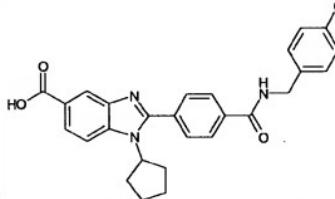
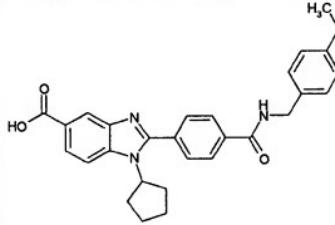
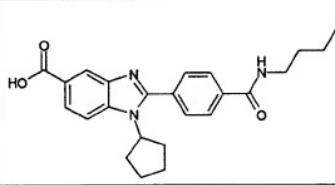
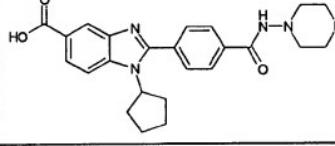
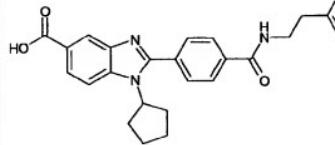
| Ex. No. | Formula                                                                            | MS        |
|---------|------------------------------------------------------------------------------------|-----------|
| 1156    |   | 470 (M+H) |
| 1157    |   | 496 (M+H) |
| 1158    |   | 482 (M+H) |
| 1159    |   | 448 (M+H) |
| 1160    |  | 488 (M+H) |

Table 99

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1161    |         | 468 (M+H) |
| 1162    |         | 447 (M+H) |
| 1163    |         | 466 (M+H) |
| 1164    |         | 526 (M+H) |
| 1165    |         | 420 (M+H) |

Table 100

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1166    |         | 490 (M+H) |
| 1167    |         | 435 (M+H) |
| 1168    |         | 436 (M+H) |
| 1169    |         | 436 (M+H) |
| 1170    |         | 404 (M+H) |
| 1171    |         | 406 (M+H) |

Table 101

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1172    |         | 392 (M+H) |
| 1173    |         | 420 (M+H) |
| 1174    |         | 406 (M+H) |
| 1175    |         | 420 (M+H) |
| 1176    |         | 523 (M+H) |
| 1177    |         | 406 (M+H) |

Table 102

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1178    |         | 447 (M+H) |
| 1179    |         | 433 (M+H) |
| 1180    |         | 509 (M+H) |
| 1181    |         | 513 (M+H) |

Table 103

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1182    |         | 497 (M+H) |
| 1183    |         | 496 (M+H) |
| 1184    |         | 418 (M+H) |
| 1185    |         | 508 (M+H) |
| 1186    |         | 490 (M+H) |

Table 104

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1187    |         | 441 (M+H) |
| 1188    |         | 455 (M+H) |
| 1189    |         | 455 (M+H) |
| 1190    |         | 513 (M+H) |
| 1191    |         | 504 (M+H) |
| 1192    |         | 494 (M+H) |

Table 105

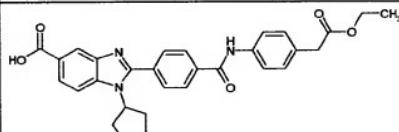
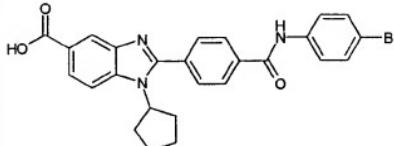
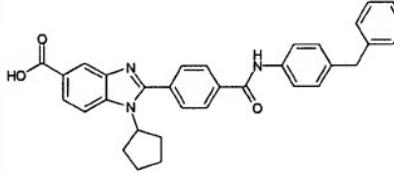
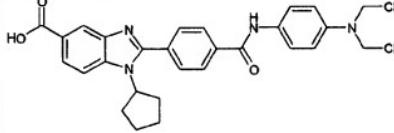
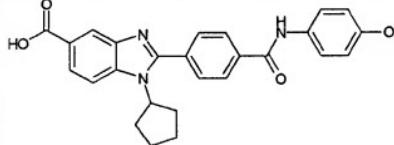
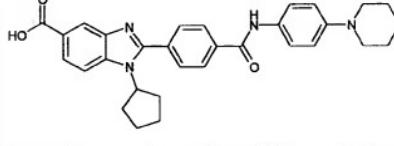
| Ex. No. | Formula                                                                             | MS        |
|---------|-------------------------------------------------------------------------------------|-----------|
| 1193    |    | 512 (M+H) |
| 1194    |    | 504 (M+H) |
| 1195    |    | 516 (M+H) |
| 1196    |    | 497 (M+H) |
| 1197    |    | 456 (M+H) |
| 1198    |  | 509 (M+H) |

Table 106

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1199    |         | 483 (M+H) |
| 1200    |         | 427 (M+H) |
| 1201    |         | 427 (M+H) |
| 1202    |         | 477 (M+H) |
| 1203    |         | 519 (M+H) |
| 1204    |         | 440 (M+H) |

Table 107

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1205    |         | 454 (M+H) |
| 1206    |         | 325 (M+H) |
| 1207    |         | 341 (M+H) |
| 1208    |         | 385 (M+H) |
| 1209    |         | 363 (M+H) |
| 1210    |         | 332 (M+H) |

Table 108

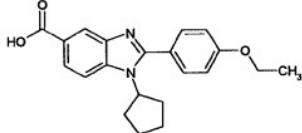
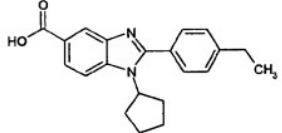
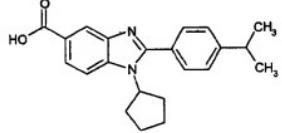
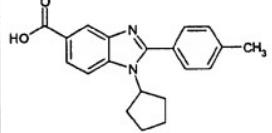
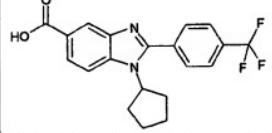
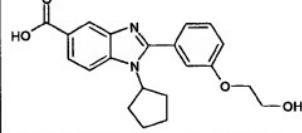
| Ex. No. | Formula                                                                            | MS        |
|---------|------------------------------------------------------------------------------------|-----------|
| 1211    |   | 351 (M+H) |
| 1212    |   | 335 (M+H) |
| 1213    |   | 349 (M+H) |
| 1214    |   | 321 (M+H) |
| 1215    |   | 375 (M+H) |
| 1216    |  | 367 (M+H) |

Table 109

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1217    |         | 433 (M+H) |
| 1218    |         | 391 (M+H) |
| 1219    |         | 337 (M+H) |
| 1220    |         | 385 (M+H) |
| 1221    |         | 341 (M+H) |
| 1222    |         | 332 (M+H) |

Table 110

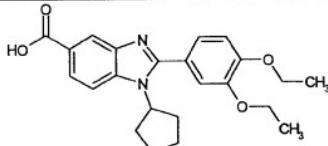
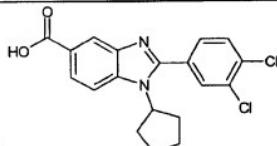
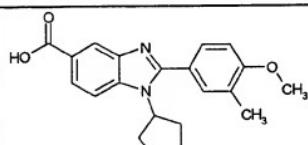
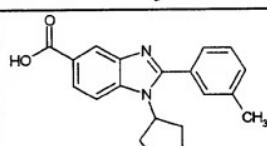
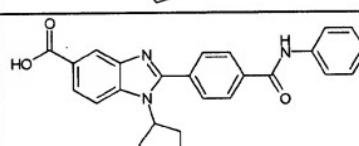
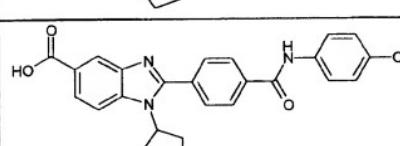
| Ex. No. | Formula                                                                            | MS        |
|---------|------------------------------------------------------------------------------------|-----------|
| 1223    |   | 395 (M+H) |
| 1224    |   | 375 (M+H) |
| 1225    |   | 351 (M+H) |
| 1226    |   | 321 (M+H) |
| 1227    |   | 426 (M+H) |
| 1228    |  | 460 (M+H) |

Table 111

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1229    |         | 442 (M+H) |
| 1230    |         | 468 (M+H) |
| 1231    |         | 456 (M+H) |
| 1232    |         | 494 (M+H) |
| 1233    |         | 451 (M+H) |
| 1234    |         | 468 (M+H) |

Table 112

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1235    |         | 498 (M+H) |
| 1236    |         | 476 (M+H) |
| 1237    |         | 502 (M+H) |
| 1238    |         | 505 (M+H) |
| 1239    |         | 469 (M+H) |

Table 113

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1240    |         | 483 (M+H) |
| 1241    |         | 408 (M+H) |
| 1242    |         | 460 (M+H) |
| 1243    |         | 468 (M+H) |
| 1244    |         | 494 (M+H) |
| 1245    |         | 454 (M+H) |

Table 114

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1246    |         | 468 (M+H) |
| 1247    |         | 498 (M+H) |
| 1248    |         | 482 (M+H) |
| 1249    |         | 468 (M+H) |
| 1250    |         | 460 (M+H) |

Table 115

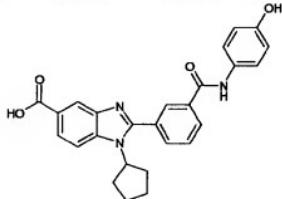
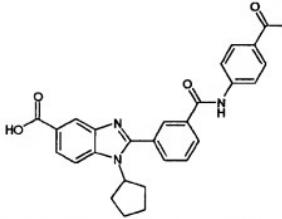
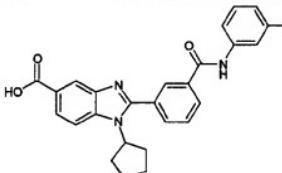
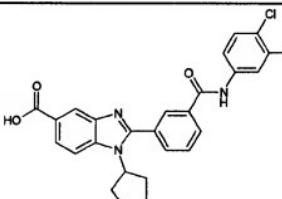
| Ex. No. | Formula                                                                           | MS        |
|---------|-----------------------------------------------------------------------------------|-----------|
| 1251    |  | 442 (M+H) |
| 1252    |  | 468 (M+H) |
| 1253    |  | 456 (M+H) |
| 1254    |  | 494 (M+H) |

Table 116

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1255    |         | 451 (M+H) |
| 1256    |         | 468 (M+H) |
| 1257    |         | 498 (M+H) |
| 1258    |         | 470 (M+H) |

Table 117

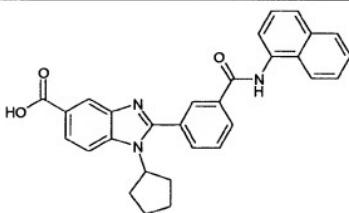
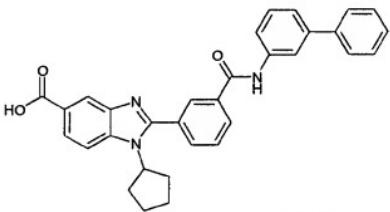
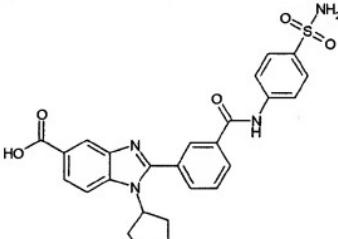
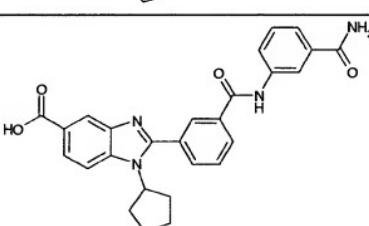
| Ex. No. | Formula                                                                            | MS        |
|---------|------------------------------------------------------------------------------------|-----------|
| 1259    |   | 476 (M+H) |
| 1260    |   | 502 (M+H) |
| 1261    |   | 505 (M+H) |
| 1262    |  | 469 (M+H) |

Table 118

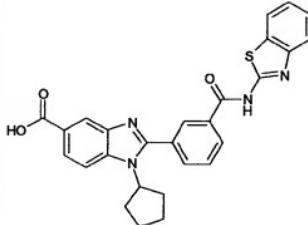
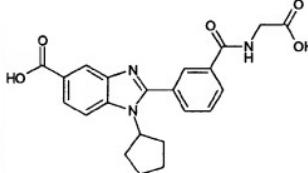
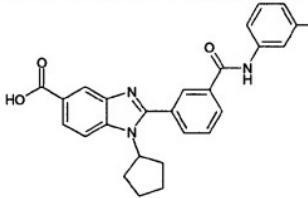
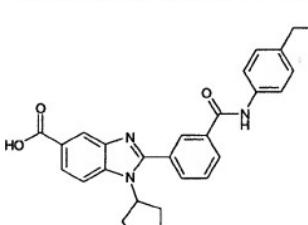
| Ex. No. | Formula                                                                            | MS        |
|---------|------------------------------------------------------------------------------------|-----------|
| 1263    |   | 483 (M+H) |
| 1264    |   | 408 (M+H) |
| 1265    |   | 460 (M+H) |
| 1266    |  | 468 (M+H) |

Table 119

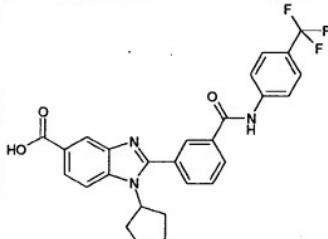
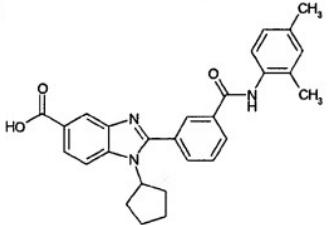
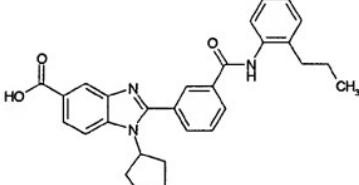
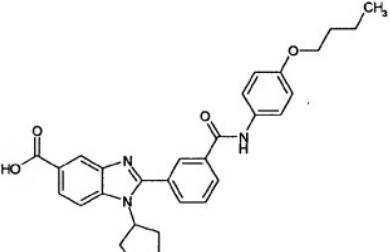
| Ex. No. | Formula                                                                            | MS        |
|---------|------------------------------------------------------------------------------------|-----------|
| 1267    |   | 494 (M+H) |
| 1268    |   | 454 (M+H) |
| 1269    |   | 468 (M+H) |
| 1270    |  | 498 (M+H) |

Table 120

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1271    |         | 482 (M+H) |
| 1272    |         | 468 (M+H) |
| 1273    |         | 494 (M+H) |
| 1274    |         | 484 (M+H) |

Table 121

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1275    |         | 519 (M+H) |
| 1276    |         | 427 (M+H) |
| 1277    |         | 456 (M+H) |
| 1278    |         | 516 (M+H) |

Table 122

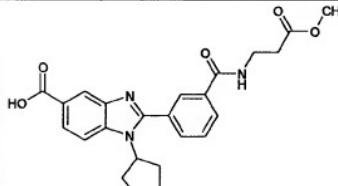
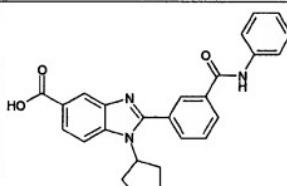
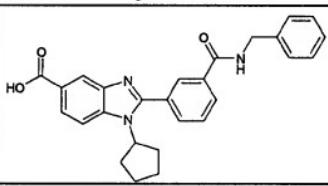
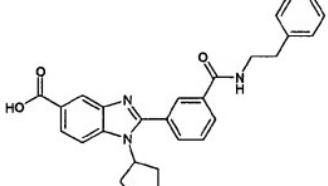
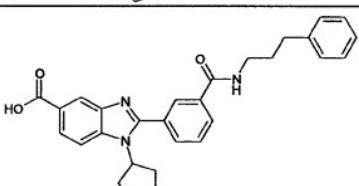
| Ex. No. | Formula                                                                            | MS        |
|---------|------------------------------------------------------------------------------------|-----------|
| 1279    |   | 436 (M+H) |
| 1280    |   | 426 (M+H) |
| 1281    |   | 440 (M+H) |
| 1282    |   | 454 (M+H) |
| 1283    |  | 468 (M+H) |

Table 123

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1284    |         | 482 (M+H) |
| 1285    |         | 406 (M+H) |
| 1286    |         | 420 (M+H) |
| 1287    |         | 508 (M+H) |
| 1288    |         | 508 (M+H) |

Table 124

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1289    |         | 509 (M+H) |
| 1290    |         | 455 (M+H) |
| 1291    |         | 494 (M+H) |
| 1292    |         | 418 (M+H) |

Table 125

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1293    |         | 490 (M+H) |
| 1294    |         | 496 (M+H) |
| 1295    |         | 477 (M+H) |
| 1296    |         | 508 (M+H) |
| 1297    |         | 470 (M+H) |

Table 126

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1298    |         | 435 (M+H) |
| 1299    |         | 488 (M+H) |
| 1300    |         | 454 (M+H) |
| 1301    |         | 504 (M+H) |

Table 127

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1302    |         | 513 (M+H) |
| 1303    |         | 399 (M+H) |
| 1304    |         | 530 (M+H) |
| 1305    |         | 504 (M+H) |
| 1306    |         | 440 (M+H) |

Table 128

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1307    |         | 494 (M+H) |
| 1308    |         | 508 (M+H) |
| 1309    |         | 518 (M+H) |
| 1310    |         | 532 (M+H) |
| 1311    |         | 522 (M+H) |

Table 129

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1312    |         | 546 (M+H) |
| 1313    |         | 484 (M+H) |
| 1314    |         | 517 (M+H) |
| 1315    |         | 488 (M+H) |
| 1316    |         | 481 (M+H) |

Table 130

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1317    |         | 413 (M+H) |
| 1318    |         | 423 (M+H) |
| 1319    |         | 504 (M+H) |
| 1320    |         | 510 (M+H) |
| 1321    |         | 522 (M+H) |
| 1322    |         | 522 (M+H) |

Table 131

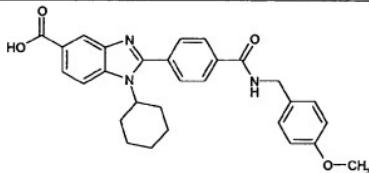
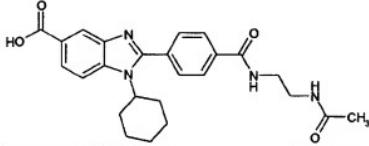
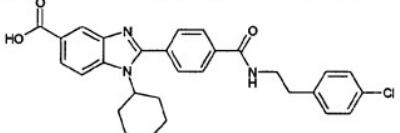
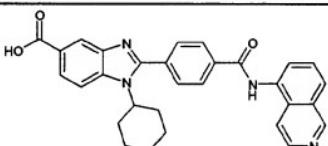
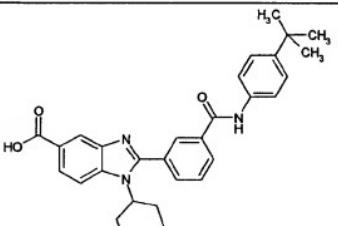
| Ex. No. | Formula                                                                            | MS        |
|---------|------------------------------------------------------------------------------------|-----------|
| 1323    |   | 484 (M+H) |
| 1324    |   | 449 (M+H) |
| 1325    |   | 502 (M+H) |
| 1326    |   | 491 (M+H) |
| 1327    |  | 496 (M+H) |

Table 132

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1328    |         | 497 (M+H) |
| 1329    |         | 470 (M+H) |
| 1330    |         | 530 (M+H) |
| 1331    |         | 502 (M+H) |
| 1332    |         | 522 (M+H) |

Table 133

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1333    |         | 491 (M+H) |
| 1334    |         | 536 (M+H) |
| 1335    |         | 547 (M+H) |
| 1336    |         | 484 (M+H) |
| 1337    |         | 484 (M+H) |
| 1338    |         | 498 (M+H) |

Table 134

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1339    |         | 528 (M+H) |
| 1340    |         | 498 (M+H) |
| 1341    |         | 514 (M+H) |
| 1342    |         | 513 (M+H) |
| 1343    |         | 488 (M+H) |
| 1344    |         | 502 (M+H) |

Table 135

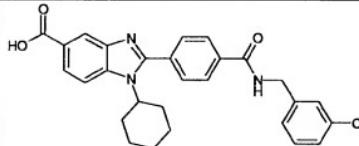
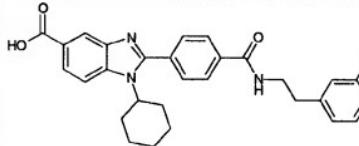
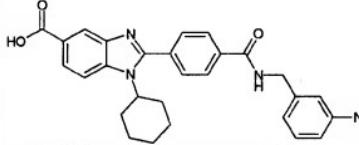
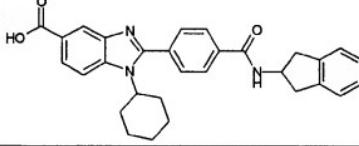
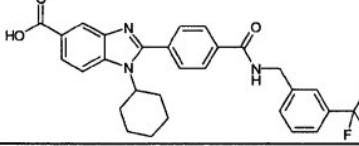
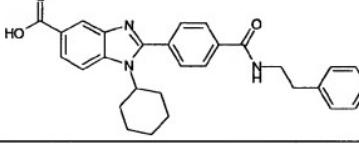
| Ex. No. | Formula                                                                            | MS        |
|---------|------------------------------------------------------------------------------------|-----------|
| 1345    |   | 488 (M+H) |
| 1346    |   | 502 (M+H) |
| 1347    |   | 499 (M+H) |
| 1348    |   | 480 (M+H) |
| 1349    |   | 522 (M+H) |
| 1350    |  | 546 (M+H) |

Table 136

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1351    |         | 482 (M+H) |
| 1352    |         | 484 (M+H) |
| 1353    |         | 609 (M+H) |
| 1354    |         | 532 (M+H) |
| 1355    |         | 480 (M+H) |
| 1356    |         | 566 (M+H) |

Table 137

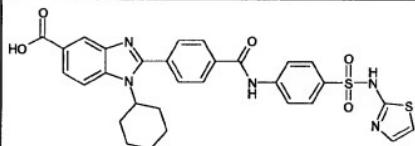
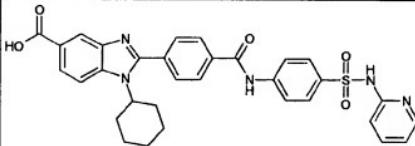
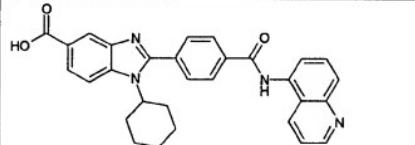
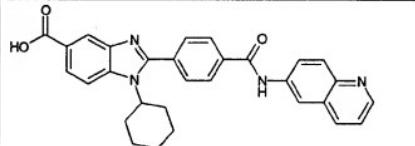
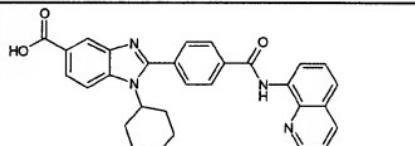
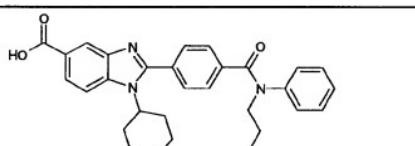
| Ex. No. | Formula                                                                            | MS        |
|---------|------------------------------------------------------------------------------------|-----------|
| 1357    |   | 602 (M+H) |
| 1358    |   | 596 (M+H) |
| 1359    |   | 491 (M+H) |
| 1360    |   | 491 (M+H) |
| 1361    |   | 491 (M+H) |
| 1362    |  | 496 (M+H) |

Table 138

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1363    |         | 512 (M+H) |
| 1364    |         | 494 (M+H) |
| 1365    |         | 488 (M+H) |
| 1366    |         | 481 (M+H) |
| 1367    |         | 524 (M+H) |
| 1368    |         | 497 (M+H) |

Table 139

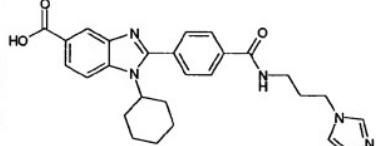
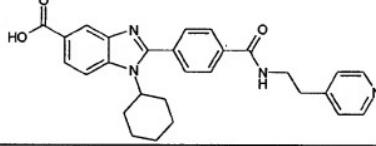
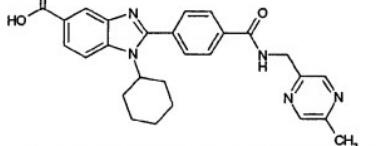
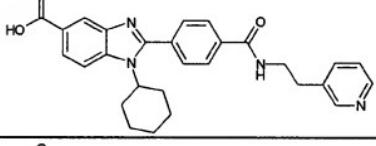
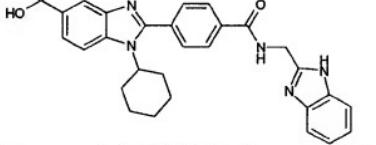
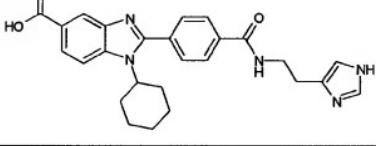
| Ex. No. | Formula                                                                             | MS        |
|---------|-------------------------------------------------------------------------------------|-----------|
| 1369    |    | 472 (M+H) |
| 1370    |    | 469 (M+H) |
| 1371    |    | 470 (M+H) |
| 1372    |    | 469 (M+H) |
| 1373    |    | 494 (M+H) |
| 1374    |  | 458 (M+H) |

Table 140

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1375    |         | 612 (M+H) |
| 1376    |         | 554 (M+H) |
| 1377    |         | 542 (M+H) |
| 1378    |         | 526 (M+H) |
| 1379    |         | 496 (M+H) |
| 1380    |         | 510 (M+H) |

Table 141

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1381    |         | 540 (M+H) |
| 1382    |         | 525 (M+H) |
| 1383    |         | 558 (M+H) |
| 1384    |         | 523 (M+H) |
| 1385    |         | 539 (M+H) |

Table 142

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1386    |         | 533 (M+H) |
| 1387    |         | 500 (M+H) |
| 1388    |         | 485 (M+H) |
| 1389    |         | 523 (M+H) |
| 1390    |         | 512 (M+H) |

Table 143

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1391    |         | 540 (M+H) |
| 1392    |         | 527 (M+H) |
| 1393    |         | 525 (M+H) |
| 1394    |         | 507 (M+H) |
| 1395    |         | 491 (M+H) |
| 1396    |         | 506 (M+H) |

Table 144

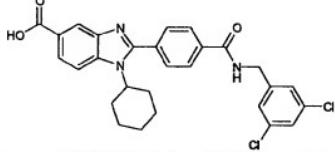
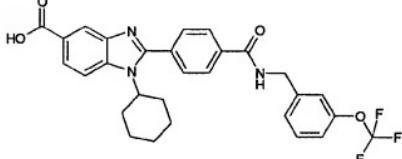
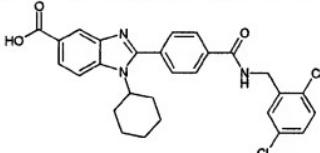
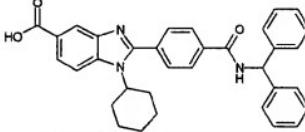
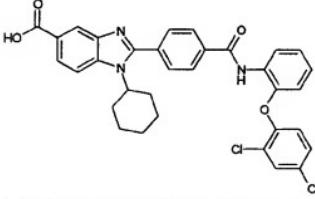
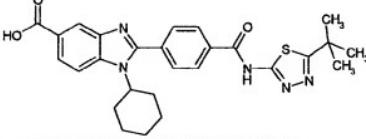
| Ex. No. | Formula                                                                             | MS        |
|---------|-------------------------------------------------------------------------------------|-----------|
| 1397    |    | 522 (M+H) |
| 1398    |    | 538 (M+H) |
| 1399    |    | 522 (M+H) |
| 1400    |    | 530 (M+H) |
| 1401    |   | 600 (M+H) |
| 1402    |  | 504 (M+H) |

Table 145

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1403    |         | 534 (M+H) |
| 1404    |         | 475 (M+H) |
| 1405    |         | 472 (M+H) |
| 1406    |         | 455 (M+H) |
| 1407    |         | 469 (M+H) |
| 1408    |         | 547 (M+H) |

Table 146

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1409    |         | 529 (M+H) |
| 1410    |         | 435 (M+H) |
| 1411    |         | 504 (M+H) |
| 1412    |         | 469 (M+H) |
| 1413    |         | 522 (M+H) |
| 1414    |         | 488 (M+H) |

Table 147

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1415    |         | 502 (M+H) |
| 1416    |         | 488 (M+H) |
| 1417    |         | 502 (M+H) |
| 1418    |         | 455 (M+H) |
| 1419    |         | 455 (M+H) |
| 1420    |         | 522 (M+H) |

Table 148

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1421    |         | 469 (M+H) |
| 1422    |         | 536 (M+H) |
| 1423    |         | 510 (M+H) |
| 1424    |         | 494 (M+H) |
| 1425    |         | 458 (M+H) |

Table 149

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1426    |         | 612 (M+H) |
| 1427    |         | 526 (M+H) |
| 1428    |         | 480 (M+H) |
| 1429    |         | 441 (M+H) |
| 1430    |         | 511 (M+H) |

Table 150

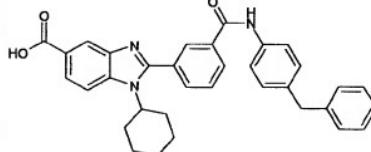
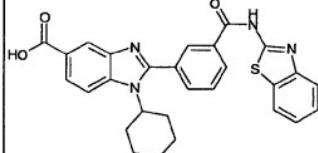
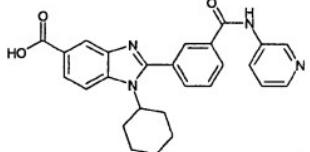
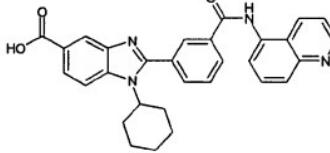
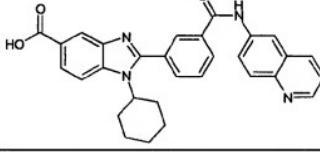
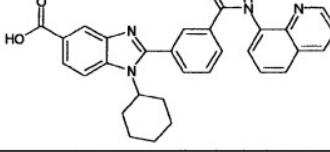
| Ex. No. | Formula                                                                             | MS        |
|---------|-------------------------------------------------------------------------------------|-----------|
| 1431    |    | 530 (M+H) |
| 1432    |    | 497 (M+H) |
| 1433    |    | 441 (M+H) |
| 1434    |    | 491 (M+H) |
| 1435    |    | 491 (M+H) |
| 1436    |  | 491 (M+H) |

Table 151

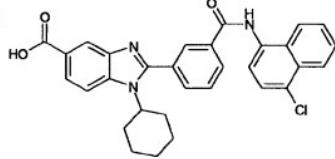
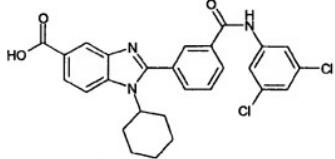
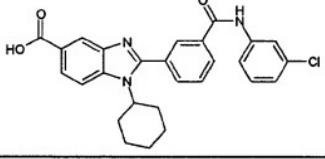
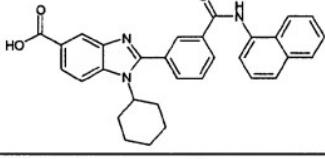
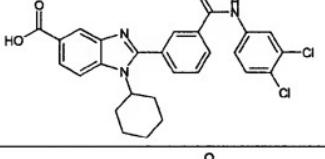
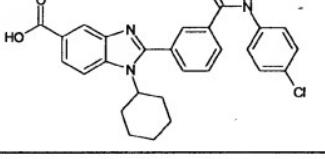
| Ex. No. | Formula                                                                             | MS        |
|---------|-------------------------------------------------------------------------------------|-----------|
| 1437    |    | 524 (M+H) |
| 1438    |    | 508 (M+H) |
| 1439    |    | 474 (M+H) |
| 1440    |    | 490 (M+H) |
| 1441    |   | 508 (M+H) |
| 1442    |  | 474 (M+H) |

Table 152

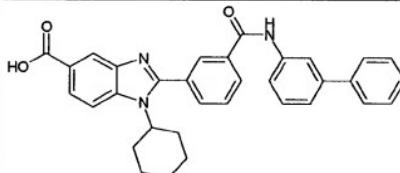
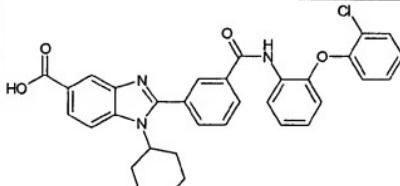
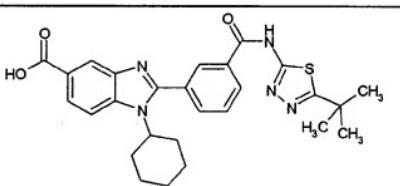
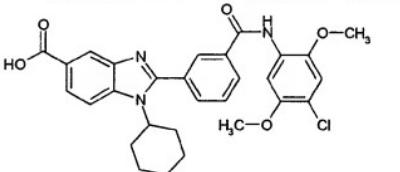
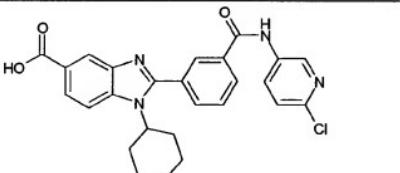
| Ex. No. | Formula                                                                            | MS        |
|---------|------------------------------------------------------------------------------------|-----------|
| 1443    |   | 516 (M+H) |
| 1444    |   | 600 (M+H) |
| 1445    |   | 504 (M+H) |
| 1446    |   | 534 (M+H) |
| 1447    |  | 475 (M+H) |

Table 153

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1448    |         | 530 (M+H) |
| 1449    |         | 440 (M+H) |
| 1450    |         | 490 (M+H) |
| 1451    |         | 474 (M+H) |
| 1452    |         | 441 (M+H) |
| 1453    |         | 508 (M+H) |

Table 154

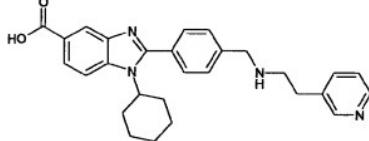
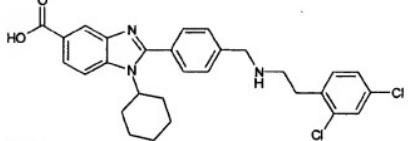
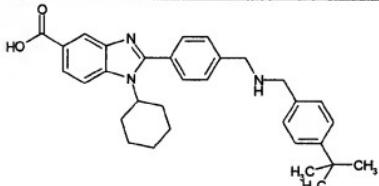
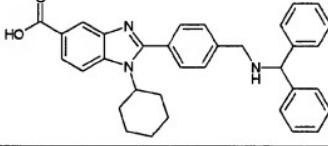
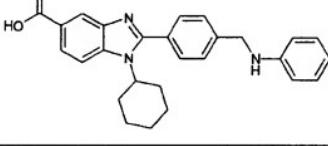
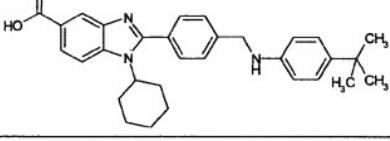
| Ex. No. | Formula                                                                             | MS        |
|---------|-------------------------------------------------------------------------------------|-----------|
| 1454    |    | 455 (M+H) |
| 1455    |    | 522 (M+H) |
| 1456    |    | 496 (M+H) |
| 1457    |    | 516 (M+H) |
| 1458    |   | 426 (M+H) |
| 1459    |  | 482 (M+H) |

Table 155

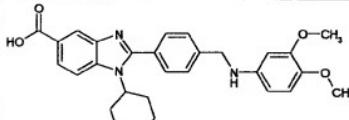
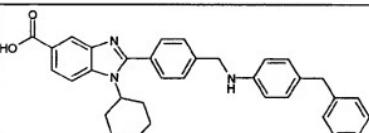
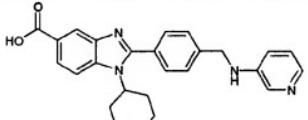
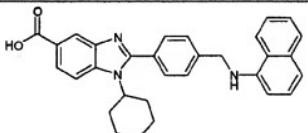
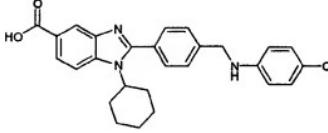
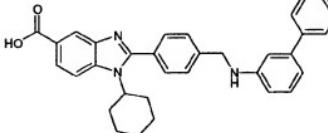
| Ex. No. | Formula                                                                            | MS        |
|---------|------------------------------------------------------------------------------------|-----------|
| 1460    |   | 486 (M+H) |
| 1461    |   | 516 (M+H) |
| 1462    |   | 427 (M+H) |
| 1463    |   | 476 (M+H) |
| 1464    |   | 460 (M+H) |
| 1465    |  | 502 (M+H) |

Table 156

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1466    |         | 586 (M+H) |
| 1467    |         | 518 (M+H) |
| 1468    |         | 530 (M+H) |
| 1469    |         | 598 (M+H) |
| 1470    |         | 512 (M+H) |
| 1471    |         | 544 (M+H) |

Table 157

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1472    |         | 440 (M+H) |
| 1473    |         | 490 (M+H) |
| 1474    |         | 474 (M+H) |
| 1475    |         | 441 (M+H) |
| 1476    |         | 508 (M+H) |
| 1477    |         | 455 (M+H) |

Table 158

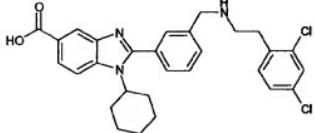
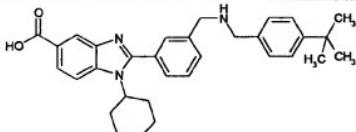
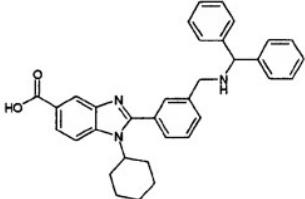
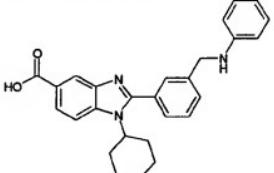
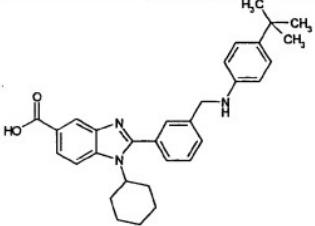
| Ex. No. | Formula                                                                            | MS        |
|---------|------------------------------------------------------------------------------------|-----------|
| 1478    |   | 522 (M+H) |
| 1479    |   | 496 (M+H) |
| 1480    |   | 516 (M+H) |
| 1481    |   | 426 (M+H) |
| 1482    |  | 482 (M+H) |

Table 159

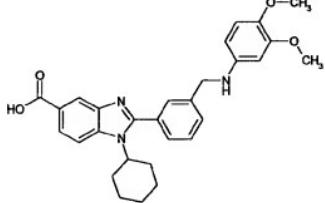
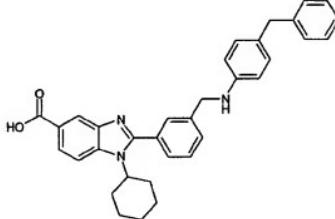
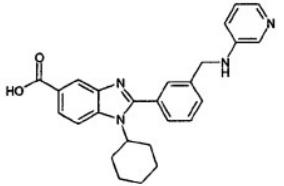
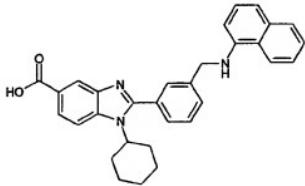
| Ex. No. | Formula                                                                            | MS        |
|---------|------------------------------------------------------------------------------------|-----------|
| 1483    |   | 486 (M+H) |
| 1484    |   | 516 (M+H) |
| 1485    |   | 427 (M+H) |
| 1486    |  | 476 (M+H) |

Table 160

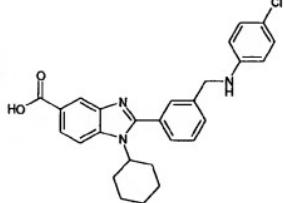
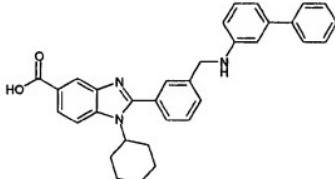
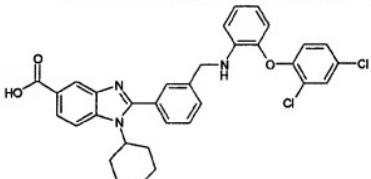
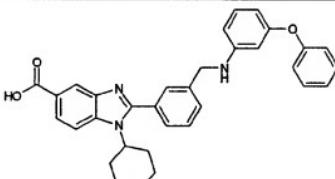
| Ex. No. | Formula                                                                           | MS        |
|---------|-----------------------------------------------------------------------------------|-----------|
| 1487    |  | 460 (M+H) |
| 1488    |  | 502 (M+H) |
| 1489    |  | 586 (M+H) |
| 1490    |  | 518 (M+H) |

Table 161

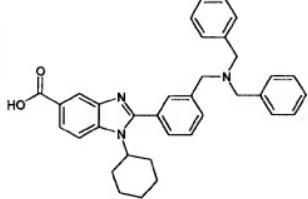
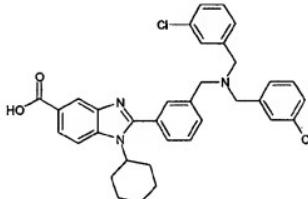
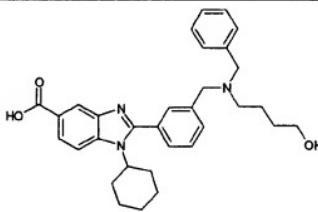
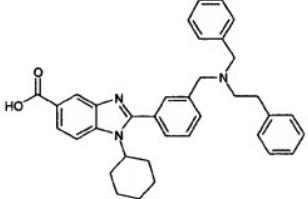
| Ex. No. | Formula                                                                            | MS        |
|---------|------------------------------------------------------------------------------------|-----------|
| 1491    |   | 530 (M+H) |
| 1492    |   | 598 (M+H) |
| 1493    |   | 512 (M+H) |
| 1494    |  | 544 (M+H) |

Table 162

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1495    |         | 580 (M+H) |
| 1496    |         | 550 (M+H) |
| 1497    |         | 606 (M+H) |
| 1498    |         | 580 (M+H) |
| 1499    |         | 550 (M+H) |

Table 163

| Ex. No. | Formula                                                                                                                                                                                                                                                        | MS        |
|---------|----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|-----------|
| 1500    | <p>Chemical structure of compound 1500: A tricyclic indole derivative with a cyclohexyl group at position 3, a 4-(4-chlorophenyl)phenyl group at position 7, and a 4-(4-methyl-2-(4-methylphenyl)phenyl)phenyl group at position 2.</p>                        | 606 (M+H) |
| 1501    | <p>Chemical structure of compound 1501: A tricyclic indole derivative with a cyclohexyl group at position 3, a 4-(4-(4-methoxyphenyl)phenyl)phenyl group at position 7, and a 4-(4-(4-(trifluoromethoxy)phenyl)phenyl)phenyl group at position 2.</p>          | 630 (M+H) |
| 1502    | <p>Chemical structure of compound 1502: A tricyclic indole derivative with a cyclohexyl group at position 3, a 4-(4-(4-methylphenyl)phenyl)phenyl group at position 7, and a 4-(4-(4-(trifluoromethoxy)phenyl)phenyl)phenyl group at position 2.</p>           | 600 (M+H) |
| 1503    | <p>Chemical structure of compound 1503: A tricyclic indole derivative with a cyclohexyl group at position 3, a 4-(4-(4-(4-methylphenyl)phenyl)phenyl)phenyl group at position 7, and a 4-(4-(4-(trifluoromethoxy)phenyl)phenyl)phenyl group at position 2.</p> | 656 (M+H) |

Table 164

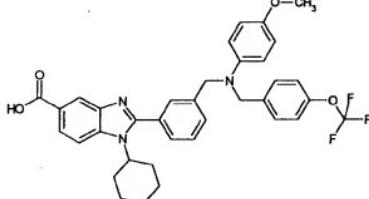
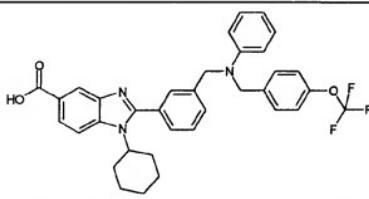
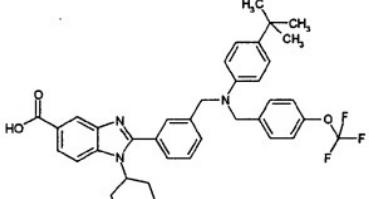
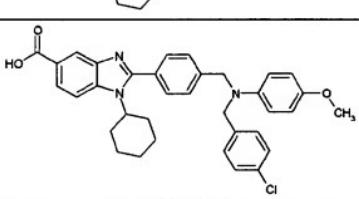
| Ex. No. | Formula                                                                           | MS        |
|---------|-----------------------------------------------------------------------------------|-----------|
| 1504    |  | 630 (M+H) |
| 1505    |  | 600 (M+H) |
| 1506    |  | 656 (M+H) |
| 1507    |  | 580 (M+H) |

Table 165

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1508    |         | 550 (M+H) |
| 1509    |         | 606 (M+H) |
| 1510    |         | 580 (M+H) |
| 1511    |         | 550 (M+H) |
| 1512    |         | 546 (M+H) |

Table 166

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1513    |         | 516 (M+H) |
| 1514    |         | 572 (M+H) |
| 1515    |         | 546 (M+H) |
| 1516    |         | 516 (M+H) |
| 1517    |         | 572 (M+H) |

Table 167

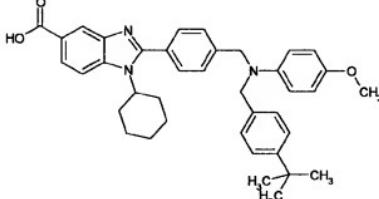
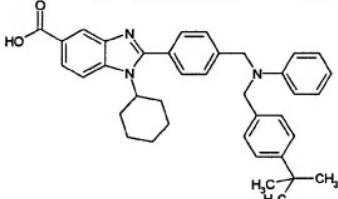
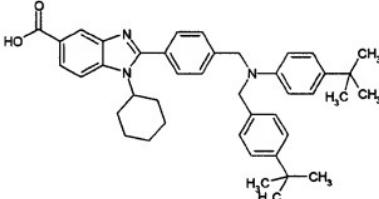
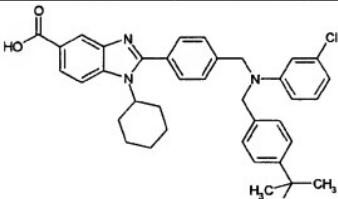
| Ex. No. | Formula                                                                            | MS        |
|---------|------------------------------------------------------------------------------------|-----------|
| 1518    |   | 602 (M+H) |
| 1519    |   | 572 (M+H) |
| 1520    |   | 628 (M+H) |
| 1521    |  | 606 (M+H) |

Table 168

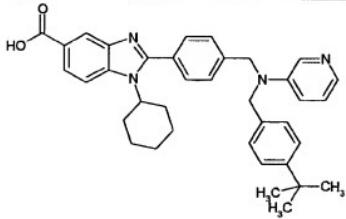
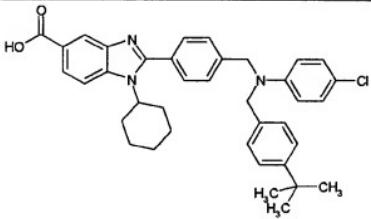
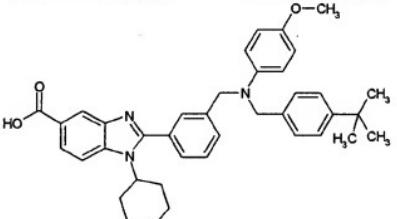
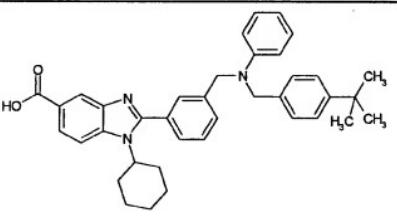
| Ex. No. | Formula                                                                            | MS        |
|---------|------------------------------------------------------------------------------------|-----------|
| 1522    |   | 573 (M+H) |
| 1523    |   | 606 (M+H) |
| 1524    |   | 602 (M+H) |
| 1525    |  | 572 (M+H) |

Table 169

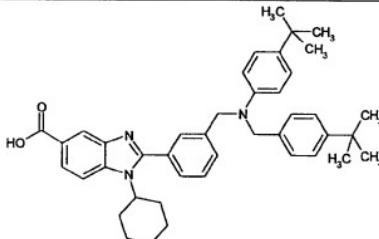
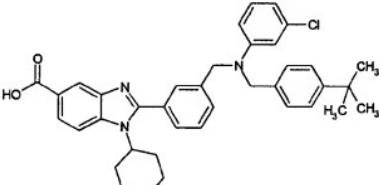
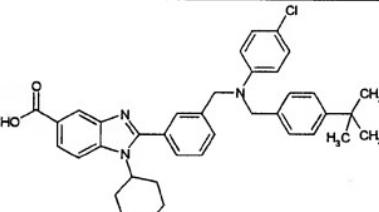
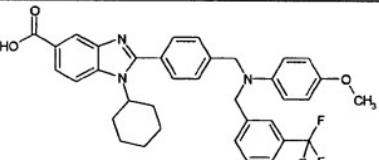
| Ex. No. | Formula                                                                            | MS        |
|---------|------------------------------------------------------------------------------------|-----------|
| 1526    |   | 628 (M+H) |
| 1527    |   | 606 (M+H) |
| 1528    |   | 606 (M+H) |
| 1529    |  | 614 (M+H) |

Table 170

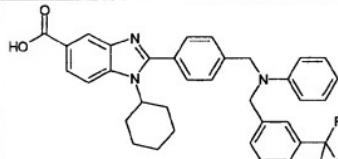
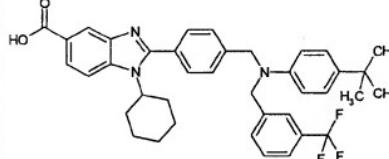
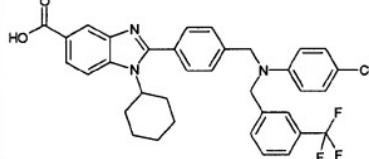
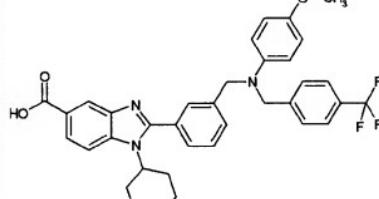
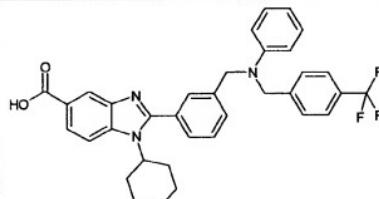
| Ex. No. | Formula                                                                            | MS        |
|---------|------------------------------------------------------------------------------------|-----------|
| 1530    |   | 584 (M+H) |
| 1531    |   | 640 (M+H) |
| 1532    |   | 618 (M+H) |
| 1533    |   | 614 (M+H) |
| 1534    |  | 584 (M+H) |

Table 171

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1535    |         | 640 (M+H) |
| 1536    |         | 627 (M+H) |
| 1537    |         | 627 (M+H) |

Table 172

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1538    |         | 560 (M+H) |
| 1539    |         | 634 (M+H) |
| 1540    |         | 593 (M+H) |
| 1541    |         | 627 (M+H) |

Table 173

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1542    |         | 627 (M+H) |
| 1543    |         | 560 (M+H) |
| 1544    |         | 634 (M+H) |
| 1545    |         | 593 (M+H) |

Table 174

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1546    |         | 627 (M+H) |
| 1547    |         | 627 (M+H) |
| 1548    |         | 560 (M+H) |
| 1549    |         | 634 (M+H) |

Table 175

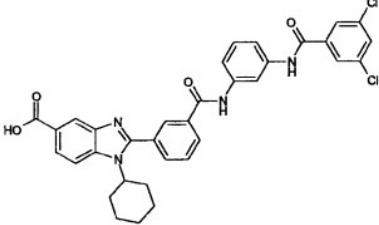
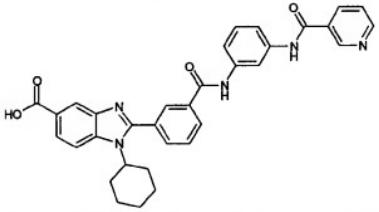
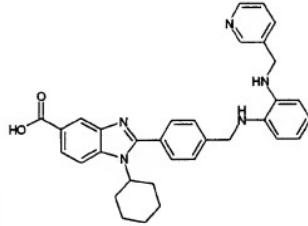
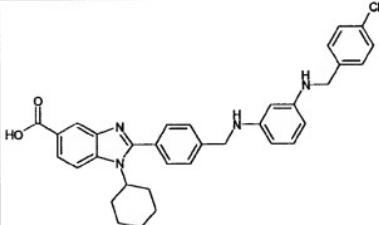
| Ex. No. | Formula                                                                            | MS        |
|---------|------------------------------------------------------------------------------------|-----------|
| 1550    |   | 627 (M+H) |
| 1551    |   | 560 (M+H) |
| 1552    |   | 532 (M+H) |
| 1553    |  | 565 (M+H) |

Table 176

| Ex. No. | Formula | MS        |
|---------|---------|-----------|
| 1554    |         | 599 (M+H) |
| 1555    |         | 599 (M+H) |
| 1556    |         | 532 (M+H) |
| 1557    |         | 532 (M+H) |

Table 177

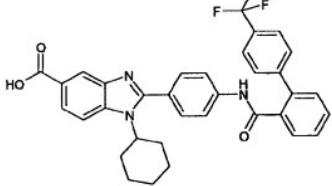
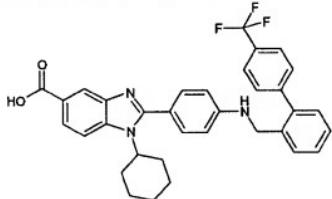
| Ex. No. | Formula                                                                           | MS        |
|---------|-----------------------------------------------------------------------------------|-----------|
| 1558    |  | 584 (M+H) |
| 1559    |  | 570 (M+H) |

Table 178

| Ex.<br>No. | HCV polymerase<br>inhibitory activity<br>IC <sub>50</sub> [μM] | Ex.<br>No. | HCV polymerase<br>inhibitory activity<br>IC <sub>50</sub> [μM] |
|------------|----------------------------------------------------------------|------------|----------------------------------------------------------------|
| 2          | 0.079                                                          | 67         | 0.26                                                           |
| 6          | 0.034                                                          | 68         | 0.28                                                           |
| 9          | 0.019                                                          | 70         | 0.19                                                           |
| 11         | 0.53                                                           | 71         | 0.62                                                           |
| 12         | 0.60                                                           | 77         | 0.51                                                           |
| 17         | 0.047                                                          | 81         | 0.18                                                           |
| 20         | 0.042                                                          | 82         | 0.097                                                          |
| 26         | 0.033                                                          | 83         | 0.52                                                           |
| 30         | 0.052                                                          | 85         | 0.17                                                           |
| 43         | 0.58                                                           | 86         | 0.13                                                           |
| 44         | 0.95                                                           | 87         | 0.80                                                           |
| 45         | 0.40                                                           | 88         | 0.092                                                          |
| 46         | 0.47                                                           | 89         | 0.34                                                           |
| 47         | 0.54                                                           | 90         | 0.20                                                           |
| 48         | 0.44                                                           | 91         | 0.53                                                           |
| 49         | 0.94                                                           | 93         | 0.16                                                           |
| 50         | 0.54                                                           | 94         | 0.084                                                          |
| 51         | 1.0                                                            | 96         | 0.25                                                           |
| 54         | 0.56                                                           | 97         | 0.16                                                           |
| 55         | 0.36                                                           | 98         | 0.30                                                           |

Table 179

| Ex.<br>No. | HCV polymerase<br>inhibitory activity<br>$IC_{50}$ [ $\mu M$ ] | Ex.<br>No. | HCV polymerase<br>inhibitory activity<br>$IC_{50}$ [ $\mu M$ ] |
|------------|----------------------------------------------------------------|------------|----------------------------------------------------------------|
| 99         | 0.53                                                           | 120        | 0.16                                                           |
| 100        | 0.78                                                           | 121        | 0.19                                                           |
| 101        | 0.14                                                           | 122        | 0.51                                                           |
| 103        | 0.17                                                           | 123        | 0.10                                                           |
| 104        | 0.073                                                          | 124        | 0.091                                                          |
| 105        | 0.076                                                          | 125        | 0.12                                                           |
| 106        | 0.40                                                           | 128        | 0.14                                                           |
| 107        | 0.11                                                           | 129        | 0.12                                                           |
| 108        | 0.21                                                           | 130        | 0.16                                                           |
| 109        | 0.11                                                           | 131        | 0.046                                                          |
| 110        | 0.24                                                           | 132        | 0.055                                                          |
| 111        | 0.14                                                           | 133        | 0.12                                                           |
| 112        | 0.11                                                           | 134        | 0.071                                                          |
| 113        | 0.071                                                          | 139        | 0.26                                                           |
| 114        | 0.56                                                           | 140        | 0.11                                                           |
| 115        | 0.17                                                           | 141        | 0.43                                                           |
| 116        | 0.37                                                           | 142        | 0.055                                                          |
| 117        | 0.075                                                          | 143        | 0.053                                                          |
| 118        | 0.14                                                           | 144        | 0.19                                                           |
| 119        | 0.13                                                           | 145        | 0.088                                                          |

Table 180

| Ex.<br>No. | HCV polymerase<br>inhibitory activity<br>$IC_{50}$ [ $\mu M$ ] | Ex.<br>No. | HCV polymerase<br>inhibitory activity<br>$IC_{50}$ [ $\mu M$ ] |
|------------|----------------------------------------------------------------|------------|----------------------------------------------------------------|
| 146        | 0.043                                                          | 167        | 0.033                                                          |
| 147        | 0.31                                                           | 168        | 0.078                                                          |
| 148        | 0.038                                                          | 169        | 0.15                                                           |
| 149        | 0.15                                                           | 170        | 0.048                                                          |
| 150        | 0.24                                                           | 171        | 0.050                                                          |
| 151        | 0.20                                                           | 172        | 0.10                                                           |
| 153        | 0.19                                                           | 173        | 0.14                                                           |
| 154        | 0.076                                                          | 174        | 0.030                                                          |
| 155        | 0.53                                                           | 175        | 0.29                                                           |
| 156        | 0.23                                                           | 176        | 0.053                                                          |
| 157        | 0.16                                                           | 177        | 0.077                                                          |
| 158        | 0.11                                                           | 178        | 0.052                                                          |
| 159        | 0.13                                                           | 179        | 0.63                                                           |
| 160        | 0.24                                                           | 180        | 0.11                                                           |
| 161        | 0.062                                                          | 181        | 0.71                                                           |
| 162        | 0.43                                                           | 182        | 0.021                                                          |
| 163        | 0.15                                                           | 183        | 0.017                                                          |
| 164        | 0.16                                                           | 184        | 0.018                                                          |
| 165        | 0.58                                                           | 185        | 0.11                                                           |
| 166        | 0.055                                                          | 186        | 0.37                                                           |

Table 181

| Ex.<br>No. | HCV polymerase<br>inhibitory activity<br>$IC_{50}$ [ $\mu M$ ] | Ex.<br>No. | HCV polymerase<br>inhibitory activity<br>$IC_{50}$ [ $\mu M$ ] |
|------------|----------------------------------------------------------------|------------|----------------------------------------------------------------|
| 187        | 0.056                                                          | 207        | 0.081                                                          |
| 188        | 0.038                                                          | 208        | 0.039                                                          |
| 189        | 0.017                                                          | 209        | 0.12                                                           |
| 190        | 0.020                                                          | 210        | 0.31                                                           |
| 191        | 0.43                                                           | 211        | 0.059                                                          |
| 192        | 0.22                                                           | 212        | 0.23                                                           |
| 193        | 0.13                                                           | 213        | 0.10                                                           |
| 194        | 0.52                                                           | 214        | 0.059                                                          |
| 195        | 0.023                                                          | 215        | 0.078                                                          |
| 196        | 0.20                                                           | 216        | 0.084                                                          |
| 197        | 0.11                                                           | 217        | 0.058                                                          |
| 198        | 0.044                                                          | 218        | 0.033                                                          |
| 199        | 0.11                                                           | 219        | 0.13                                                           |
| 200        | 0.10                                                           | 220        | 0.073                                                          |
| 201        | 0.14                                                           | 221        | 0.058                                                          |
| 202        | 0.095                                                          | 222        | 0.041                                                          |
| 203        | 0.063                                                          | 223        | 0.21                                                           |
| 204        | 0.16                                                           | 225        | 0.014                                                          |
| 205        | 0.077                                                          | 227        | 0.045                                                          |
| 206        | 0.05                                                           | 228        | 0.18                                                           |

Table 182

| Ex.<br>No. | HCV polymerase<br>inhibitory activity<br>IC <sub>50</sub> [μM] | Ex.<br>No. | HCV polymerase<br>inhibitory activity<br>IC <sub>50</sub> [μM] |
|------------|----------------------------------------------------------------|------------|----------------------------------------------------------------|
| 229        | 0.022                                                          | 257        | 0.074                                                          |
| 230        | 0.17                                                           | 259        | 0.10                                                           |
| 231        | 0.073                                                          | 260        | 0.27                                                           |
| 232        | 0.015                                                          | 262        | 0.013                                                          |
| 233        | 0.028                                                          | 263        | 0.035                                                          |
| 234        | 0.022                                                          | 264        | <0.01                                                          |
| 235        | 0.036                                                          | 265        | 0.014                                                          |
| 236        | 0.075                                                          | 266        | 0.018                                                          |
| 237        | 0.015                                                          | 267        | 0.014                                                          |
| 238        | 0.19                                                           | 268        | 0.012                                                          |
| 239        | 0.17                                                           | 269        | 0.013                                                          |
| 240        | 0.055                                                          | 270        | 0.012                                                          |
| 248        | 0.012                                                          | 271        | 0.024                                                          |
| 249        | 0.022                                                          | 272        | 0.066                                                          |
| 250        | 0.018                                                          | 273        | 0.041                                                          |
| 252        | 0.32                                                           | 276        | 0.023                                                          |
| 253        | 0.65                                                           | 279        | 0.017                                                          |
| 254        | 0.038                                                          | 280        | 0.016                                                          |
| 255        | 0.038                                                          | 281        | 0.052                                                          |
| 256        | 0.079                                                          | 282        | 0.019                                                          |

Table 183

| Ex.<br>No. | HCV polymerase<br>inhibitoryactivity<br>IC <sub>50</sub> [μM] | Ex.<br>No. | HCV polymerase<br>inhibitoryactivity<br>IC <sub>50</sub> [μM] |
|------------|---------------------------------------------------------------|------------|---------------------------------------------------------------|
| 283        | 0.014                                                         | 300        | 0.045                                                         |
| 284        | 0.014                                                         | 301        | 0.017                                                         |
| 285        | 0.012                                                         | 303        | 0.10                                                          |
| 286        | 0.014                                                         | 304        | 0.017                                                         |
| 287        | 0.012                                                         | 305        | 0.01                                                          |
| 288        | 0.013                                                         | 306        | 0.013                                                         |
| 289        | <0.01                                                         | 307        | 0.022                                                         |
| 290        | 0.012                                                         | 308        | 0.023                                                         |
| 291        | 0.016                                                         | 311        | 0.16                                                          |
| 292        | 0.015                                                         | 312        | 0.023                                                         |
| 293        | 0.034                                                         | 313        | 0.025                                                         |
| 294        | 0.032                                                         | 314        | 0.097                                                         |
| 295        | 0.045                                                         | 315        | 0.028                                                         |
| 296        | 0.034                                                         | 316        | 0.022                                                         |
| 297        | 0.022                                                         | 317        | 0.032                                                         |
| 298        | 0.011                                                         | 318        | 0.012                                                         |
| 299        | 0.018                                                         | 319        | 0.030                                                         |

Table 184

| Ex.<br>No. | HCV polymerase<br>inhibitory activity<br>$IC_{50}$ [ $\mu M$ ] | Ex.<br>No. | HCV polymerase<br>inhibitory activity<br>$IC_{50}$ [ $\mu M$ ] |
|------------|----------------------------------------------------------------|------------|----------------------------------------------------------------|
| 320        | 0.036                                                          | 328        | 0.015                                                          |
| 321        | 0.015                                                          | 329        | 0.047                                                          |
| 322        | 0.016                                                          | 330        | 0.011                                                          |
| 323        | 0.018                                                          | 331        | 0.017                                                          |
| 324        | 0.027                                                          | 332        | 0.023                                                          |
| 325        | 0.019                                                          | 333        | 0.016                                                          |
| 326        | 0.018                                                          | 334        | 0.016                                                          |
| 327        | 0.019                                                          | 335        | 0.013                                                          |

Table 185

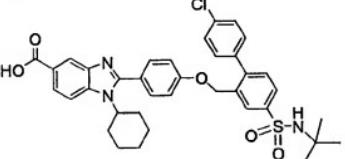
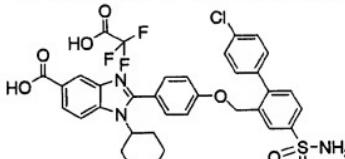
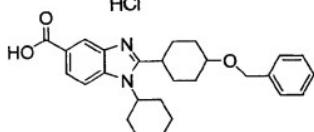
|             |              |                                                                                    |                                                                                                                                                                                                                                                                                            |
|-------------|--------------|------------------------------------------------------------------------------------|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 249          |   | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.02(1H, d, J=1.5Hz), 8.11(1H, d, J=1.8Hz), 7.96-7.81(3H, m), 7.67(1H, s), 7.61-7.49(6H, m), 7.08(2H, d, J=8.6Hz), 5.19(2H, s), 4.25(1H, m), 2.38-2.17(2H, m), 1.96-1.78(4H, m), 1.70-1.56(1H, m), 1.46-1.16(3H, m), 1.11(9H, s) |
| Purity      | > 90 % (NMR) |                                                                                    |                                                                                                                                                                                                                                                                                            |
| MS          | 672(M+1)     |                                                                                    |                                                                                                                                                                                                                                                                                            |
| Example No. | 250          |   | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.25(1H, d, J=1.5Hz), 8.16-8.08(2H, m), 7.99-7.88(2H, m), 7.66(2H, d, J=8.6Hz), 7.60-7.48(5H, m), 7.19(2H, d, J=8.6Hz), 5.17(2H, s), 4.31(1H, m), 2.39-2.20(2H, m), 2.04-1.79(4H, m), 1.72-1.60(1H, m), 1.50-1.18(3H, m)         |
| Purity      | > 90 % (NMR) |                                                                                    |                                                                                                                                                                                                                                                                                            |
| MS          | 616(M+1)     |                                                                                    |                                                                                                                                                                                                                                                                                            |
| Example No. | 251          |  | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>cis and trans mixture<br>8.13and8.11(total 1H, each s), 7.90-7.74(2H, m), 7.42-7.22(5H, m), 4.56and4.52(total 2H, each s), 4.42(1H, brs), 3.78-3.06(2H, m) 2.33-1.33(18H, m)                                                     |
| Purity      | > 90 % (NMR) |                                                                                    |                                                                                                                                                                                                                                                                                            |
| MS          | 433(M+1)     |                                                                                    |                                                                                                                                                                                                                                                                                            |

Table 186

|             |              |                                                                                                                                                                                                                                                                                                                                                                        |
|-------------|--------------|------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 252          | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8. 20 (1H, d, J=1. 5Hz), 7. 96 (1H, d, J=8. 6Hz), 7. 84 (1H, dd, J=8. 6, 1. 5Hz), 7. 54 (2H, d, J=6. 9Hz), 7. 48-7. 26 (8H, m) , 7. 09 (1H, t, J=7. 3Hz), 5. 43 (2H, s), 4. 06 (1H, m), 2. 40-2 . 20 (2H, m), 2. 01-1. 80 (4H, m) , 1. 75-1. 64 (1H, m), 1. 51-1 . 28 (3H, m)                                            |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                        |
| MS          | 509 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                        |
| Example No. | 253          | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8. 21 (1H, d, J=1. 5Hz), 7. 93 (1H, d, J=8. 7Hz), 7. 85 (1H, dd, J=8. 4, 1. 5Hz), 7. 54-7. 47 (2H, m), 7. 40-7. 24 (6H, m) , 7. 15 (1H, d, J=3. 6Hz), 7. 11-7. 05 (1H, m), 6. 81 (1H, d, J=3. 6 Hz), 5. 26 (2H, s), 4. 96 (1H, m) , 2. 32-2. 13 (2H, m), 1. 95-1 . 72 (4H, m), 1. 68-1. 55 (1H, m) , 1. 43-1. 18 (3H, m) |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                        |
| MS          | 493 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                        |
| Example No. | 254          | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8. 25 (1H, s), 8. 02 (1H, d, J=8 . 7Hz), 7. 90 (1H, dd, J=8. 4, 1 . 4Hz), 7. 80-7. 71 (2H, m), 7. 67 (2H, d, J=8. 7Hz), 7. 33 (2H , t, J=8. 7Hz), 7. 26 (2H, d, J= 8. 7Hz), 5. 46 (2H, s), 4. 78 (2 H, s), 4. 31 (1H, m), 2. 39-2. 1 9 (2H, m), 2. 03-1. 79 (4H, m) , 1. 71-1. 59 (1H, m), 1. 50-1. 1 7 (3H, m)          |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                        |
| MS          | 558 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                        |

Table 187

|             |              |                                                                                                                                                                                                                                                                                                                    |
|-------------|--------------|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 255          | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.34 (1H, s), 8.32 (1H, d, J=8.8Hz), 8.09-8.03 (3H, m), 7.83 (2H, d, J=8.3Hz), 7.79 (2H, d, J=8.8Hz), 7.36 (2H, d, J=8.8Hz), 5.54 (2H, s), 4.38 (1H, m), 2.74 (3H, s), 2.40-2.18 (2H, m), 2.13-1.96 (2H, m), 1.93-1.78 (2H, m), 1.73-1.57 (1H, m), 1.55-1.15 (3H, m) |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                    |
| MS          | 568 (M+1)    |                                                                                                                                                                                                                                                                                                                    |

| Example No. | 256          | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6                                                                                                                                                                                                                                                                |
|-------------|--------------|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
|             |              | 12.67 (1H, brs), 8.23 (1H, s)<br>7.94 and 7.87 (2H, ABq, J=8.6Hz), 7.79 (1H, dd, J=8.7, 5.4Hz), 7.62-7.41 (7H, m), 6.80 (1H, dd, J=11.9, 2.3Hz), 6.69 (1H, dd, J=8.1, 2.1Hz), 5.20 (2H, s), 3.93 (1H, brt, J=1.5.3Hz), 2.30-2.11 (2H, brm), 1.88-1.74 (4H, brm), 1.64-1.58 (1H, brm), 1.41-1.14 (3H, brm) |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                           |
| MS          | 585 (M+1)    |                                                                                                                                                                                                                                                                                                           |

|             |              |                                                                                                                                                                                                                                                                                                                                      |
|-------------|--------------|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 257          | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.19 (1H, d, $J=8.7\text{Hz}$ ), 7.93 (1H, s), 7.83-7.71 (3H, m), 7.50-7.39 (4H, m), 7.34-7.10 (4H, m), 7.06 (1H, dd, $J=8.4, 2.9\text{Hz}$ ), 5.09 (2H, s), 4.34 (1H, m), 3.82 (3H, s), 2.39-2.19 (2H, m), 2.11-1.98 (2H, m), 1.94-1.79 (2H, m), 1.74-1.58 (1H, m), 1.52-1.21 (3H, m) |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                      |
| MS          | 603 (M+1)    |                                                                                                                                                                                                                                                                                                                                      |

Table 188

|             |              |                                                                                                                                                                                                                                                                                                                                                                    |
|-------------|--------------|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 258          | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>7.79 (1H, d, J=6.7Hz), 7.56 (1H, d, J=7.5Hz), 7.49 (2H, d, J=8.6Hz), 7.42 (4H, s), 7.32-7.23 (3H, m), 7.09-7.03 (3H, m), 5.02 (2H, s), 4.46 (1H, m), 3.82 (3H, s), 1.95-1.83 (2H, m), 1.75-1.44 (5H, m), 1.30-1.10 (2H, m), 0.89-0.71 (1H, m)                                                                        |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                    |
| MS          | 567 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                    |
| Example No. | 259          | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.93 (2H, d, J=6.6Hz), 8.36 (1H, s), 8.28 (1H, d, J=8.7Hz), 8.10-8.03 (3H, m), 7.85 (2H, d, J=8.7Hz), 7.33 (2H, d, J=8.7Hz), 7.23 (1H, s), 7.23 (1H, s), 6.81 (1H, s), 5.56 (2H, s), 4.39 (1H, m), 2.97, 2.92 (6H, s), 2.40-2.18 (2H, m), 2.16-1.95 (2H, m), 1.90-1.75 (2H, m), 1.70-1.55 (1H, m), 1.50-1.15 (3H, m) |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                    |
| MS          | 591 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                    |
| Example No. | 260          | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.93 (2H, d, J=6.3Hz), 8.35 (1H, s), 8.26 (1H, d, J=8.7Hz), 8.09-8.02 (3H, m), 7.86 (2H, d, J=8.7Hz), 7.50 (1H, s), 7.35 (2H, d, J=8.4Hz), 7.24 (2H, d, J=7.8Hz), 5.60 (2H, s), 4.39 (1H, m), 2.50-2.18 (2H, m), 2.15-1.95 (2H, m), 1.90-1.75 (2H, m), 1.70-1.55 (1H, m), 1.50-1.10 (3H, m)                          |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                    |
| MS          | 564 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                    |

Table 189

| Example No. | 261          | 1H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                                                                                                                                           |
|-------------|--------------|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
|             |              | 300MHz, DMSO-d6<br>8.22 (1H, d, J=7.8Hz), 7.85 (1H, d, J=6.7Hz), 7.63 (2H, d, J=9.0Hz), 7.51-7.38 (5H, m), 7.29 (1H, d, J=8.3Hz), 7.23 (1H, d, J=3.0Hz), 7.06 (2H, d, J=9.0Hz), 7.06 (1H, dd, J=8.6, 3.0Hz), 5.05 (2H, s), 4.41-4.25 (1H, m), 3.83 (3H, s), 2.40-2.20 (2H, m), 2.03-1.78 (4H, m), 1.72-1.57 (1H, m), 1.50-1.18 (3H, m)                            |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                   |
| MS          | 567 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                   |
| Example No. | 262          | 1H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                                                                                                                                           |
|             |              | 300MHz, DMSO-d6<br>8.29 (1H, d, J=1.5Hz), 8.26 (1H, d, J=9.0Hz), 8.19 (1H, d, J=1.8Hz), 8.13 (1H, brs), 8.08-7.96 (2H, m), 7.73 (2H, d, J=9.0Hz), 7.57-7.43 (6H, m), 7.24 (2H, d, J=9.0Hz), 5.14 (2H, s), 4.36 (1H, m), 2.38-2.18 (2H, m), 2.12-1.97 (2H, m), 1.93-1.80 (2H, m), 1.73-1.58 (1H, m), 1.52-1.20 (3H, m)                                             |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                   |
| MS          | 580 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                   |
| Example No. | 263          | 1H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                                                                                                                                           |
|             |              | 300MHz, DMSO-d6<br>12.85 (1H, brs), 8.72 (1H, d, J=4.8Hz), 8.22 (1H, s), 8.14 (1H, d, J=6.3Hz), 8.03 and 7.76 (4H, ABq, J=8.6Hz), 7.93 and 7.85 (2H, A'B'q, J=8.6Hz), 7.60 and 7.15 (4H, A'B'q, J=8.7Hz), 7.55 (1H, dd, J=6.3, 4.8Hz), 5.19 (2H, s), 4.26 (1H, brt, J=12.6Hz), 2.35-2.18 (2H, brm), 1.95-1.77 (4H, brm), 1.70-1.60 (1H, brm), 1.45-1.15 (3H, brm) |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                   |
| MS          | 548 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                   |

Table 190

| Example No. | 264            | 1H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                                                                                                                                 |
|-------------|----------------|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
|             |                | 300MHz, DMSO-d6<br>8.23(1H, d, J=1.0Hz), 7.92(1H, dd, J=8.7, 1.0Hz), 7.87(1H, d, J=8.7Hz), 7.60(2H, d, J=8.6Hz), 7.47(2H, d, J=8.7Hz), 7.44(2H, d, J=8.7Hz), 7.30(1H, d, J=8.3Hz), 7.23(1H, d, J=2.6Hz), 7.11(2H, d, J=8.7Hz), 7.06(1H, dd, J=8.7, 2.6Hz), 5.04(2H, s), 4.36(1H, m), 3.83(3H, s), 2.80-2.70(4H, m), 2.60-2.40(2H, m), 2.30-2.20(2H, m)  |
| Purity      | > 90 % (NMR)   |                                                                                                                                                                                                                                                                                                                                                         |
| MS          | 586, 588 (M+1) |                                                                                                                                                                                                                                                                                                                                                         |
| Example No. | 265            | 1H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                                                                                                                                 |
|             |                | 300MHz, DMSO-d6<br>8.30(1H, d, J=1.5Hz), 8.25(1H, d, J=9.1Hz), 8.03(1H, dd, J=8.7, 1.5Hz), 7.76-7.96(3H, m), 7.55-7.49(5H, m), 7.42(1H, d, J=7.6Hz), 7.23(2H, d, J=8.7Hz), 5.15(2H, s), 4.35(1H, m), 3.01(3H, s), 2.97(3H, s), 2.37-2.20(2H, m), 2.09-1.97(2H, m), 1.94-1.81(2H, m), 1.72-1.60(1H, m), 1.50-1.21(3H, m)                                 |
| Purity      | > 90 % (NMR)   |                                                                                                                                                                                                                                                                                                                                                         |
| MS          | 608 (M+1)      |                                                                                                                                                                                                                                                                                                                                                         |
| Example No. | 266            | 1H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                                                                                                                                 |
|             |                | 300MHz, DMSO-d6<br>8.27(1H, d, J=1.5Hz), 8.20(1H, d, J=9.0Hz), 8.00(1H, dd, J=8.6, 1.5Hz), 7.82(2H, d, J=8.2Hz), 7.76-7.65(5H, m), 7.56(1H, dd, J=7.9, 1.8Hz), 7.47(1H, d, J=7.5Hz), 7.20(2H, d, J=8.6Hz), 5.16(2H, s), 4.32(1H, m), 3.02(3H, s), 2.98(3H, s), 2.38-2.19(2H, m), 2.07-1.95(2H, m), 1.93-1.80(2H, m), 1.72-1.58(1H, m), 1.52-1.18(3H, m) |
| Purity      | > 90 % (NMR)   |                                                                                                                                                                                                                                                                                                                                                         |
| MS          | 642 (M+1)      |                                                                                                                                                                                                                                                                                                                                                         |

Table 191

|             |              |                                                                                                                                                                                                                                                                                                                                                                                |
|-------------|--------------|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 267          | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.34(2H, m), 8.03(1H, d, J=8.3Hz), 7.77-7.68(3H, m), 7.54-7.40(4H, m), 7.33(2H, d, J=8.6Hz), 7.24(2H, d, J=9.0Hz), 5.16(2H, s), 4.36(1H, m), 3.01(3H, s), 2.97(3H, s), 2.40-2.20(2H, m), 2.11-1.97(2H, m), 1.93-1.81(2H, m), 1.71-1.60(1H, m), 1.50-1.21(3H, m)                                                      |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                                |
| MS          | 620(M+1)     |                                                                                                                                                                                                                                                                                                                                                                                |
| Example No. | 268          | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.67-8.59(1H, m), 8.30(1H, s), 8.13-8.20(2H, m), 8.02-7.92(2H, m), 7.65(1H, t, J=8.3Hz), 7.56-7.45(5H, m), 7.18(1H, dd, J=12.0, 2.2Hz), 7.05(1H, dd, J=8.6, 2.2Hz), 5.14(2H, s), 4.09(1H, m), 2.82(3H, d, J=4.5Hz), 2.34-2.12(2H, m), 1.99-1.79(4H, m), 1.71-1.59(1H, m), 1.49-1.21(3H, m)                           |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                                |
| MS          | 612(M+1)     |                                                                                                                                                                                                                                                                                                                                                                                |
| Example No. | 269          | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.29(1H, s), 8.13(1H, d, J=9.0Hz), 7.97(1H, dd, J=8.6, 1.5Hz), 7.71(1H, d, J=1.8Hz), 7.63(1H, t, J=8.2Hz), 7.56-7.41(6H, m), 7.17(1H, dd, J=12.0, 2.2Hz), 7.03(1H, dd, J=8.2, 1.8Hz), 5.14(2H, s), 4.15-4.00(1H, m), 3.01(3H, s), 2.98(3H, s), 2.32-2.13(2H, m) 1.95-1.79(4H, m), 1.72-1.59(1H, m), 1.45-1.21(3H, m) |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                                |
| MS          | 626(M+1)     |                                                                                                                                                                                                                                                                                                                                                                                |

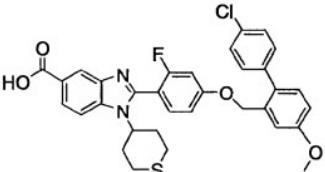
Table 192

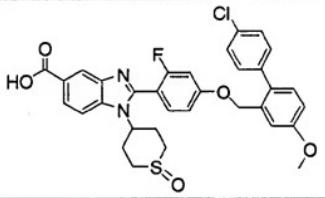
|             |              |                                                                                                                                                                                                                                                                                                                                                                                              |
|-------------|--------------|----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 270          | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.24 (1H, d, J=1.4Hz), 8.19 (1H, d, J=1.8Hz), 8.11 (1H, br s), 8.02-7.85 (3H, m), 7.60-7.44 (7H, m), 7.10 (1H, dd, J=12.0, 2.1Hz), 6.98 (1H, dd, J=8.4, 2.1Hz), 5.11 (2H, s), 3.98 (1H, m), 2.30-2.12 (2H, m), 1.91-1.73 (4H, m), 1.71-1.58 (1H, m), 1.45-1.15 (3H, m)                                                             |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                                              |
| MS          | 598 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                                              |
| Example No. | 271          | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.29 (1H, d, J=1.5Hz), 8.24 (1H, d, J=8.7Hz), 8.07-7.98 (3H, m), 7.80-7.68 (5H, m), 7.56 (1H, dd, J=8.0, 1.8Hz), 7.47 (1H, d, J=8.0Hz), 7.21 (2H, d, J=8.4Hz), 5.18 (2H, s), 4.34 (1H, m), 3.27 (3H, s), 3.02 (3H, s), 2.98 (3H, s), 2.38-2.18 (2H, m), 2.10-1.95 (2H, m), 1.93-1.79 (2H, m), 1.72-1.59 (1H, m), 1.50-1.19 (3H, m) |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                                              |
| MS          | 652 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                                              |
| Example No. | 272          | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.97 (1H, d, J=1.8Hz), 8.85 (1H, d, J=4.7Hz), 8.46 (1H, d, J=8.0Hz), 8.39-8.26 (2H, m), 8.06 (1H, d, J=8.7Hz), 7.99-7.64 (6H, m), 7.24 (2H, d, J=8.7Hz), 5.25 (2H, s), 4.36 (1H, m), 3.03 (3H, s), 2.97 (3H, s), 2.39-2.19 (2H, m), 2.14-1.96 (2H, m), 1.94-1.78 (2H, m), 1.73-1.60 (1H, m), 1.21-1.55 (3H, m)                     |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                                              |
| MS          | 575 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                                              |

Table 193

|                        |              |                                                                                                                                                                                                                                                                                                                                                                  |
|------------------------|--------------|------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No.            | 273          | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.30(1H, s), 8.27(1H, d, J=8.7Hz), 8.05(1H, d, J=8.7Hz), 7.77-7.67(3H, m), 7.58-7.48(6H, m), 7.22(2H, d, J=8.4Hz), 5.18(2H, s), 4.35(1H, brt, J=9.8Hz), 3.06-2.88(12H, brm), 2.38-2.20(2H, brm), 2.08-1.96(2H, brm), 1.90-1.80(2H, brm), 1.70-1.60(1H, brm), 1.49-1.22(3H, brm)                        |
| Purity                 | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                  |
| MS                     | 645 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                  |
| Example No.            | 274          | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>mixture of cis and trans<br>8.35, 8.34(1H, s), 8.15-8.10(2H, m), 7.79-7.70(3H, m), 7.49(2H, d, J=8.7Hz), 7.44(2H, d, J=8.7Hz), 7.31(1H, d, J=8.4Hz), 7.25-7.19(2H, m), 7.07(1H, d, J=8.5Hz), 5.08(2H, s), 4.75(1H, m), 3.83(3H, s), 3.70-1.90(8H, m)                                                   |
| Purity about 80% (NMR) |              |                                                                                                                                                                                                                                                                                                                                                                  |
| MS                     | 601 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                  |
| Example No.            | 275          | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.33(1H, s), 8.13(1H, d, J=7.5Hz), 7.93(1H, d, J=8.8Hz), 7.74(2H, d, J=8.7Hz), 7.49(2H, d, J=8.6Hz), 7.44(2H, d, J=8.6Hz), 7.31(1H, d, J=8.5Hz), 7.25-7.15(3H, m), 7.07(1H, d, J=8.5Hz), 5.08(2H, s), 4.98(1H, m), 3.83(3H, s), 3.65-3.45(2H, m), 3.30-3.10(2H, m), 3.00-2.75(2H, m), 2.60-2.30(2H, m) |
| Purity                 | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                  |
| MS                     | 617 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                  |

Table 194

|             |                                                                                   |                                                                                                                                                                                                                                                                                                                                              |
|-------------|-----------------------------------------------------------------------------------|----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 276                                                                               |                                                                                                                                                                                                                                                                                                                                              |
|             |  | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.25 (1H, s), 7.93 and 7.87 (2H, ABq, J=9.1Hz), 7.55 (1H, t, J=8.6Hz), 7.48 and 7.42 (4H, A'B'q, J=8.6Hz), 7.31 (1H, d, J=8.5Hz), 7.24 (1H, d, J=2.6Hz), 7.09-6.95 (3H, m), 5.05 (2H, s), 4.11 (1H, brt, J=1.4Hz), 3.84 (3H, s), 2.83-2.67 (4H, brm), 2.50-2.32 (2H, brm), 2.21-2.10 (2H, brm) |
| Purity      | > 90% (NMR)                                                                       |                                                                                                                                                                                                                                                                                                                                              |
| MS          | 603 (M+1)                                                                         |                                                                                                                                                                                                                                                                                                                                              |

|             |                                                                                   |                                                                                                                                                                                                                                                                                                                                      |
|-------------|-----------------------------------------------------------------------------------|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 277                                                                               |                                                                                                                                                                                                                                                                                                                                      |
|             |  | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>cis and trans mixture<br>8.28 and 8.24 (total 1H, each s), 7.94-7.87 (1H, m), 7.60-7.41 (5H, m), 7.31 (1H, d, J=8.5Hz), 7.23-7.21 (1H, m), 7.12-7.05 (2H, m), 7.00-6.95 (1H, m), 5.06 and 5.05 (total 2H, each s), 4.47 and 4.34 (total 1H, each brs), 3.83 (3H, s), 3.12-1.76 (8H, m) |
| Purity      | > 90% (NMR)                                                                       |                                                                                                                                                                                                                                                                                                                                      |
| MS          | 619 (M+1)                                                                         |                                                                                                                                                                                                                                                                                                                                      |

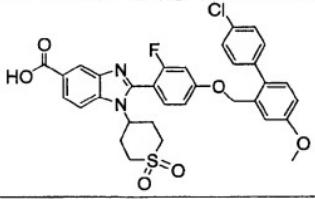
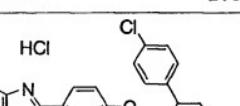
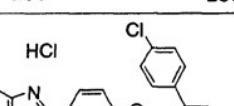
|             |                                                                                    |                                                                                                                                                                                                                                                                                                                                                                      |
|-------------|------------------------------------------------------------------------------------|----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 278                                                                                |                                                                                                                                                                                                                                                                                                                                                                      |
|             |  | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>12.9 (1H, brs), 8.27 (1H, s), 7.97 and 7.74 (2H, ABq, J=8.6Hz), 7.58 (1H, t, J=8.6Hz), 7.49 and 7.43 (4H, A'B'q, J=8.5Hz), 7.31 (1H, d, J=8.5Hz), 7.22 (1H, d, J=2.6Hz), 7.13-6.92 (3H, m), 5.05 (2H, s), 4.67 (1H, brt, J=14.2Hz), 3.57-3.40 (2H, brm), 3.20-3.05 (2H, brm), 2.91-2.70 (2H, brm), 2.28-2.11 (2H, brm) |
| Purity      | > 90% (NMR)                                                                        |                                                                                                                                                                                                                                                                                                                                                                      |
| MS          | 635 (M+1)                                                                          |                                                                                                                                                                                                                                                                                                                                                                      |

Table 195

|                                                                                   |              |                                                                                                                                                                                                                                                                                                                 |
|-----------------------------------------------------------------------------------|--------------|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No.                                                                       | 279          | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d <sub>6</sub>                                                                                                                                                                                                                                              |
|  |              | 8.30 (1H, s), 8.23 (1H, d, J=8.7Hz), 8.06-8.00 (2H, m), 7.83 (1H, dd, J=8.0, 1.8Hz), 7.71 (2H, d, J=8.4Hz), 7.64 (1H, d, J=8.0Hz), 7.59-7.54 (4H, m), 7.22 (2H, d, J=8.4Hz), 5.25 (2H, s), 4.33 (1H, m), 2.66 (3H, s), 2.66 (3H, s), 2.37-2.19 (2H, m), 1.93-1.80 (2H, m), 1.70-1.59 (1H, m), 1.47-1.21 (3H, m) |
| Purity                                                                            | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                 |
| MS                                                                                | 644 (M+1)    |                                                                                                                                                                                                                                                                                                                 |

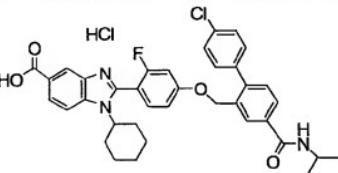
| Example No.                                                                       | 280                                                                                                                                                                                                                                                                                                                                              |
|-----------------------------------------------------------------------------------|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
|  | <p><sup>1</sup>H NMR (<math>\delta</math>) ppm<br/>300MHz, DMSO-d<sub>6</sub></p> <p>8.32-8.23(3H, m), 8.08-8.01(2H, m), 7.73(2H, d, J=8.6Hz), 7.65(1H, d, J=8.2Hz), 7.59-7.51(4H, m), 7.25(2H, d, J=8.6Hz), 5.21(2H, s), 4.34(1H, m), 3.32(3H, s), 2.37-2.19(2H, m), 2.10-1.98(2H, m), 1.93-1.80(2H, m), 1.71-1.60(1H, m), 1.51-1.21(3H, m)</p> |
| Purity                                                                            | > 90% (NMR)                                                                                                                                                                                                                                                                                                                                      |
| MS                                                                                | 615 (M+1)                                                                                                                                                                                                                                                                                                                                        |

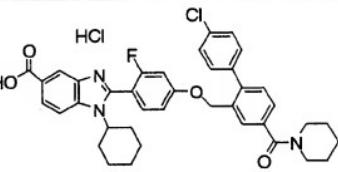
|             |              |                                                                                                                                                                                                                                                                                                                                                                                               |
|-------------|--------------|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 281          | $^1\text{H}$ NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d <sub>6</sub><br>8.30 (1H, d, $J=1.5$ Hz), 8.24 (1H, s), 8.14 (1H, d, $J=8.6$ Hz)<br>8.07-7.95 (2H, m), 7.63 (1H, t, $J=8.6$ Hz), 7.57-7.47 (5H, m), 7.16 (1H, dd, $J=12.0, 2.2$ Hz), 7.03 (1H, dd, $J=8.6, 2.2$ Hz), 5.17 (2H, s), 4.06 (1H, m), 3.90 (3H, s), 2.31-2.11 (2H, m), 1.97-1.78 (4H, m), 1.71-1.59 (1H, m), 1.43-1.22 (3H, m) |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                                               |
| MS          | 315          |                                                                                                                                                                                                                                                                                                                                                                                               |

Table 196

|             |              |                                                                                                                                                                                                                                                                                                                                                  |
|-------------|--------------|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 282          | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.36(1H, s), 8.35(1H, d, J=9.3Hz), 8.09(1H, d, J=9.3Hz)<br>7.78(2H, d, J=8.7Hz), 7.48-7.25(9H, m), 5.09(2H, s), 4.39(1H, m), 3.04(6H, s), 2.40-2.15(2H, m), 2.10-1.95(2H, m), 1.90-1.75(2H, m), 1.70-1.55(1H, m), 1.50-1.20(3H, m)                                                     |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                  |
| MS          | 580 (M+1)    |                                                                                                                                                                                                                                                                                                                                                  |
| Example No. | 283          | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>10.03(1H, s), 8.33(1H, s), 8.29(1H, d, J=8.7Hz), 8.06(1H, d, J=9.0Hz), 7.74(2H, d, J=9.0Hz), 7.51-7.42(5H, m), 7.37-7.30(2H, m), 7.22(2H, d, J=8.7Hz), 5.10(2H, s), 4.37(1H, m), 3.06(3H, s), 2.40-2.18(2H, m), 2.15-1.95(2H, m), 1.90-1.80(2H, m), 1.75-1.55(1H, m), 1.50-1.20(3H, m) |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                  |
| MS          | 630 (M+1)    |                                                                                                                                                                                                                                                                                                                                                  |
| Example No. | 284          | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.30(1H, s), 8.14(1H, d, J=8.7Hz), 7.97(1H, d, J=8.7Hz), 7.96-7.41(8H, m), 7.16(1H, dd, J=12.4, 2.2Hz), 7.03(1H, dd, J=8.4, 2.2Hz), 5.15(2H, s), 4.15(1H, m), 3.54-3.16(4H, m), 2.33-2.13(2H, m), 1.97-1.79(4H, m), 1.70-1.02(9H, m)                                                   |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                  |
| MS          | 654 (M+1)    |                                                                                                                                                                                                                                                                                                                                                  |

Table 197

| Example No. | 285                                                                               | 1H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                                                                                                  |
|-------------|-----------------------------------------------------------------------------------|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
|             |  | 300MHz, DMSO-d6<br>8.37(1H, d, J=7.3Hz), 8.30(1H, s), 8.19-8.12(2H, m), 8.02-7.95(2H, m), 7.65(1H, t, J=8.4Hz), 7.56-7.43(5H, m), 7.18(1H, dd, J=12.0, 1.8Hz), 7.06(1H, dd, J=8.4, 2.1Hz), 5.13(2H, s), 4.22-4.03(2H, m), 2.34-2.13(2H, m), 1.99-1.78(4H, m), 1.72-1.57(1H, m), 1.44-1.14(3H, m), 1.20, 1.18(6H, each s) |
| Purity      | > 90 % (NMR)                                                                      |                                                                                                                                                                                                                                                                                                                          |
| MS          | 640 (M+1)                                                                         |                                                                                                                                                                                                                                                                                                                          |

| Example No. | 286                                                                               | 1H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                      |
|-------------|-----------------------------------------------------------------------------------|----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
|             |  | 300MHz, DMSO-d6<br>8.29(1H, s), 8.13(1H, d, J=8.7Hz), 7.97(1H, dd, J=8.7, 1.4Hz), 7.69-7.40(8H, m), 7.16(1H, dd, J=12.0, 2.2Hz), 7.02(1H, dd, J=8.4, 2.2Hz), 5.15(2H, s), 4.07(1H, m), 3.71-3.23(2H, m), 1.98-1.71(4H, m), 1.71-1.18(10H, m) |
| Purity      | > 90 % (NMR)                                                                      |                                                                                                                                                                                                                                              |
| MS          | 666 (M+1)                                                                         |                                                                                                                                                                                                                                              |

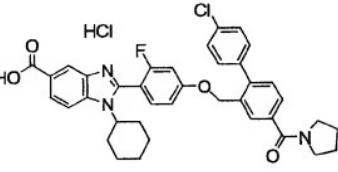
| Example No. | 287                                                                                | 1H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                                                    |
|-------------|------------------------------------------------------------------------------------|----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
|             |  | 300MHz, DMSO-d6<br>8.29(1H, s), 8.13(1H, d, J=8.0Hz), 7.97(1H, d, J=8.4Hz), 7.83(1H, s), 7.68-7.41(7H, m), 7.17(1H, d, J=12.0Hz), 7.03(1H, d, J=8.4Hz), 5.15(2H, s), 4.07(1H, m), 3.58-3.41(4H, m), 2.34-2.13(2H, m), 1.97-1.77(8H, m), 1.71-1.58(1H, m), 1.49-1.18(3H, m) |
| Purity      | > 90 % (NMR)                                                                       |                                                                                                                                                                                                                                                                            |
| MS          | 652 (M+1)                                                                          |                                                                                                                                                                                                                                                                            |

Table 198

|             |              |                                                                                                                                                                                                                                                                                                                                         |
|-------------|--------------|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 288          | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.62(1N, m), 8.31(1H, s), 8.22-8.14(2H, m), 8.99(2H, d, J=8.7Hz), 7.66(1H, t, J=7.7Hz), 7.58-7.44(5H, m), 7.19(1H, dd, J=8.7, 2.2Hz), 5.14(2H, s), 4.11(1H, m), 3.67-3.49(2H, m), 3.45-3.30(2H, m), 2.37-2.12(2H, m), 2.00-1.76(4H, m), 1.70-1.58(1H, m), 1.48-1.17(3H, m)                |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                         |
| MS          | 642(M+1)     |                                                                                                                                                                                                                                                                                                                                         |
| Example No. | 289          | 1H NMR ( $\delta$ ) ppm<br>400MHz, DMSO-d6<br>8.28(1H, s), 8.11(1H, d, J=8.9Hz), 7.96(1H, d, J=8.9Hz), 7.68(1H, s), 7.62(1H, t, J=8.2Hz), 7.55-7.41(6H, m), 7.15(1H, d, J=11.7Hz), 7.02(1H, d, J=8.4Hz), 5.14(2H, s), 4.12-3.13(6H, m), 2.30-1.19(13H, m)                                                                               |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                         |
| MS          | 682(M+1)     |                                                                                                                                                                                                                                                                                                                                         |
| Example No. | 290          | 1H NMR ( $\delta$ ) ppm<br>400MHz, DMSO-d6<br>8.29(1H, s), 8.15(1H, d, J=8.6Hz), 7.98(1H, d, J=8.8Hz), 7.72(1H, s), 7.64(1H, t, J=8.8Hz), 7.57-7.43(6H, m), 7.18(1H, dd, J=12.1, 2.1Hz), 7.03(1H, d, J=10.7Hz), 5.12(2H, s), 4.15-4.01(1H, m), 3.75-3.33(8H, m), 2.31-2.14(2H, m), 1.96-1.78(4H, m), 1.70-1.58(1H, m), 1.47-1.21(3H, m) |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                         |
| MS          | 668(M+1)     |                                                                                                                                                                                                                                                                                                                                         |

Table 199

|             |              |                                                                                                                                                                                                                                                                                                                                                  |
|-------------|--------------|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 291          | 1H NMR ( $\delta$ ) ppm<br>400MHz, DMSO-d6<br>8.29(1H, s), 8.14(1H, d, J=8.9Hz), 7.97(1H, d, J=8.6Hz)<br>7.71(1H, s), 7.63(1H, t, J=8.2Hz), 7.56-7.42(6H, m), 7.17(1H, d, J=12.3Hz), 7.03(1H, d, J=10.7Hz), 5.14(2H, s), 4.07(1H, m), 3.96-3.52(4H, m), 2.79-2.56(4H, m), 2.32-2.14(2H, m), 1.97-1.79(4H, m), 1.71-1.58(1H, m), 1.51-1.19(3H, m) |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                  |
| MS          | 684(M+1)     |                                                                                                                                                                                                                                                                                                                                                  |

|             |              |                                                                                                                                                                                                                                                                                                                                               |
|-------------|--------------|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 292          | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>9.07-8.99(1H, m), 8.30(1H, s), 8.23-8.12(2H, m), 8.04-7.95(2H, m), 7.65(1H, t, J=8.2Hz), 7.60-7.45(5H, m), 7.19(1H, dd, J=12.0, 2.6Hz), 7.06(1H, dd, J=8.6, 2.2Hz), 5.16(2H, s), 4.18-4.02(1H, m), 3.97(2H, d, J=6.0Hz), 2.33-2.14(2H, m), 1.99-1.79(4H, m), 1.72-1.59(1H, m), 1.45-1.19(3H, m) |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                               |
| MS          | 656(M+1)     |                                                                                                                                                                                                                                                                                                                                               |

|             |              |                                                                                                                                                                                                                                                                                                                                                                                      |
|-------------|--------------|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 293          | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6: 8.21(1H, s), 7.94and7.86(2H, ABq, J=8.6Hz), 7.72(1H, d, J=2.4Hz)<br>7.59and7.11(4H, A' B' q, J=8.9Hz), 7.53(1H, dd, J=8.4, 2.4Hz), 7.38(1H, d, J=8.4Hz), 7.36and7.32(4H, A'' B'' q, J=8.1Hz), 5.07(2H, s), 4.27(1H, brt, J=13.8Hz), 2.87(2H, t, J=7.8Hz), 2.35-2.20(2H, brm), 1.96-1.79(4H, brm), 1.68-1.59(1H, brm), 1.47-1.18(3H, brm) |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                                      |
| MS          | 637(M+1)     |                                                                                                                                                                                                                                                                                                                                                                                      |

Table 200

|             |              |                                                                                                                                                                                                                                                                                                                                                                                                                               |
|-------------|--------------|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 294          | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8. 30 (1H, s), 8. 25 and 8. 03 (2 H, ABq, J=8. 9Hz), 7. 73 (1H, s ), 7. 73 (2H, d, J=8. 6Hz), 7. 5 5 (1H, dd, J=8. 0, 2. 3Hz), 7. 4 0 (4H, s), 7. 39 (1H, d, J=8. 0H z), 7. 23 (2H, d, J=8. 6Hz), 5. 11 (2H, s), 4. 55 (2H, s), 4. 36 (1H, brt, J=14. 8Hz), 2. 37-2 . 19 (2H, brm), 2. 09-1. 96 (2H, brm), 1. 91-1. 79 (2H, brm), 1. 71-1. 59 (1H, brm), 1. 50-1 . 20 (3H, brm) |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                                                                               |
| MS          | 567 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                                                                               |
| Example No. | 295          | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8. 30 (1H, s), 8. 25 and 8. 04 (2 H, ABq, J=8. 7Hz), 7. 74 (1H, s ), 7. 72 (2H, d, J=8. 7Hz), 7. 5 6 (1H, d, J=8. 7Hz), 7. 48-7. 3 5 (5H, m), 7. 22 (2H, d, J=8. 7H z), 5. 11 (2H, s), 4. 46 (2H, s ), 4. 35 (1H, brt, J=14. 8Hz), 3 . 31 (3H, s), 2. 37-2. 17 (2H, b rm), 2. 07-1. 95 (2H, brm), 1. 92-1. 79 (2H, brm), 1. 73-1. 5 6 (1H, brm), 1. 52-1. 20 (3H, b rm)         |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                                                                               |
| MS          | 581 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                                                                               |
| Example No. | 296          | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8. 21 (1H, d, J=1. 5Hz), 7. 98 (1H, d, J=1. 2Hz), 7. 97-7. 91 (2H, m), 7. 84 (1H, dd, J=8. 7, 1 . 5Hz), 7. 77 (1H, d, J=2. 1Hz) , 7. 70 (1H, d, J=7. 5Hz), 7. 60 -7. 54 (4H, m), 7. 43 (1H, d, J= 8. 4Hz), 7. 09 (2H, d, J=8. 7Hz ), 5. 05 (2H, s), 4. 25 (1H, brt , J=14. 8Hz), 2. 36-2. 18 (2H, brm), 1. 95-1. 79 (4H, brm), 1 . 71-1. 6 (1H, brm), 1. 43-1. 1 8 (3H, brm)    |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                                                                               |
| MS          | 581 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                                                                               |

Table 201

|             |              |                                                                                                                                                                                                                                                                                                                                                                                          |
|-------------|--------------|------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 297          | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>12. 7(1H, brs), 8. 21(1H, s),<br>7. 94and7. 85(2H, ABq, J=8. 6<br>Hz), 7. 60-7. 55(3H, m), 7. 49<br>and7. 45(4H, A'B' q, J=8. 3Hz<br>, 7. 12(2H, d, J=8. 7Hz), 5. 0<br>5(2H, s), 4. 26(1H, brt, J=13<br>. 0Hz), 2. 54(3H, s), 2. 38-2.<br>20(2H, brm), 1. 97-1. 80(4H,<br>brm), 1. 71-1. 59(1H, brm), 1<br>. 47-1. 20(3H, brm) |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                                          |
| MS          | 583(M+1)     |                                                                                                                                                                                                                                                                                                                                                                                          |
| Example No. | 298          | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8. 22(1H, s), 8. 01(1H, s), 7.<br>95and7. 86(2H, ABq, J=8. 6Hz<br>, 7. 79(1H, d, J=7. 8Hz), 7. 5<br>8(3H, t, J=7. 5Hz), 7. 53(4H,<br>s), 7. 13(2H, d, 8. 7Hz), 5. 15<br>(2H, s), 4. 26(1H, brt, J=13.<br>8Hz), 2. 83(3H, s), 2. 37-2. 1<br>8(2H, brm), 1. 95-1. 78(4H, b<br>rm), 1. 70-1. 59(1H, brm), 1.<br>47-1. 17(3H, brm) |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                                          |
| MS          | 599(M+1)     |                                                                                                                                                                                                                                                                                                                                                                                          |
| Example No. | 299          | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8. 43-8. 16(3H, m), 8. 07-7. 9<br>4(2H, m), 7. 72(2H, d, J=8. 6H<br>z), 7. 62-7. 49(5H, m), 7. 23(2H,<br>d, J=8. 6Hz), 5. 16(2H, s),<br>4. 34(1H, m), 2. 39-2. 20(2H,<br>m), 2. 10-1. 96(2H, m), 1. 93<br>-1. 80(2H, m), 1. 71-1. 58(1H,<br>m), 1. 49-1. 19(3H, m)                                                             |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                                          |
| MS          | 562(M+1)     |                                                                                                                                                                                                                                                                                                                                                                                          |

Table 202

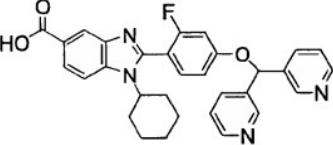
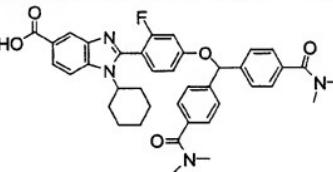
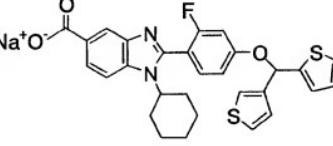
|                                                                                    |                        |                                                                                                                                                                                                                                                                                                                                                                                                                                                                     |
|------------------------------------------------------------------------------------|------------------------|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| <b>Example No.</b>                                                                 | <b>300</b>             | <b>1H NMR (<math>\delta</math>) ppm</b>                                                                                                                                                                                                                                                                                                                                                                                                                             |
|   |                        | 300MHz, DMSO-d6: 2.77 (1H, b<br>rs), 8.83 (2H, d, J=1.9Hz), 8<br>.56 (2H, dd, J=4.9, 1.9Hz), 8<br>.22 (1H, d, J=1.5Hz), 7.97 (2<br>H, dt, J=7.9, 1.9Hz), 7.95 (1<br>H, d, J=8.6Hz), 7.87 (1H, dd,<br>J=8.6, 1.5Hz), 7.57 (1H, t, J<br>=8.7Hz), 7.46 (2H, dd, J=7.9<br>, 4.9Hz), 7.26 (1H, dd, J=12.<br>0, 4.9Hz), 7.14 (1H, dd, J=8.<br>8, 2.3Hz), 6.99 (2H, s), 3.94<br>(1H, brt), 2.26-2.09 (2H, m)<br>1.87-1.73 (4H, m), 1.67-1.<br>57 (1H, m) 1.49-1.19 (3H, m) |
| <b>Purity</b>                                                                      | <b>&gt; 90 % (NMR)</b> |                                                                                                                                                                                                                                                                                                                                                                                                                                                                     |
| <b>MS</b>                                                                          | <b>523 (M+1)</b>       |                                                                                                                                                                                                                                                                                                                                                                                                                                                                     |
| <b>Example No.</b>                                                                 | <b>301</b>             | <b>1H NMR (<math>\delta</math>) ppm</b>                                                                                                                                                                                                                                                                                                                                                                                                                             |
|   |                        | 300MHz, DMSO-d6<br>8.22 (1H, s), 7.95 (1H, d, J=8<br>.7Hz), 7.87 (1H, dd, J=1.5Hz<br>, 9.0Hz), 7.62 (4H, d, J=8.4H<br>z), 7.55 (1H, t, J=9.0Hz), 7.<br>44 (4H, d, J=8.1Hz), 7.20 (1H<br>, dd, J=2.1Hz, 12.0Hz), 7.11<br>(1H, dd, J=2.1Hz, 8.7Hz), 6.<br>86 (1H, s), 3.94 (1H, m), 2.96<br>, 2.88 (12H, s), 2.35-2.00 (2<br>H, m), 1.95-1.70 (4H, m), 1.6<br>5-1.50 (1H, m), 1.45-1.10 (3<br>H, m)                                                                   |
| <b>Purity</b>                                                                      | <b>&gt; 90 % (NMR)</b> |                                                                                                                                                                                                                                                                                                                                                                                                                                                                     |
| <b>MS</b>                                                                          | <b>663 (M+1)</b>       |                                                                                                                                                                                                                                                                                                                                                                                                                                                                     |
| <b>Example No.</b>                                                                 | <b>302</b>             | <b>1H NMR (<math>\delta</math>) ppm</b>                                                                                                                                                                                                                                                                                                                                                                                                                             |
|  |                        | 300MHz, DMSO-d6<br>8.14 (1H, s), 7.88 (1H, d, J=8<br>.4Hz), 7.68 (1H, d, J=8.7Hz)<br>, 7.64-7.55 (3H, m), 7.50 (1H<br>, t, J=8.7Hz), 7.22-7.17 (3H<br>, m), 7.11 (1H, s), 7.08-7.00<br>(2H, m), 3.90 (1H, m), 2.15-2<br>.00 (2H, m), 1.95-1.50 (5H, m)<br>, 1.45-1.00 (3H, m)                                                                                                                                                                                       |
| <b>Purity</b>                                                                      | <b>&gt; 90 % (NMR)</b> |                                                                                                                                                                                                                                                                                                                                                                                                                                                                     |
| <b>MS</b>                                                                          | <b>532 (M+1)</b>       |                                                                                                                                                                                                                                                                                                                                                                                                                                                                     |

Table 203

|             |              |                                                                                                                                                                                                                                                                                                                                                                     |
|-------------|--------------|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 303          | 1H NMR( $\delta$ ) ppm<br>300MHz, CDCl <sub>3</sub><br>8.49(1H, s), 7.98(1H, dd, J=8.6, 1.5Hz), 7.71(1H, d, J=1.8Hz), 7.66(1H, d, J=8.6Hz), 7.55-7.29(7H, m), 6.80(1H, dd, J=8.2, 2.2Hz), 6.69(1H, dd, J=11.2, 2.2Hz), 4.99(2H, s), 4.10-3.92(1H, m), 3.95(3H, s), 3.15(3H, s), 3.06(3H, s), 2.31-2.14(2H, m), 2.04-1.86(4H, m), 1.81-1.71(1H, m), 1.41-1.21(3H, m) |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                     |
| MS          | 640 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                     |
| Example No. | 304          | 1H NMR( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.21(1H, s), 7.94(1H, d, J=8.7Hz), 7.84(1H, d, J=9.1Hz), 7.70(1H, s), 7.26-7.39(9H, m), 7.11(2H, d, J=8.4Hz), 5.11'(2H, s), 4.26(1H, m), 3.01(3H, s), 2.97(3H, s), 2.38-2.19(2H, m), 1.97-1.78(4H, m), 1.72-1.57(1H, m), 1.48-1.17(3H, m)                                                                              |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                     |
| MS          | 608 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                     |
| Example No. | 305          | 1H NMR( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.24(2H, s), 8.03(1H, d, J=8.0Hz), 7.96(1H, d, J=8.8Hz), 7.87(1H, d, J=9.1Hz), 7.60-7.46(6H, m), 7.09(1H, dd, J=12.0, 1.8Hz), 6.97(1H, dd, J=8.4, 1.8Hz), 5.16(2H, s), 3.97(1H, m), 2.31-2.11(2H, m), 1.92-1.73(4H, m), 1.70-1.57(1H, m), 1.46-1.13(3H, m)                                                             |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                     |
| MS          | 599 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                     |

Table 204

|             |              |                                                                                                                                                                                                                                                                                                                                                                                   |
|-------------|--------------|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 306          | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>12.84(1H, brs), 8.21(1H, s),<br>, 7.98-7.84(5H, m), 7.58(2H,<br>, d, J=8.7Hz), 7.54(2H, d, J=<br>7.8Hz), 7.34(1H, d, J=8.7Hz),<br>7.26(1H, d, J=2.4Hz), 7.<br>13-7.06(3H, m), 5.06(2H, s),<br>4.26(1H, brt, J=12.7Hz), 3.<br>.84(3H, s), 2.36-2.17(2H, b<br>rm), 1.99-1.80(4H, brm), 1.<br>73-1.59(1H, brm), 1.47-1.1<br>7(3H, brm) |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                                   |
| MS          | 577 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                                   |
| Example No. | 307          | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.22(1H, s), 8.04(1H, s), 7.<br>96(2H, d, J=8.1Hz), 7.87(2H,<br>, s), 7.72(1H, d, J=1.2Hz), 7.<br>59-7.41(7H, m), 5.12(2H, s),<br>4.25(1H, brt, J=11.8Hz),<br>3.02(3H, brs), 2.98(3H, brs),<br>2.38-2.15(2H, brm), 1.93<br>-1.76(4H, brm), 1.71-1.59(1H,<br>brm), 1.46-1.16(3H, brm)                                                |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                                   |
| MS          | 617 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                                   |
| Example No. | 308          | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.27(1H, s), 8.08(1H, d, J=9.<br>.0Hz), 7.93(1H, d, J=8.7Hz),<br>7.65(2H, d, J=8.7Hz), 7.46<br>(2H, d, J=8.1Hz), 7.42(2H, d,<br>, J=8.4Hz), 7.30-7.04(5H, m),<br>5.03(2H, s), 4.32(1H, m),<br>2.40-2.10(2H, m), 2.05-1.1<br>0(8H, m)                                                                                                |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                                   |
| MS          | 552 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                                   |

Table 205

|             |              |                                                                                                                                                                                                                                                                                                                                                                |
|-------------|--------------|----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 309          | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.33(1H, s), 8.15and7.99(2H, ABq, J=8.9Hz), 7.84and7.59(4H, A' B' q, J=8.3Hz), 7.22-7.16(2H, d, J=8.4Hz), 7.01-6.98(2H, m), 6(3H, m), 7.01-6.98(2H, m), 4.27and4.23(2H, A' B' q, J=1.2.9Hz), 3.78(3H, s), 2.39-2.21(2H, brm), 2.07-1.95(2H, brm), 1.91-1.80(2H, brm), 1.72-1.59(1H, brm), 1.49-1.17(3H, brm)     |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                |
| MS          |              |                                                                                                                                                                                                                                                                                                                                                                |
| Example No. | 310          | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.33(1H, s), 8.09and7.95(2H, ABq, J=8.7Hz), 7.87and7.71(4H, A' B' q, J=8.0Hz), 7.43(2H, d, J=7.8Hz), 7.15(1H, d, J=8.7Hz), 7.07-7.02(4H, m), 4.66(2H, s), 4.23(1H, brt, J=11.8Hz), 3.76(3H, s), 2.38-2.20(2H, brm), 2.04-1.93(2H, brm), 1.89-1.79(2H, brm), 1.70-1.59(1H, brm), 1.49-1.18(3H, brm)               |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                |
| MS          | 615(M+1)     |                                                                                                                                                                                                                                                                                                                                                                |
| Example No. | 311          | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.30(1H, s), 8.21and8.01(2H, ABq, J=8.7Hz), 7.65(2H, d, J=8.4Hz), 7.52-7.41(6H, m), 7.20(1H, d, J=8.4Hz), 7.14(1H, d, J=2.7Hz), 6.97(1H, dd, J=8.4, 2.4Hz), 4.31(1H, brt, J=9.8Hz), 4.28(2H, s), 3.78(3H, s), 2.37-2.20(2H, brm), 2.07-1.95(2H, brm), 1.92-1.80(2H, brm), 1.71-1.60(1H, brm), 1.50-1.19(3H, brm) |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                |
| MS          | 583(M+1)     |                                                                                                                                                                                                                                                                                                                                                                |

Table 206

|             |              |                                                                                                                                                                                                                                                                                                                                                                                                                    |
|-------------|--------------|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 312          | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.22 (1H, s), 8.12 (1H, d, J=8.4Hz), 8.00-7.84 (5H, m), 7.70 (4H, d, J=8.4Hz), 7.56 (1H, t, J=8.6Hz), 7.23 (1H, d, J=12.0Hz), 7.13 (1H, d, J=8.6Hz), 6.97 (1H, s), 3.92 (1H, m), 2.35-2.00 (2H, m), 1.95-1.70 (4H, m), 1.65-1.55 (1H, m), 1.50-1.05 (3H, m)                                                                                                          |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                                                                    |
| MS          | 609 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                                                                    |
| Example No. | 313          | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.89 (1H, brs), 8.63 (1H, brs), 8.24 (1H, s), 8.11 (1H, d, J=7.8Hz), 7.99 (1H, d, J=8.8Hz), 7.89 (1H, d, J=9.9Hz), 7.61-7.55 (4H, m), 7.43 (2H, t, J=7.7Hz), 7.34 (1H, t, J=7.2Hz), 7.24 (1H, d, J=12.0Hz), 7.14 (1H, d, J=8.6Hz), 6.95 (1H, s), 3.96 (1H, m), 2.35-2.05 (2H, m), 2.00-1.50 (5H, m), 1.45-1.10 (3H, m)                                               |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                                                                    |
| MS          | 522 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                                                                    |
| Example No. | 314          | 1H NMR ( $\delta$ ) ppm<br>300MHz, CDCl3<br>8.48 (1H, d, J=1.4Hz), 8.05 (1H, d, J=1.8Hz), 8.98 (1H, d, J=8.6Hz), 7.82 (1H, d, J=7.9Hz), 7.66 (1H, d, J=8.6Hz), 7.55-7.24 (6H, m), 6.78 (1H, d, d, J=8.6, 2.6Hz), 6.69 (1H, d, d, J=11.6Hz), 2.2Hz), 6.40-6.30 (1H, m), 4.99 (2H, s), 4.02 (1H, m), 3.95 (3H, s), 3.05 (3H, d, J=4.8Hz), 2.32-2.13 (2H, m), 2.03-1.87 (4H, m), 1.81-1.71 (1H, m), 1.46-1.23 (3H, m) |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                                                                    |
| MS          | 626 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                                                                    |

Table 207

|             |              |                                                                                                                                                                                                                                            |
|-------------|--------------|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 503          | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.23(1H, s), 7.76(1H, d, J=8.7Hz), 7.58(1H, d, J=8.8Hz), 7.51-7.32(7H, m), 7.17(2H, d, J=8.7Hz), 6.55(1H, s), 5.18(2H, s), 4.75(1H, m), 2.35-2.12(2H, m), 2.10-1.85(4H, m), 1.80-1.50(2H, m) |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                            |
| MS          | 412 (M+1)    |                                                                                                                                                                                                                                            |

|             |              |                                                                                                                                                                                                                                                                                                                               |
|-------------|--------------|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 701          | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.96(1H, s), 8.50(1H, s), 7.77(2H, d, J=8.7Hz), 7.50-7.40(4H, m), 7.30(1H, d, J=8.4Hz), 7.24(1H, d, J=2.4Hz), 7.16(2H, d, J=8.4Hz), 7.06(1H, dd, J=2.4Hz, 8.1Hz), 5.06(2H, s), 4.31(1H, s), 3.83(3H, s), 2.80-2.55(2H, m), 2.00-1.80(4H, m), 1.70-1.55(1H, m), 1.40-1.15(3H, m) |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                               |
| MS          | 568 (M+1)    |                                                                                                                                                                                                                                                                                                                               |

Table 208

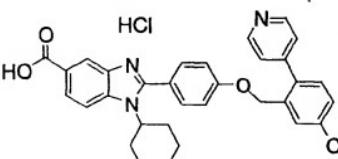
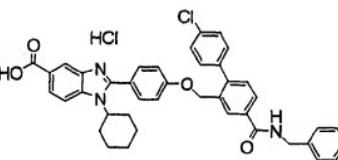
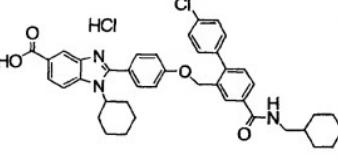
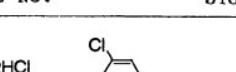
|                                                                                    |              |                                                                                                                                                                                                                                                                                                                                                              |
|------------------------------------------------------------------------------------|--------------|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No.                                                                        | 315          | <sup>1</sup> H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                                                                                                                          |
|   |              | 300MHz, DMSO-d6<br>8.84(2H, d, J=6.3Hz), 8.28(1H, s), 8.17and7.99(2H, ABq, J=8.7Hz), 7.87-7.85(3H, m), 7.70-7.50(3H, m), 7.52(1H, d, J=8.3Hz), 7.18(2H, d, J=8.7Hz), 5.22(2H, s)4.31(1H, br t, J=12.5Hz), 2.36-2.18(2H, m), 2.03-1.78(4H, m), 1.70-1.58(1H, m), 1.50-1.23(3H, m)                                                                             |
| Purity                                                                             | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                              |
| MS                                                                                 | 538 (M+1)    |                                                                                                                                                                                                                                                                                                                                                              |
| Example No.                                                                        | 316          | <sup>1</sup> H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                                                                                                                          |
|   |              | 300MHz, DMSO-d6<br>9.23(1H, t, J=6.3Hz), 8.29(1H, s), 8.25-8.22(2H, m), 8.03(2H, d, J=7.9Hz), 7.55-7.48(5H, m)7.34(4H, d, J=4.4Hz), 7.28-7.22(3H, m), 5.15(2H, s), 4.52(2H, d, J=5.9Hz), 4.35(1H, br t, J=12.1Hz), 2.37-2.18(2H, m), 2.08-1.95(2H, m), 1.91-1.79(2H, m), 1.72-1.59(1H, m), 1.47-1.19(3H, m)                                                  |
| Purity                                                                             | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                              |
| MS                                                                                 | 670 (M+1)    |                                                                                                                                                                                                                                                                                                                                                              |
| Example No.                                                                        | 317          | <sup>1</sup> H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                                                                                                                          |
|  |              | 300MHz, DMSO-d6<br>8.59(1H, t, J=5.5Hz), 8.28(1H, s), 8.21and8.01(2H, ABq, J=8.8Hz), 8.16(1H, s), 7.97and7.46(2H, A'B'q, J=8.0Hz), 7.71and7.23(4H, A'B''q, J=8.7Hz), 7.53and7.49(4H, A'B''q, J=9.2Hz), 5.14(2H, s), 4.34(1H, br t, J=12.8Hz), 3.14(2H, t, J=6.3Hz), 2.38-2.18(2H, m), 2.07-1.78(4H, m), 1.78-1.47(7H, m), 1.47-1.07(6H, m), 1.03-0.83(2H, m) |
| Purity                                                                             | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                              |
| MS                                                                                 | 676 (M+1)    |                                                                                                                                                                                                                                                                                                                                                              |

Table 209

| Example No.                                                                       | 318          | 1H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                                                                                                                                                                                                          |
|-----------------------------------------------------------------------------------|--------------|----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
|  |              | 300MHz, DMSO-d6 9.63<br>(1H, t, J=4.8Hz), 8.86 and 7.97 (4H, Abq, J=6.6Hz), 8.30 (1H, s), 8.27 (1H, s), 8.23 and 8.03 (2H, A' B' q, J=8.8Hz), 8.09 and 7.54 (2H, A B'' q, J=8.1Hz), 7.73 and 7.24 (4H, A'' B'' q, J=8.8Hz), 7.54 and 7.52 (4H, A'' B'' q, J=8.8Hz), 5.16 (2H, s) 4.78 (2H, d, J=5.6Hz), 4.35 (1H, br, t, J=11.0Hz), 2.39–2.19 (2H, m), 2.07–1.96 (2H, m), 1.91–1.78 (2H, m), 1.70–1.57 (1H, m) 1.50–1.19 (3H, m) |
| Purity                                                                            | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                                                                                  |
| MS                                                                                | 671 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                                                                                  |

|             |              |                                                                                                                                                                                                                                                                                                                                                                                                  |
|-------------|--------------|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 319          | <sup>1</sup> H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d <sub>6</sub><br>8.28(1H, s), 8.24and8.03 (2H, A<br>Bg, J=9.0Hz), 7.77 (1H, s), 7.70<br>(2H, d, J=8.4Hz), 7.64-7.10 (13<br>H, m), 5.16 (2H, s), 4.74and4.57<br>(total 2H, each br<br>s), 4.34 (1H, br<br>t, J=11.7Hz), 2.90 (3H, s), 2.35<br>-2.17 (2H, m), 2.07-1.93 (2H, m)<br>1.93-1.78 (2H, m), 1.71-1.57 (1H,<br>m), 1.51-1.19 (3H, m) |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                                                  |
| MS          | 684 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                                                  |

|             |              |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                     |
|-------------|--------------|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 320          | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>8.94 and 8.06 (4H, ABq, $J=6.8\text{Hz}$ )<br>, 8.33 (1H, s), 8.28 and 8.05 (2H,<br>A' B' q, $J=8.7\text{Hz}$ ), 7.80 (1H, s), 7<br>.73 and 7.22 (4H, A'' B'' q, $J=8.7\text{Hz}$ )<br>, 7.63 and 7.57 (2H, A''' B''' q, $J=7.9\text{Hz}$ ), 5.30 (2H, s), 4.34 (1H, b<br>r, $J=12.1\text{Hz}$ ), 3.04 (3H, s), 2.97<br>(3H, s), 2.38-2.18 (2H, m), 2.10<br>-1.96 (2H, m), 1.93-1.80 (2H,<br>m), 1.72-1.58 (1H, m), 1.52-1.08 (3H, m) |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                     |
| MS          | 575 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                     |

Table 210

|             |              |                                                                                                                                                                                                                                                                                                                                                                                                  |
|-------------|--------------|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 321          | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>11.19(1H, br s), 8.31(1H, s), 8.23and8.02(2H, ABq, J=9.0Hz), 7.77(1H, s), 7.72and7.23(4H, A'B'q, J=8.7Hz), 7.59and7.48(2H, A'B'q, J=7.9Hz), 7.53and7.51(4H, A''B'', q, J=9.0Hz), 5.16(2H, s), 4.72-2.97(8H, br m), 4.34(1H, br t, J=12.1Hz), 2.79(3H, s), 2.38-2.17(2H, m), 2.07-1.93(2H, m), 1.93-1.78(2H, m), 1.69-1.58(1H, m), 1.50-1.10(3H, m) |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                                                  |
| MS          | 663 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                                                  |

|             |              |                                                                                                                                                                                                                                                                                                                                                                                                       |
|-------------|--------------|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 322          | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>9.54(1H, t, J=5.7Hz), 8.91(1H, s), 8.81(1H, d, J=4.9Hz), 8.48(1H, d, J=7.9Hz), 8.32(1H, s), 8.27(1H, d, J=9.0Hz), 8.25(1H, s), 8.07-7.97(3H, m), 7.74and7.25(4H, ABq, J=8.9Hz), 7.56-7.49(5H, m), 5.16(2H, s), 4.69(2H, d, J=5.6Hz), 4.36(1H, br t, J=12.4Hz), 2.37-2.20(2H, m), 2.09-1.97(2H, m), 1.91-1.78(2H, m), 1.70-1.57(1H, m), 1.50-1.17(3H, m) |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                                                       |
| MS          | 671 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                                                       |

|             |              |                                                                                                                                                                                                                                                                                                                                                                      |
|-------------|--------------|----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 323          | 1H NMR ( $\delta$ ) ppm<br>300MHz, DMSO-d6<br>9.52(1H, t, J=5.0Hz), 8.72(1H, d, J=5.3Hz), 8.30-8.19(4H, m), 8.08(1H, d, J=7.9Hz), 8.02(1H, d, J=7.6Hz), 7.77-7.64(4H, m), 7.57-7.49(5H, m), 7.24(2H, d, J=8.7Hz), 5.16(2H, s), 4.77(2H, d, J=5.6Hz), 4.34(1H, t, J=12.8Hz), 2.36-2.19(2H, m), 2.07-1.95(2H, m), 1.91-1.78(2H, m), 1.69-1.59(1H, m), 1.45-1.20(3H, m) |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                      |
| MS          | 671 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                      |

Table 211

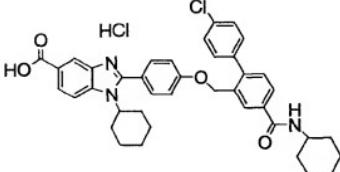
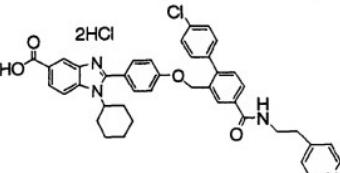
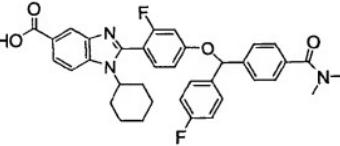
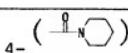
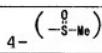
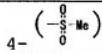
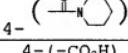
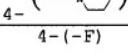
|                                                                                    |                                                                                                                                                                                                                                                                                                                                                                                                                                                 |                         |
|------------------------------------------------------------------------------------|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|-------------------------|
| Example No.                                                                        | 324                                                                                                                                                                                                                                                                                                                                                                                                                                             | 1H NMR ( $\delta$ ) ppm |
|   | 300MHz, DMSO-d6<br>8.36 (1H, d, J=7.9Hz), 8.30 (1H, s), 8.28and8.05 (2H, ABq, J=8.8 Hz), 8.16 (1H, s), 7.79and7.46 (2H, A'B'q, J=8.3Hz), 7.74and7.25 (4H, A''B''q, J=8.9Hz), 7.52an d7.50 (4H, A''B''q, J=8.7Hz), 5.14 (2H, s), 4.36 (1H, br t, J=12.1Hz), 3.80 (1H, br s), 2.39-2.18 (2H, m), 2.10-1.98 (2H, m), 1.93-1.57 (8H, m), 1.49-1.04 (8H, m)                                                                                          |                         |
| Purity                                                                             | > 90 % (NMR)                                                                                                                                                                                                                                                                                                                                                                                                                                    |                         |
| MS                                                                                 | 662 (M+1)                                                                                                                                                                                                                                                                                                                                                                                                                                       |                         |
| Example No.                                                                        | 325                                                                                                                                                                                                                                                                                                                                                                                                                                             | 1H NMR ( $\delta$ ) ppm |
|   | 300MHz, DMSO-d6<br>8.86 (1H, t, J=6.0Hz), 8.84and8.00 (4H, ABq, J=8.6Hz), 8.33 (1H, s), 8.27and8.04 (2H, A'B'q, J=9.0Hz), 8.12 (1H, s), 7.92and7.46 (2H, A''B''q, J=7.9Hz), 7.74an d7.23 (4H, A''B''q, J=9.0Hz), 7.53and7.49 (4H, A''B''q, J=9.1Hz), 5.13 (2H, s), 4.36 (1H, br t, J=12.8Hz), 3.70 (2H, td, J=6.8, 6.0Hz), 3.21 (2H, t, J=6.8Hz), 2.38-2.20 (2H, m), 2.09-1.95 (2H, m), 1.91-1.77 (2H, m), 1.70-1.59 (1H, m), 1.49-1.20 (3H, m) |                         |
| Purity                                                                             | > 90 % (NMR)                                                                                                                                                                                                                                                                                                                                                                                                                                    |                         |
| MS                                                                                 | 685 (M+1)                                                                                                                                                                                                                                                                                                                                                                                                                                       |                         |
| Example No.                                                                        | 326                                                                                                                                                                                                                                                                                                                                                                                                                                             | 1H NMR ( $\delta$ ) ppm |
|  | 300MHz, DMSO-d6<br>12.80 (1H, brs), 8.23 (1H, s), 7.90 (1H, d, J=8.7Hz), 7.83 (1H, d, J=8.7Hz), 7.60-7.50 (5H, m), 7.39 (2H, d, J=7.8Hz), 7.23-7.10 (3H, m), 7.05 (1H, d, J=7.8Hz), 6.85 (1H, s), 3.94 (1H, s), 2.97, 2.88 (6H, s), 2.30-2.10 (2H, m), 1.90-1.50 (5H, m), 1.40-1.00 (3H, m)                                                                                                                                                     |                         |
| Purity                                                                             | > 90 % (NMR)                                                                                                                                                                                                                                                                                                                                                                                                                                    |                         |
| MS                                                                                 | 610 (M+1)                                                                                                                                                                                                                                                                                                                                                                                                                                       |                         |

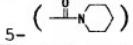
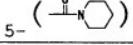
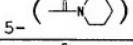
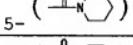
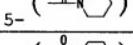
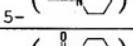
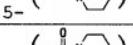
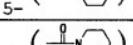
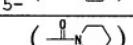
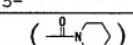
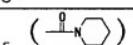
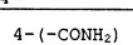
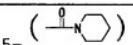
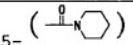
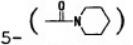
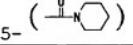
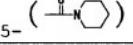
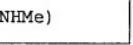
Table 212

|             |              |                                                                                                                                                                                                                                                                  |
|-------------|--------------|------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No. | 327          | 1H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                                          |
|             |              | 300MHz, DMSO-d6<br>13.20-12.60(2H,brs), 8.23(1H,s), 7.98(2H,d,J=6.6Hz), 7.95(1H,d,J=8.7Hz), 7.87(1H,d,J=8.7Hz), 7.70-7.50(5H,m), 7.27-7.20(3H,m), 7.08(1H,d,J=7.8Hz), 6.90(1H,s), 3.93(1H,s), 2.51-2.05(2H,m), 1.90-1.70(4H,m), 1.65-1.55(1H,m), 1.40-1.10(3H,m) |
| Purity      | > 90 % (NMR) |                                                                                                                                                                                                                                                                  |
| MS          | 583 (M+1)    |                                                                                                                                                                                                                                                                  |

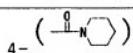
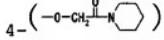
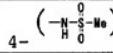
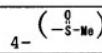
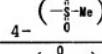
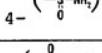
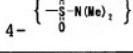
Table 213

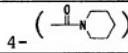
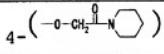
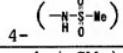
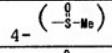
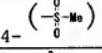
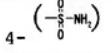
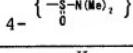
| Ex.<br>No. | R      | R'                         |
|------------|--------|----------------------------|
|            |        |                            |
| 2001       | -H     | 4-(-Me)                    |
| 2002       | -H     | 3-(-CF <sub>3</sub> )      |
| 2003       | 5-(-F) | -H                         |
| 2004       | 3-(-F) | 2-(-F)                     |
| 2005       | 3-(-F) | 3-(-F)                     |
| 2006       | 3-(-F) | 4-(-F)                     |
| 2007       | 4-(-F) | 4-(-F)                     |
| 2008       | 5-(-F) | 4-(-F)                     |
| 2009       | 6-(-F) | 4-(-F)                     |
| 2010       | 4-(-F) | 4-(-Cl)                    |
| 2011       | 5-(-F) | 4-(-Me)                    |
| 2012       | 5-(-F) | 4-(-CF <sub>3</sub> )      |
| 2013       | 5-(-F) | 4-(-CO <sub>2</sub> H)     |
| 2014       | 5-(-F) | 4-(-CO <sub>2</sub> Me)    |
| 2015       | 5-(-F) | 4-(-N(=O)c1ccccc1)         |
| 2016       | 5-(-F) | 4-(-CONH <sub>2</sub> )    |
| 2017       | 5-(-F) | 4-{-CON(Me) <sub>2</sub> } |
| 2018       | 5-(-F) | 4-(-OMe)                   |
| 2019       | 5-(-F) | 4-(-SMe)                   |
| 2020       | 5-(-F) | 4-(-S(=O)(=O)Me)           |
| 2021       | 5-(-F) | 4-(-S(=O)(=O)Me)           |

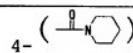
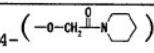
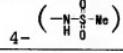
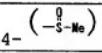
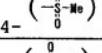
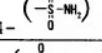
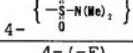
|      |                         |                                                                                           |
|------|-------------------------|-------------------------------------------------------------------------------------------|
| 2022 | 4-(-Cl)                 | -H                                                                                        |
| 2023 | 4-(-Cl)                 | 4-(-F)                                                                                    |
| 2024 | 4-(-Cl)                 | 4-(-Cl)                                                                                   |
| 2025 | 4-(-Cl)                 | 4-(-Me)                                                                                   |
| 2026 | 5-(-Cl)                 | 4-(-CF <sub>3</sub> )                                                                     |
| 2027 | 4-(-Cl)                 | 4-(-CO <sub>2</sub> H)                                                                    |
| 2028 | 5-(-Cl)                 | 4-(-CO <sub>2</sub> Me)                                                                   |
| 2029 | 5-(-Cl)                 | 4- (  )  |
| 2030 | 4-(-Cl)                 | 4-(-CONH <sub>2</sub> )                                                                   |
| 2031 | 5-(-Cl)                 | 4-{-CON(Me) <sub>2</sub> }                                                                |
| 2032 | 5-(-Cl)                 | 3-(-OMe)                                                                                  |
| 2033 | 4-(-Cl)                 | 4-(-SMe)                                                                                  |
| 2034 | 5-(-Cl)                 | 4- (  )  |
| 2035 | 4-(-Cl)                 | 4- (  )  |
| 2036 | 5-(-CN)                 | 4-(-F)                                                                                    |
| 2037 | 4-(-CN)                 | 4-(-Cl)                                                                                   |
| 2038 | 5-(-NO <sub>2</sub> )   | 4-(-F)                                                                                    |
| 2039 | 4-(-NO <sub>2</sub> )   | 4-(-Cl)                                                                                   |
| 2040 | 5-(-Me)                 | 4-(-CO <sub>2</sub> H)                                                                    |
| 2041 | 5-(-Me)                 | 4-(-CO <sub>2</sub> Me)                                                                   |
| 2042 | 5-(-Me)                 | 4- (  )  |
| 2043 | 5-(-CF <sub>3</sub> )   | 4-(-CO <sub>2</sub> H)                                                                    |
| 2044 | 5-(-CF <sub>3</sub> )   | 4-(-CO <sub>2</sub> Me)                                                                   |
| 2045 | 5-(-CF <sub>3</sub> )   | 4- (  ) |
| 2046 | 5-(-CO <sub>2</sub> H)  | 4-(-F)                                                                                    |
| 2047 | 4-(-CO <sub>2</sub> H)  | 4-(-Cl)                                                                                   |
| 2048 | 5-(-CO <sub>2</sub> Me) | 4-(-F)                                                                                    |

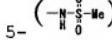
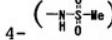
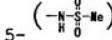
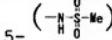
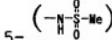
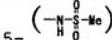
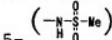
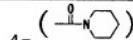
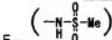
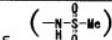
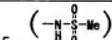
|      |                                                                                            |                                                                                                                |
|------|--------------------------------------------------------------------------------------------|----------------------------------------------------------------------------------------------------------------|
| 2049 | 5- (-CO <sub>2</sub> Me)                                                                   | 4- (-Cl)                                                                                                       |
| 2050 | 5- (-Ac)                                                                                   | 4- (-F)                                                                                                        |
| 2051 | 5- (-Ac)                                                                                   | 4- (-Cl)                                                                                                       |
| 2052 | 5- (  )   | -H                                                                                                             |
| 2053 | 5- (  )   | 4- (-F)                                                                                                        |
| 2054 | 5- (  )   | 4- (-Cl)                                                                                                       |
| 2055 | 5- (  )   | 4- (-CN)                                                                                                       |
| 2056 | 5- (  )   | 4- (-NO <sub>2</sub> )                                                                                         |
| 2057 | 5- (  )   | 4- (-Me)                                                                                                       |
| 2058 | 5- (  )   | 4- (-CF <sub>3</sub> )                                                                                         |
| 2059 | 5- (  )   | 4- (-Ac)                                                                                                       |
| 2060 | 5- (  )   | 4- (-CO <sub>2</sub> H)                                                                                        |
| 2061 | 5- (  )   | 4- (-CO <sub>2</sub> Me)                                                                                       |
| 2062 | 5- (  )   | 4- (  )                       |
| 2063 | 5- (  )   | 4- (-CONH <sub>2</sub> )                                                                                       |
| 2064 | 5- (  )   | 4- {-CON(Me) <sub>2</sub> }                                                                                    |
| 2065 | 5- (  )   | 4- {-C (=NH) NH <sub>2</sub> }                                                                                 |
| 2066 | 5- (  )  | 4- (-OMe)                                                                                                      |
| 2067 | 5- (  ) | 4- (-o-CH <sub>2</sub> -  ) |
| 2068 | 5- (  ) | 4- (-NHMe)                                                                                                     |

|      |                                                                                                                   |                                                                                                                                      |
|------|-------------------------------------------------------------------------------------------------------------------|--------------------------------------------------------------------------------------------------------------------------------------|
| 2069 | $\text{5-} \left( \begin{array}{c} \text{I} \\   \\ \text{N} \text{---} \text{C}_6\text{H}_4 \end{array} \right)$ | 4- (-NHAc)                                                                                                                           |
| 2070 | $\text{5-} \left( \begin{array}{c} \text{I} \\   \\ \text{N} \text{---} \text{C}_6\text{H}_4 \end{array} \right)$ | $4- \left( \begin{array}{c} \text{O} \\   \\ \text{N} \text{---} \text{S} \text{---} \text{Me} \\   \\ \text{O} \end{array} \right)$ |
| 2071 | $\text{5-} \left( \begin{array}{c} \text{I} \\   \\ \text{N} \text{---} \text{C}_6\text{H}_4 \end{array} \right)$ | 4- (-SMe)                                                                                                                            |
| 2072 | $\text{5-} \left( \begin{array}{c} \text{I} \\   \\ \text{N} \text{---} \text{C}_6\text{H}_4 \end{array} \right)$ | $4- \left( \begin{array}{c} \text{O} \\   \\ \text{S} \text{---} \text{Me} \end{array} \right)$                                      |
| 2073 | $\text{5-} \left( \begin{array}{c} \text{I} \\   \\ \text{N} \text{---} \text{C}_6\text{H}_4 \end{array} \right)$ | $4- \left( \begin{array}{c} \text{O} \\   \\ \text{S} \text{---} \text{Me} \\   \\ \text{O} \end{array} \right)$                     |
| 2074 | $\text{5-} \left( \begin{array}{c} \text{I} \\   \\ \text{N} \text{---} \text{C}_6\text{H}_4 \end{array} \right)$ | $4- \left( \begin{array}{c} \text{O} \\   \\ \text{S} \text{---} \text{NH}_2 \\   \\ \text{O} \end{array} \right)$                   |
| 2075 | $\text{5-} \left( \begin{array}{c} \text{I} \\   \\ \text{N} \text{---} \text{C}_6\text{H}_4 \end{array} \right)$ | $4- \left\{ \begin{array}{c} \text{O} \\   \\ \text{S} \text{---} \text{N(Me)}_2 \\   \\ \text{O} \end{array} \right\}$              |
| 2076 | 5- (-CONH <sub>2</sub> )                                                                                          | -H                                                                                                                                   |
| 2077 | 5- (-CONH <sub>2</sub> )                                                                                          | 4- (-F)                                                                                                                              |
| 2078 | 5- (-CONH <sub>2</sub> )                                                                                          | 2, 3, 4, 5, 6-penta- (-F)                                                                                                            |
| 2079 | 5- (-CONH <sub>2</sub> )                                                                                          | 2- (-Cl)                                                                                                                             |
| 2080 | 5- (-CONH <sub>2</sub> )                                                                                          | 3- (-Cl)                                                                                                                             |
| 2081 | 3- (-CONH <sub>2</sub> )                                                                                          | 2- (-Cl)                                                                                                                             |
| 2082 | 3- (-CONH <sub>2</sub> )                                                                                          | 3- (-Cl)                                                                                                                             |
| 2083 | 3- (-CONH <sub>2</sub> )                                                                                          | 4- (-Cl)                                                                                                                             |
| 2084 | 4- (-CONH <sub>2</sub> )                                                                                          | 2- (-Cl)                                                                                                                             |
| 2085 | 4- (-CONH <sub>2</sub> )                                                                                          | 3- (-Cl)                                                                                                                             |
| 2086 | 4- (-CONH <sub>2</sub> )                                                                                          | 4- (-Cl)                                                                                                                             |
| 2087 | 6- (-CONH <sub>2</sub> )                                                                                          | 2- (-Cl)                                                                                                                             |
| 2088 | 6- (-CONH <sub>2</sub> )                                                                                          | 3- (-Cl)                                                                                                                             |
| 2089 | 6- (-CONH <sub>2</sub> )                                                                                          | 4- (-Cl)                                                                                                                             |
| 2090 | 5- (-CONH <sub>2</sub> )                                                                                          | 3, 5-di- (-Cl)                                                                                                                       |
| 2091 | 5- (-CONH <sub>2</sub> )                                                                                          | 4- (-CN)                                                                                                                             |
| 2092 | 5- (-CONH <sub>2</sub> )                                                                                          | 4- (-NO <sub>2</sub> )                                                                                                               |
| 2093 | 5- (-CONH <sub>2</sub> )                                                                                          | 4- (-Me)                                                                                                                             |

|      |                             |                                                                                            |
|------|-----------------------------|--------------------------------------------------------------------------------------------|
| 2094 | 5- (-CONH <sub>2</sub> )    | 2, 6-di- (-Me)                                                                             |
| 2095 | 5- (-CONH <sub>2</sub> )    | 4- (-CF <sub>3</sub> )                                                                     |
| 2096 | 5- (-CONH <sub>2</sub> )    | 4- (-Ac)                                                                                   |
| 2097 | 5- (-CONH <sub>2</sub> )    | 4- (-CO <sub>2</sub> H)                                                                    |
| 2098 | 5- (-CONH <sub>2</sub> )    | 4- (-CO <sub>2</sub> Me)                                                                   |
| 2099 | 5- (-CONH <sub>2</sub> )    | 4- ( -  ) |
| 2100 | 5- (-CONH <sub>2</sub> )    | 4- (-CONH <sub>2</sub> )                                                                   |
| 2101 | 5- (-CONH <sub>2</sub> )    | 3, 5-di- (-CONH <sub>2</sub> )                                                             |
| 2102 | 5- (-CONH <sub>2</sub> )    | 4- {-CON(Me) <sub>2</sub> }                                                                |
| 2103 | 5- (-CONH <sub>2</sub> )    | 4- {-C(=NH)NH <sub>2</sub> }                                                               |
| 2104 | 5- (-CONH <sub>2</sub> )    | 4- (-OMe)                                                                                  |
| 2105 | 5- (-CONH <sub>2</sub> )    | 3, 4, 5-tri- (-OMe)                                                                        |
| 2106 | 5- (-CONH <sub>2</sub> )    | 4- ( -  ) |
| 2107 | 5- (-CONH <sub>2</sub> )    | 4- (-NHMe)                                                                                 |
| 2108 | 5- (-CONH <sub>2</sub> )    | 4- (-NHAc)                                                                                 |
| 2109 | 5- (-CONH <sub>2</sub> )    | 4- ( -  ) |
| 2110 | 5- (-CONH <sub>2</sub> )    | 4- (-SMe)                                                                                  |
| 2111 | 5- (-CONH <sub>2</sub> )    | 4- ( -  ) |
| 2112 | 5- (-CONH <sub>2</sub> )    | 4- ( -  ) |
| 2113 | 5- (-CONH <sub>2</sub> )    | 4- ( -  ) |
| 2114 | 5- (-CONH <sub>2</sub> )    | 4- { -  } |
| 2115 | 5- {-CON(Me) <sub>2</sub> } | -H                                                                                         |
| 2116 | 5- {-CON(Me) <sub>2</sub> } | 4- (-F)                                                                                    |
| 2117 | 4- {-CON(Me) <sub>2</sub> } | 4- (-Cl)                                                                                   |
| 2118 | 5- {-CON(Me) <sub>2</sub> } | 4- (-CN)                                                                                   |

|      |                            |                                                                                          |
|------|----------------------------|------------------------------------------------------------------------------------------|
| 2119 | 5-{-CON(Me) <sub>2</sub> } | 4-(-NO <sub>2</sub> )                                                                    |
| 2120 | 5-{-CON(Me) <sub>2</sub> } | 4-(-Me)                                                                                  |
| 2121 | 4-{-CON(Me) <sub>2</sub> } | 4-(-CF <sub>3</sub> )                                                                    |
| 2122 | 5-{-CON(Me) <sub>2</sub> } | 4-(-Ac)                                                                                  |
| 2123 | 5-{-CON(Me) <sub>2</sub> } | 4-(-CO <sub>2</sub> H)                                                                   |
| 2124 | 5-{-CON(Me) <sub>2</sub> } | 4-(-CO <sub>2</sub> Me)                                                                  |
| 2125 | 5-{-CON(Me) <sub>2</sub> } | 4- (  ) |
| 2126 | 5-{-CON(Me) <sub>2</sub> } | 3-(-CONH <sub>2</sub> )                                                                  |
| 2127 | 4-{-CON(Me) <sub>2</sub> } | 4-{-CON(Me) <sub>2</sub> }                                                               |
| 2128 | 5-{-CON(Me) <sub>2</sub> } | 4-{-C(=NH)NH <sub>2</sub> }                                                              |
| 2129 | 5-{-CON(Me) <sub>2</sub> } | 4-(-OMe)                                                                                 |
| 2130 | 5-{-CON(Me) <sub>2</sub> } | 4- (  ) |
| 2131 | 5-{-CON(Me) <sub>2</sub> } | 4-(-NHMe)                                                                                |
| 2132 | 5-{-CON(Me) <sub>2</sub> } | 4-(-NHAc)                                                                                |
| 2133 | 5-{-CON(Me) <sub>2</sub> } | 4- (  ) |
| 2134 | 4-{-CON(Me) <sub>2</sub> } | 4-(-SMe)                                                                                 |
| 2135 | 5-{-CON(Me) <sub>2</sub> } | 4- (  ) |
| 2136 | 4-{-CON(Me) <sub>2</sub> } | 4- (  ) |
| 2137 | 5-{-CON(Me) <sub>2</sub> } | 4- (  ) |
| 2138 | 5-{-CON(Me) <sub>2</sub> } | 4- {  } |
| 2139 | 5-(-OMe)                   | -H                                                                                       |
| 2140 | 5-(-OMe)                   | 4-(-F)                                                                                   |
| 2141 | 3-(-OMe)                   | 4-(-Cl)                                                                                  |
| 2142 | 4-(-OMe)                   | 4-(-Cl)                                                                                  |
| 2143 | 5-(-OMe)                   | 2-(-Cl)                                                                                  |

|      |                |                                                                                            |
|------|----------------|--------------------------------------------------------------------------------------------|
| 2144 | 5-(-OMe)       | 3-(-Cl)                                                                                    |
| 2145 | 6-(-OMe)       | 4-(-C1)                                                                                    |
| 2146 | 5-(-OMe)       | 4-(-CN)                                                                                    |
| 2147 | 5-(-OMe)       | 4-(-NO <sub>2</sub> )                                                                      |
| 2148 | 5-(-OMe)       | 4-(-Me)                                                                                    |
| 2149 | 5-(-OMe)       | 4-(-CF <sub>3</sub> )                                                                      |
| 2150 | 5-(-OMe)       | 4-(-Ac)                                                                                    |
| 2151 | 4-(-OMe)       | 4-(-CO <sub>2</sub> H)                                                                     |
| 2152 | 4, 5-di-(-OMe) | 4-(-CO <sub>2</sub> H)                                                                     |
| 2153 | 5-(-OMe)       | 4-(-CO <sub>2</sub> Me)                                                                    |
| 2154 | 5-(-OMe)       | 4- (  )   |
| 2155 | 5-(-OMe)       | 4-(-CONH <sub>2</sub> )                                                                    |
| 2156 | 5-(-OMe)       | 4-{-CON(Me) <sub>2</sub> }                                                                 |
| 2157 | 5-(-OMe)       | 4-{-C(=NH)NH <sub>2</sub> }                                                                |
| 2158 | 5-(-OMe)       | 4-(-OMe)                                                                                   |
| 2159 | 5-(-OMe)       | 4- (  )   |
| 2160 | 5-(-OMe)       | 4-(-NHMe)                                                                                  |
| 2161 | 5-(-OMe)       | 4-(-NHAc)                                                                                  |
| 2162 | 5-(-OMe)       | 4- (  )   |
| 2163 | 5-(-OMe)       | 4-(-SMe)                                                                                   |
| 2164 | 5-(-OMe)       | 4- (  )   |
| 2165 | 5-(-OMe)       | 4- (  )   |
| 2166 | 5-(-OMe)       | 4- (  )  |
| 2167 | 5-(-OMe)       | 4- {  } |
| 2168 | 5-(-NHMe)      | 4- (-F)                                                                                    |

|      |                                                                                      |                                                                                         |
|------|--------------------------------------------------------------------------------------|-----------------------------------------------------------------------------------------|
| 2169 | 5- (-NHMe)                                                                           | 4- (-Cl)                                                                                |
| 2170 | 5- (-NHAc)                                                                           | 4- (-F)                                                                                 |
| 2171 | 5- (-NHAC)                                                                           | 4- (-Cl)                                                                                |
| 2172 | 5- (-NHAc)                                                                           | 4- (-Ac)                                                                                |
| 2173 | 5- (-NHAc)                                                                           | 4- (-CONH <sub>2</sub> )                                                                |
| 2174 | 5- (-NHAc)                                                                           | 4- {-CON(Me) <sub>2</sub> }                                                             |
| 2175 | (-  | 4- (-F)                                                                                 |
| 2176 | (-  | 4- (-Cl)                                                                                |
| 2177 | (-  | 4- (-Me)                                                                                |
| 2178 | (-  | 4- (-CF <sub>3</sub> )                                                                  |
| 2179 | (-  | 4- (-CO <sub>2</sub> H)                                                                 |
| 2180 | (-  | 4- (-CO <sub>2</sub> Me)                                                                |
| 2181 | (-  | 4- (-  |
| 2182 | (-  | 4- (-SMe)                                                                               |
| 2183 | (-  | 4- (-  |
| 2184 | (-  | 4- (-  |
| 2185 | 5- (-SMe)                                                                            | 4- (-F)                                                                                 |
| 2186 | 4- (-SMe)                                                                            | 4- (-Cl)                                                                                |
| 2187 | 5- (-SMe)                                                                            | 4- (-Me)                                                                                |
| 2188 | 5- (-SMe)                                                                            | 4- (-CF <sub>3</sub> )                                                                  |
| 2189 | 5- (-SMe)                                                                            | 4- (-Ac)                                                                                |
| 2190 | 5- (-SMe)                                                                            | 4- (-CONH <sub>2</sub> )                                                                |
| 2191 | 5- (-SMe)                                                                            | 4- {-CON(Me) <sub>2</sub> }                                                             |

|      |                                                            |                            |
|------|------------------------------------------------------------|----------------------------|
| 2192 | $(-\overset{0}{\underset{\text{S}-\text{Me}}{\text{S}})$   | 4-(-F)                     |
| 2193 | $(-\overset{0}{\underset{\text{S}-\text{Me}}{\text{S}})$   | 4-(-Cl)                    |
| 2194 | $(-\overset{0}{\underset{\text{S}-\text{Me}}{\text{S}})$   | 4-(-Me)                    |
| 2195 | $(-\overset{0}{\underset{\text{S}-\text{Me}}{\text{S}})$   | 4-(-CF <sub>3</sub> )      |
| 2196 | $(-\overset{0}{\underset{\text{S}-\text{Me}}{\text{S}})$   | 4-(-Ac)                    |
| 2197 | $(-\overset{0}{\underset{\text{S}-\text{Me}}{\text{S}})$   | 4-(-CONH <sub>2</sub> )    |
| 2198 | $(-\overset{0}{\underset{\text{S}-\text{Me}}{\text{S}})$   | 4-{-CON(Me) <sub>2</sub> } |
| 2199 | $(-\overset{0}{\underset{\text{S}-\text{Me}}{\text{S}})$   | 4-(-F)                     |
| 2200 | $(-\overset{0}{\underset{\text{S}-\text{Me}}{\text{S}})$   | 4-(-Cl)                    |
| 2201 | $(-\overset{0}{\underset{\text{S}-\text{Me}}{\text{S}})$   | 4-(-Me)                    |
| 2202 | $(-\overset{0}{\underset{\text{S}-\text{Me}}{\text{S}})$   | 4-(-CF <sub>3</sub> )      |
| 2203 | $(-\overset{0}{\underset{\text{S}-\text{Me}}{\text{S}})$   | 4-(-Ac)                    |
| 2204 | $(-\overset{0}{\underset{\text{S}-\text{Me}}{\text{S}})$   | 4-(-CONH <sub>2</sub> )    |
| 2205 | $(-\overset{0}{\underset{\text{S}-\text{Me}}{\text{S}})$   | 4-{-CON(Me) <sub>2</sub> } |
| 2206 | $(-\overset{0}{\underset{\text{S}-\text{NH}_2}{\text{S}})$ | 4-(-F)                     |
| 2207 | $(-\overset{0}{\underset{\text{S}-\text{NH}_2}{\text{S}})$ | 4-(-Cl)                    |
| 2208 | $(-\overset{0}{\underset{\text{S}-\text{NH}_2}{\text{S}})$ | 2, 4-di-(-Cl)              |
| 2209 | $(-\overset{0}{\underset{\text{S}-\text{NH}_2}{\text{S}})$ | 4-(-Me)                    |
| 2210 | $(-\overset{0}{\underset{\text{S}-\text{NH}_2}{\text{S}})$ | 3-(-CF <sub>3</sub> )      |

|      |                                                                                                                              |                            |
|------|------------------------------------------------------------------------------------------------------------------------------|----------------------------|
| 2211 | $\begin{pmatrix} \text{O} \\   \\ \text{S}-\text{NH}_2 \\   \\ \text{O} \end{pmatrix}$                                       | 4-(-CF <sub>3</sub> )      |
| 2212 | $\begin{pmatrix} \text{O} \\   \\ \text{S}-\text{NH}_2 \\   \\ \text{O} \end{pmatrix}$                                       | 4-(-CONH <sub>2</sub> )    |
| 2213 | $\begin{pmatrix} \text{O} \\   \\ \text{S}-\text{NH}_2 \\   \\ \text{O} \end{pmatrix}$                                       | 4-{-CON(Me) <sub>2</sub> } |
| 2214 | $\begin{pmatrix} \text{O} \\   \\ \text{S}-\text{NH}_2 \\   \\ \text{O} \end{pmatrix}$                                       | 4-(-SMe)                   |
| 2215 | $\begin{pmatrix} \text{O} \\   \\ \text{S}-\text{NH}_2 \\   \\ \text{O} \end{pmatrix}$                                       | 4-(-S-Me)                  |
| 2216 | $\begin{pmatrix} \text{O} \\   \\ \text{S}-\text{NH}_2 \\   \\ \text{O} \end{pmatrix}$                                       | 4-(-S-Me)                  |
| 2217 | $5-\left\{ \begin{pmatrix} \text{O} \\   \\ \text{S}-\text{N}(\text{Me})_2 \\   \\ \text{O} \end{pmatrix} \right\}$          | 4-(-F)                     |
| 2218 | $4-\left\{ \begin{pmatrix} \text{O} \\   \\ \text{S}-\text{N}(\text{Me})_2 \\   \\ \text{O} \end{pmatrix} \right\}$          | 4-(-Cl)                    |
| 2219 | $5-\left\{ \begin{pmatrix} \text{O} \\   \\ \text{S}-\text{N}(\text{Me})_2 \\   \\ \text{O} \end{pmatrix} \right\}$          | 4-(-Me)                    |
| 2220 | $5-\left\{ \begin{pmatrix} \text{O} \\   \\ \text{S}-\text{N}(\text{Me})_2 \\   \\ \text{O} \end{pmatrix} \right\}$          | 4-(-CF <sub>3</sub> )      |
| 2221 | $5-\left\{ \begin{pmatrix} \text{O} \\   \\ \text{S}-\text{N}(\text{Me})_2 \\   \\ \text{O} \end{pmatrix} \right\}$          | 4-(-CONH <sub>2</sub> )    |
| 2222 | $5-\left\{ \begin{pmatrix} \text{O} \\   \\ \text{S}-\text{N}(\text{Me})_2 \\   \\ \text{O} \end{pmatrix} \right\}$          | 4-{-CON(Me) <sub>2</sub> } |
| 2223 | $5-\left\{ \begin{pmatrix} \text{O} \\   \\ \text{S}-\text{N}(\text{Me})_2 \\   \\ \text{O} \end{pmatrix} \right\}$          | 4-(-SMe)                   |
| 2224 | $5-\left\{ \begin{pmatrix} \text{O} \\   \\ \text{S}-\text{N}(\text{Me})_2 \\   \\ \text{O} \end{pmatrix} \right\}$          | 4-(-S-Me)                  |
| 2225 | $5-\left\{ \begin{pmatrix} \text{O} \\   \\ \text{S}-\text{N}(\text{Me})_2 \\   \\ \text{O} \end{pmatrix} \right\}$          | 4-(-S-Me)                  |
| 2226 | 5-{-O-(CH <sub>2</sub> ) <sub>2</sub> -OH}                                                                                   | 4-(-Cl)                    |
| 2227 | 5-{-O-(CH <sub>2</sub> ) <sub>3</sub> -OH}                                                                                   | 4-(-Cl)                    |
| 2228 | $5-\left( \begin{matrix} \text{O} \\ \diagdown \\ \text{C}_6\text{H}_4 \\ \diagup \\ \text{O} \end{matrix} \right)$          | 4-(-Cl)                    |
| 2229 | $5-\left( \begin{matrix} \text{O} \\ \diagdown \\ \text{C}_6\text{H}_4-\text{N} \\ \diagup \\ \text{O} \end{matrix} \right)$ | 4-(-Cl)                    |

|      |  |         |
|------|--|---------|
| 2230 |  | 4-(-Cl) |
| 2231 |  | 4-(-Cl) |
| 2232 |  | 4-(-Cl) |
| 2233 |  | 4-(-Cl) |
| 2234 |  | 4-(-Cl) |
| 2235 |  | 4-(-Cl) |
| 2236 |  | 4-(-Cl) |
| 2237 |  | 4-(-Cl) |
| 2238 |  | 4-(-Cl) |
| 2239 |  | 4-(-Cl) |
| 2240 |  | 4-(-Cl) |
| 2241 |  | 4-(-Cl) |
| 2242 |  | 4-(-Cl) |
| 2243 |  | 4-(-Cl) |

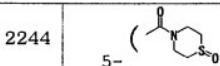
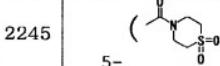
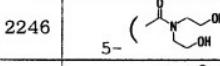
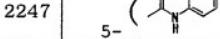
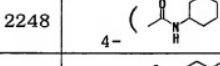
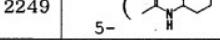
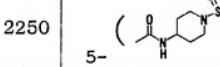
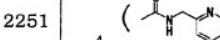
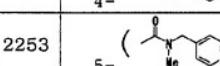
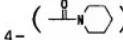
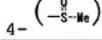
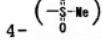
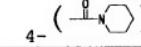
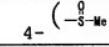
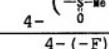
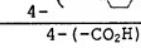
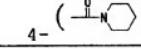
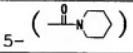
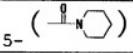
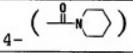
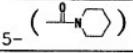
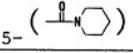
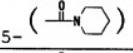
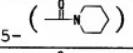
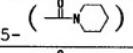
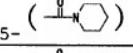
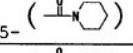
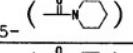
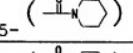
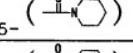
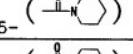
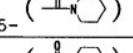
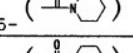
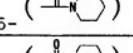
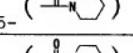
|      |                                                                                   |          |
|------|-----------------------------------------------------------------------------------|----------|
| 2244 |   | 4- (-Cl) |
| 2245 |  | 4- (-Cl) |
| 2246 |  | 4- (-Cl) |
| 2247 |  | 4- (-Cl) |
| 2248 |  | 4- (-Cl) |
| 2249 |  | 4- (-Cl) |
| 2250 |  | 4- (-Cl) |
| 2251 |  | 4- (-Cl) |
| 2252 |  | 4- (-Cl) |
| 2253 |  | 4- (-Cl) |
| 2254 |  | 4- (-Cl) |

Table 214

| Ex.<br>No. | R       | R'                                                                                       |
|------------|---------|------------------------------------------------------------------------------------------|
| 2255       | -H      | -H                                                                                       |
| 2256       | -H      | 4-(-Me)                                                                                  |
| 2257       | -H      | 3-(-CF <sub>3</sub> )                                                                    |
| 2258       | 5-(-F)  | -H                                                                                       |
| 2259       | 5-(-F)  | 4-(-F)                                                                                   |
| 2260       | 5-(-F)  | 4-(-Cl)                                                                                  |
| 2261       | 5-(-F)  | 4-(-Me)                                                                                  |
| 2262       | 5-(-F)  | 4-(-CF <sub>3</sub> )                                                                    |
| 2263       | 5-(-F)  | 4-(-CO <sub>2</sub> H)                                                                   |
| 2264       | 5-(-F)  | 4-(-CO <sub>2</sub> Me)                                                                  |
| 2265       | 5-(-F)  | 4-(-  ) |
| 2266       | 5-(-F)  | 4-(-CONH <sub>2</sub> )                                                                  |
| 2267       | 5-(-F)  | 4-(-CON(Me) <sub>2</sub> )                                                               |
| 2268       | 5-(-F)  | 4-(-OMe)                                                                                 |
| 2269       | 5-(-F)  | 4-(-SMe)                                                                                 |
| 2270       | 5-(-F)  | 4-(-  ) |
| 2271       | 5-(-F)  | 4-(-  ) |
| 2272       | 4-(-Cl) | -H                                                                                       |
| 2273       | 5-(-Cl) | 4-(-F)                                                                                   |
| 2274       | 4-(-Cl) | 4-(-Cl)                                                                                  |
| 2275       | 5-(-Cl) | 4-(-Me)                                                                                  |

|      |                         |                                                                                          |
|------|-------------------------|------------------------------------------------------------------------------------------|
| 2276 | 5-(-Cl)                 | 4-(-CF <sub>3</sub> )                                                                    |
| 2277 | 5-(-Cl)                 | 4-(-CO <sub>2</sub> H)                                                                   |
| 2278 | 5-(-Cl)                 | 4-(-CO <sub>2</sub> Me)                                                                  |
| 2279 | 5-(-Cl)                 | 4-(-  ) |
| 2280 | 5-(-Cl)                 | 4-(-CONH <sub>2</sub> )                                                                  |
| 2281 | 5-(-Cl)                 | 4-(-CON(Me) <sub>2</sub> )                                                               |
| 2282 | 5-(-Cl)                 | 4-(-OMe)                                                                                 |
| 2283 | 5-(-Cl)                 | 4-(-SMe)                                                                                 |
| 2284 | 5-(-Cl)                 | 4-(-  ) |
| 2285 | 5-(-Cl)                 | 4-(-  ) |
| 2286 | 5-(-CN)                 | 4-(-F)                                                                                   |
| 2287 | 5-(-CN)                 | 4-(-Cl)                                                                                  |
| 2288 | 5-(-NO <sub>2</sub> )   | 4-(-F)                                                                                   |
| 2289 | 5-(-NO <sub>2</sub> )   | 4-(-Cl)                                                                                  |
| 2290 | 5-(-Me)                 | 4-(-CO <sub>2</sub> H)                                                                   |
| 2291 | 5-(-Me)                 | 4-(-CO <sub>2</sub> Me)                                                                  |
| 2292 | 5-(-Me)                 | 4-(-  ) |
| 2293 | 5-(-CF <sub>3</sub> )   | 4-(-CO <sub>2</sub> H)                                                                   |
| 2294 | 5-(-CF <sub>3</sub> )   | 4-(-CO <sub>2</sub> Me)                                                                  |
| 2295 | 5-(-CF <sub>3</sub> )   | 4-(-  ) |
| 2296 | 5-(-CO <sub>2</sub> H)  | 4-(-F)                                                                                   |
| 2297 | 4-(-CO <sub>2</sub> H)  | 4-(-Cl)                                                                                  |
| 2298 | 5-(-CO <sub>2</sub> Me) | 4-(-F)                                                                                   |
| 2299 | 5-(-CO <sub>2</sub> Me) | 4-(-Cl)                                                                                  |
| 2300 | 5-(-Ac)                 | 4-(-F)                                                                                   |
| 2301 | 5-(-Ac)                 | 4-(-Cl)                                                                                  |

|      |                                                                                     |                                  |
|------|-------------------------------------------------------------------------------------|----------------------------------|
| 2302 |     | -H                               |
| 2303 |    | 4-(-F)                           |
| 2304 |    | 4-(-Cl)                          |
| 2305 |    | 4-(-CN)                          |
| 2306 |    | 4-(-NO2)                         |
| 2307 |    | 4-(-Me)                          |
| 2308 |    | 4-(-CF3)                         |
| 2309 |    | 4-(-Ac)                          |
| 2310 |    | 4-(-CO2H)                        |
| 2311 |    | 4-(-CO2Me)                       |
| 2312 |    | 4-(-5-(1H-pyrazole-4-yl)-)       |
| 2313 |    | 4-(-CONH2)                       |
| 2314 |    | 4-(-CON(Me)2)                    |
| 2315 |    | 4-{-C(=NH)NH2}                   |
| 2316 |    | 4-(-OMe)                         |
| 2317 |    | 4-(-O-CH2-5-(1H-pyrazole-4-yl)-) |
| 2318 |   | 4-(-NHMe)                        |
| 2319 |  | 4-(-NHAc)                        |
| 2320 |  | 4-(-NH-C(=O)-S-Me)               |

|      |                                                                                            |                                                                                                                  |
|------|--------------------------------------------------------------------------------------------|------------------------------------------------------------------------------------------------------------------|
| 2321 | $5-\left(\begin{array}{c} \text{O} \\ \text{N} \\ \text{C}_6\text{H}_4 \end{array}\right)$ | $4-(-\text{SMe})$                                                                                                |
| 2322 | $5-\left(\begin{array}{c} \text{O} \\ \text{N} \\ \text{C}_6\text{H}_4 \end{array}\right)$ | $4-\left(\begin{array}{c} \text{O} \\ \text{S}-\text{Me} \end{array}\right)$                                     |
| 2323 | $5-\left(\begin{array}{c} \text{O} \\ \text{N} \\ \text{C}_6\text{H}_4 \end{array}\right)$ | $4-\left(\begin{array}{c} \text{O} \\ \text{S}-\text{Me} \end{array}\right)$                                     |
| 2324 | $5-\left(\begin{array}{c} \text{O} \\ \text{N} \\ \text{C}_6\text{H}_4 \end{array}\right)$ | $4-\left(\begin{array}{c} \text{O} \\ \text{S}-\text{NH}_2 \end{array}\right)$                                   |
| 2325 | $5-\left(\begin{array}{c} \text{O} \\ \text{N} \\ \text{C}_6\text{H}_4 \end{array}\right)$ | $4-\left\{\begin{array}{c} \text{O} \\ \text{S}-\text{N}(\text{Me})_2 \end{array}\right\}$                       |
| 2326 | $5-(-\text{CONH}_2)$                                                                       | $-\text{H}$                                                                                                      |
| 2327 | $5-(-\text{CONH}_2)$                                                                       | $4-(-\text{F})$                                                                                                  |
| 2328 | $4-(-\text{CONH}_2)$                                                                       | $4-(-\text{Cl})$                                                                                                 |
| 2329 | $5-(-\text{CONH}_2)$                                                                       | $4-(-\text{CN})$                                                                                                 |
| 2330 | $5-(-\text{CONH}_2)$                                                                       | $4-(-\text{NO}_2)$                                                                                               |
| 2331 | $5-(-\text{CONH}_2)$                                                                       | $4-(-\text{Me})$                                                                                                 |
| 2332 | $5-(-\text{CONH}_2)$                                                                       | $4-(-\text{CF}_3)$                                                                                               |
| 2333 | $5-(-\text{CONH}_2)$                                                                       | $4-(-\text{Ac})$                                                                                                 |
| 2334 | $5-(-\text{CONH}_2)$                                                                       | $4-(-\text{CO}_2\text{H})$                                                                                       |
| 2335 | $5-(-\text{CONH}_2)$                                                                       | $4-(-\text{CO}_2\text{Me})$                                                                                      |
| 2336 | $5-(-\text{CONH}_2)$                                                                       | $4-\left(\begin{array}{c} \text{O} \\ \text{N} \\ \text{C}_6\text{H}_4 \end{array}\right)$                       |
| 2337 | $5-(-\text{CONH}_2)$                                                                       | $4-(-\text{CONH}_2)$                                                                                             |
| 2338 | $5-(-\text{CONH}_2)$                                                                       | $4-\left\{-\text{CON}(\text{Me})_2\right\}$                                                                      |
| 2339 | $5-(-\text{CONH}_2)$                                                                       | $4-\left\{-\text{C}(=\text{NH})\text{NH}_2\right\}$                                                              |
| 2340 | $5-(-\text{CONH}_2)$                                                                       | $4-(-\text{OMe})$                                                                                                |
| 2341 | $5-(-\text{CONH}_2)$                                                                       | $4-\left(-\text{O}-\text{CH}_2-\begin{array}{c} \text{O} \\ \text{N} \\ \text{C}_6\text{H}_4 \end{array}\right)$ |
| 2342 | $5-(-\text{CONH}_2)$                                                                       | $4-(-\text{NHMe})$                                                                                               |
| 2343 | $5-(-\text{CONH}_2)$                                                                       | $4-(-\text{NHAc})$                                                                                               |
| 2344 | $5-(-\text{CONH}_2)$                                                                       | $4-\left(\begin{array}{c} \text{O} \\ \text{N}-\text{S}-\text{Me} \\ \text{O} \end{array}\right)$                |
| 2345 | $5-(-\text{CONH}_2)$                                                                       | $4-(-\text{SMe})$                                                                                                |

|      |                             |                                                                                                                                    |
|------|-----------------------------|------------------------------------------------------------------------------------------------------------------------------------|
| 2346 | 5-(-CONH <sub>2</sub> )     | $4-\left(\begin{smallmatrix} \text{O} \\ -\text{S}-\text{Me} \end{smallmatrix}\right)$                                             |
| 2347 | 5-(-CONH <sub>2</sub> )     | $4-\left(\begin{smallmatrix} \text{O} \\ -\text{S}-\text{Me} \\ \text{O} \end{smallmatrix}\right)$                                 |
| 2348 | 5-(-CONH <sub>2</sub> )     | $4-\left(\begin{smallmatrix} \text{O} \\ -\text{S}-\text{NH}_2 \\ \text{O} \end{smallmatrix}\right)$                               |
| 2349 | 5-(-CONH <sub>2</sub> )     | $4-\left\{\begin{smallmatrix} \text{O} \\ -\text{S}-\text{N}(\text{Me})_2 \\ \text{O} \end{smallmatrix}\right\}$                   |
| 2350 | 5-{ -CON(Me) <sub>2</sub> } | -H                                                                                                                                 |
| 2351 | 5-{ -CON(Me) <sub>2</sub> } | 4-(-F)                                                                                                                             |
| 2352 | 4-{ -CON(Me) <sub>2</sub> } | 4-(-Cl)                                                                                                                            |
| 2353 | 5-{ -CON(Me) <sub>2</sub> } | 4-(-CN)                                                                                                                            |
| 2354 | 5-{ -CON(Me) <sub>2</sub> } | 4-(-NO <sub>2</sub> )                                                                                                              |
| 2355 | 5-{ -CON(Me) <sub>2</sub> } | 4-(-Me)                                                                                                                            |
| 2356 | 5-{ -CON(Me) <sub>2</sub> } | 4-(-CF <sub>3</sub> )                                                                                                              |
| 2357 | 5-{ -CON(Me) <sub>2</sub> } | 4-(-Ac)                                                                                                                            |
| 2358 | 5-{ -CON(Me) <sub>2</sub> } | 4-(-CO <sub>2</sub> H)                                                                                                             |
| 2359 | 5-{ -CON(Me) <sub>2</sub> } | 4-(-CO <sub>2</sub> Me)                                                                                                            |
| 2360 | 5-{ -CON(Me) <sub>2</sub> } | $4-\left(\begin{smallmatrix} \text{O} \\ -\text{N} \text{---} \text{C}_6\text{H}_4 \end{smallmatrix}\right)$                       |
| 2361 | 5-{ -CON(Me) <sub>2</sub> } | 4-(-CONH <sub>2</sub> )                                                                                                            |
| 2362 | 5-{ -CON(Me) <sub>2</sub> } | 4-(-CON(Me) <sub>2</sub> )                                                                                                         |
| 2363 | 5-{ -CON(Me) <sub>2</sub> } | 4-{-C(=NH) NH <sub>2</sub> }                                                                                                       |
| 2364 | 5-{ -CON(Me) <sub>2</sub> } | 4-(-OMe)                                                                                                                           |
| 2365 | 5-{ -CON(Me) <sub>2</sub> } | $4-\left(\begin{smallmatrix} \text{O} \\ -\text{O}-\text{CH}_2-\text{N} \text{---} \text{C}_6\text{H}_4 \end{smallmatrix}\right)$  |
| 2366 | 5-{ -CON(Me) <sub>2</sub> } | 4-(-NHMe)                                                                                                                          |
| 2367 | 5-{ -CON(Me) <sub>2</sub> } | 4-(-NHAc)                                                                                                                          |
| 2368 | 5-{ -CON(Me) <sub>2</sub> } | $4-\left(\begin{smallmatrix} \text{O} \\ -\text{N} \text{---} \text{S}-\text{Me} \\ \text{H} \\ \text{O} \end{smallmatrix}\right)$ |
| 2369 | 5-{ -CON(Me) <sub>2</sub> } | 4-(-SMe)                                                                                                                           |

|      |                             |                                                                                                                                         |
|------|-----------------------------|-----------------------------------------------------------------------------------------------------------------------------------------|
| 2370 | 5-{ -CON(Me) <sub>2</sub> } | $4-\left(\begin{array}{c} \text{O} \\   \\ -\text{S}-\text{Me} \end{array}\right)$                                                      |
| 2371 | 5-{ -CON(Me) <sub>2</sub> } | $4-\left(\begin{array}{c} \text{O} \\   \\ -\text{S}-\text{Me} \\ 0 \end{array}\right)$                                                 |
| 2372 | 5-{ -CON(Me) <sub>2</sub> } | $4-\left(\begin{array}{c} \text{O} \\   \\ -\text{S}-\text{NH}_2 \\ 0 \end{array}\right)$                                               |
| 2373 | 5-{ -CON(Me) <sub>2</sub> } | $4-\left\{\begin{array}{c} \text{O} \\   \\ -\text{S}-\text{N}(\text{Me})_2 \\ 0 \end{array}\right\}$                                   |
| 2374 | 5-( -OMe)                   | -H                                                                                                                                      |
| 2375 | 5-( -OMe)                   | 4-( -F)                                                                                                                                 |
| 2376 | 5-( -OMe)                   | 4-( -Cl)                                                                                                                                |
| 2377 | 5-( -OMe)                   | 4-( -CN)                                                                                                                                |
| 2378 | 5-( -OMe)                   | 4-( -NO <sub>2</sub> )                                                                                                                  |
| 2379 | 5-( -OMe)                   | 4-( -Me)                                                                                                                                |
| 2380 | 5-( -OMe)                   | 4-( -CF <sub>3</sub> )                                                                                                                  |
| 2381 | 5-( -OMe)                   | 4-( -Ac)                                                                                                                                |
| 2382 | 5-( -OMe)                   | 4-( -CO <sub>2</sub> H)                                                                                                                 |
| 2383 | 5-( -OMe)                   | 4-( -CO <sub>2</sub> Me)                                                                                                                |
| 2384 | 5-( -OMe)                   | $4-\left(\begin{array}{c} \text{O} \\   \\ -\text{N} \\ \text{---} \\ \text{C}_6\text{H}_5 \end{array}\right)$                          |
| 2385 | 5-( -OMe)                   | 4-( -CONH <sub>2</sub> )                                                                                                                |
| 2386 | 5-( -OMe)                   | 4-{ -CON(Me) <sub>2</sub> }                                                                                                             |
| 2387 | 5-( -OMe)                   | 4-{ -C(=NH)NH <sub>2</sub> }                                                                                                            |
| 2388 | 5-( -OMe)                   | 4-( -OMe)                                                                                                                               |
| 2389 | 5-( -OMe)                   | $4-\left(\begin{array}{c} \text{O}-\text{CH}_2 \\   \\ \text{---} \\ \text{N} \\ \text{---} \\ \text{C}_6\text{H}_5 \end{array}\right)$ |
| 2390 | 5-( -OMe)                   | 4-( -NHMe)                                                                                                                              |
| 2391 | 5-( -OMe)                   | 4-( -NHAc)                                                                                                                              |
| 2392 | 5-( -OMe)                   | $4-\left(\begin{array}{c} \text{O} \\   \\ -\text{N} \\ \text{---} \\ \text{H} \\ 0 \end{array}\right)-\text{S}-\text{Me}$              |
| 2393 | 5-( -OMe)                   | 4-( -SMe)                                                                                                                               |

|      |                                                                                                                       |                                                                                                                |
|------|-----------------------------------------------------------------------------------------------------------------------|----------------------------------------------------------------------------------------------------------------|
| 2394 | 5-(-OMe)                                                                                                              | $4-\left(\begin{smallmatrix} \text{O} \\   \\ -\text{S}-\text{Me} \end{smallmatrix}\right)$                    |
| 2395 | 5-(-OMe)                                                                                                              | $4-\left(\begin{smallmatrix} \text{O} \\   \\ -\text{S}-\text{Me} \\ 0 \end{smallmatrix}\right)$               |
| 2396 | 5-(-OMe)                                                                                                              | $4-\left(\begin{smallmatrix} \text{O} \\   \\ -\text{S}-\text{NH}_2 \\ 0 \end{smallmatrix}\right)$             |
| 2397 | 5-(-OMe)                                                                                                              | $4-\left\{\begin{smallmatrix} \text{O} \\   \\ -\text{S}-\text{N}(\text{Me})_2 \\ 0 \end{smallmatrix}\right\}$ |
| 2398 | 5-(-NHMe)                                                                                                             | $4-(-\text{F})$                                                                                                |
| 2399 | 5-(-NHMe)                                                                                                             | $4-(-\text{Cl})$                                                                                               |
| 2400 | 5-(-NHAc)                                                                                                             | $4-(-\text{F})$                                                                                                |
| 2401 | 5-(-NHAc)                                                                                                             | $4-(-\text{Cl})$                                                                                               |
| 2402 | 5-(-NHAc)                                                                                                             | $4-(-\text{Ac})$                                                                                               |
| 2403 | 5-(-NHAc)                                                                                                             | $4-(-\text{CONH}_2)$                                                                                           |
| 2404 | 5-(-NHAc)                                                                                                             | $4-\{-\text{CON}(\text{Me})_2\}$                                                                               |
| 2405 | $5-\left(\begin{smallmatrix} \text{O} \\   \\ -\text{N}-\text{S}-\text{Me} \\ \text{H} \\ 0 \end{smallmatrix}\right)$ | $4-(-\text{F})$                                                                                                |
| 2406 | $5-\left(\begin{smallmatrix} \text{O} \\   \\ -\text{N}-\text{S}-\text{Me} \\ \text{H} \\ 0 \end{smallmatrix}\right)$ | $4-(-\text{Cl})$                                                                                               |
| 2407 | $5-\left(\begin{smallmatrix} \text{O} \\   \\ -\text{N}-\text{S}-\text{Me} \\ \text{H} \\ 0 \end{smallmatrix}\right)$ | $4-(-\text{Me})$                                                                                               |
| 2408 | $5-\left(\begin{smallmatrix} \text{O} \\   \\ -\text{N}-\text{S}-\text{Me} \\ \text{H} \\ 0 \end{smallmatrix}\right)$ | $4-(-\text{CF}_3)$                                                                                             |
| 2409 | $5-\left(\begin{smallmatrix} \text{O} \\   \\ -\text{N}-\text{S}-\text{Me} \\ \text{H} \\ 0 \end{smallmatrix}\right)$ | $4-(-\text{CO}_2\text{H})$                                                                                     |
| 2410 | $5-\left(\begin{smallmatrix} \text{O} \\   \\ -\text{N}-\text{S}-\text{Me} \\ \text{H} \\ 0 \end{smallmatrix}\right)$ | $4-(-\text{CO}_2\text{Me})$                                                                                    |
| 2411 | $5-\left(\begin{smallmatrix} \text{O} \\   \\ -\text{N}-\text{S}-\text{Me} \\ \text{H} \\ 0 \end{smallmatrix}\right)$ | $4-\left(\begin{smallmatrix} \text{O} \\   \\ -\text{N}-\text{C}_6\text{H}_5 \end{smallmatrix}\right)$         |
| 2412 | $5-\left(\begin{smallmatrix} \text{O} \\   \\ -\text{N}-\text{S}-\text{Me} \\ \text{H} \\ 0 \end{smallmatrix}\right)$ | $4-(-\text{SMe})$                                                                                              |
| 2413 | $5-\left(\begin{smallmatrix} \text{O} \\   \\ -\text{N}-\text{S}-\text{Me} \\ \text{H} \\ 0 \end{smallmatrix}\right)$ | $4-\left(\begin{smallmatrix} \text{O} \\   \\ -\text{S}-\text{Me} \end{smallmatrix}\right)$                    |
| 2414 | $5-\left(\begin{smallmatrix} \text{O} \\   \\ -\text{N}-\text{S}-\text{Me} \\ \text{H} \\ 0 \end{smallmatrix}\right)$ | $4-\left(\begin{smallmatrix} \text{O} \\   \\ -\text{S}-\text{Me} \end{smallmatrix}\right)$                    |

|      |                                                                           |                            |
|------|---------------------------------------------------------------------------|----------------------------|
| 2415 | 5-(-SMe)                                                                  | 4-(-F)                     |
| 2416 | 5-(-SMe)                                                                  | 4-(-Cl)                    |
| 2417 | 5-(-SMe)                                                                  | 4-(-Me)                    |
| 2418 | 5-(-SMe)                                                                  | 4-(-CF <sub>3</sub> )      |
| 2419 | 5-(-SMe)                                                                  | 4-(-Ac)                    |
| 2420 | 5-(-SMe)                                                                  | 4-(-CONH <sub>2</sub> )    |
| 2421 | 5-(-SMe)                                                                  | 4-{-CON(Me) <sub>2</sub> } |
| 2422 | 5- $\left(\begin{smallmatrix} 0 \\ -S- \\ Me \end{smallmatrix}\right)$    | 4-(-F)                     |
| 2423 | 5- $\left(\begin{smallmatrix} 0 \\ -S- \\ Me \end{smallmatrix}\right)$    | 4-(-Cl)                    |
| 2424 | 5- $\left(\begin{smallmatrix} 0 \\ -S- \\ Me \end{smallmatrix}\right)$    | 4-(-Me)                    |
| 2425 | 5- $\left(\begin{smallmatrix} 0 \\ -S- \\ Me \end{smallmatrix}\right)$    | 4-(-CF <sub>3</sub> )      |
| 2426 | 5- $\left(\begin{smallmatrix} 0 \\ -S- \\ Me \end{smallmatrix}\right)$    | 4-(-Ac)                    |
| 2427 | 5- $\left(\begin{smallmatrix} 0 \\ -S- \\ Me \end{smallmatrix}\right)$    | 4-(-CONH <sub>2</sub> )    |
| 2428 | 5- $\left(\begin{smallmatrix} 0 \\ -S- \\ Me \end{smallmatrix}\right)$    | 4-{-CON(Me) <sub>2</sub> } |
| 2429 | 5- $\left(\begin{smallmatrix} 0 \\ -S- \\ 0 \end{smallmatrix}\right)$     | 4-(-F)                     |
| 2430 | 5- $\left(\begin{smallmatrix} 0 \\ -S- \\ 0 \end{smallmatrix}\right)$     | 4-(-Cl)                    |
| 2431 | 5- $\left(\begin{smallmatrix} 0 \\ -S- \\ 0 \end{smallmatrix}\right)$     | 4-(-Me)                    |
| 2432 | 5- $\left(\begin{smallmatrix} 0 \\ -S- \\ 0 \end{smallmatrix}\right)$     | 4-(-CF <sub>3</sub> )      |
| 2433 | 5- $\left(\begin{smallmatrix} 0 \\ -S- \\ 0 \end{smallmatrix}\right)$     | 4-(-Ac)                    |
| 2434 | 5- $\left(\begin{smallmatrix} 0 \\ -S- \\ 0 \end{smallmatrix}\right)$     | 4-(-CONH <sub>2</sub> )    |
| 2435 | 5- $\left(\begin{smallmatrix} 0 \\ -S- \\ 0 \end{smallmatrix}\right)$     | 4-{-CON(Me) <sub>2</sub> } |
| 2436 | 5- $\left(\begin{smallmatrix} 0 \\ -S-NH_2 \\ 0 \end{smallmatrix}\right)$ | 4-(-F)                     |

|      |                                                                            |                                                          |
|------|----------------------------------------------------------------------------|----------------------------------------------------------|
| 2437 | $\begin{pmatrix} 0 \\ -S-NH_2 \\ 0 \end{pmatrix}_{5-}$                     | 4- (-Cl)                                                 |
| 2438 | $\begin{pmatrix} 0 \\ -S-NH_2 \\ 0 \end{pmatrix}_{5-}$                     | 4- (-Me)                                                 |
| 2439 | $\begin{pmatrix} 0 \\ -S-NH_2 \\ 0 \end{pmatrix}_{5-}$                     | 4- (-CF <sub>3</sub> )                                   |
| 2440 | $\begin{pmatrix} 0 \\ -S-NH_2 \\ 0 \end{pmatrix}_{5-}$                     | 4- (-CONH <sub>2</sub> )                                 |
| 2441 | $\begin{pmatrix} 0 \\ -S-NH_2 \\ 0 \end{pmatrix}_{5-}$                     | 4- { -CON(Me) <sub>2</sub> }                             |
| 2442 | $\begin{pmatrix} 0 \\ -S-NH_2 \\ 0 \end{pmatrix}_{5-}$                     | 4- (-SMe)                                                |
| 2443 | $\begin{pmatrix} 0 \\ -S-NH_2 \\ 0 \end{pmatrix}_{5-}$                     | 4- $\left(\begin{matrix} 0 \\ -S-Me \end{matrix}\right)$ |
| 2444 | $\begin{pmatrix} 0 \\ -S-NH_2 \\ 0 \end{pmatrix}_{5-}$                     | 4- $\left(\begin{matrix} 0 \\ -S-Me \end{matrix}\right)$ |
| 2445 | $\left\{ \begin{pmatrix} 0 \\ -S-N(Me)_2 \\ 0 \end{pmatrix} \right\}_{5-}$ | 4- (-F)                                                  |
| 2446 | $\left\{ \begin{pmatrix} 0 \\ -S-N(Me)_2 \\ 0 \end{pmatrix} \right\}_{5-}$ | 4- (-Cl)                                                 |
| 2447 | $\left\{ \begin{pmatrix} 0 \\ -S-N(Me)_2 \\ 0 \end{pmatrix} \right\}_{5-}$ | 4- (-Me)                                                 |
| 2448 | $\left\{ \begin{pmatrix} 0 \\ -S-N(Me)_2 \\ 0 \end{pmatrix} \right\}_{5-}$ | 4- (-CF <sub>3</sub> )                                   |
| 2449 | $\left\{ \begin{pmatrix} 0 \\ -S-N(Me)_2 \\ 0 \end{pmatrix} \right\}_{5-}$ | 4- (-CONH <sub>2</sub> )                                 |
| 2450 | $\left\{ \begin{pmatrix} 0 \\ -S-N(Me)_2 \\ 0 \end{pmatrix} \right\}_{5-}$ | 4- { -CON(Me) <sub>2</sub> }                             |
| 2451 | $\left\{ \begin{pmatrix} 0 \\ -S-N(Me)_2 \\ 0 \end{pmatrix} \right\}_{5-}$ | 4- (-SMe)                                                |
| 2452 | $\left\{ \begin{pmatrix} 0 \\ -S-N(Me)_2 \\ 0 \end{pmatrix} \right\}_{5-}$ | 4- $\left(\begin{matrix} 0 \\ -S-Me \end{matrix}\right)$ |
| 2453 | $\left\{ \begin{pmatrix} 0 \\ -S-N(Me)_2 \\ 0 \end{pmatrix} \right\}_{5-}$ | 4- $\left(\begin{matrix} 0 \\ -S-Me \end{matrix}\right)$ |

Table 215

| Ex.<br>No. | R                                                             | R'                                                            |
|------------|---------------------------------------------------------------|---------------------------------------------------------------|
| 2454       | 2-(-F)                                                        | 2-(-F)                                                        |
| 2455       | 2-(-F)                                                        | 3-(-F)                                                        |
| 2456       | 2-(-F)                                                        | 4-(-F)                                                        |
| 2457       | 3-(-Cl)                                                       | 3-(-Cl)                                                       |
| 2458       | 3, 5-di-(-Cl)                                                 | 3, 5-di-(-Cl)                                                 |
| 2459       | 3-(-CN)                                                       | 3-(-CN)                                                       |
| 2460       | 3-(-NO <sub>2</sub> )                                         | 3-(-NO <sub>2</sub> )                                         |
| 2461       | 3-(-Me)                                                       | 3-(-Me)                                                       |
| 2462       | 3-(-CF <sub>3</sub> )                                         | 3-(-CF <sub>3</sub> )                                         |
| 2463       | 3-(-Ac)                                                       | 3-(-Ac)                                                       |
| 2464       | 3-(-CO <sub>2</sub> H)                                        | 3-(-CO <sub>2</sub> H)                                        |
| 2465       | 3-(-CO <sub>2</sub> Me)                                       | 3-(-CO <sub>2</sub> Me)                                       |
| 2466       | 3-(-CH <sub>2</sub> -N(=O)-C <sub>6</sub> H <sub>4</sub> -)   | 3-(-CH <sub>2</sub> -N(=O)-C <sub>6</sub> H <sub>4</sub> -)   |
| 2467       | 3-(-CONH <sub>2</sub> )                                       | 3-(-CONH <sub>2</sub> )                                       |
| 2468       | 3-(-CONH <sub>2</sub> )                                       | 3-(-F)                                                        |
| 2469       | 3-(-CONH <sub>2</sub> )                                       | 3-(-Cl)                                                       |
| 2470       | 3-{-CON(Me) <sub>2</sub> }                                    | 3-{-CON(Me) <sub>2</sub> }                                    |
| 2471       | 3-{-CON(Me) <sub>2</sub> }                                    | 3-(-F)                                                        |
| 2472       | 3-{-CON(Me) <sub>2</sub> }                                    | 3-(-Cl)                                                       |
| 2473       | 3-{-C(=NH)NH <sub>2</sub> }                                   | 3-{-C(=NH)NH <sub>2</sub> }                                   |
| 2474       | 3-(-OMe)                                                      | 3-(-OMe)                                                      |
| 2475       | 3-(-O-CH <sub>2</sub> -N(=O)-C <sub>6</sub> H <sub>4</sub> -) | 3-(-O-CH <sub>2</sub> -N(=O)-C <sub>6</sub> H <sub>4</sub> -) |



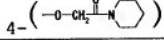
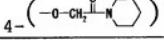
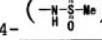
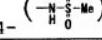
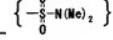
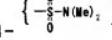
|      |                                                                                       |                                                                                       |
|------|---------------------------------------------------------------------------------------|---------------------------------------------------------------------------------------|
| 2502 | 4-{CON(Me) <sub>2</sub> }                                                             | 3,5-di-(-Cl)                                                                          |
| 2503 | 4-{C(=NH)NH <sub>2</sub> }                                                            | 4-{C(=NH)NH <sub>2</sub> }                                                            |
| 2504 | 4-(OMe)                                                                               | 4-(OMe)                                                                               |
| 2505 | 4-(OMe)                                                                               | 3,4,5-tri-(OMe)                                                                       |
| 2506 | 4-(  | 4-(  |
| 2507 | 4-(NHMe)                                                                              | 4-(NHMe)                                                                              |
| 2508 | 4-(NHAc)                                                                              | 4-(NHAc)                                                                              |
| 2509 | 4-(  | 4-(  |
| 2510 | 4-(SMe)                                                                               | 4-(SMe)                                                                               |
| 2511 | 4-(  | 4-(  |
| 2512 | 4-(  | 4-(  |
| 2513 | 4-(  | 4-(  |
| 2514 | 4-{  | 4-{  |

Table 216

| Ex.<br>No. | R                                                             | R'                                                            |
|------------|---------------------------------------------------------------|---------------------------------------------------------------|
| 2515       | -H                                                            | -H                                                            |
| 2516       | 2-(-F)                                                        | 3-(-F)                                                        |
| 2517       | 3-(-Cl)                                                       | 3-(-Cl)                                                       |
| 2518       | 3-(-CN)                                                       | 3-(-CN)                                                       |
| 2519       | 3-(-NO <sub>2</sub> )                                         | 3-(-NO <sub>2</sub> )                                         |
| 2520       | 3-(-Me)                                                       | 3-(-Me)                                                       |
| 2521       | 3-(-CF <sub>3</sub> )                                         | 3-(-CF <sub>3</sub> )                                         |
| 2522       | 3-(-Ac)                                                       | 3-(-Ac)                                                       |
| 2523       | 3-(-CO <sub>2</sub> H)                                        | 3-(-CO <sub>2</sub> H)                                        |
| 2524       | 3-(-CO <sub>2</sub> Me)                                       | 3-(-CO <sub>2</sub> Me)                                       |
| 2525       | 3-(-CH <sub>2</sub> -N(=O)-C <sub>6</sub> H <sub>4</sub> -)   | 3-(-CH <sub>2</sub> -N(=O)-C <sub>6</sub> H <sub>4</sub> -)   |
| 2526       | 3-(-CONH <sub>2</sub> )                                       | 3-(-CONH <sub>2</sub> )                                       |
| 2527       | 3-(-CONH <sub>2</sub> )                                       | 3-(-F)                                                        |
| 2528       | 3-(-CONH <sub>2</sub> )                                       | 3-(-Cl)                                                       |
| 2529       | 3-{-CON(Me) <sub>2</sub> }                                    | 3-{-CON(Me) <sub>2</sub> }                                    |
| 2530       | 3-{-CON(Me) <sub>2</sub> }                                    | 3-(-F)                                                        |
| 2531       | 3-{-CON(Me) <sub>2</sub> }                                    | 3-(-Cl)                                                       |
| 2532       | 3-{-C(=NH)NH <sub>2</sub> }                                   | 3-{-C(=NH)NH <sub>2</sub> }                                   |
| 2533       | 3-(-OMe)                                                      | 3-(-OMe)                                                      |
| 2534       | 3-(-O-CH <sub>2</sub> -N(=O)-C <sub>6</sub> H <sub>4</sub> -) | 3-(-O-CH <sub>2</sub> -N(=O)-C <sub>6</sub> H <sub>4</sub> -) |
| 2535       | 3-(-NHMe)                                                     | 3-(-NHMe)                                                     |
| 2536       | 3-(-NHAc)                                                     | 3-(-NHAc)                                                     |

|      |                                                                                                                            |                                                                                                                            |
|------|----------------------------------------------------------------------------------------------------------------------------|----------------------------------------------------------------------------------------------------------------------------|
| 2537 | $3-\left(\begin{array}{c} \text{H} \\   \\ -\text{N}-\text{Me} \\   \\ \text{H} \\   \\ \text{O} \end{array}\right)$       | $3-\left(\begin{array}{c} \text{H} \\   \\ -\text{N}-\text{Me} \\   \\ \text{H} \\   \\ \text{O} \end{array}\right)$       |
| 2538 | $3-(-\text{SMe})$                                                                                                          | $3-(-\text{SMe})$                                                                                                          |
| 2539 | $3-\left(\begin{array}{c} \text{H} \\   \\ -\text{S}-\text{Me} \\   \\ \text{O} \end{array}\right)$                        | $3-\left(\begin{array}{c} \text{H} \\   \\ -\text{S}-\text{Me} \\   \\ \text{O} \end{array}\right)$                        |
| 2540 | $3-\left(\begin{array}{c} \text{H} \\   \\ -\text{S}-\text{Me} \\   \\ \text{O} \end{array}\right)$                        | $3-\left(\begin{array}{c} \text{H} \\   \\ -\text{S}-\text{Me} \\   \\ \text{O} \end{array}\right)$                        |
| 2541 | $3-\left(\begin{array}{c} \text{H} \\   \\ -\text{S}-\text{NH}_2 \\   \\ \text{O} \end{array}\right)$                      | $3-\left(\begin{array}{c} \text{H} \\   \\ -\text{S}-\text{NH}_2 \\   \\ \text{O} \end{array}\right)$                      |
| 2542 | $3-\left\{\begin{array}{c} \text{H} \\   \\ -\text{S}-\text{N}(\text{Me})_2 \\   \\ \text{O} \end{array}\right\}$          | $3-\left\{\begin{array}{c} \text{H} \\   \\ -\text{S}-\text{N}(\text{Me})_2 \\   \\ \text{O} \end{array}\right\}$          |
| 2543 | $3-(-\text{F})$                                                                                                            | $4-(-\text{F})$                                                                                                            |
| 2544 | $4-(-\text{Cl})$                                                                                                           | $4-(-\text{Cl})$                                                                                                           |
| 2545 | $4-(-\text{CN})$                                                                                                           | $4-(-\text{CN})$                                                                                                           |
| 2546 | $4-(-\text{NO}_2)$                                                                                                         | $4-(-\text{NO}_2)$                                                                                                         |
| 2547 | $4-(-\text{Me})$                                                                                                           | $4-(-\text{Me})$                                                                                                           |
| 2548 | $4-(-\text{CF}_3)$                                                                                                         | $4-(-\text{CF}_3)$                                                                                                         |
| 2549 | $4-(-\text{Ac})$                                                                                                           | $4-(-\text{Ac})$                                                                                                           |
| 2550 | $3-(-\text{CO}_2\text{H})$                                                                                                 | $4-(-\text{CO}_2\text{H})$                                                                                                 |
| 2551 | $4-(-\text{CO}_2\text{Me})$                                                                                                | $4-(-\text{CO}_2\text{Me})$                                                                                                |
| 2552 | $4-\left(\begin{array}{c} \text{H} \\   \\ -\text{N} \\   \\ \text{C}_6\text{H}_5 \end{array}\right)$                      | $4-\left(\begin{array}{c} \text{H} \\   \\ -\text{N} \\   \\ \text{C}_6\text{H}_5 \end{array}\right)$                      |
| 2553 | $4-(-\text{CONH}_2)$                                                                                                       | $4-(-\text{CONH}_2)$                                                                                                       |
| 2554 | $4-(-\text{CONH}_2)$                                                                                                       | $4-(-\text{F})$                                                                                                            |
| 2555 | $4-(-\text{CONH}_2)$                                                                                                       | $4-(-\text{Cl})$                                                                                                           |
| 2556 | $3-\{-\text{CON}(\text{Me})_2\}$                                                                                           | $4-\{-\text{CON}(\text{Me})_2\}$                                                                                           |
| 2557 | $3-\{-\text{CON}(\text{Me})_2\}$                                                                                           | $4-(-\text{F})$                                                                                                            |
| 2558 | $4-\{-\text{CON}(\text{Me})_2\}$                                                                                           | $4-(-\text{Cl})$                                                                                                           |
| 2559 | $4-\{-\text{C}(=\text{NH})\text{NH}_2\}$                                                                                   | $4-\{-\text{C}(=\text{NH})\text{NH}_2\}$                                                                                   |
| 2560 | $4-(-\text{OMe})$                                                                                                          | $4-(-\text{OMe})$                                                                                                          |
| 2561 | $4-\left(\begin{array}{c} \text{H} \\   \\ -\text{o}-\text{CH}_2-\text{N} \\   \\ \text{C}_6\text{H}_5 \end{array}\right)$ | $4-\left(\begin{array}{c} \text{H} \\   \\ -\text{o}-\text{CH}_2-\text{N} \\   \\ \text{C}_6\text{H}_5 \end{array}\right)$ |

|      |                                                                                                                  |                                                                                                                  |
|------|------------------------------------------------------------------------------------------------------------------|------------------------------------------------------------------------------------------------------------------|
| 2562 | 4- (-NHMe)                                                                                                       | 4- (-NHMe)                                                                                                       |
| 2563 | 4- (-NHAc)                                                                                                       | 4- (-NHAc)                                                                                                       |
| 2564 | $4-\left(\begin{smallmatrix} \text{O} & \\ \text{H} & \text{S}-\text{Me} \\ \text{O} & \end{smallmatrix}\right)$ | $4-\left(\begin{smallmatrix} \text{O} & \\ \text{H} & \text{S}-\text{Me} \\ \text{O} & \end{smallmatrix}\right)$ |
| 2565 | 4- (-SMe)                                                                                                        | 4- (-SMe)                                                                                                        |
| 2566 | $4-\left(\begin{smallmatrix} \text{O} & \\ \text{S}-\text{Me} & \end{smallmatrix}\right)$                        | $4-\left(\begin{smallmatrix} \text{O} & \\ \text{S}-\text{Me} & \end{smallmatrix}\right)$                        |
| 2567 | $4-\left(\begin{smallmatrix} \text{O} & \\ \text{S}-\text{Me} & \end{smallmatrix}\right)$                        | $4-\left(\begin{smallmatrix} \text{O} & \\ \text{S}-\text{Me} & \end{smallmatrix}\right)$                        |
| 2568 | $4-\left(\begin{smallmatrix} \text{O} & \\ \text{S}-\text{NH}_2 & \end{smallmatrix}\right)$                      | $4-\left(\begin{smallmatrix} \text{O} & \\ \text{S}-\text{NH}_2 & \end{smallmatrix}\right)$                      |
| 2569 | $4-\left\{\begin{smallmatrix} \text{O} & \\ \text{S}-\text{N}(\text{Me})_2 & \end{smallmatrix}\right\}$          | $4-\left\{\begin{smallmatrix} \text{O} & \\ \text{S}-\text{N}(\text{Me})_2 & \end{smallmatrix}\right\}$          |

Table 217

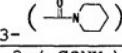
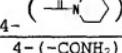
| Ex.<br>No. | Py   | R'                                                                                       |
|------------|------|------------------------------------------------------------------------------------------|
|            |      |                                                                                          |
| 2570       | 3-PY | -H                                                                                       |
| 2571       | 3-PY | 3-(-F)                                                                                   |
| 2572       | 3-PY | 3-(-Cl)                                                                                  |
| 2573       | 3-PY | 3-(-Me)                                                                                  |
| 2574       | 3-PY | 3-(-CF <sub>3</sub> )                                                                    |
| 2575       | 3-PY | 3-(-Ac)                                                                                  |
| 2576       | 3-PY | 3-(-CO <sub>2</sub> H)                                                                   |
| 2577       | 3-PY | 3-(-CO <sub>2</sub> Me)                                                                  |
| 2578       | 3-PY | 3-(-  ) |
| 2579       | 3-PY | 3-(-CONH <sub>2</sub> )                                                                  |
| 2580       | 3-PY | 3-{-CON(Me) <sub>2</sub> }                                                               |
| 2581       | 3-PY | 4-(-F)                                                                                   |
| 2582       | 3-PY | 4-(-Cl)                                                                                  |
| 2583       | 3-PY | 4-(-Me)                                                                                  |
| 2584       | 3-PY | 4-(-CF <sub>3</sub> )                                                                    |
| 2585       | 3-PY | 4-(-Ac)                                                                                  |
| 2586       | 2-PY | 4-(-CO <sub>2</sub> H)                                                                   |
| 2587       | 3-PY | 4-(-CO <sub>2</sub> Me)                                                                  |
| 2588       | 3-PY | 4-(-  ) |
| 2589       | 4-PY | 4-(-CONH <sub>2</sub> )                                                                  |
| 2590       | 3-PY | 4-{-CON(Me) <sub>2</sub> }                                                               |

Table 218

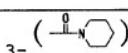
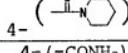
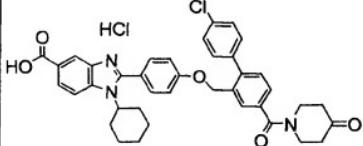
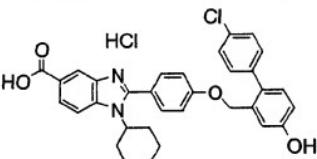
| Ex.<br>No. | Py   | R'                                                                                        |
|------------|------|-------------------------------------------------------------------------------------------|
|            |      |                                                                                           |
| 2591       | 3-Py | -H                                                                                        |
| 2592       | 3-Py | 3-(-F)                                                                                    |
| 2593       | 3-Py | 3-(-Cl)                                                                                   |
| 2594       | 3-Py | 3-(-Me)                                                                                   |
| 2595       | 3-Py | 3-(-CF <sub>3</sub> )                                                                     |
| 2596       | 3-Py | 3-(-Ac)                                                                                   |
| 2597       | 3-Py | 3-(-CO <sub>2</sub> H)                                                                    |
| 2598       | 3-Py | 3-(-CO <sub>2</sub> Me)                                                                   |
| 2599       | 3-Py | 3- (  )  |
| 2600       | 3-Py | 3-(-CONH <sub>2</sub> )                                                                   |
| 2601       | 3-Py | 3-{-CON(Me) <sub>2</sub> }                                                                |
| 2602       | 3-Py | 4-(-F)                                                                                    |
| 2603       | 3-Py | 4-(-Cl)                                                                                   |
| 2604       | 3-Py | 4-(-Me)                                                                                   |
| 2605       | 3-Py | 4-(-CF <sub>3</sub> )                                                                     |
| 2606       | 3-Py | 4-(-Ac)                                                                                   |
| 2607       | 3-Py | 4-(-CO <sub>2</sub> H)                                                                    |
| 2608       | 3-Py | 4-(-CO <sub>2</sub> Me)                                                                   |
| 2609       | 3-Py | 4- (  ) |
| 2610       | 3-Py | 4-(-CONH <sub>2</sub> )                                                                   |
| 2611       | 3-Py | 4-{-CON(Me) <sub>2</sub> }                                                                |

Table 219

|                                                                                   |              |                                                                                                                                                                                                                                                                                                                                           |
|-----------------------------------------------------------------------------------|--------------|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No.                                                                       | 328          | 1H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                                                                                                                   |
|  |              | 300MHz, DMSO-d6<br>8.29(1H, s), 8.23(1H, d, J=9.0Hz), 8.02(1H, d, J=8.4Hz), 7.80(1H, s), 7.71(2H, d, J=8.4Hz), 7.61(1H, d, J=9.3Hz), 7.55-7.45(3H, m), 7.46(2H, d, J=8.1Hz), 7.22(2H, d, J=7.7Hz), 5.16(2H, s, ), 4.34(1H, m), 4.20-3.40(4H, m), 2.60-2.15(6H, m), 2.10-1.90(2H, m), 1.85-1.70(2H, m), 1.65-1.55(1H, m), 1.50-1.10(3H, m) |
| Purity                                                                            | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                           |
| MS                                                                                | 662(M+1)     |                                                                                                                                                                                                                                                                                                                                           |

|                                                                                   |              |                                                                                                                                                                                                                                                                                                                                                   |
|-----------------------------------------------------------------------------------|--------------|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No.                                                                       | 329          | 1H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                                                                                                                           |
|  |              | 400MHz, DMSO-d6<br>9.80(1H, brs), 8.32(1H, s), 8.30(1H, d, J=8.8Hz), 8.06(1H, d, J=8.8Hz), 7.74(2H, d, J=6Hz), 7.48-7.37(4H, m), 7.22(1H, d, J=8.6Hz), 7.17(1H, d, J=8.2Hz), 7.05(1H, d, J=2.3Hz), 6.88(1H, dd, J=8.3, 2.5Hz), 5.04(2H, s), 4.37(1H, m), 2.37-2.22(2H, m), 2.11-1.98(2H, m), 1.93-1.81(2H, m), 1.70-1.58(1H, m), 1.56-1.22(3H, m) |
| Purity                                                                            | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                   |
| MS                                                                                | 553(M+1)     |                                                                                                                                                                                                                                                                                                                                                   |

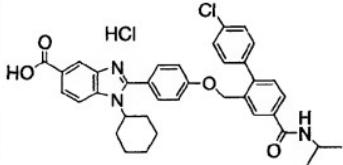
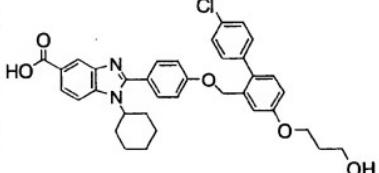
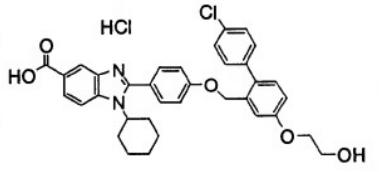
|                                                                                    |              |                                                                                                                                                                                                                                                                                                                                                                      |
|------------------------------------------------------------------------------------|--------------|----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No.                                                                        | 330          | 1H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                                                                                                                                              |
|  |              | 300MHz, DMSO-d6<br>8.38(1H, d, J=7.5Hz), 8.32(1H, s), 8.29(1H, d, J=9.0Hz), 8.18(1H, s), 8.05(1H, d, J=9.0Hz), 7.96(1H, d, J=7.5Hz), 7.75(2H, d, J=8.4Hz), 7.53-7.43(5H, m), 7.25(2H, d, J=8.4Hz), 5.13(2H, s), 4.36(1H, m), 4.12(1H, sept, J=6.9Hz), 2.40-2.15(2H, m), 2.10-1.95(2H, m), 1.90-1.75(2H, m), 1.70-1.55(1H, m), 1.50-1.20(3H, m), 1.18(6H, d, J=6.6Hz) |
| Purity                                                                             | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                      |
| MS                                                                                 | 622(M+1)     |                                                                                                                                                                                                                                                                                                                                                                      |

Table 220

|             |                                                                                                                                                                                                                                                                                                                                                                                                             |                                     |
|-------------|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|-------------------------------------|
| Example No. | 331                                                                                                                                                                                                                                                                                                                                                                                                         | <sup>1</sup> H NMR ( $\delta$ ) ppm |
|             | 300MHz, DMSO-d6<br>8.31(1H, s), 8.27(1H, d, J=8.7Hz)<br>8.05(1H, d, J=8.7Hz), 7.75-<br>7.41(9H, m), 7.23(2H, d, J=8.7Hz)<br>4.36(1H, m), 4.00-3.90(1H,<br>m), 2.84(3H, brs), 2.40-2.15(2<br>H, m), 2.10-2.00(2H, m), 1.95-1<br>.75(2H, m), 1.70-1.55(1H, m), 1<br>.50-1.00(7H, m)                                                                                                                           |                                     |
| Purity      | > 90 % (NMR)                                                                                                                                                                                                                                                                                                                                                                                                |                                     |
| MS          | 636 (M+1)                                                                                                                                                                                                                                                                                                                                                                                                   |                                     |
| Example No. | 332                                                                                                                                                                                                                                                                                                                                                                                                         | <sup>1</sup> H NMR ( $\delta$ ) ppm |
|             | 300MHz, DMSO-d6<br>10.42(1H, s), 8.29(1H, s), 8.27<br>(1H, s), 8.10(1H, d, J=7.9Hz), 8<br>.03(1H, d, J=8.6Hz), 7.82(2H, d<br>, J=7.5Hz), 7.73(2H, d, J=8.7Hz<br>, 7.56-7.52(5H, m), 7.38(2H, t<br>, J=7.9Hz), 7.26(2H, d, J=8.7Hz<br>, 7.13(1H, t, J=7.5Hz), 5.20(2<br>H, s), 4.35(1H, br<br>t, J=1.7Hz), 2.37-2.19(2H, m)<br>2.07-1.96(2H, m), 1.92-1.79(2H,<br>m), 1.69-1.58(1H, m), 1.50-<br>1.20(3H, m) |                                     |
| Purity      | > 90 % (NMR)                                                                                                                                                                                                                                                                                                                                                                                                |                                     |
| MS          | 656 (M+1)                                                                                                                                                                                                                                                                                                                                                                                                   |                                     |
| Example No. | 333                                                                                                                                                                                                                                                                                                                                                                                                         | <sup>1</sup> H NMR ( $\delta$ ) ppm |
|             | 300MHz, DMSO-d6<br>8.30(1H, s), 8.24 and 8.03(2H, A<br>Bq, J=8.8Hz), 7.71 and 7.22(4H,<br>A' B' q, J=8.8Hz), 7.69(1H, s), 7<br>.52(4H, s), 7.50 and 7.43(2H, A'<br>B' q, J=7.7Hz), 5.15(2H, s) 4.35<br>(1H, br<br>t, J=12.1Hz), 4.05-3.15(5H, br<br>m), 3.27(3H, s), 2.39-2.20(2H,<br>m), 2.07-1.75(6H, m), 1.70-1.5<br>8(1H, m) 1.55-1.20(5H, m)                                                           |                                     |
| Purity      | > 90 % (NMR)                                                                                                                                                                                                                                                                                                                                                                                                |                                     |
| MS          | 678 (M+1)                                                                                                                                                                                                                                                                                                                                                                                                   |                                     |

Table 221

|                                                                                   |              |                                                                                                                                                                                                                                                                                                                                                                                                |
|-----------------------------------------------------------------------------------|--------------|------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No.                                                                       | 334          | <sup>1</sup> H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                                                                                                                                                            |
|  |              | 300MHz, DMSO-d6<br>8.22 (1H, d, J=1.5Hz), 8.01 (1H, d, J=9.0Hz), 7.89 (1H, dd, J=8.6, 1.5Hz), 7.61 (2H, d, J=8.6Hz), 7.50-7.39 (4H, m), 7.27 (1H, d, J=8.6Hz), 7.22 (1H, d, J=2.6Hz), 7.13 (2H, d, J=8.6Hz), 7.04 (1H, dd, J=8.2, 2.6Hz), 5.04 (2H, s), 4.28 (1H, m), 4.11 (2H, t, J=6.3Hz), 3.57 (2H, t, J=6.3Hz), 2.38-2.17 (2H, m), 2.00-1.79 (6H, m), 1.70-1.59 (1H, m), 1.52-1.16 (3H, m) |
| Purity                                                                            | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                                                |
| MS                                                                                | 611 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                                                |

|                                                                                   |              |                                                                                                                                                                                                                                                                                                                                                                 |
|-----------------------------------------------------------------------------------|--------------|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Example No.                                                                       | 335          | <sup>1</sup> H NMR ( $\delta$ ) ppm                                                                                                                                                                                                                                                                                                                             |
|  |              | 300MHz, DMSO-d6<br>8.30 (1H, d, J=1.5Hz), 8.27 (1H, d, J=9.0Hz), 8.04 (1H, dd, J=8.6, 1.5Hz), 7.72 (2H, d, J=9.0Hz), 7.60-7.40 (4H, m), 7.32-7.19 (4H, m), 7.06 (1H, dd, J=8.6, 3.0Hz), 5.08 (2H, s), 4.36 (1H, m), 4.06 (2H, t, J=4.8Hz), 3.74 (2H, t, J=4.8Hz), 2.38-2.19 (2H, m), 2.13-1.97 (2H, m), 1.94-1.78 (2H, m), 1.72-1.59 (1H, m), 1.52-1.20 (3H, m) |
| Purity                                                                            | > 90 % (NMR) |                                                                                                                                                                                                                                                                                                                                                                 |
| MS                                                                                | 597 (M+1)    |                                                                                                                                                                                                                                                                                                                                                                 |

## DEMANDE OU BREVET VOLUMINEUX

LA PRÉSENTE PARTIE DE CETTE DEMANDE OU CE BREVET COMPREND  
PLUS D'UN TOME.

CECI EST LE TOME        1    DE    2  
~~~ TENANT LES PAGES    1    À    429

NOTE : Pour les tomes additionnels, veuillez contacter le Bureau canadien des brevets

JUMBO APPLICATIONS/PATENTS

THIS SECTION OF THE APPLICATION/PATENT CONTAINS MORE THAN ONE
VOLUME

THIS IS VOLUME 1 OF 2
CONTAINING PAGES 1 TO 429

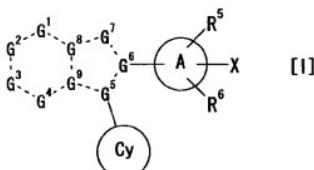
NOTE: For additional volumes, please contact the Canadian Patent Office

NOM DU FICHIER / FILE NAME :

NOTE POUR LE TOME / VOLUME NOTE:

WHAT IS CLAIMED IS:

1. A therapeutic agent for hepatitis C, which comprises a fused ring compound of the following formula [I] or a pharmaceutically acceptable salt thereof as an active ingredient:



5

wherein

a broken line is a single bond or a double bond,

G^1 is $C(-R^1)$ or a nitrogen atom,

G^2 is $C(-R^2)$ or a nitrogen atom.

10. G^3 is $\text{C}(-\text{R}^3)$ or a nitrogen atom.

C^4 is $C(-R^4)$ or a nitrogen atom.

G^5 , G^6 , G^8 and G^9 are each independently a carbon atom or a nitrogen atom.

G^7 is $C(-R^7)$, an oxygen atom, a sulfur atom, or a nitrogen atom optionally substituted by R^8 .

15 nitrogen atom especially, substituted by substituents R^1 , R^2 , R^3 and R^4 are each independently:

- (1) hydrogen atom,
 - (2) C₁₋₆ alkanoyl,
 - (3) carboxyl,
 - (4) cyano,
 - (5) nitro.

(6) C₁₋₆ alkyl optionally substituted by 1 to 3 substituent(s) selected from the following group A, group A; halogen atom, hydroxyl group, carboxyl, amino, 25 C₁₋₆ alkoxy, C₁₋₆ alkoxy C₁₋₆ alkoxy, C₁₋₆ alkoxy carbonyl and C₁₋₆ alkylamino,

(7) -COOR¹
wherein R¹ is optionally substituted C₁₋₆ alkyl (as defined above), C₆₋₁₄ aryl C₁₋₆ alkyl optionally substituted by 1 to 5 substituent(s) selected from the following group B or glucuronic acid residue, group B; halogen atom, cyano, nitro, C₁₋₆ alkyl,

halogenated C₁₋₆ alkyl, C₁₋₆ alkanoyl,
 - (CH₂)_r-COOR^{b1}, - (CH₂)_r-CONR^{b1}R^{b2}, - (CH₂)_r-NR^{b1}R^{b2},
 - (CH₂)_r-NR^{b1}-COR^{b2}, - (CH₂)_r-NHSO₂R^{b1}, - (CH₂)_r-OR^{b1},
 - (CH₂)_r-SR^{b1}, - (CH₂)_r-SO₂R^{b1} and - (CH₂)_r-SO₂NR^{b1}R^{b2}
 wherein R^{b1} and R^{b2} are each independently
 hydrogen atom or C₁₋₆ alkyl and r is 0 or an
 integer of 1 to 6,

(8) -CONR^{a2}R^{a3}

wherein R^{a2} and R^{a3} are each independently hydrogen atom,
 C₁₋₆ alkoxy or optionally substituted C₁₋₆ alkyl (as
 defined above),

(9) -C(=NR^{a4})NH₂

wherein R^{a4} is hydrogen atom or hydroxyl group,

(10) -NHR^{a5}

wherein R^{a5} is hydrogen atom, C₁₋₆ alkanoyl or C₁₋₆
 alkylsulfonyl,

(11) -OR^{a6}

wherein R^{a6} is hydrogen atom or optionally substituted
 C₁₋₆ alkyl (as defined above),

(12) -SO₂R^{a7}

wherein R^{a7} is hydroxyl group, amino, C₁₋₆ alkyl or C₁₋₆
 alkylamino,

(13) -P(=O)(OR^{a31})₂

wherein R^{a31} is hydrogen atom, optionally substituted C₁₋₆
 alkyl (as defined above) or C₆₋₁₄ aryl C₁₋₆ alkyl
 optionally substituted by 1 to 5 substituent(s)
 selected from the above group B

or

(14) heterocyclic group having 1 to 4 heteroatom(s)

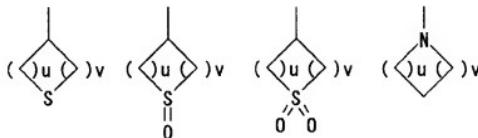
selected from an oxygen atom, a nitrogen atom and a
 sulfur atom, and

R⁷ and R⁸ are each hydrogen atom or optionally substituted
 C₁₋₆ alkyl (as defined above),

ring Cy is

(1) C₃₋₈ cycloalkyl optionally substituted by 1 to 5
 substituent(s) selected from the following group C,
 group C; hydroxyl group, halogen atom, C₁₋₆ alkyl and
 C₁₋₆ alkoxy,

- (2) C₃₋₈ cycloalkenyl optionally substituted by 1 to 5 substituent(s) selected from the above group C, or
 (3)



5 wherein u and v are each independently an integer of 1 to 3,

ring A is

- (1) C₆₋₁₄ aryl,
- (2) C₃₋₈ cycloalkyl,
- (3) C₃₋₈ cycloalkenyl or
- (4) heterocyclic group having 1 to 4 heteroatom(s) selected from an oxygen atom, a nitrogen atom and a sulfur atom,

R⁵ and R⁶ are each independently

- 15 (1) hydrogen atom,
- (2) halogen atom,
- (3) optionally substituted C₁₋₆ alkyl (as defined above) or
- (4) -OR^{a8}

20 wherein R^{a8} is hydrogen atom, C₁₋₆ alkyl or C₆₋₁₄ aryl C₁₋₆ alkyl, and

X is

- (1) hydrogen atom,
 - (2) halogen atom,
 - (3) cyano,
 - (4) nitro,
 - (5) amino, C₁₋₆ alkanoylamino,
 - (6) C₁₋₆ alkylsulfonyl,
 - (7) optionally substituted C₁₋₆ alkyl (as defined above),
 - (8) C₂₋₆ alkenyl optionally substituted by 1 to 3 substituent(s) selected from the above group A,
 - (9) -COOR^{a9}
- 30 wherein R^{a9} is hydrogen atom or C₁₋₆ alkyl,
- (10) -CONH-(CH₂)_{1-R^{a10}}

wherein R^{a10} is optionally substituted C₁₋₆ alkyl (as defined above), C₁₋₆ alkoxy carbonyl or C₁₋₆ alkanoylamino and l is 0 or an integer of 1 to 6,

(11) -OR^{a11}

5 wherein R^{a11} is hydrogen atom or optionally substituted C₁₋₆ alkyl (as defined above)

or

(12)



10 wherein

ring B is

- (1') C₆₋₁₄ aryl,
- (2') C₃₋₈ cycloalkyl or
- (3') heterocyclic group (as defined above),

15 each Z is independently

- (1') a group selected from the following group D,
- (2') C₆₋₁₄ aryl optionally substituted by 1 to 5 substituent(s) selected from the following group D,
- (3') C₃₋₈ cycloalkyl optionally substituted by 1 to 5 substituent(s) selected from the following group D,
- (4') C₆₋₁₄ aryl C₁₋₆ alkyl optionally substituted by 1 to 5 substituent(s) selected from the following group D,
- (5') heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the following group D,

25 wherein the heterocyclic group has 1 to 4 hetero-atom(s) selected from an oxygen atom, a nitrogen atom and a sulfur atom, or

30 (6') heterocycle C₁₋₆ alkyl optionally substituted by 1 to 5 substituent(s) selected from the following group D,

35 wherein the heterocycle C₁₋₆ alkyl is C₁₋₆ alkyl substituted by heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the group D, as defined above, group D:

- 5
- (a) hydrogen atom,
 - (b) halogen atom,
 - (c) cyano,
 - (d) nitro,
 - (e) optionally substituted C₁₋₆ alkyl (as defined above),
 - (f) -(CH₂)_{t-COR^{a18}},
 - (hereinafter each t means independently 0 or an integer of 1 to 6),
- 10
- wherein R^{a18} is
 - (1'') optionally substituted C₁₋₆ alkyl (as defined above),
 - (2'') C₆₋₁₄ aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B or
 - (3'') heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the above group B
wherein the heterocyclic group has 1 to 4 heteroatom(s) selected from an oxygen atom, a nitrogen atom and a sulfur atom,
- 15
- (g) -(CH₂)_{t-COOR^{a19}}
wherein R^{a19} is hydrogen atom, optionally substituted C₁₋₆ alkyl (as defined above) or C₆₋₁₄ aryl C₁₋₆ alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
 - (h) -(CH₂)_{t-CONR^{a27}R^{a28}}
wherein R^{a27} and R^{a28} are each independently,
- 20
- (1'') hydrogen atom,
 - (2'') optionally substituted C₁₋₆ alkyl (as defined above),
 - (3'') C₆₋₁₄ aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
 - (4'') C₆₋₁₄ aryl C₁₋₆ alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
- 25

20

25

30

35

- (5'') heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the above group B,
- 5 (6'') heterocycle C₁₋₆ alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
- 10 wherein the heterocycle C₁₋₆ alkyl is C₁₋₆ alkyl substituted by heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the above group B, as defined above,
- 15 (7'') C₃₋₈ cycloalkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
- (8'') C₃₋₈ cycloalkyl C₁₋₆ alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
- 20 (9'') hydroxyl group or
 (10'') C₁₋₆ alkoxy,
 (i) -(CH₂)_t-C(=NR^{a33})NH₂
 25 wherein R^{a33} is hydrogen atom, C₁₋₆ alkyl, hydroxyl group or C₁₋₆ alkoxy,
 (j) -(CH₂)_t-OR^{a20}
 wherein R^{a20} is
 (1'') hydrogen atom,
 (2'') optionally substituted C₁₋₆ alkyl (as defined above),
 30 (3'') optionally substituted C₂₋₆ alkenyl (as defined above),
 (4'') C₂₋₆ alkynyl optionally substituted by 1 to 3 substituent(s) selected from the above group A,
 (5'') C₆₋₁₄ aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
 35 (6'') C₆₋₁₄ aryl C₁₋₆ alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
 (7'') heterocyclic group optionally substituted

by 1 to 5 substituent(s) selected from
the above group B,

5 (8'') heterocycle C₁₋₆ alkyl optionally
substituted by 1 to 5 substituent(s)
selected from the above group B,

(9'') C₃₋₈ cycloalkyl optionally substituted by
1 to 5 substituent(s) selected from the
above group B, or

10 (10'') C₃₋₈ cycloalkyl C₁₋₆ alkyl optionally
substituted by 1 to 5 substituent(s)
selected from the above group B,

15 (k) -(CH₂)_t-O-(CH₂)_p-COR^{a21}
wherein R^{a21} is amino, C₁₋₆ alkylamino or
heterocyclic group optionally substituted by
1 to 5 substituent(s) selected from the above
group B, and p is 0 or an integer of 1 to 6,

(l) -(CH₂)_t-NR^{a22}R^{a23}
wherein R^{a22} and R^{a23} are each independently

(1'') hydrogen atom,

20 (2'') optionally substituted C₁₋₆ alkyl (as
defined above),

(3'') C₆₋₁₄ aryl optionally substituted by 1 to
5 substituent(s) selected from the above
group B,

25 (4'') C₆₋₁₄ aryl C₁₋₆ alkyl optionally
substituted by 1 to 5 substituent(s)
selected from the above group B,

(5'') heterocycle C₁₋₆ alkyl optionally
substituted by 1 to 5 substituent(s)
selected from the above group B or

30 (6'') heterocyclic group optionally
substituted by 1 to 5 substituent(s)
selected from the above group B,

(m) -(CH₂)_t-NR^{a29}CO-R^{a24}
wherein R^{a29} is hydrogen atom, C₁₋₆ alkyl or C₁₋₆
alkanoyl, and R^{a24} is

(1'') amino,

(2'') C₁₋₆ alkylamino,

- (3'') optionally substituted C₁₋₆ alkyl (as defined above),
- (4'') C₆₋₁₄ aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
- (5'') heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the above group B or
- (6'') heterocycle C₁₋₆ alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
- (n) -(CH₂)_t-NR^{a29}SO₂-R^{a25}
 wherein R^{a29} is as defined above, and
 R^{a25} is hydrogen atom, optionally substituted C₁₋₆ alkyl (as defined above), C₆₋₁₄ aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B or heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the above group B,
- (o) -(CH₂)_t-S(O)_q-R^{a25}
 wherein R^{a25} is as defined above, and q is 0, 1 or 2,
- (p) -(CH₂)_t-SO₂-NHR^{a26}
 wherein R^{a26} is hydrogen atom, optionally substituted C₁₋₆ alkyl (as defined above), C₆₋₁₄ aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B or heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the above group B,

and

- (q) heterocyclic group having 1 to 4 heteroatom(s) selected from an oxygen atom, a nitrogen atom and a sulfur atom, and

w is an integer of 1 to 3, and

Y is

- (1') a single bond,

- (2') C₁₋₆ alkylene,
- (3') C₂₋₆ alkenylene,
- (4') -(CH₂)_m-O-(CH₂)_n-,
 (hereinafter m and n are each independently 0
 or an integer of 1 to 6),
- (5') -CO-,
- (6') -CO₂-(CH₂)_n-,
- (7') -CONH-(CH₂)_n-NH-,
- (8') -NHCO₂-,
- (9') -NHC(=O)NH-,
- (10') -O-(CH₂)_n-CO-,
- (11') -O-(CH₂)_n-O-,
- (12') -SO₂-,
- (13') -(CH₂)_m-NR^{a12}-(CH₂)_n-
 wherein R^{a12} is
- (1'') hydrogen atom,
- (2'') optionally substituted C₁₋₆ alkyl (as
 defined above),
- (3'') C₆₋₁₄ aryl C₁₋₆ alkyl optionally
 substituted by 1 to 5 substituent(s)
 selected from the above group B,
- (4'') C₆₋₁₄ aryl optionally substituted by 1 to
 5 substituent(s) selected from the above
 group B,
- (5'') -COR^{b5}
 wherein R^{b5} is hydrogen atom, optionally
 substituted C₁₋₆ alkyl (as defined above),
 C₆₋₁₄ aryl optionally substituted by 1 to
 5 substituent(s) selected from the above
 group B or C₆₋₁₄ aryl C₁₋₆ alkyl optionally
 substituted by 1 to 5 substituent(s)
 selected from the above group B,
- (6'') -COOR^{b5} (R^{b5} is as defined above) or
- (7'') -SO₂R^{b5} (R^{b5} is as defined above),
- (14') -NR^{a12}CO- (R^{a12} is as defined above),
- (15') -CONR^{a13}-(CH₂)_n-
 wherein R^{a13} is hydrogen atom, optionally
 substituted C₁₋₆ alkyl (as defined above) or

C₆₋₁₄ aryl C₁₋₆ alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,

(16') -CONH-CHR^{a14}-

wherein R^{a14} is C₆₋₁₄ aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B,

(17') -O-(CH₂)_m-CR^{a15}R^{a16}-(CH₂)_n-

wherein R^{a15} and R^{a16} are each independently

10 (1'') hydrogen atom,

(2'') carboxyl,

(3'') C₁₋₆ alkyl,

(4'') -OR^{b6}

wherein R^{b6} is C₁₋₆ alkyl or C₆₋₁₄ aryl C₁₋₆ alkyl,

or

(5'') -NHR^{b7}

wherein R^{b7} is hydrogen atom, C₁₋₆ alkyl, C₁₋₆ alkanoyl or C₆₋₁₄ aryl C₁₋₆ alkyloxycarbonyl, or R^{a15} is optionally

15 (6'')



wherein n', ring B', Z' and w' are the same as the above-mentioned n, ring B, Z and w, respectively, and may be the same as or different from the respective counterparts,

20 (18') -(CH₂)_n-NR^{a12}-CHR^{a15}- (R^{a12} and R^{a15} are each as defined above),

(19') -NR^{a17}SO₂-

wherein R^{a17} is hydrogen atom or C₁₋₆ alkyl,

25 (20') -S(O)_e-(CH₂)_m-CR^{a15}R^{a16}-(CH₂)_n- (e is 0, 1 or 2, R^{a15} and R^{a16} are each as defined above),

or

(21') -(CH₂)_m-CR^{a15}R^{a16}-(CH₂)_n- (R^{a15} and R^{a16} are each as defined above).

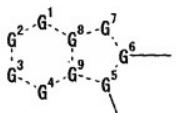
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2. The therapeutic agent of claim 1, wherein 1 to 4 of the G¹, G², G³, G⁴, G⁵, G⁶, G⁷, G⁸ and G⁹ is(are) a nitrogen atom.

3. The therapeutic agent of claim 2, wherein G^2 is $C(-R^2)$ and G^6 is a carbon atom.

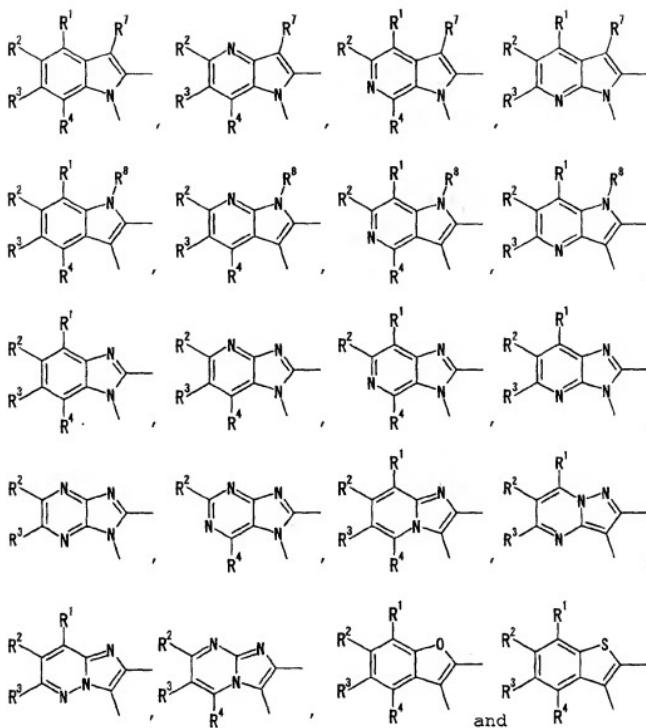
5 4. The therapeutic agent of claim 2 or claim 3, wherein G^5 is a nitrogen atom.

5. The therapeutic agent of claim 1, wherein, in formula [I], the moiety

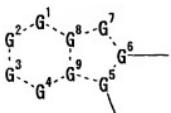


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is a fused ring selected from

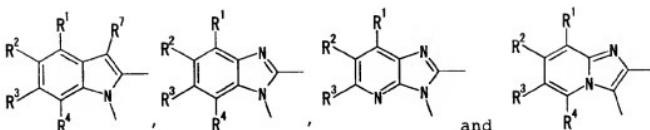


6. The therapeutic agent of claim 5, wherein, in formula [I], the moiety

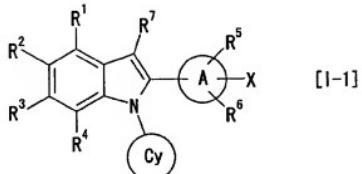


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is a fused ring selected from



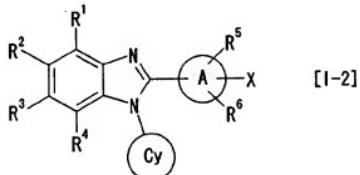
7. The therapeutic agent of claim 6, which comprises a fused ring compound of the following formula [I-1]



5

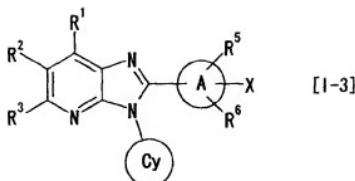
wherein each symbol is as defined in claim 1,
or a pharmaceutically acceptable salt thereof as an active
ingredient.

10 8. The therapeutic agent of claim 6, which comprises a fused ring compound of the following formula [I-2]



wherein each symbol is as defined in claim 1,
or a pharmaceutically acceptable salt thereof as an active
15 ingredient.

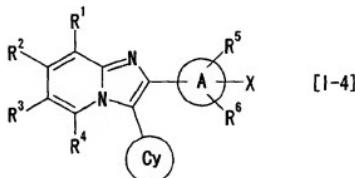
9. The therapeutic agent of claim 6, which comprises a fused ring compound of the following formula [I-3]



wherein each symbol is as defined in claim 1,
or a pharmaceutically acceptable salt thereof as an active
ingredient.

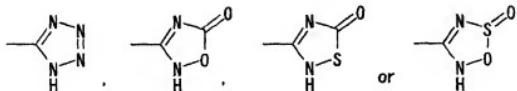
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10. The therapeutic agent of claim 6, which comprises a fused
ring compound of the following formula [I-4]



- wherein each symbol is as defined in claim 1,
10 or a pharmaceutically acceptable salt thereof as an active
ingredient.

11. The therapeutic agent of any of claims 1 to 10, wherein at
least one of R¹, R², R³ and R⁴ is carboxyl, -COOR^{a1}, -CONR^{a2}R^{a3},
15 -SO₂R^{a7} (wherein R^{a1}, R^{a2}, R^{a3} and R^{a7} are as defined in claim 1),



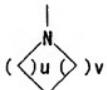
12. The therapeutic agent of claim 11, wherein at least one of R¹,
R², R³ and R⁴ is carboxyl, -COOR^{a1}, -CONR^{a2}R^{a3} or -SO₂R^{a7} wherein R^{a1},
20 R^{a2}, R^{a3} and R^{a7} are as defined in claim 1.

13. The therapeutic agent of any of claims 1 to 10, wherein at least one of R¹, R², R³ and R⁴ is -COOR^{a1} wherein R^{a1} is glucuronic acid residue.

5 14. The therapeutic agent of any of claims 1 to 10, wherein at least one of R¹, R², R³ and R⁴ is heterocyclic group having 1 to 4 heteroatom(s) selected from an oxygen atom, a nitrogen atom and a sulfur atom.

10 15. The therapeutic agent of any of claims 1 to 14, wherein the ring Cy is cyclopentyl, cyclohexyl, cycloheptyl, tetrahydrothiopyranyl or piperidino.

16. The therapeutic agent of any of claims 1 to 14, wherein the
15 ring Cy is



wherein each symbol is as defined in claim 1.

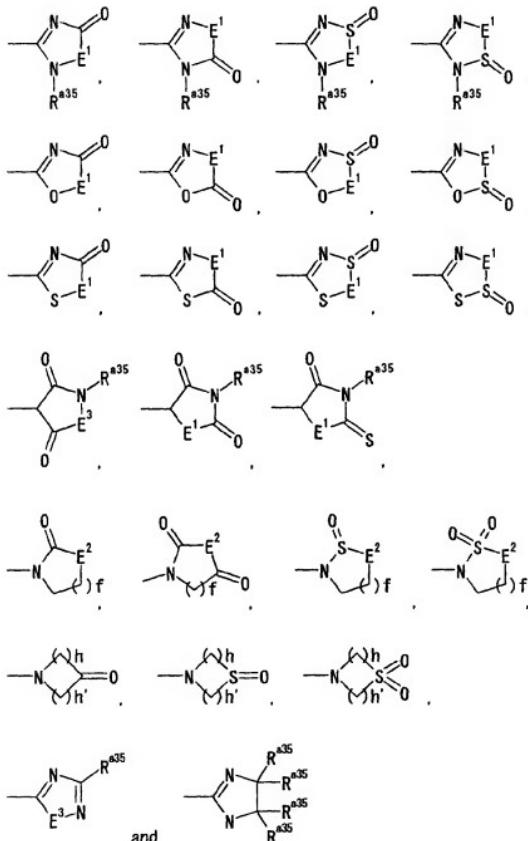
17. The therapeutic agent of any of claims 1 to 16, wherein the
20 ring A is C₆₋₁₄ aryl.

18. The therapeutic agent of any of claims 1 to 17, wherein at least one substituent optionally substituted by group A is a substituent substituted by C₁₋₆ alkoxy C₁₋₆ alkoxy.

25 19. The therapeutic agent of any of claims 1 to 18, wherein the Y is -(CH₂)_m-CR^{a15}R^{a16}-(CH₂)_n- wherein each symbol is as defined in claim 1.

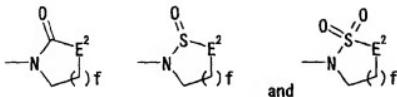
30 20. The therapeutic agent of any of claims 1 to 19, wherein at least one group represented by Z is heterocycle C₁₋₆ alkyl optionally substituted by 1 to 5 substituent(s) selected from the group D.

21. The therapeutic agent of any of claims 1 to 19, wherein at least one group represented by Z is a heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the group D, wherein said heterocyclic group is selected from the
5 following groups:



wherein each R^{a35} is independently hydrogen atom or C₁₋₆ alkyl, f is an integer of 1 to 3, and h and h' are the same or different and each is an integer of 1 to 3.

22. The therapeutic agent of claim 21, wherein at least one group represented by Z is heterocyclic group optionally substituted by 5 to 5 substituent(s) selected from the group D wherein said heterocyclic group is selected from the following groups:



10 wherein each symbol is as defined in claim 21.

23. The therapeutic agent of any of claims 1 to 19, wherein at least one group represented by group D is -(CH₂)_t-CONR^{a27}R^{a28} wherein each symbol is as defined in claim 1, and at least one of 15 R^{a27} and R^{a28} is C₁₋₆ alkoxy.

24. The therapeutic agent of any of claims 1 to 19, wherein at least one group represented by group D is -(CH₂)_t-C(=NR^{a33})NH₂ wherein each symbol is as defined in claim 1, and R^{a33} is hydroxyl 20 group or C₁₋₆ alkoxy.

25. The therapeutic agent of any of claims 1 to 19, wherein at least one group represented by group D is -(CH₂)_t-O-(CH₂)_p-COR^{a21}, wherein each symbol is as defined in claim 1, and R^{a21} is amino.

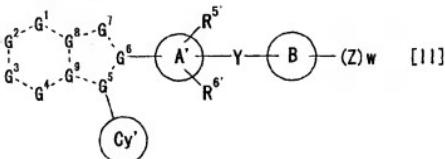
26. The therapeutic agent of any of claims 1 to 19, wherein at least one group represented by group D is -(CH₂)_t-NR^{a29}CO-R^{a24} wherein each symbol is as defined in claim 1, and R^{a24} is amino or C₁₋₆ alkylamino.

27. The therapeutic agent of any of claims 1 to 19, wherein at least one group represented by group D is -(CH₂)_t-NR^{a22}R^{a23} wherein each symbol is as defined in claim 1, and at least one of R^{a22} and

R^{a23} is heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the group B.

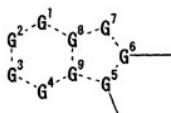
28. The therapeutic agent of any of claims 1 to 19, wherein at least one group represented by group D is heterocyclic group having 1 to 4 heteroatom(s) selected from an oxygen atom, a nitrogen atom and a sulfur atom.

29. A fused ring compound of the following formula [II]

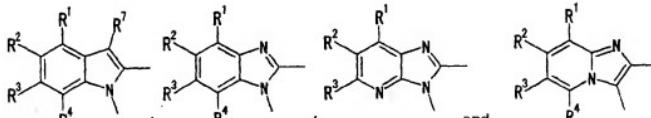


10

wherein
the moiety



is a fused ring selected from



15

wherein R^1 , R^2 , R^3 and R^4 are each independently,

- (1) hydrogen atom,
- (2) C_{1-6} alkanoyl,
- (3) carboxyl,
- (4) cyano,
- (5) nitro,
- (6) C_{1-6} alkyl optionally substituted by 1 to 3 substituent(s) selected from the following group A, group A; halogen atom, hydroxyl group, carboxyl, amino,

25

C_{1-6} alkoxy, C_{1-6} alkoxy C_{1-6} alkoxy, C_{1-6}

alkoxycarbonyl and C₁₋₆ alkylamino,

(7) -COOR^{a1}

wherein R^{a1} is optionally substituted C₁₋₆ alkyl (as defined above), C₆₋₁₄ aryl C₁₋₆ alkyl optionally substituted by 1 to 5 substituent(s) selected from the following group B or glucuronic acid residue, group B; halogen atom, cyano, nitro, C₁₋₆ alkyl, halogenated C₁₋₆ alkyl, C₁₋₆ alkanoyl, -(CH₂)_r-COOR^{b1}, -(CH₂)_r-CONR^{b1}R^{b2}, -(CH₂)_r-NR^{b1}R^{b2}, -(CH₂)_r-NR^{b1}-COR^{b2}, -(CH₂)_r-NSO₂R^{b1}, -(CH₂)_r-OR^{b1}, -(CH₂)_r-SR^{b1}, -(CH₂)_r-SO₂R^{b1} and -(CH₂)_r-SC₂NR^{b1}R^{b2} wherein R^{b1} and R^{b2} are each independently hydrogen atom or C₁₋₆ alkyl and r is 0 or an integer of 1 to 6,

(8) -CONR^{a2}R^{a3}

wherein R^{a2} and R^{a3} are each independently hydrogen atom, C₁₋₆ alkoxy or optionally substituted C₁₋₆ alkyl (as defined above),

(9) -C(=NR^{a4})NH₂

wherein R^{a4} is hydrogen atom or hydroxyl group,

(10) -NHR^{a5}

wherein R^{a5} is hydrogen atom, C₁₋₆ alkanoyl or C₁₋₆ alkylsulfonyl,

(11) -OR^{a6}

wherein R^{a6} is hydrogen atom or optionally substituted C₁₋₆ alkyl (as defined above),

(12) -SO₂R^{a7}

wherein R^{a7} is hydroxyl group, amino, C₁₋₆ alkyl or C₁₋₆ alkylamino,

(13) -P(=O)(OR^{a31})₂

wherein R^{a31} is hydrogen atom, optionally substituted C₁₋₆ alkyl (as defined above) or C₆₋₁₄ aryl C₁₋₆ alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,

or

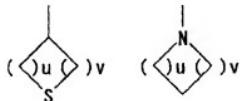
(14) heterocyclic group having 1 to 4 heteroatom(s)

selected from an oxygen atom, a nitrogen atom and a sulfur atom, and

R⁷ is hydrogen atom or optionally substituted C₁₋₆ alkyl (as defined above),

ring Cy' is

- (1) C₃₋₈ cycloalkyl optionally substituted by 1 to 5 substituent(s) selected from the following group C, group C; hydroxyl group, halogen atom, C₁₋₆ alkyl and C₁₋₆ alkoxy, or
- (2)



wherein u and v are each independently an integer of 1 to 3,

ring A' is a group selected from a group consisting of phenyl, pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, cyclohexyl, cyclohexenyl, furyl and thienyl,

R^{5'} and R^{6'} are each independently

- (1) hydrogen atom,
 (2) halogen atom,
 (3) optionally substituted C₁₋₆ alkyl (as defined above) or
 (4) hydroxyl group

ring B is

- (1) C₆₋₁₄ aryl,
 (2) C₃₋₈ cycloalkyl or
 (3) heterocyclic group having 1 to 4 heteroatom(s) selected from an oxygen atom, a nitrogen atom and a sulfur atom,

each Z is independently

- (1) a group selected from the following group D,
 (2) C₆₋₁₄ aryl optionally substituted by 1 to 5 substituent(s) selected from the following group D,
 (3) C₃₋₈ cycloalkyl optionally substituted by 1 to 5 substituent(s) selected from the following group D,
 (4) C₆₋₁₄ aryl C₁₋₆ alkyl optionally substituted by 1 to 5 substituent(s) selected from the following group D,
 (5) heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the following group D

wherein the heterocyclic group has 1 to 4 heteroatom(s) selected from an oxygen atom, a nitrogen atom and a sulfur atom, or

5 (6) heterocycle C₁₋₆ alkyl optionally substituted by 1 to 5 substituent(s) selected from the following group D wherein the heterocycle C₁₋₆ alkyl is C₁₋₆ alkyl substituted by heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the group D, as defined above,
10 group D:

- (a) hydrogen atom,
- (b) halogen atom,
- (c) cyano,
- (d) nitro,

15 (e) optionally substituted C₁₋₆ alkyl (as defined above),

(f) -(CH₂)_t-COR^{a18},
(hereinafter each t means independently 0 or an integer of 1 to 6),

20 wherein R^{a18} is

(1') optionally substituted C₁₋₆ alkyl (as defined above),

(2') C₆₋₁₄ aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B or

25 (3') heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the above group B
wherein the heterocyclic group has 1 to

30 4 heteroatom(s) selected from an oxygen atom, a nitrogen atom and a sulfur atom,

(g) -(CH₂)_t-COOR^{a19}

wherein R^{a19} is hydrogen atom, optionally substituted C₁₋₆ alkyl (as defined above) or

35 C₆₋₁₄ aryl C₁₋₆ alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,

(h) -(CH₂)_t-CONR^{a27}R^{a28}

- 5
- wherein R^{a27} and R^{a28} are each independently,
- (1') hydrogen atom,
- (2') optionally substituted C₁₋₆ alkyl (as defined above),
- (3') C₆₋₁₄ aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
- (4') C₆₋₁₄ aryl C₁₋₆ alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
- 10 (5') heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the above group B,
- (6') heterocycle C₁₋₆ alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
- 15 wherein the heterocycle C₁₋₆ alkyl is C₁₋₆ alkyl substituted by heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the above group B, as defined above,
- 20 (7') C₃₋₈ cycloalkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
- (8') C₃₋₈ cycloalkyl C₁₋₆ alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
- 25 (9') hydroxyl group or
- (10') C₁₋₆ alkoxy,
- (i) -(CH₂)_t-C(=NR^{a33})NH₂
- 30 wherein R^{a33} is hydrogen atom, C₁₋₆ alkyl, hydroxyl group or C₁₋₆ alkoxy,
- (j) -(CH₂)_t-OR^{a20}
- wherein R^{a20} is
- (1') hydrogen atom,
- 35 (2') optionally substituted C₁₋₆ alkyl (as defined above),
- (3') optionally substituted C₂₋₆ alkenyl (as defined above),

- 5
- (4') C₂₋₆ alkynyl optionally substituted by 1 to 3 substituent(s) selected from the above group A,
 - (5') C₆₋₁₄ aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
 - (6') C₆₋₁₄ aryl C₁₋₆ alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
 - 10 (7') heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the above group B,
 - (8') heterocycle C₁₋₆ alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
 - 15 (9') C₃₋₈ cycloalkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B, or
 - (10') C₃₋₈ cycloalkyl C₁₋₆ alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
 - 20 (k) -(CH₂)_t-O-(CH₂)_p-COR^{a21}
wherein R^{a21} is amino, C₁₋₆ alkylamino or heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the above group B,
and p is 0 or an integer of 1 to 6,
 - 25 (l) -(CH₂)_t-NR^{a22}R^{a23}
wherein R^{a22} and R^{a23} are each independently
 - (1') hydrogen atom,
 - (2') optionally substituted C₁₋₆ alkyl (as defined above),
 - (3') C₆₋₁₄ aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
 - (4') C₆₋₁₄ aryl C₁₋₆ alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
- 30
35

- 5
- (5') heterocycle C₁₋₆ alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B or
- (6') heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the above group B,
- (m) -(CH₂)_t-NR^{a29}CO-R^{a24}
wherein R^{a29} is hydrogen atom, C₁₋₆ alkyl or C₁₋₆ alkanoyl, and
R^{a24} is
(1') amino,
(2') C₁₋₆ alkylamino,
(3') optionally substituted C₁₋₆ alkyl (as defined above),
15 (4') C₆₋₁₄ aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(5') heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the above group B, or
20 (6') heterocycle C₁₋₆ alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(n) -(CH₂)_t-NR^{a29}SO₂-R^{a25}
wherein R^{a29} is as defined above, and
R^{a25} is hydrogen atom, optionally substituted C₁₋₆ alkyl (as defined above),
C₆₋₁₄ aryl optionally substituted by 1 to 5 substituent(s) selected from the above group
25 B
or heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(o) -(CH₂)_t-S(O)_q-R^{a25}
wherein R^{a25} is as defined above, and q is 0, 1
30 or 2,
(p) -(CH₂)_t-SO₂-NHR^{a26}
wherein R^{a26} is hydrogen atom, optionally

substituted C₁₋₆ alkyl (as defined above),
 C₆₋₁₄ aryl optionally substituted by 1 to 5
 substituent(s) selected from the above group
 B

5

or heterocyclic group optionally substituted
 by 1 to 5 substituent(s) selected from the
 above group B,

and

(q) heterocyclic group having 1 to 4 heteroatom(s)
 10 selected from an oxygen atom, a nitrogen atom
 and a sulfur atom,

W

is an integer of 1 to 3, and

Y

is

- (1) a single bond,
- (2) C₁₋₆ alkylene,
- (3) C₂₋₆ alkenylene,
- (4) -(CH₂)_m-O-(CH₂)_n-,
 (hereinafter m and n are each independently 0
 or an integer of 1 to 6),
- (5) -CO-,
- (6) -CO₂-(CH₂)_n-,
- (7) -CONH-(CH₂)_n-NH-,
- (8) -NHCO₂-,
- (9) -NHCONH-,
- (10) -O-(CH₂)_n-CO-,
- (11) -O-(CH₂)_n-O-,
- (12) -SO₂-,
- (13) -(CH₂)_m-NR^{a12}-(CH₂)_n-
 wherein R^{a12} is
 - (1') hydrogen atom,
 - (2') optionally substituted C₁₋₆ alkyl (as
 defined above),
 - (3') C₆₋₁₄ aryl C₁₋₆ alkyl optionally
 substituted by 1 to 5 substituent(s)
 selected from the above group B,
 - (4') C₆₋₁₄ aryl optionally substituted by 1 to
 5 substituent(s) selected from the above
 group B,

15

20

25

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35

(5') -COR^{b5}

wherein R^{b5} is hydrogen atom, optionally substituted C₁₋₆ alkyl (as defined above), C₆₋₁₄ aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B or C₆₋₁₄ aryl C₁₋₆ alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,

10 (6') -COOR^{b5} (R^{b5} is as defined above) or(7') -SO₂R^{b5} (R^{b5} is as defined above),(14) -NR^{a12}CO- (R^{a12} is as defined above),(15) -CONR^{a13}- (CH₂)_n-

15 wherein R^{a13} is hydrogen atom, optionally substituted C₁₋₆ alkyl (as defined above) or C₆₋₁₄ aryl C₁₋₆ alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,

(16) -CONH-CHR^{a14}-

20 wherein R^{a14} is C₆₋₁₄ aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B,

(17) -O-(CH₂)_m-CR^{a15}R^{a16}-(CH₂)_n-25 wherein R^{a15} and R^{a16} are each independently

(1') hydrogen atom,

(2') carboxyl,

(3') C₁₋₆ alkyl,(4') -OR^{b6}

30 wherein R^{b6} is C₁₋₆ alkyl or C₆₋₁₄ aryl C₁₋₆ alkyl,
or

(5') -NHR^{b7}

wherein R^{b7} is hydrogen atom, C₁₋₆ alkyl, C₁₋₆ alkanoyl or C₆₋₁₄ aryl C₁₋₆ alkyloxycarbonyl, or R^{a15} is optionally

35 (6')



wherein n', ring B', Z' and w' are the same as the above-mentioned n, ring B, Z and w, respectively, and may be the same as or different from the respective counterparts,

(18) $-(\text{CH}_2)_n-\text{NR}^{\text{a}12}-\text{CHR}^{\text{a}15}-$ ($\text{R}^{\text{a}12}$ and $\text{R}^{\text{a}15}$ are each as defined above),

(19) $-\text{NR}^{\text{a}17}\text{SO}_2-$

wherein $\text{R}^{\text{a}17}$ is hydrogen atom or C_{1-6} alkyl,

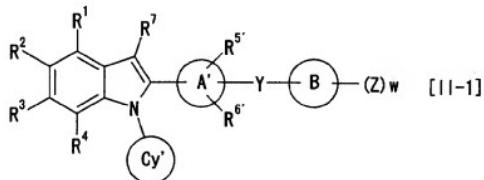
(20) $-\text{S}(\text{O})_e-(\text{CH}_2)_m-\text{CR}^{\text{a}15}\text{R}^{\text{a}16}-(\text{CH}_2)_n-$ (e is 0, 1 or 2, $\text{R}^{\text{a}15}$ and $\text{R}^{\text{a}16}$ are each as defined above),
or

(21) $-(\text{CH}_2)_n-\text{CR}^{\text{a}15}\text{R}^{\text{a}16}-(\text{CH}_2)_n-$ ($\text{R}^{\text{a}15}$ and $\text{R}^{\text{a}16}$ are each as defined above),

or a pharmaceutically acceptable salt thereof.

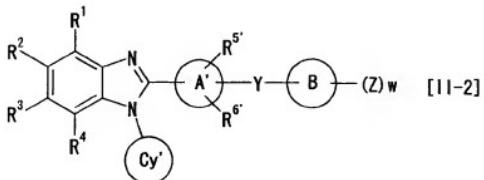
15

30. The fused ring compound of claim 29, which is represented by the following formula [II-1]



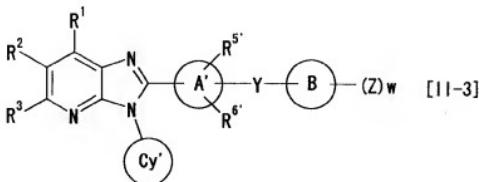
wherein each symbol is as defined in claim 29,
20 or a pharmaceutically acceptable salt thereof.

31. The fused ring compound of claim 29, which is represented by the following formula [II-2]



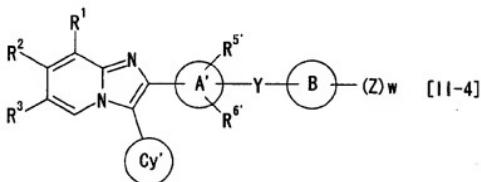
25 wherein each symbol is as defined in claim 29,
or a pharmaceutically acceptable salt thereof.

32. The fused ring compound of claim 29, which is represented by the following formula [II-3]



5 wherein each symbol is as defined in claim 29,
or a pharmaceutically acceptable salt thereof.

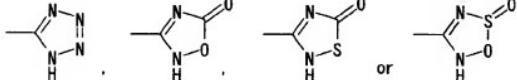
33. The fused ring compound of claim 29, which is represented by the following formula [II-4]



10

wherein each symbol is as defined in claim 29,
or a pharmaceutically acceptable salt thereof.

34. The fused ring compound of any of claims 29 to 33, wherein at least one of R¹, R², R³ and R⁴ is carboxyl, -COOR¹¹, -CONR¹²R¹³, -SO₂R¹⁷ (wherein R¹¹, R¹², R¹³ and R¹⁷ are as defined in claim 29),



or

or a pharmaceutically acceptable salt thereof.

20 35. The fused ring compound of claim 34, wherein at least one of R¹, R², R³ and R⁴ is carboxyl, -COOR¹¹ or -SO₂R¹⁷ wherein R¹¹ and R¹⁷ are as defined in claim 29, or a pharmaceutically acceptable salt thereof.

36. The fused ring compound of claim 35, wherein at least one of R¹, R², R³ and R⁴ is carboxyl or -COOR^{a1} wherein R^{a1} is as defined in claim 29, or a pharmaceutically acceptable salt thereof.

5

37. The fused ring compound of claim 36, wherein R² is carboxyl and R¹, R³ and R⁴ are hydrogen atoms, or a pharmaceutically acceptable salt thereof.

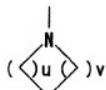
10 38. The fused ring compound of any of claims 29 to 33, wherein at least one of R¹, R², R³ and R⁴ is -COOR^{a1} wherein R^{a1} is glucuronic acid residue, or a pharmaceutically acceptable salt thereof.

15 39. The fused ring compound of any of claims 29 to 33, wherein at least one of R¹, R², R³ and R⁴ is heterocyclic group having 1 to 4 heteroatom(s) selected from an oxygen atom, a nitrogen atom and a sulfur atom, or a pharmaceutically acceptable salt thereof.

20 40. The fused ring compound of any of claims 29 to 39, wherein the ring Cy' is cyclopentyl, cyclohexyl, cycloheptyl or tetrahydrothiopyranyl, or a pharmaceutically acceptable salt thereof.

25 41. The fused ring compound of claim 40, wherein the ring Cy' is cyclopentyl, cyclohexyl or cycloheptyl, or a pharmaceutically acceptable salt thereof.

42. The fused ring compound of any of claims 29 to 39, wherein the ring Cy' is



30

wherein each symbol is as defined in claim 29, or a pharmaceutically acceptable salt thereof.

43. The fused ring compound of any of claims 29 to 42, wherein the ring A' is phenyl, pyridyl, pyrazinyl, pyrimidinyl or pyridazinyl, or a pharmaceutically acceptable salt thereof.

5 44. The fused ring compound of claim 43, wherein the ring A' is phenyl or pyridyl, or a pharmaceutically acceptable salt thereof.

45. The fused ring compound of claim 44, wherein the ring A' is phenyl, or a pharmaceutically acceptable salt thereof.

10

46. The fused ring compound of any of claims 29 to 45, wherein at least one substituent optionaly substituted by group A is a substituent substituted by C₁₋₆ alkoxy C₁₋₆ alkoxy, or a pharmaceutically acceptable salt thereof.

15

47. The fused ring compound of any of claims 29 to 46, wherein the Y is -(CH₂)_m-O-(CH₂)_n-, -NHCO₂-, -CONH-CHR^{a14-}, -(CH₂)_m-NR^{a12-}-(CH₂)_n-, -CONR^{a13-}-(CH₂)_n-, -O-(CH₂)_m-CR^{a15}R^{a16-}-(CH₂)_n- or -(CH₂)_n-NR^{a12-}-CHR^{a15-} (wherein each symbol is as defined in claim 29), or a pharmaceutically acceptable salt thereof.

20

48. The fused ring compound of claim 47, wherein the Y is -(CH₂)_m-O-(CH₂)_n- or -O-(CH₂)_m-CR^{a15}R^{a16-}-(CH₂)_n- (wherein each symbol is as defined in claim 29), or a pharmaceutically acceptable salt thereof.

25

49. The fused ring compound of claim 48, wherein the Y is -(CH₂)_m-O-(CH₂)_n- wherein each symbol is as defined in claim 29, or a pharmaceutically acceptable salt thereof.

30

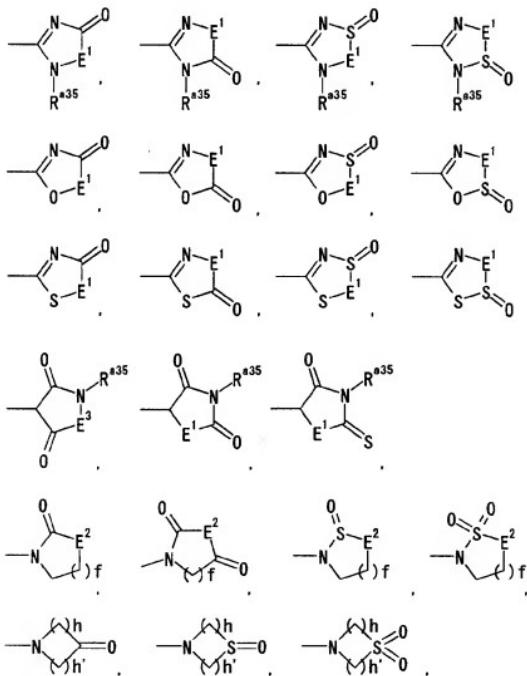
50. The fused ring compound of any of claims 29 to 46, wherein the Y is -(CH₂)_m-CR^{a15}R^{a16-}-(CH₂)_n- (wherein each symbol is as defined in claim 29), or a pharmaceutically acceptable salt thereof.

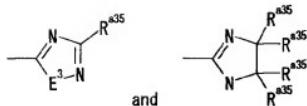
35

51. The fused ring compound of any of claims 29 to 50, wherein the R² is carboxyl, R¹, R³ and R⁴ are hydrogen atoms, the ring Cy' is cyclopentyl, cyclohexyl or cycloheptyl, and the ring A' is phenyl, or a pharmaceutically acceptable salt thereof.

52. The fused ring compound of any of claims 29 to 51, wherein at least one group represented by Z is heterocycle C₁₋₆ alkyl optionally substituted by 1 to 5 substituent(s) selected from the 5 group D, or a pharmaceutically acceptable salt thereof.

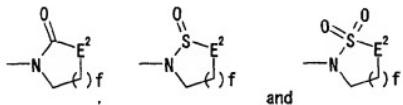
53. The fused ring compound of any of claims 29 to 51, wherein at least one group represented by Z is heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the group D, 10 wherein said heterocyclic group is selected from the following groups:





wherein E^1 is an oxygen atom, a sulfur atom or $N(-R^{a35})$, E^2 is an oxygen atom, CH_2 or $N(-R^{a35})$, E^3 is an oxygen atom or a sulfur atom, wherein each R^{a35} is independently hydrogen atom or C_{1-6} alkyl, f is an integer of 1 to 3, and h and h' are the same or different and each is an integer of 1 to 3, or a pharmaceutically acceptable salt thereof.

54. The fused ring compound of claim 53, wherein at least one group represented by Z is heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the group D, wherein said heterocyclic group is selected from the following groups:



15 wherein each symbol is as defined in claim 53, or a pharmaceutically acceptable salt thereof.

55. The fused ring compound of claim any of claims 29 to 51, wherein at least one group represented by group D is $-(CH_2)_t-$
20 $CONR^{a27}R^{a28}$ wherein each symbol is as defined in claim 29, and at least one of R^{a27} and R^{a28} is C_{1-6} alkoxy, or a pharmaceutically acceptable salt thereof.

56. The fused ring compound of any of claims 29 to 51, wherein at least one group represented by group D is $-(CH_2)_t-C(=NR^{a33})NH_2$
25 wherein each symbol is as defined in claim 29, and R^{a33} is hydroxyl group or C_{1-6} alkoxy, or a pharmaceutically acceptable salt thereof.

57. The fused ring compound of any of claims 29 to 51, wherein at least one group represented by group D is $-(\text{CH}_2)_t-\text{O}-(\text{CH}_2)_p-\text{COR}^{a21}$ wherein each symbol is as defined in claim 29, and R^{a21} is amino, or a pharmaceutically acceptable salt thereof.

58. The fused ring compound of any of claims 29 to 51, wherein at least one group represented by group D is $-(\text{CH}_2)_t-\text{NR}^{a29}\text{CO-R}^{a24}$ wherein each symbol is as defined in claim 29, and R^{a24} is amino or C_{1-6} alkylamino, or a pharmaceutically acceptable salt thereof.

10 59. The fused ring compound of any of claims 29 to 51, wherein at least one group represented by group D is $-(\text{CH}_2)_t-\text{NR}^{a22}\text{R}^{a23}$ wherein each symbol is as defined in claim 29, and at least one of R^{a22} and R^{a23} is heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the group B, or a pharmaceutically acceptable salt thereof.

15 60. The fused ring compound of any of claims 29 to 51, wherein at least one group represented by group D is heterocyclic group having 1 to 4 heteroatom(s) selected from an oxygen atom, a nitrogen atom and a sulfur atom, or a pharmaceutically acceptable salt thereof.

20 61. The fused ring compound of claim 29 or a pharmaceutically acceptable salt thereof, which is selected from the group consisting of
25 ethyl 2-[4-(3-bromophenoxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylate,
2-[4-(3-bromophenoxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid,
30 ethyl 2-[4-(2-bromo-5-chlorobenzoyloxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylate,
ethyl 2-[4-[2-(4-chlorophenyl)-5-chlorobenzoyloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylate,
35 2-[4-[2-(4-chlorophenyl)-5-chlorobenzoyloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid,
ethyl 2-[4-(2-bromo-5-methoxybenzoyloxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylate,

- ethyl 2-[4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylate,
 2-[4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 5 ethyl 1-cyclohexyl-2-[4-[(E)-2-phenylvinyl]phenyl]benzimidazole-5-carboxylate,
 1-cyclohexyl-2-[4-[(E)-2-phenylvinyl]phenyl]benzimidazole-5-carboxylic acid,
 2-(4-benzyloxyphenyl)-1-cyclopentylbenzimidazole-5-carboxylic
 10 acid,
 2-(4-benzyloxyphenyl)-1-cyclopentylbenzimidazole-5-carboxamide,
 2-(4-benzyloxyphenyl)-5-cyano-1-cyclopentylbenzimidazole,
 2-(4-benzyloxyphenyl)-1-cyclopentylbenzimidazole-5-carboxamide
 oxime,
- 15 ethyl 1-cyclohexyl-2-[4-[(4-(4-fluorophenyl)-2-methyl-5-thiazolyl)methoxy]phenyl]benzimidazole-5-carboxylate,
 1-cyclohexyl-2-[4-[(4-(4-fluorophenyl)-2-methyl-5-thiazolyl)methoxy]phenyl]benzimidazole-5-carboxylic acid,
 ethyl 2-[4-[bis(3-fluorophenyl)methoxy]-2-fluorophenyl]-1-
 20 cyclohexylbenzimidazole-5-carboxylate,
 2-[4-[bis(3-fluorophenyl)methoxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid,
 ethyl 2-(4-benzoylaminophenyl)-1-cyclopentylbenzimidazole-5-carboxylate,
 25 2-(4-benzoylaminophenyl)-1-cyclopentylbenzimidazole-5-carboxylic acid,
 ethyl 2-[4-[3-(3-chlorophenyl)phenoxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylate,
 2-[4-[3-(3-chlorophenyl)phenoxy]phenyl]-1-
 30 cyclohexylbenzimidazole-5-carboxylic acid,
 ethyl 2-[4-(3-acetoxyphenoxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylate,
 ethyl 1-cyclohexyl-2-[4-(3-hydroxyphenoxy)phenyl]-benzimidazole-5-carboxylate,
 35 ethyl 1-cyclohexyl-2-[4-[3-(4-pyridylmethoxy)phenoxy]phenyl]-benzimidazole-5-carboxylate,
 1-cyclohexyl-2-[4-[3-(4-pyridylmethoxy)phenoxy]phenyl]-benzimidazole-5-carboxylic acid,

2-(4-benzyloxyphenyl)-1-cyclopentylbenzimidazole,
 ethyl 2-(4-benzyloxyphenyl)-1-cyclopentylbenzimidazole-5-
 carboxylate,
 2-(4-benzyloxyphenyl)-1-cyclopentyl-N,N-dimethylbenzimidazole-5-
 5 carboxamide,
 2-(4-benzyloxyphenyl)-1-cyclopentyl-N-methoxy-N-
 methylbenzimidazole-5-carboxamide,
 2-(4-benzyloxyphenyl)-1-cyclopentyl-5-(1-hydroxy-1-
 methylethyl)benzimidazole,
 10 5-acetyl-2-(4-benzyloxyphenyl)-1-cyclopentylbenzimidazole,
 2-(4-benzyloxyphenyl)-1-cyclopentyl-N-(2-dimethylaminoethyl)-
 benzimidazole-5-carboxamide dihydrochloride,
 2-(4-benzyloxyphenyl)-1-cyclopentyl-5-nitrobenzimidazole,
 5-amino-2-(4-benzyloxyphenyl)-1-cyclopentylbenzimidazole
 15 hydrochloride,
 5-acetylamino-2-(4-benzyloxyphenyl)-1-cyclopentylbenzimidazole,
 2-(4-benzyloxyphenyl)-1-cyclopentyl-5-methanesulfonyl-
 aminobenzimidazole,
 5-sulfamoyl-2-(4-benzyloxyphenyl)-1-cyclopentylbenzimidazole,
 20 2-[4-(4-tert-butylbenzyloxy)phenyl]-1-cyclopentylbenzimidazole-
 5-carboxylic acid,
 2-[4-(4-carboxybenzyloxy)phenyl]-1-cyclopentylbenzimidazole-5-
 carboxylic acid,
 2-[4-(4-chlorobenzyloxy)phenyl]-1-cyclopentylbenzimidazole-5-
 25 carboxylic acid,
 2-[4-[(2-chloro-5-thienyl)methoxy]phenyl]-1-
 cyclopentylbenzimidazole-5-carboxylic acid,
 1-cyclopentyl-2-[4-(4-trifluoromethylbenzyloxy)phenyl]-
 benzimidazole-5-carboxylic acid,
 30 1-cyclopentyl-2-[4-(4-methoxybenzyloxy)phenyl]benzimidazole-5-
 carboxylic acid,
 1-cyclopentyl-2-[4-(4-pyridylmethoxy)phenyl]benzimidazole-5-
 carboxylic acid hydrochloride,
 1-cyclopentyl-2-[4-(4-methylbenzyloxy)phenyl]benzimidazole-5-
 35 carboxylic acid,
 1-cyclopentyl-2-[4-[(3,5-dimethyl-4-isoxazolyl)methoxy]phenyl]-
 benzimidazole-5-carboxylic acid,

- [2-(4-benzyloxyphenyl)-1-cyclopentylbenzimidazol-5-yl]-carbonylaminoacetic acid,
- 2-[4-(2-chlorobenzyloxy)phenyl]-1-cyclopentylbenzimidazole-5-carboxylic acid,
- 5 2-[4-(3-chlorobenzyloxy)phenyl]-1-cyclopentylbenzimidazole-5-carboxylic acid,
- 2-(4-benzyloxyphenyl)-3-cyclopentylbenzimidazole-5-carboxylic acid,
- 2-[4-(benzenesulfonylamino)phenyl]-1-cyclopentylbenzimidazole-5-carboxylic acid,
- 10 1-cyclopentyl-2-[4-(3,5-dichlorophenylcarbonylamino)phenyl]-benzimidazole-5-carboxylic acid,
- 2-[4-[(4-chlorophenyl) carbonylamino]phenyl]-1-cyclopentylbenzimidazole-5-carboxylic acid,
- 15 2-[4-[(4-tert-butylphenyl) carbonylamino]phenyl]-1-cyclopentylbenzimidazole-5-carboxylic acid,
- 2-[4-[(4-benzyloxyphenyl) carbonylamino]phenyl]-1-cyclopentylbenzimidazole-5-carboxylic acid,
- trans-4-[2-(4-benzyloxyphenyl)-5-carboxybenzimidazol-1-
- 20 yl]cyclohexan-1-ol,
- trans-1-[2-(4-benzyloxyphenyl)-5-carboxybenzimidazol-1-yl]-4-methoxycyclohexane,
- 2-(4-benzyloxyphenyl)-5-carboxymethyl-1-cyclopentylbenzimidazole,
- 2-[(4-cyclohexylphenyl) carbonylamino]-1-
- 25 cyclopentylbenzimidazole-5-carboxylic acid,
- 1-cyclopentyl-2-[4-(3,5-dichlorobenzyloxy)phenyl]benzimidazole-5-carboxylic acid,
- 1-cyclopentyl-2-[4-(3,4-dichlorobenzyloxy)phenyl]benzimidazole-5-carboxylic acid,
- 30 1-cyclopentyl-2-[4-(phenylcarbamoylamino)phenyl]benzimidazole-5-carboxylic acid,
- 1-cyclopentyl-2-[4-(diphenylmethoxy)phenyl]benzimidazole-5-carboxylic acid,
- 1-cyclopentyl-2-(4-phenethyloxyphenyl)benzimidazole-5-carboxylic
- 35 acid,
- trans-1-[2-(4-benzyloxyphenyl)-5-carboxybenzimidazol-1-yl]-4-tert-butylcyclohexane,

- 2-(4-benzyloxyphenyl)-5-carboxymethoxy-1-cyclopentylbenzimidazole,
2-(4-benzylaminophenyl)-1-cyclopentylbenzimidazole-5-carboxylic acid,
- 5 2-[4-(N-benzenesulfonyl-N-methylamino)phenyl]-1-cyclopentylbenzimidazole-5-carboxylic acid,
- 2-[4-(N-benzyl-N-methylamino)phenyl]-1-cyclopentylbenzimidazole-5-carboxylic acid,
1-cyclohexyl-2-(4-phenethylphenyl)benzimidazole-5-carboxylic acid,
- 10 1-cyclohexyl-2-[4-(3,5-dichlorobenzyloxy)phenyl]benzimidazole-5-carboxylic acid,
1-cyclohexyl-2-[4-(diphenylmethoxy)phenyl]benzimidazole-5-carboxylic acid,
- 15 1-cyclohexyl-2-[4-(3,5-di-tert-butylbenzyloxy)phenyl]-benzimidazole-5-carboxylic acid,
2-(4-benzyloxyphenyl)-1-(4-methylcyclohexyl)benzimidazole-5-carboxylic acid,
1-cyclohexyl-2-[4-[2-(2-naphthyl)ethoxy]phenyl]benzimidazole-5-carboxylic acid,
- 20 1-cyclohexyl-2-[4-(1-naphthyl)methoxyphenyl]benzimidazole-5-carboxylic acid,
1-cyclohexyl-2-[4-(dibenzylamino)phenyl]benzimidazole-5-carboxylic acid,
- 25 2-[4-(2-biphenylmethoxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-(4-benzyloxyphenyl)-1-cyclohexylbenzimidazole-5-carboxylic acid,
1-cyclohexyl-2-[4-(dibenzylmethoxy)phenyl]benzimidazole-5-
- 30 carboxylic acid,
2-(4-benzoylmethoxyphenyl)-1-cyclohexylbenzimidazole-5-carboxylic acid,
1-cyclohexyl-2-[4-(3,3-diphenylpropyloxy)phenyl]benzimidazole-5-carboxylic acid,
- 35 2-[4-(3-chloro-6-phenylbenzyloxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid,
1-cyclohexyl-2-[4-[2-(phenoxy)ethoxy]phenyl]benzimidazole-5-carboxylic acid,

- 1-cyclohexyl-2-[4-(3-phenylpropyloxy)phenyl]benzimidazole-5-carboxylic acid,
- 1-cyclohexyl-2-[4-(5-phenylpentyloxy)phenyl]benzimidazole-5-carboxylic acid,
- 5 2-(2-benzyloxy-5-pyridyl)-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 1-cyclohexyl-2-{4-[2-(3,4,5-trimethoxyphenyl)ethoxy]phenyl}-benzimidazole-5-carboxylic acid,
- 10 2-(4-benzyloxyphenyl)-1-(4,4-dimethylcyclohexyl)benzimidazole-5-carboxylic acid,
- 1-cyclohexyl-2-{4-[2-(1-naphthyl)ethoxy]phenyl}benzimidazole-5-carboxylic acid,
- 15 2-[4-(2-benzyloxyphenoxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 20 2-[4-(3-benzyloxyphenoxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 1-cyclohexyl-2-[4-(2-hydroxyphenoxy)phenyl]benzimidazole-5-carboxylic acid,
- 25 1-cyclohexyl-2-[4-(3-hydroxyphenoxy)phenyl]benzimidazole-5-carboxylic acid,
- 30 1-cyclohexyl-2-[4-(2-methoxyphenoxy)phenyl]benzimidazole-5-carboxylic acid,
- 35 1-cyclohexyl-2-[4-(3-methoxyphenoxy)phenyl]benzimidazole-5-carboxylic acid,
- 1-cyclohexyl-2-[4-(2-propoxypheoxy)phenyl]benzimidazole-5-carboxylic acid,
- 1-cyclohexyl-2-[4-(3-propoxypheoxy)phenyl]benzimidazole-5-carboxylic acid,
- 1-cyclohexyl-2-{4-[2-(3-methyl-2-butenyloxy)phenoxy]phenyl}-benzimidazole-5-carboxylic acid,
- 1-cyclohexyl-2-{4-[3-(3-methyl-2-butenyloxy)phenoxy]phenyl}-benzimidazole-5-carboxylic acid,
- 1-cyclohexyl-2-[4-(2-isopentyloxyphenoxy)phenyl]benzimidazole-5-carboxylic acid,
- 35 1-cyclohexyl-2-[4-(3-isopentyloxyphenoxy)phenyl]benzimidazole-5-carboxylic acid,
- 1-cyclohexyl-2-{4-[2-(10,11-dihydro-5H-dibenzo[b,f]azepin-5-yl)ethoxy]phenyl}benzimidazole-5-carboxylic acid,

- 1-cyclohexyl-2-{4-[2-(4-trifluoromethylphenyl)benzyloxy]-
phenyl}benzimidazole-5-carboxylic acid,
 2-{4-[bis(4-chlorophenyl)methoxy]phenyl}-1-
cyclohexylbenzimidazole-5-carboxylic acid,
 5 1-cyclohexyl-2-{4-[2-(4-methoxyphenyl)ethoxy]phenyl}-
benzimidazole-5-carboxylic acid,
 1-cyclohexyl-2-{4-[2-(2-methoxyphenyl)ethoxy]phenyl}-
benzimidazole-5-carboxylic acid,
 10 1-cyclohexyl-2-{4-[2-(3-methoxyphenyl)ethoxy]phenyl}-
benzimidazole-5-carboxylic acid,
 2-(4-benzyloxyphenyl)-1-cycloheptylbenzimidazole-5-carboxylic
acid,
 1-cyclohexyl-2-[4-(2-phenethyloxyphenoxy)phenyl]benzimidazole-5-
carboxylic acid,
 15 1-cyclohexyl-2-[4-(3-phenethyloxyphenoxy)phenyl]benzimidazole-5-
carboxylic acid,
 1-cyclohexyl-2-[4-(2,2-diphenylethoxy)phenyl]benzimidazole-5-
carboxylic acid,
 cis-1-[2-(4-benzyloxyphenyl)-5-carboxybenzimidazol-1-yl]-4-
 20 fluorocyclohexane,
 1-cyclohexyl-2-[4-(2-phenoxyphenoxy)phenyl]benzimidazole-5-
carboxylic acid,
 1-cyclohexyl-2-[4-(3-phenoxyphenoxy)phenyl]benzimidazole-5-
carboxylic acid,
 25 2-{4-[(2R)-2-benzyloxycarbonylamino-2-phenylethoxy]phenyl}-1-
cyclohexylbenzimidazole-5-carboxylic acid,
 1-cyclohexyl-2-{2-fluoro-4-[2-(4-trifluoromethylphenyl)-
benzyloxy]phenyl}benzimidazole-5-carboxylic acid,
 2-[4-(4-benzyloxyphenoxy)phenyl]-1-cyclohexylbenzimidazole-5-
 30 carboxylic acid,
 2-{4-[bis(4-methylphenyl)methoxy]phenyl}-1-
cyclohexylbenzimidazole-5-carboxylic acid,
 2-{4-[bis(4-fluorophenyl)methoxy]phenyl}-1-
cyclohexylbenzimidazole-5-carboxylic acid,
 35 1-cyclohexyl-6-methoxy-2-[4-(3-phenylpropoxy)phenyl]-
benzimidazole-5-carboxylic acid,
 1-cyclohexyl-6-hydroxy-2-[4-(3-phenylpropoxy)phenyl]-
benzimidazole-5-carboxylic acid,

- 1-cyclohexyl-6-methyl-2-[4-(3-phenylpropoxy)phenyl]-
benzimidazole-5-carboxylic acid,
- 2-[4-[2-(2-benzyloxyphenyl)ethoxy]phenyl]-1-
cyclohexylbenzimidazole-5-carboxylic acid,
- 5 2-[4-[2-(3-benzyloxyphenyl)ethoxy]phenyl]-1-
cyclohexylbenzimidazole-5-carboxylic acid,
- 2-[4-(2-carboxymethoxyphenoxy)phenyl]-1-
cyclohexylbenzimidazole-5-carboxylic acid,
- 2-[4-(3-carboxymethoxyphenoxy)phenyl]-1-
10 cyclohexylbenzimidazole-5-carboxylic acid,
- 2-[4-[3-chloro-6-(4-methylphenyl)benzyloxy]phenyl]-1-
cyclohexylbenzimidazole-5-carboxylic acid,
- 2-[4-[3-chloro-6-(4-methoxyphenyl)benzyloxy]phenyl]-1-
cyclohexylbenzimidazole-5-carboxylic acid,
- 15 1-cyclohexyl-2-[2-methyl-4-[2-(4-trifluoromethylphenyl)-
benzyloxy]phenyl]benzimidazole-5-carboxylic acid,
- 2-[4-[2-(4-tert-butylphenyl)-5-chlorobenzyloxy]phenyl]-1-
cyclohexylbenzimidazole-5-carboxylic acid,
- 2-[4-(3-chloro-6-phenylbenzyloxy)-2-fluorophenyl]-1-
20 cyclohexylbenzimidazole-5-carboxylic acid,
- 2-[4-[3-chloro-6-(3,5-dichlorophenyl)benzyloxy]phenyl]-1-
cyclohexylbenzimidazole-5-carboxylic acid,
- 2-[4-[bis(4-fluorophenyl)methoxy]-2-fluorophenyl]-1-
cyclohexylbenzimidazole-5-carboxylic acid,
- 25 2-[4-(4-benzyloxyphenoxy)-2-chlorophenyl]-1-
cyclohexylbenzimidazole-5-carboxylic acid,
- 2-[4-(4-benzyloxyphenoxy)-2-trifluoromethylphenyl]-1-
cyclohexylbenzimidazole-5-carboxylic acid,
- 2-[4-[3-chloro-6-(2-trifluoromethylphenyl)benzyloxy]phenyl]-1-
30 cyclohexylbenzimidazole-5-carboxylic acid,
- 2-[4-(2R)-2-amino-2-phenylethoxy]phenyl]-1-
cyclohexylbenzimidazole-5-carboxylic acid,
- 2-[4-(2-biphenylyloxy)phenyl]-1-cyclohexylbenzimidazole-5-
carboxylic acid,
- 35 2-[4-(3-biphenylyloxy)phenyl]-1-cyclohexylbenzimidazole-5-
carboxylic acid,
- 2-[4-[2-(1-tert-butoxycarbonyl-4-piperidyl)methoxy]phenoxy]-
phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid,

- 2-{4-[3-{(1-tert-butoxycarbonyl-4-piperidyl)methoxy]phenoxy}-phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 2-{4-[3-chloro-6-(3,4,5-trimethoxyphenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 5 2-{4-[2-(2-biphenylyl)ethoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 2-[4-(2-biphenylylmethoxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 1-cyclohexyl-2-{4-[2-(4-piperidylmethoxy)phenoxy]phenyl}-10 benzimidazole-5-carboxylic acid hydrochloride,
- 1-cyclohexyl-2-{4-[3-(4-piperidylmethoxy)phenoxy]phenyl}-benzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[(2R)-2-acetylamino-2-phenylethoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 15 1-cyclohexyl-2-{4-[3-(4-methyl-3-pentenylmethoxy)phenoxy]phenyl}-benzimidazole-5-carboxylic acid,
- 1-cyclohexyl-2-{4-[3-(3-methyl-3-butenylmethoxy)phenoxy]phenyl}-benzimidazole-5-carboxylic acid,
- 2-{4-[(2S)-1-benzyl-2-pyrrolidinylmethoxy]phenyl}-1-cyclohexyl-20 benzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[3-chloro-6-(4-methylthiophenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 2-{4-[3-chloro-6-(4-methanesulfonylphenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 25 2-{4-[3-chloro-6-(2-thienyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 2-{4-[3-chloro-6-(3-chlorophenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 2-{4-[3-chloro-6-(3-pyridyl)benzyloxy]phenyl}-1-
- 30 cyclohexylbenzimidazole-5-carboxylic acid,
- 2-{4-[3-chloro-6-(4-fluorophenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 2-[4-(4-benzyloxyphenoxy)-3-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 35 2-[4-(2-bromo-5-chlorobenzyloxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 2-{4-[3-chloro-6-(4-chlorophenyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,

- 2-{4-[2-{(1-acetyl-4-piperidyl)methoxy]phenoxy}phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 2-{4-[3-{(1-acetyl-4-piperidyl)methoxy]phenoxy}phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 5 1-cyclohexyl-2-{4-[3-(2-propynyl)phenoxy]phenyl}benzimidazole-5-carboxylic acid,
- 1-cyclohexyl-2-{4-[3-(3-pyridylmethoxy)phenoxy]phenyl}benzimidazole-5-carboxylic acid,
- 2-(4-benzyloxy-2-methoxyphenyl)-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 10 2-[4-(2-bromo-5-methoxybenzyloxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 2-[4-(carboxy diphenylmethoxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 15 2-{4-[2-(4-chlorophenyl)-5-nitrobenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 2-{4-[3-acetylamino-6-(4-chlorophenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 2-{4-[2-(4-carboxyphenyl)-5-chlorobenzyloxy]phenyl}-1-
- 20 cyclohexylbenzimidazole-5-carboxylic acid,
- 2-{4-[{(2S)-1-benzyloxycarbonyl-2-pyrrolidinyl}methoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 2-{2-chloro-4-[2-(4-trifluoromethylphenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 25 1-cyclohexyl-2-{4-[3-(2-pyridylmethoxy)phenoxy]phenyl}benzimidazole-5-carboxylic acid,
- 2-{4-[2-(4-chlorophenyl)-5-fluorobenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 2-{4-[3-carboxy-6-(4-chlorophenyl)benzyloxy]phenyl}-1-
- 30 cyclohexylbenzimidazole-5-carboxylic acid,
- 2-{4-[3-carbamoyl-6-(4-chlorophenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 1-cyclohexyl-2-{4-[2-(dimethylcarbamoylmethoxy)phenoxy]phenyl}benzimidazole-5-carboxylic acid,
- 35 1-cyclohexyl-2-{4-[2-(piperidinocarbonylmethoxy)phenoxy]phenyl}benzimidazole-5-carboxylic acid,
- 2-{4-[{(2S)-1-benzenesulfonyl-2-pyrrolidinyl}methoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,

- 2-{4-[{(2S)-1-benzoyl-2-pyrrolidinyl}methoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 2-{4-[2-(4-carbamoylphenyl)-5-chlorobenzoyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 5 1-cyclohexyl-2-{4-[3-(dimethylcarbamoylmethoxy)phenoxy]-phenyl}benzimidazole-5-carboxylic acid,
- 1-cyclohexyl-2-{4-[3-(piperidinocarbonylmethoxy)phenoxy]-phenyl}benzimidazole-5-carboxylic acid,
- 1-cyclohexyl-2-{4-[3-{(1-methanesulfonyl-4-piperidyl)methoxy}-phenoxy]phenyl}benzimidazole-5-carboxylic acid,
- 10 1-cyclohexyl-2-{4-[2-methyl-5-(4-chlorophenyl)-4-oxazolyl]-methoxy]phenyl}benzimidazole-5-carboxylic acid,
- 2-{4-[3-(3-chlorobenzoyloxy)phenoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 15 2-{4-[3-(4-chlorobenzoyloxy)phenoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 1-cyclohexyl-2-{4-[3-(4-fluorobenzoyloxy)phenoxy]phenyl}benzimidazole-5-carboxylic acid,
- 1-cyclohexyl-2-{4-[{(2S)-1-(4-nitrophenyl)-2-pyrrolidinyl}-methoxy]phenyl}benzimidazole-5-carboxylic acid,
- 20 1-cyclohexyl-2-{4-[{(2S)-1-phenyl-2-pyrrolidinyl}methoxy]-phenyl}benzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[{(2S)-1-(4-acetylaminophenyl)-2-pyrrolidinyl}methoxy]-phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 25 2-{4-[5-(4-chlorophenyl)-2-methyl-4-thiazolyl]methoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 2-{4-[bis(3-fluorophenyl)methoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 1-cyclohexyl-2-{4-[2-(4-chlorophenyl)-3-nitrobenzoyloxy]phenyl}benzimidazole-5-carboxylic acid,
- 30 1-cyclohexyl-2-{4-[3-(4-tetrahydropyranloxy)phenoxy]phenyl}benzimidazole-5-carboxylic acid,
- 1-cyclohexyl-2-{4-[3-(4-trifluoromethylbenzoyloxy)phenoxy]phenyl}benzimidazole-5-carboxylic acid,
- 35 1-cyclohexyl-2-{4-[3-{(1-methyl-4-piperidyl)methoxy}phenoxy]-phenyl}benzimidazole-5-carboxylic acid,
- 2-{4-[3-(4-tert-butylbenzoyloxy)phenoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,

- 2-{4-[3-(2-chlorobenzyl)phenoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 1-cyclohexyl-2-{4-[3-(3-pyridyl)phenoxy]phenyl}benzimidazole-5-carboxylic acid,
- 5 2-{4-[3-(4-chlorophenyl)phenoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 1-cyclohexyl-2-{4-[3-(4-methoxyphenyl)phenoxy]phenyl}benzimidazole-5-carboxylic acid,
- 1-cyclohexyl-2-{4-[{4-(4-methanesulfonyl)phenyl}-2-methyl-5-thiazolyl]methoxy}phenyl}benzimidazole-5-carboxylic acid,
- 10 2-{4-[{4-(4-chlorophenyl)-2-methyl-5-thiazolyl]methoxy}phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 2-{4-[1-(4-chlorobenzyl)-3-piperidoxyl]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 15 1-cyclohexyl-2-{4-[3-(2-methyl-4-thiazolyl)methoxy]phenoxy}-phenyl}benzimidazole-5-carboxylic acid,
- 1-cyclohexyl-2-{4-[3-(2,4-dimethyl-5-thiazolyl)methoxy]phenoxy}-phenyl}benzimidazole-5-carboxylic acid,
- 1-cyclohexyl-2-{4-[3-(3,5-dichlorophenyl)phenoxy]phenyl}-benzimidazole-5-carboxylic acid,
- 20 2-{4-[1-(4-chlorobenzyl)-4-piperidoxyl]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 2-{4-[3-(4-chlorobenzyl)oxy]piperidino}phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 25 2-{4-[4-carbamoyl-2-(4-chlorophenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 2-{4-[4-(4-chlorobenzyl)oxy]piperidino}phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 2-{4-[3-(2-chloro-4-pyridyl)methoxy]phenoxy}phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 30 2-{4-[2-(2S)-1-(4-dimethylcarbamoyl)phenyl]-2-pyrrolidinyl}methoxy}phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 2-{4-[2-(4-chlorophenyl)-5-ethoxycarbonylbenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 35 1-cyclohexyl-2-{4-(3-trifluoromethylphenoxy)phenyl}-benzimidazole-5-carboxylic acid,
- 1-cyclohexyl-2-{4-[{4-(4-dimethylcarbamoyl)phenyl}-2-methyl-5-thiazolyl]methoxy}phenyl}benzimidazole-5-carboxylic acid,

- 2-[4-[2-(4-chlorophenyl)-5-dimethylcarbamoylbenzyloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 2-[4-[{4-(4-chlorophenyl)-2-methyl-5-pyrimidinylmethoxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 5 2-[4-[{2-(4-chlorophenyl)-3-pyridylmethoxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid dihydrochloride,
- 2-[4-[{3-(4-chlorophenyl)-2-pyridylmethoxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 2-[4-[2-(3-chlorophenyl)-4-methylamino-1,3,5-triazin-6-yloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid trifluoroacetate,
- 10 2-[4-[2-(4-chlorophenyl)-4-(5-tetrazolyl)benzyloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 2-[4-(4-benzyloxy-6-pyrimidinyloxy)phenyl]-1-
- 15 cyclohexylbenzimidazole-5-carboxylic acid,
- 1-cyclohexyl-2-[4-[4-(4-pyridylmethoxy)-6-pyrimidinyloxy]phenyl]-benzimidazole-5-carboxylic acid,
- 2-[4-[4-(3-chlorophenyl)-6-pyrimidinyloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 20 methyl 2-[4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylate,
- 2-[4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- ethyl 2-[4-[3-(4-chlorophenyl)pyridin-2-ylmethoxy]phenyl]-1-
- 25 cyclohexylbenzimidazole-5-carboxylate,
- methyl 2-[4-(2-bromo-5-tert-butoxycarbonylbenzyloxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylate,
- methyl 2-[4-(5-tert-butoxycarbonyl-2-(4-chlorophenyl)benzyloxy]-phenyl]-1-cyclohexylbenzimidazole-5-carboxylate,
- 30 methyl 2-[4-[5-carboxy-2-(4-chlorophenyl)benzyloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylate hydrochloride,
- methyl 2-[4-[2-(4-chlorophenyl)-5-methylcarbamoylbenzyloxy]-phenyl]-1-cyclohexylbenzimidazole-5-carboxylate,
- 2-[4-[2-(4-chlorophenyl)-5-methylcarbamoylbenzyloxy]phenyl]-1-
- 35 cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-[4-[3-(tert-butylsulfamoyl)-6-(4-chlorophenyl)benzyloxy]-phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid,

2-{4-[2-(4-chlorophenyl)-5-sulfamoylbenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid trifluoroacetate,
 2-(4-benzyloxy)cyclohexyl)-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
 5 2-[2-(2-biphenylyloxy)methyl)-5-thienyl]-1-cyclohexylbenzimidazole-5-carboxylic acid,
 2-[2-(2-biphenylyloxy)methyl)-5-furyl]-1-cyclohexylbenzimidazole-5-carboxylic acid,
 1-cyclohexyl-2-{4-[{4-(4-fluorophenyl)-2-hydroxymethyl}-5-thiazolyl]methoxy}phenyl}benzimidazole-5-carboxylic acid,
 10 1-cyclohexyl-2-{4-[{4-(4-carboxyphenyl)-2-methyl-5-thiazolyl}-methoxy}phenyl}benzimidazole-5-carboxylic acid hydrochloride,
 1-cyclohexyl-2-{2-fluoro-4-[4-fluoro-2-(3-fluorobenzoyl)-benzyloxy}phenyl}benzimidazole-5-carboxylic acid,
 15 2-{4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-sulfonic acid,
 2-{4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl}-3-cyclohexylbenzimidazole-4-carboxylic acid,
 1-cyclohexyl-2-{4-[3-dimethylcarbamoyl-5-(4-pyridylmethoxy)-
 20 phenoxy}phenyl}benzimidazole-5-carboxylic acid dihydrochloride,
 1-cyclohexyl-2-{4-[3-carboxy-5-(4-pyridylmethoxy)phenoxy]-phenyl}benzimidazole-5-carboxylic acid dihydrochloride,
 2-{4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl}-1-cyclohexylbenzimidazole-4-carboxylic acid,
 25 2-{4-[3-carbamoyl-6-(4-chlorophenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
 2-{4-[2-(4-carboxyphenyl)-3-pyridyl]methoxy}phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
 2-{4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl}-1-(4-
 30 tetrahydrothiopyranyl)benzimidazole-5-carboxylic acid,
 2-{4-[2-(4-chlorophenyl)-5-dimethylcarbamoylbenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
 1-cyclohexyl-2-{4-[3-dimethylcarbamoyl-6-(4-trifluoromethylphenyl)benzyloxy}phenyl}benzimidazole-5-carboxylic
 35 acid hydrochloride,
 1-cyclohexyl-2-{4-[3-dimethylcarbamoyl-6-(4-methylthiophenyl)-benzyloxy}phenyl}benzimidazole-5-carboxylic acid hydrochloride,

- 2-{4-[2-(4-chlorophenyl)-5-methylcarbamoylbenzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[2-(4-chlorophenyl)-5-dimethylcarbamoylbenzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[3-carbamoyl-6-(4-chlorophenyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[3-dimethylcarbamoyl-6-(4-methanesulfonylphenyl)benzyloxy]-1-phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[3-dimethylcarbamoyl-6-(3-pyridyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid dihydrochloride,
- 2-{4-[3-dimethylcarbamoyl-6-(4-dimethylcarbamoylphenyl)-benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 2-{4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]-2-fluorophenyl}-1-(4-tetrahydrothiopyranyl)benzimidazole-5-carboxylic acid,
- 2-{4-[2-(4-chlorophenyl)-5-dimethylsulfamoylbenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[2-(4-chlorophenyl)-5-methanesulfonylbenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 2-{4-[2-(4-chlorophenyl)-5-methylsulfamoylbenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 2-{4-[2-(4-chlorophenyl)-5-dimethylaminobenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 2-{4-[2-(4-chlorophenyl)-5-methanesulfonylaminobenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid ,
- 2-{4-[2-(4-chlorophenyl)-5-diethylcarbamoylbenzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 2-{4-[2-(4-chlorophenyl)-5-isopropylcarbamoylbenzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 2-{4-[2-(4-chlorophenyl)-5-piperidinocarbonylbenzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 2-{4-[2-(4-chlorophenyl)-5-(1-pyrrolidinyl)carbonylbenzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 2-{4-[2-(4-chlorophenyl)-5-(2-hydroxyethyl)carbamoylbenzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,

- 2-{4-[2-(4-chlorophenyl)-5-(4-hydroxypiperidino)-carbonylbenzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 2-{4-[2-(4-chlorophenyl)-5-morpholinocarbonylbenzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 2-{4-[2-(4-chlorophenyl)-5-thiomorpholinocarbonylbenzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 2-{4-[3-(carboxymethylcarbamoyl)-6-(4-chlorophenyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 10 2-{4-[2-{4-(2-carboxyethyl)phenyl}-5-chlorobenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 2-{4-[3-chloro-6-(4-hydroxymethylphenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 2-{4-[3-chloro-6-(4-methoxymethylphenyl)benzyloxy]phenyl}-1-
- 15 cyclohexylbenzimidazole-5-carboxylic acid,
- 2-{4-[2-(3-carboxyphenyl)-5-chlorobenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 2-{4-[2-(4-chlorophenyl)-5-methylthiobenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 20 2-{4-[2-(4-chlorophenyl)-5-methylsulfinylbenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 2-{4-[2-(4-chlorophenyl)-5-cyanobenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 2-{4-[bis(2-pyridyl)methoxy]phenyl}-1-cyclohexylbenzimidazole-5-
- 25 carboxylic acid,
- 2-{4-[bis(4-dimethylcarbamoylphenyl)methoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 2-{4-[bis(2-thienyl)methoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 30 methyl 2-{4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl}-1-cyclohexyl-1H-indole-5-carboxylate,
- 2-{4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl}-1-cyclohexyl-1H-indole-5-carboxylic acid,
- methyl 2-{4-[2-(4-chlorophenyl)-5-(dimethylcarbamoyl)benzyloxy]-
- 35 2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylate,
- sodium 2-{4-[2-(4-chlorophenyl)-5-(dimethylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylate,

- 2-{4-[5-carboxy-2-(4-chlorophenyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 2-{4-[2-(4-carboxyphenyl)-5-methoxybenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 5 2-{4-[2-(4-carbamoylphenyl)-5-(dimethylcarbamoyl)benzyloxy]-phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 2-{4-[5-amino-2-(4-chlorophenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 2-{4-[5-(4-chlorophenyl)-2-methoxybenzylsulfinyl]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 10 2-{4-[5-(4-chlorophenyl)-2-methoxybenzylsulfonyl]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[2-(4-chlorophenyl)-5-methoxybenzylthio]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 15 2-{4-[bis(4-carboxyphenyl)methoxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 2-[4-(phenyl-3-pyridylmethoxy)-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid,
- methyl 2-{4-[2-(4-chlorophenyl)-5-(methylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylate,
- 20 2-{4-[5-chloro-2-(4-pyridyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[2-(4-chlorophenyl)-5-(benzylcarbamoyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 25 2-{4-[2-(4-chlorophenyl)-5-(cyclohexylmethylcarbamoyl)-benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[2-(4-chlorophenyl)-5-(4-pyridylmethylcarbamoyl)-benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid dihydrochloride,
- 30 2-{4-[2-(4-chlorophenyl)-5-(N-benzyl-N-methylcarbamoyl)-benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[5-dimethylaminocarbonyl-2-(4-pyridyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid dihydrochloride,
- 35 2-{4-[2-(4-chlorophenyl)-5-(4-methylpiperazin-1-ylcarbonyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid dihydrochloride,

- 2-[4-[2-(4-chlorophenyl)-5-{N-(3-pyridylmethyl)carbamoyl}]-benzyloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid dihydrochloride,
- 5 2-[4-[2-(4-chlorophenyl)-5-{N-(2-pyridylmethyl)carbamoyl}]-benzyloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid dihydrochloride,
- 10 2-[4-[2-(4-chlorophenyl)-5-(cyclohexylcarbamoyl)benzyloxy]-phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 15 2-[4-[2-(4-chlorophenyl)-5-(2-pyridin-4-ylethylcarbamoyl)-benzyloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid dihydrochloride,
- 20 2-[4-[(4-fluorophenyl){4-(dimethylaminocarbonyl)phenyl}methoxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 25 1-cyclohexylbenzimidazole-5-carboxylic acid,
- 30 2-[4-[2-(4-chlorophenyl)-5-(4-oxopiperidinocarbonyl)-benzyloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 35 2-[4-[2-(4-chlorophenyl)-5-(isopropylcarbamoyl)benzyloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 40 2-[4-[2-(4-chlorophenyl)-5-(N-isopropyl-N-methylcarbamoyl)-benzyloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 45 2-[4-[2-(4-chlorophenyl)-5-(phenylcarbamoyl)benzyloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 50 2-[4-[2-(4-chlorophenyl)-5-(3-hydroxypropyloxy)benzyloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 55 2-[4-[2-(4-chlorophenyl)-5-(2-hydroxyethoxy)benzyloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 60 methyl 2-[4-(2-bromo-5-nitrobenzyloxy)-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylate,
- 65 methyl 2-[4-[2-(4-chlorophenyl)-5-nitrobenzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylate,

methyl 2-[4-{5-amino-2-(4-chlorophenyl)benzyloxy}-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylate,
 methyl 2-[4-{2-(4-chlorophenyl)-5-(2-oxopyrrolidin-1-yl)benzyloxy}-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylate,
 2-[4-{2-(4-chlorophenyl)-5-(2-oxopyrrolidin-1-yl)benzyloxy}-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
 2-[4-{2-(4-chlorophenyl)-5-(4-methylpiperidin-1-ylcarbonyl)benzyloxy}phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
 2-[4-{5-acetyl-2-(4-chlorophenyl)benzyloxy}phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
 2-[4-{2-(4-chlorophenyl)-5-[4-hydroxypiperidin-1-ylcarbonyl]methoxy}benzyloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid,
 2-[4-{2-(4-chlorophenyl)-5-(2-methoxyethoxy)benzyloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
 2-[4-{2-(4-chlorophenyl)-5-[2-(2-methoxyethoxy)ethoxy}benzyloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
 2-[4-{2-(4-chlorophenyl)-5-(isobutylcarbonyl)benzyloxy}phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid,
 2-[4-{2-(4-chlorophenyl)-5-(2-methylthiazol-4-yl)benzyloxy}phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid,
 2-[4-{2-(4-chlorophenyl)-5-(3,4-dihydroxypiperidin-1-ylcarbonyl)benzyloxy}phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
 2-[4-{2-(4-chlorophenyl)-5-(3-methyl-1,2,4-oxadiazol-5-yl)benzyloxy}phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
 2-[4-{2-(4-chlorophenyl)-4-(isopropylcarbamoyl)benzyloxy}phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
 2-[4-{2-(4-chlorophenyl)-4-(piperidinocarbonyl)benzyloxy}phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
 2-[4-{2-(4-chlorophenyl)-5-[1-hydroxy-2-methylpropan-2-yl]carbamoyl}benzyloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,

- 2-{4-[2-(4-chlorophenyl)-5-(4,4-dimethyl-2-oxazolin-2-yl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid dihydrochloride,
- 2-{4-[2-(4-chlorophenyl)-4-(4-hydroxypiperidin-1-ylcarbonyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[2-(4-chlorophenyl)-4-{(2-hydroxyethyl)carbamoyl}benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[2-(4-chlorophenyl)-4-{(4-pyridylmethyl)carbamoyl}benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 2-{4-[2-(4-chlorophenyl)-4-(dimethylcarbamoyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[5-(2-aminothiazol-4-yl)-2-(4-chlorophenyl)benzyloxy]-phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid dihydrochloride,
- 2-{4-[2-(4-chlorophenyl)-5-(4-hydroxypiperidin-1-ylsulfonyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[5-(dimethylcarbamoyl)-2-(4-fluorophenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[5-(dimethylcarbamoyl)-2-(3-fluorophenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[2-(5-chlorothiophen-2-yl)-5-(dimethylcarbamoyl)benzyloxy]-phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[2-bromo-5-(5-methyloxazol-2-yl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[2-bromo-5-(5-methylthiazol-2-yl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[2-(4-chlorophenyl)-5-(5-methyloxazol-2-yl)benzyloxy]-phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[2-(4-chlorophenyl)-5-(5-methylthiazol-2-yl)benzyloxy]-phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[2-(4-chlorophenyl)-5-tetrazol-5-ylbenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[5-chloro-2-(4-cyanophenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,

- 2-{4-[5-chloro-2-(4-tetrazol-5-ylphenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[2-(4-chlorophenyl)-5-{2-(4-hydroxypiperidin-1-yl)ethoxy}benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[2-(4-chlorophenyl)-5-(2-oxopiperidin-1-yl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[3-(4-chlorophenyl)-5-(dimethylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[2-(4-chlorophenyl)-5-(N-hydroxyamidino)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid dihydrochloride,
- 2-{4-[2-(4-chlorophenyl)-5-(2,5-dihydro-5-oxo-4H-1,2,4-oxadiazol-3-yl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[2-(4-chlorophenyl)-5-(2-oxo-3H-1,2,3,5-oxathiadiazol-4-yl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[2-(4-chlorophenyl)-5-(2,5-dihydro-5-oxo-4H-1,2,4-thiadiazol-3-yl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[2-(4-chlorophenyl)-5-(cyclopropylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[2-(4-chlorophenyl)-5-(cyclobutylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[2-(4-chlorophenyl)-5-(tert-butylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[2-(4-chlorophenyl)-5-(isobutylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[2-(4-chlorophenyl)-5-{(1-hydroxypropan-2-yl)carbamoyl}-benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,

- 2-[4-[2-(4-chlorophenyl)-5-(methoxycarbamoyl)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-[4-[2-(4-chlorophenyl)-5-{(2,3-dihydroxypropyl)carbamoyl}-benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-[4-[2-(4-chlorophenyl)-5-(N-ethyl-N-methylcarbamoyl)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-[4-[2-(4-chlorophenyl)-5-(N-methyl-N-propylcarbamoyl)-benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-[4-[2-(4-chlorophenyl)-5-(N-isopropyl-N-methylcarbamoyl)-benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-[4-[2-(4-chlorophenyl)-5-(2,6-dimethylpiperidin-1-ylcarbonyl)-benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-[4-[5-(butylcarbamoyl)-2-(4-chlorophenyl)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-[4-[2-(4-chlorophenyl)-5-(propylcarbamoyl)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-[4-[2-(4-chlorophenyl)-5-(ethylcarbamoyl)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-[4-[2-(4-chlorophenyl)-5-{(dimethylcarbamoyl)amino}benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-[4-[2-(4-chlorophenyl)-5-{(morpholinocarbonyl)amino}benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-[4-[2-(4-chlorophenyl)-5-ureidobenzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-[4-[2-(4-chlorophenyl)-5-{(ethylcarbamoyl)amino}benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,

- 2-{4-[2-(4-chlorophenyl)-5-(isopropylcarbamoyl)amino}benzyloxy}-
 2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid
 hydrochloride,
- 2-{4-[2-(3,4-difluorophenyl)-5-(isopropylcarbamoyl)benzyloxy]-2-
 5 fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 2-{4-[2-(2,4-difluorophenyl)-5-(isopropylcarbamoyl)benzyloxy]-2-
 10 fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid
 hydrochloride,
- 2-{4-[2-(3,5-dichlorophenyl)-5-(isopropylcarbamoyl)benzyloxy]-2-
 15 fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid
 hydrochloride,
- 2-{4-[2-(3-chloro-4-fluorophenyl)-5-(isopropylcarbamoyl)-
 20 benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic
 acid hydrochloride,
- 2-{4-[2-(3,4-dichlorophenyl)-5-(isopropylcarbamoyl)benzyloxy]-2-
 25 fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid
 hydrochloride,
- 2-{4-[2-(4-chloro-2-fluorophenyl)-5-(isopropylcarbamoyl)-
 30 benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic
 acid hydrochloride,
- 2-{4-[2-(4-chloro-3-fluorophenyl)-5-(pyrrolidin-1-ylcarbonyl)-
 35 benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic
 acid hydrochloride,
- 2-{4-[2-(4-chloro-3-fluorophenyl)-5-(isopropylcarbamoyl)-
 40 benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic
 acid hydrochloride,
- 2-{4-[2-{4-(methylthio)phenyl}-5-(2-oxopyrrolidin-1-yl)benzyloxy]-
 45 2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid
 hydrochloride,
- 2-{4-[2-{4-(methylthio)phenyl}-5-(isopropylcarbamoyl)benzyloxy]-2-
 50 fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid
 hydrochloride,
- 2-{4-[2-[4-(4-chlorophenyl)-5-(1,1-dioxoisothiazolidin-2-
 55 yl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-
 carboxylic acid hydrochloride,

- 2-{4-[4-chloro-2-(4-chlorophenyl)-5-(2-oxopyrrolidin-1-yl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[2-(4-chlorophenyl)-5-(isopropylaminosulfonyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[2-(4-chlorophenyl)-5-(dimethylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-cyclopentylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[2-(4-chlorophenyl)-5-(4-hydroxypiperidin-1-ylcarbonyl)benzyloxy]-2-fluorophenyl}-1-cyclopentylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[2-(4-chlorophenyl)-5-(isopropylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-cyclopentylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[2-(4-chlorophenyl)-5-(isopropylcarbamoyl)benzyloxy]phenyl}-1-cyclopentylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[2-(4-chlorophenyl)-5-(dimethylcarbamoyl)benzyloxy]phenyl}-1-cyclopentylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[2-(4-chlorophenyl)-5-(4-hydroxypiperidin-1-ylcarbonyl)benzyloxy]phenyl}-1-cyclopentylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[2-(4-chlorophenyl)-5-(isopropylcarbamoyl)benzyloxy]phenyl}-1-(tetrahydrothiopyran-4-yl)benzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[2-(4-chlorophenyl)-5-(pyrrolidin-1-ylcarbonyl)benzyloxy]-phenyl}-1-(tetrahydrothiopyran-4-yl)benzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[2-(4-chlorophenyl)-5-(isopropylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-(tetrahydrothiopyran-4-yl)benzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[2-(4-chlorophenyl)-5-(2-oxopyrrolidin-1-yl)benzyloxy]-2-fluorophenyl}-1-(tetrahydrothiopyran-4-yl)benzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[2-(4-chlorophenyl)-5-(isopropylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-piperidinobenzimidazole-5-carboxylic acid hydrochloride,

- 2-{4-[2-(4-chlorophenyl)-5-(pyrrolidin-1-ylcarbonyl)benzyloxy]-2-fluorophenyl}-1-piperidinobenzimidazole-5-carboxylic acid,
- 2-{4-[2-(4-chlorophenyl)-5-(2-imidazolin-2-yl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid
- 5 dihydrochloride,
- 2-{4-[2-(4-chlorophenyl)-5-(2-oxooxazolidin-3-yl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[2-(4-chlorophenyl)-5-(2-oxoimidazolidin-1-yl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 10 2-{4-[2-(4-chlorophenyl)-5-(2-oxazolin-2-ylamino)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid dihydrochloride,
- 15 2-{4-[2-({dimethylcarbamoyl)methoxy}methyl]-4-(4-fluorophenyl)thiazol-5-yl}methoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[{4-(4-fluorophenyl)-2-(4-hydroxypiperidin-1-ylmethyl)thiazol-5-yl}methoxy]phenyl}-1-cyclohexylbenzimidazole-5-
- 20 carboxylic acid dihydrochloride,
- 2-{4-[{4-(4-fluorophenyl)-2-[(carbamoylmethoxy)methyl]thiazol-5-yl}methoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[{4-(4-fluorophenyl)-2-(methylcarbamoyl)thiazol-5-yl}methoxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 25 2-{4-[{4-(4-fluorophenyl)-2-(2-hydroxyethyl)carbamoyl}thiazol-5-yl}methoxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 30 2-{4-[{2-(4-fluorophenyl)-5-(dimethylcarbamoyl)thiophen-3-yl}methoxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 35 2-{4-[{2-(4-fluorophenyl)-5-(isopropylcarbamoyl)thiophen-3-yl}methoxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[{2-(4-fluorophenyl)-5-(4-hydroxypiperidin-1-ylcarbonyl)thiophen-3-yl}methoxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,

- 2-[4-[2-(4-chlorophenyl)-5-(dimethylcarbamoyl)benzyloxy]-2-fluorophenyl]-1-cyclohexyl-5-tetrazol-5-ylbenzimidazole,
 2-[4-[2-(4-carboxyphenyl)-5-chlorobenzylbenzoxy]-2-fluorophenyl]-1-cyclohexyl-5-tetrazol-5-ylbenzimidazole hydrochloride,
 5 2-[4-[2-(4-chlorophenyl)-5-(isopropylcarbamoyl)benzyloxy]-2-fluorophenyl]-1-cyclohexyl-5-(2,5-dihydro-5-oxo-4H-1,2,4-oxadiazol-3-yl)benzimidazole hydrochloride,
 2-[4-[5-carboxy-2-(4-chlorophenyl)benzyloxy]-2-fluorophenyl]-5-cyano-1-cyclohexylbenzimidazole,
 10 2-[4-[2-(4-chlorophenyl)-5-(dimethylcarbamoyl)benzyloxy]-2-fluorophenyl]-5-cyano-1-cyclohexylbenzimidazole,
 2-[4-[{N-(4-dimethylcarbamoyl)-N-(4-fluorophenyl)amino}-methyl]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid,
 2-[5-[bis(3-fluorophenyl)methyl]-2-fluoro-4-hydroxyphenyl]-1-15 cyclohexylbenzimidazole-5-carboxylic acid,
 2-[3-[bis(3-fluorophenyl)methyl]-2-fluoro-4-hydroxyphenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid,
 2-[4-[3-dimethylcarbamoylphenyl](4-fluorophenyl)methoxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid
 20 hydrochloride,
 2-[4-[{3-(4-hydroxypiperidyl-1-ylcarbonyl)phenyl}(4-fluorophenyl)methoxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
 1-[{4-[4-(4-fluorophenyl)-2-methylthiazol-5-yl]methoxy}phenyl]-1-cyclohexylbenzimidazol-5-yl]carbonyl- β -D-glucuronic acid,
 25 {[2-[4-[bis(3-fluorophenyl)methoxy]-2-fluorophenyl]-1-cyclohexylbenzimidazol-5-yl]carbonyl- β -D-glucuronic acid,
 2-[4-[2-(4-chlorophenyl)-5-(1,1-dioxoisothiazolidin-2-yl)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
 30 3-[{4-(5-aminosulfonyl-1-cyclohexylbenzimidazol-2-yl)-3-fluorophenoxy}methyl]-4-(4-chlorophenyl)-N-isopropylbenzamide,
 2-[4-[2-(4-chlorophenyl)-6-(isopropylaminocarbonyl)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid
 35 hydrochloride,

- 2-[4-(2-(4-chlorophenyl)-4-fluoro-5-(1,1-dioxoisothiazolidin-2-yl)benzyloxy}-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 5 2-[4-(2-(4-chlorophenyl)-5-(isopropylaminocarbonyl)benzyloxy}-2-fluorophenyl]-1-cyclohexyl-4-methoxybenzimidazole-5-carboxylic acid hydrochloride,
- 10 2-[4-(2-(4-chlorophenyl)-5-(N-isopropylcarbonyl-N-methylamino)benzyloxy}-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 15 2-[4-(2-(4-chlorophenyl)-5-(isopropylcarbonylamino)benzyloxy}-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 20 2-[3-[(4-(4-fluorophenyl)-2-methylthiazol-5-yl)methyl]-4-hydroxyphenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 25 2-[4-(2-(4-chlorophenyl)-4-fluoro-5-(2-oxopyrrolidin-1-yl)benzyloxy}-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 30 2-[4-(2-(4-chlorophenyl)-5-(methylsulfonylamino)benzyloxy}-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 35 2-[4-(2-(4-chlorophenyl)-5-[N-methyl-N-(methylsulfonyl)amino]benzyloxy}-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 40 2-[4-(2-(4-chlorophenyl)-6-(2-oxopyrrolidin-1-yl)pyridin-2-yl)methoxy}-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 45 2-[4-(2-(4-chlorophenyl)-5-(acetylamino)benzyloxy}-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 50 2-[4-(2-(4-chlorophenyl)-5-(N-acetyl-N-ethylamino)benzyloxy}-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 55 2-[4-(2-(4-chlorophenyl)-5-(N-acetyl-N-propylamino)benzyloxy}-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 60 2-[4-(2-(4-chlorophenyl)-5-[N-ethyl-N-(methylsulfonyl)amino]benzyloxy}-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,

2-[4-(2-(4-chlorophenyl)-5-[N-(methylsulfonyl)-N-propylamino]benzyloxy)-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,

5 2-[4-(2-(4-chlorophenyl)-5-(N-acetyl-N-methylamino)benzyloxy)-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,

10 2-[4-(2-(4-chlorophenyl)-5-[N-(ethylsulfonyl)-N-methylamino]benzyloxy)-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,

15 2-[4-(2-(4-chlorophenyl)-5-[N-ethyl-N-(ethylsulfonyl)amino]benzyloxy)-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,

20 2-[4-(2-(4-chlorophenyl)-5-[N-(ethylcarbonyl)-N-methylamino]benzyloxy)-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,

25 2-[4-(2-(4-chlorophenyl)-5-methoxybenzyloxy)-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid,

30 2-[4-(2-(4-chlorophenyl)-5-(N-acetyl-N-isopropylamino)benzyloxy)-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,

35 {[2-(4-[2-(4-chlorophenyl)-5-(2-oxopyrrolidin-1-yl)benzyloxy]-2-fluorophenyl)-1-cyclohexylbenzimidazol-5-yl]carbonyl}- β -D-glucuronic acid,

40 methyl 2-{4-(2-(4-chlorophenyl)-5-methoxybenzyloxy)phenyl}-1-cyclohexylindole-5-carboxylate,

45 2-{4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl}-1-cyclohexyl-1H-indole-5-carboxylic acid,

50 2-(4-benzylxylophenyl)-1-cyclopentyl-1H-indole-5-carboxylic acid,

55 ethyl 2-(4-benzylxylophenyl)-3-cyclohexylimidazo[1,2-a]pyridine-7-carboxylate,

60 2-(4-benzylxylophenyl)-3-cyclohexylimidazo[1,2-a]pyridine-7-carboxylic acid,

65 2-{4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl}-3-cyclohexyl-3H-imidazo[4,5-b]pyridine-6-carboxylic acid,

- 2-[4-[2-(4-chlorophenyl)-5-(isopropylcarbamoyl)benzyloxy]phenyl]-3-cyclohexyl-3H-imidazo[4,5-b]pyridine-6-carboxylic acid hydrochloride, and
- 2-[4-[2-(4-chlorophenyl)-5-(pyrrolidin-1-ylcarbonyl)benzyloxy]phenyl]-3-cyclohexyl-3H-imidazo[4,5-b]pyridine-6-carboxylic acid hydrochloride.
62. The fused ring compound of claim 61 or a pharmaceutically acceptable salt thereof, which is selected from the group consisting of
- 10 2-[4-[2-(4-chlorophenyl)-5-(4-oxopiperidinocarbonyl)-benzyloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-[4-[2-(4-chlorophenyl)-5-hydroxybenzyloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 15 2-[4-[2-(4-chlorophenyl)-5-(isopropylcarbamoyl)benzyloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-[4-[2-(4-chlorophenyl)-5-(N-isopropyl-N-methylcarbamoyl)-benzyloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 20 2-[4-[2-(4-chlorophenyl)-5-(phenylcarbamoyl)benzyloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-[4-[2-(4-chlorophenyl)-5-(4-methoxypiperidinocarbonyl)-benzyloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 25 2-[4-[2-(4-chlorophenyl)-5-(3-hydroxypropyloxy)benzyloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 2-[4-[2-(4-chlorophenyl)-5-(2-hydroxyethoxy)benzyloxy]phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- methyl 2-[4-(2-bromo-5-nitrobenzyloxy)-2-fluorophenyl]-1-
- 30 cyclohexylbenzimidazole-5-carboxylate,
- methyl 2-[4-[2-(4-chlorophenyl)-5-nitrobenzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylate,
- methyl 2-[4-(5-amino-2-(4-chlorophenyl)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylate,
- 35 methyl 2-[4-[2-(4-chlorophenyl)-5-(2-oxopyrrolidin-1-yl)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylate,

- 2-[4-{2-(4-chlorophenyl)-5-(2-oxopyrrolidin-1-yl)benzyloxy}-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 5 2-{4-[2-(4-chlorophenyl)-5-(4-methylpiperidin-1-ylcarbonyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[5-acetyl-2-(4-chlorophenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 10 2-{4-[2-(4-chlorophenyl)-5-{(4-hydroxypiperidin-1-ylcarbonyl)-methoxy}benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 2-{4-[2-(4-chlorophenyl)-5-(2-methoxyethoxy)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 15 2-{4-[2-(4-chlorophenyl)-5-{2-(2-methoxyethoxy)ethoxy}-benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[2-(4-chlorophenyl)-5-(isobutylcarbonyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 20 2-{4-[2-(4-chlorophenyl)-5-(2-methylthiazol-4-yl)benzyloxy]-phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 2-{4-[2-(4-chlorophenyl)-5-(3,4-dihydroxypiperidin-1-ylcarbonyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 25 2-{4-[2-(4-chlorophenyl)-5-(3-methyl-1,2,4-oxadiazol-5-yl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[2-(4-chlorophenyl)-4-(isopropylcarbamoyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 30 2-{4-[2-(4-chlorophenyl)-4-(piperidinocarbonyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[2-(4-chlorophenyl)-5-{(1-hydroxy-2-methylpropan-2-yl)carbamoyl}benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 35 2-{4-[2-(4-chlorophenyl)-5-(4,4-dimethyl-2-oxazolin-2-yl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid dihydrochloride,

- 2-{4-[2-(4-chlorophenyl)-4-(4-hydroxypiperidin-1-ylcarbonyl)benzyl]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
 2-{4-[2-(4-chlorophenyl)-4-{(2-hydroxyethyl)carbamoyl}-5-benzyl]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
 2-{4-[2-(4-chlorophenyl)-4-{(4-pyridylmethyl)carbamoyl}-5-benzyl]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
 2-{4-[2-(4-chlorophenyl)-4-(dimethylcarbamoyl)benzyl]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
 2-{4-[5-(2-aminothiazol-4-yl)-2-(4-chlorophenyl)benzyl]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid dihydrochloride,
 2-{4-[2-(4-chlorophenyl)-5-(4-hydroxypiperidin-1-ylsulfonyl)benzyl]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
 2-{4-[5-(dimethylcarbamoyl)-2-(4-fluorophenyl)benzyl]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
 2-{4-[5-(dimethylcarbamoyl)-2-(3-fluorophenyl)benzyl]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
 2-{4-[2-(5-chlorothiophen-2-yl)-5-(dimethylcarbamoyl)benzyl]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
 2-{4-[2-bromo-5-(5-methyloxazol-2-yl)benzyl]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
 2-{4-[2-bromo-5-(5-methylthiazol-2-yl)benzyl]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
 2-{4-[2-(4-chlorophenyl)-5-(5-methylthiazol-2-yl)benzyl]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
 2-{4-[2-(4-chlorophenyl)-5-tetrazol-5-ylbenzyl]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
 2-{4-[5-chloro-2-(4-cyanophenyl)benzyl]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
 2-{4-[5-chloro-2-(4-tetrazol-5-ylphenyl)benzyl]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
 2-{4-[2-(4-chlorophenyl)-5-{2-(4-hydroxypiperidin-1-

- yl)ethoxybenzyloxyphenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[2-(4-chlorophenyl)-5-(2-oxopiperidin-1-yl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[3-(4-chlorophenyl)-5-(dimethylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[2-(4-chlorophenyl)-5-(N-hydroxyamidino)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid dihydrochloride,
- 2-{4-[2-(4-chlorophenyl)-5-(2,5-dihydro-5-oxo-4H-1,2,4-oxadiazol-3-yl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[2-(4-chlorophenyl)-5-(2-oxo-3H-1,2,3,5-oxathiadiazol-4-yl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[2-(4-chlorophenyl)-5-(2,5-dihydro-5-oxo-4H-1,2,4-thiadiazol-3-yl)benzyloxy]-2-fluorophenyl}-1-
- 20 cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[2-(4-chlorophenyl)-5-(cyclopropylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[2-(4-chlorophenyl)-5-(cyclobutylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[2-(4-chlorophenyl)-5-(tert-butylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 30 2-{4-[2-(4-chlorophenyl)-5-(isobutylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[2-(4-chlorophenyl)-5-{(1-hydroxypropan-2-yl)carbamoyl}-benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 35 2-{4-[2-(4-chlorophenyl)-5-(methoxycarbamoyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,

- 2-[4-[2-(4-chlorophenyl)-5-{(2,3-dihydroxypropyl)carbamoyl}-benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-[4-[2-(4-chlorophenyl)-5-(N-ethyl-N-methylcarbamoyl)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-[4-[2-(4-chlorophenyl)-5-(N-methyl-N-propylcarbamoyl)-benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-[4-[2-(4-chlorophenyl)-5-(N-isopropyl-N-methylcarbamoyl)-benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-[4-[2-(4-chlorophenyl)-5-(2,6-dimethylpiperidin-1-ylcarbonyl)-benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-[4-[5-(butylcarbamoyl)-2-(4-chlorophenyl)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-[4-[2-(4-chlorophenyl)-5-(propylcarbamoyl)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-[4-[2-(4-chlorophenyl)-5-(ethylcarbamoyl)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-[4-[2-(4-chlorophenyl)-5-(dimethylcarbamoyl)amino]benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-[4-[2-(4-chlorophenyl)-5-(morpholinocarbonyl)amino]benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-[4-[2-(4-chlorophenyl)-5-ureidobenzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-[4-[2-(4-chlorophenyl)-5-(ethylcarbamoyl)amino]benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-[4-[2-(4-chlorophenyl)-5-(isopropylcarbamoyl)amino]benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,

- 2-{4-[2-(3,4-difluorophenyl)-5-(isopropylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
 2-{4-[2-(2,4-difluorophenyl)-5-(isopropylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid
 5 hydrochloride,
 2-{4-[2-(3,5-dichlorophenyl)-5-(isopropylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
 2-{4-[2-(3-chloro-4-fluorophenyl)-5-(isopropylcarbamoyl)-10 benzoyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
 2-{4-[2-(3,4-dichlorophenyl)-5-(isopropylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
 15 2-{4-[2-(4-chloro-2-fluorophenyl)-5-(isopropylcarbamoyl)-benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
 2-{4-[2-(4-chloro-2-fluorophenyl)-5-(pyrrolidin-1-ylcarbonyl)-benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
 20 2-{4-[2-(4-chloro-3-fluorophenyl)-5-(pyrrolidin-1-ylcarbonyl)-benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
 2-{4-[2-(4-chloro-3-fluorophenyl)-5-(isopropylcarbamoyl)-25 benzoyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
 2-{4-[2-(4-methylthio)phenyl]-5-(2-oxopyrrolidin-1-yl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
 30 2-{4-[2-(4-methylthio)phenyl]-5-(isopropylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
 2-{4-[4-chloro-2-(4-chlorophenyl)-5-(1,1-dioxoisothiazolidin-2-yl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-35 carboxylic acid hydrochloride,
 2-{4-[4-chloro-2-(4-chlorophenyl)-5-(2-oxopyrrolidin-1-yl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,

- 2-[4-[2-(4-chlorophenyl)-5-(isopropylaminosulfonyl)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-[4-[2-(4-chlorophenyl)-5-(dimethylcarbamoyl)benzyloxy]-2-fluorophenyl]-1-cyclopentylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-[4-[2-(4-chlorophenyl)-5-(4-hydroxypiperidin-1-ylcarbonyl)benzyloxy]-2-fluorophenyl]-1-cyclopentylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-[4-[2-(4-chlorophenyl)-5-(isopropylcarbamoyl)benzyloxy]-2-fluorophenyl]-1-cyclopentylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-[4-[2-(4-chlorophenyl)-5-(isopropylcarbamoyl)benzyloxy]phenyl]-1-cyclopentylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-[4-[2-(4-chlorophenyl)-5-(dimethylcarbamoyl)benzyloxy]phenyl]-1-cyclopentylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-[4-[2-(4-chlorophenyl)-5-(4-hydroxypiperidin-1-ylcarbonyl)benzyloxy]phenyl]-1-cyclopentylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-[4-[2-(4-chlorophenyl)-5-(isopropylcarbamoyl)benzyloxy]phenyl]-1-(tetrahydrothiopyran-4-yl)benzimidazole-5-carboxylic acid hydrochloride,
- 2-[4-[2-(4-chlorophenyl)-5-(pyrrolidin-1-ylcarbonyl)benzyloxy]-phenyl]-1-(tetrahydrothiopyran-4-yl)benzimidazole-5-carboxylic acid hydrochloride,
- 2-[4-[2-(4-chlorophenyl)-5-(isopropylcarbamoyl)benzyloxy]-2-fluorophenyl]-1-(tetrahydrothiopyran-4-yl)benzimidazole-5-carboxylic acid hydrochloride,
- 2-[4-[2-(4-chlorophenyl)-5-(2-oxopyrrolidin-1-yl)benzyloxy]-2-fluorophenyl]-1-(tetrahydrothiopyran-4-yl)benzimidazole-5-carboxylic acid hydrochloride,
- 2-[4-[2-(4-chlorophenyl)-5-(isopropylcarbamoyl)benzyloxy]-2-fluorophenyl]-1-piperidinobenzimidazole-5-carboxylic acid hydrochloride,
- 2-[4-[2-(4-chlorophenyl)-5-(pyrrolidin-1-ylcarbonyl)benzyloxy]-2-fluorophenyl]-1-piperidinobenzimidazole-5-carboxylic acid,

- 2-{4-[2-(4-chlorophenyl)-5-(2-imidazolin-2-yl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid dihydrochloride,
- 2-{4-[2-(4-chlorophenyl)-5-(2-oxooxazolidin-3-yl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[2-(4-chlorophenyl)-5-(2-oxoimidazolidin-1-yl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[2-(4-chlorophenyl)-5-(2-oxazolin-2-ylamino)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid dihydrochloride,
- 2-{4-[{2-[(dimethylcarbamoyl)methoxy]methyl}-4-(4-fluorophenyl)thiazol-5-yl]methoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[{4-(4-fluorophenyl)-2-(4-hydroxypiperidin-1-yl)methyl}thiazol-5-yl]methoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid dihydrochloride,
- 2-{4-[{4-(4-fluorophenyl)-2-[(carbamoylmethoxy)methyl]thiazol-5-yl]methoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[{4-(4-fluorophenyl)-2-(methylcarbamoyl)thiazol-5-yl]methoxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[{4-(4-fluorophenyl)-2-(2-hydroxyethyl)carbamoyl}thiazol-5-yl]methoxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[{2-(4-fluorophenyl)-5-(dimethylcarbamoyl)thiophen-3-yl]methoxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[{2-(4-fluorophenyl)-5-(isopropylcarbamoyl)thiophen-3-yl]methoxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[{2-(4-fluorophenyl)-5-(4-hydroxypiperidin-1-ylcarbonyl)thiophen-3-yl]methoxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[2-(4-chlorophenyl)-5-(dimethylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-cyclohexyl-5-tetrazol-5-ylbenzimidazole,

- 2-{4-[2-(4-carboxyphenyl)-5-chlorobenzyloxy]-2-fluorophenyl}-1-cyclohexyl-5-tetrazol-5-ylbenzimidazole hydrochloride,
- 2-{4-[2-(4-chlorophenyl)-5-(isopropylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-cyclohexyl-5-(2,5-dihydro-5-oxo-4H-1,2,4-
- 5 oxadiazol-3-yl)benzimidazole hydrochloride,
- 2-{4-[5-carboxy-2-(4-chlorophenyl)benzyloxy]-2-fluorophenyl}-5-cyano-1-cyclohexylbenzimidazole,
- 2-{4-[2-(4-chlorophenyl)-5-(dimethylcarbamoyl)benzyloxy]-2-fluorophenyl}-5-cyano-1-cyclohexylbenzimidazole,
- 10 2-{4-[{N-(4-dimethylcarbamoyl)-N-(4-fluorophenyl)amino}-methyl]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 2-{5-[bis(3-fluorophenyl)methyl]-2-fluoro-4-hydroxyphenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 2-{3-[bis(3-fluorophenyl)methyl]-2-fluoro-4-hydroxyphenyl}-1-
- 15 cyclohexylbenzimidazole-5-carboxylic acid,
- 2-{4-[(3-dimethylcarbamoylphenyl)(4-fluorophenyl)methoxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-{4-[{3-(4-hydroxypiperidyl-1-ylcarbonyl)phenyl}(4-
- 20 fluorophenyl)methoxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 1-{[2-{4-((4-(4-fluorophenyl)-2-methylthiazol-5-
- yl)methoxy)phenyl}-1-cyclohexylbenzimidazol-5-yl]carbonyl}- β -D-glucuronic acid,
- 25 {[2-{4-[bis(3-fluorophenyl)methoxy]-2-fluorophenyl}-1-cyclohexylbenzimidazol-5-yl]carbonyl}- β -D-glucuronic acid,
- 2-{4-[2-(4-chlorophenyl)-5-(1,1-dioxoisothiazolidin-2-yl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 30 3-([(4-(5-aminosulfonyl-1-cyclohexylbenzimidazol-2-yl)-3-fluorophenoxy)methyl]-4-(4-chlorophenyl)-N-isopropylbenzamide,
- 2-[4-(2-(4-chlorophenyl)-6-(isopropylaminocarbonyl)benzyloxy]-2-fluorophenyl)-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 35 2-[4-(2-(4-chlorophenyl)-4-fluoro-5-(1,1-dioxoisothiazolidin-2-yl)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,

- 2-[4-(2-(4-chlorophenyl)-5-(isopropylaminocarbonyl)benzyloxy]-2-fluorophenyl]-1-cyclohexyl-4-methoxybenzimidazole-5-carboxylic acid hydrochloride,
- 2-[4-(2-(4-chlorophenyl)-5-(N-isopropylcarbonyl-N-methylamino)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-[4-(2-(4-chlorophenyl)-5-(isopropylcarbonylamino)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-[3-([4-(4-fluorophenyl)-2-methylthiazol-5-yl]methyl)-4-hydroxyphenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 2-[4-(2-(4-chlorophenyl)-4-fluoro-5-(2-oxopyrrolidin-1-yl)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-[4-(2-(4-chlorophenyl)-5-(methylsulfonylamino)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-[4-(2-(4-chlorophenyl)-5-[N-methyl-N-(methylsulfonyl)amino]benzyloxy]-2-fluorophenyl]-1-
- cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-[4-(3-(4-chlorophenyl)-6-(2-oxopyrrolidin-1-yl)pyridin-2-yl]methoxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-[4-(2-(4-chlorophenyl)-5-(acetylamino)benzyloxy]-2-
- fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-[4-(2-(4-chlorophenyl)-5-(N-acetyl-N-ethylamino)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-[4-(2-(4-chlorophenyl)-5-(N-acetyl-N-propylamino)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-[4-(2-(4-chlorophenyl)-5-[N-ethyl-N-(methylsulfonyl)amino]benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-[4-(2-(4-chlorophenyl)-5-[N-(methylsulfonyl)-N-propylamino]benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,

- 2-[4-(2-(4-chlorophenyl)-5-(N-acetyl-N-methylamino)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-[4-(2-(4-chlorophenyl)-5-[N-(ethylsulfonyl)-N-methylamino]-benzyloxy)-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-[4-(2-(4-chlorophenyl)-5-[N-ethyl-N-(ethylsulfonyl)amino]-benzyloxy)-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-[4-(2-(4-chlorophenyl)-5-[N-(ethylcarbonyl)-N-methylamino]-benzyloxy)-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-[4-(2-(4-chlorophenyl)-5-[N-ethyl-N-(ethylcarbonyl)amino]-benzyloxy)-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- 2-[4-(2-(4-chlorophenyl)-5-methoxybenzyloxy)-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid,
- 2-[4-(2-(4-chlorophenyl)-5-(N-acetyl-N-isopropylamino)-benzyloxy)-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
- {[2-(4-[2-(4-chlorophenyl)-5-(2-oxopyrrolidin-1-yl)benzyloxy]-2-fluorophenyl]-1-cyclohexylbenzimidazol-5-yl]carbonyl}- β -D-glucuronic acid,
- 2-{4-[2-(4-chlorophenyl)-5-(isopropylcarbamoyl)benzyloxy]phenyl}-
- 25 3-cyclohexyl-3H-imidazo[4,5-b]pyridine-6-carboxylic acid hydrochloride, and
- 2-{4-[2-(4-chlorophenyl)-5-(pyrrolidin-1-ylcarbonyl)benzyloxy]-phenyl}-3-cyclohexyl-3H-imidazo[4,5-b]pyridine-6-carboxylic acid hydrochloride.
- 30 63. A pharmaceutical composition comprising a fused ring compound of any of claims 29 to 62, or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.
- 35 64. A hepatitis C virus polymerase inhibitor comprising a fused ring compound of any of claims 1 to 28 and 29 to 62, or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.

65. An anti-hepatitis C virus agent comprising a fused ring compound of any of claims 1 to 28 and 29 to 62, or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.
66. A therapeutic agent for hepatitis C comprising a fused ring compound of any of claims 29 to 62, or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.
67. An anti-hepatitis C virus agent comprising (a) the anti-hepatitis C virus agent of claim 65 and (b) at least one agent selected from the group consisting of a different antiviral agent, an antiinflammatory agent and an immunostimulant.
68. An anti-hepatitis C virus agent comprising (a) the anti-hepatitis C virus agent of claim 65 and (b) interferon.
69. A therapeutic agent for hepatitis C comprising (a) the hepatitis C virus polymerase inhibitor of claim 64 and (b) at least one agent selected from the group consisting of a different antiviral agent, an antiinflammatory agent and an immunostimulant.
70. A therapeutic agent for hepatitis C comprising (a) the hepatitis C virus polymerase inhibitor of claim 64 and (b) interferon.
71. A benzimidazole compound of the following formula [III]
- [III]
- wherein R^{a36} is hydrogen atom or carboxyl-protecting group, R^{a37} is cyclopentyl or cyclohexyl, and R^{a38} is hydrogen atom or fluorine atom, or a salt thereof.

72. A thiazole compound selected from the group consisting of 4-(4-fluorophenyl)-5-hydroxymethyl-2-methylthiazole and 4-(4-fluorophenyl)-5-chloromethyl-2-methylthiazole, or a pharmaceutically acceptable salt thereof.

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73. A biphenyl compound selected from the group consisting of 1-(4'-chloro-2-hydroxymethyl-biphenyl-4-yl)-2-pyrrolidinone and 1-(4'-chloro-2-chloromethyl-biphenyl-4-yl)-2-pyrrolidinone, or a pharmaceutically acceptable salt thereof.

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74. A pharmaceutical composition comprising (a) a fused ring compound of the formula [I] of claim 1 or a pharmaceutically acceptable salt thereof and (b) at least one agent selected from the group consisting of an antiviral agent other than the

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compound of claim 1, an antiinflammatory agent and an immunostimulant.

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75. A pharmaceutical composition comprising (a) a fused ring compound of the formula [I] of claim 1 or a pharmaceutically acceptable salt thereof and (b) interferon.

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76. A method for treating hepatitis C, which comprises administering an effective amount of a fused ring compound of the formula [I] of claim 1 or a pharmaceutically acceptable salt thereof.

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77. The method of claim 76, further comprising administering an effective amount of at least one agent selected from the group consisting of an antiviral agent other than the compound of claim 1, an antiinflammatory agent and an immunostimulant.

78. The method of claim 76, further comprising administering an effective amount of interferon.

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79. A method for inhibiting hepatitis C virus polymerase, which comprises administering an effective amount of a fused ring compound of the formula [I] of claim 1 or a pharmaceutically acceptable salt thereof.

80. The method of claim 79, further comprising administering an effective amount of at least one agent selected from the group consisting of an antiviral agent other than the compound of claim 5 1, an antiinflammatory agent and an immunostimulant.

81. The method of claim 79, further comprising administering an effective amount of interferon.

10 82. Use of a fused ring compound of the formula [I] of claim 1 or a pharmaceutically acceptable salt thereof for the production of a pharmaceutical agent for treating hepatitis C.

15 83. Use of a fused ring compound of the formula [I] of claim 1 or a pharmaceutically acceptable salt thereof for the production of a hepatitis C virus polymerase inhibitor.

20 84. A pharmaceutical composition for the treatment of hepatitis C, which comprises a fused ring compound of the formula [I] of claim 1 or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.

25 85. A pharmaceutical composition for inhibiting hepatitis C virus polymerase, which comprises a fused ring compound of the formula [I] of claim 1 or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.

30 86. A commercial package comprising a pharmaceutical composition of claim 84 and a written matter associated therewith, the written matter stating that the pharmaceutical composition can or should be used for treating hepatitis C.

35 87. A commercial package comprising a pharmaceutical composition of claim 85 and a written matter associated therewith, the written matter stating that the pharmaceutical composition can or should be used for inhibiting hepatitis C virus polymerase.

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